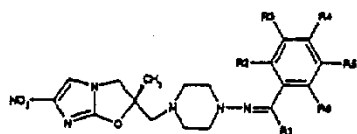


Table 59



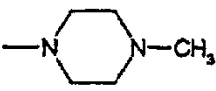
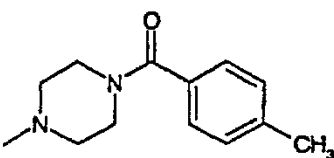
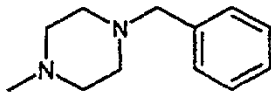
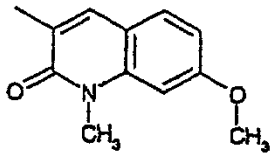
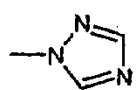
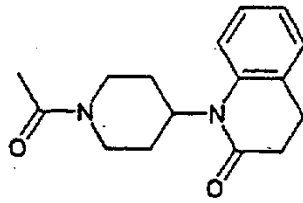
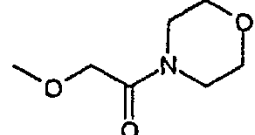
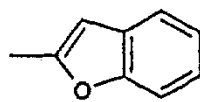
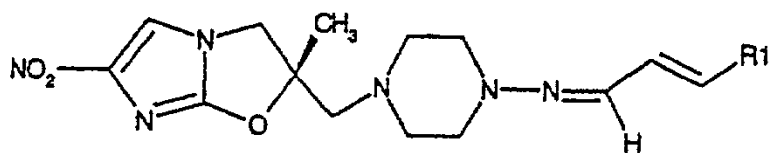
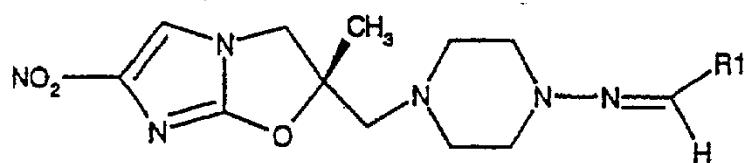
Example	R1	R2	R3	R4	R5	R6	MS
1230	-H	-H	-H	4-CF ₃ OPh-	-H	-H	530
1231	-H	-H	-H	4-CH ₃ Ph-	-H	-H	460
1232	-H	-H	-H	4-NCPh-	-H	-H	471
1233	-H	-H	-H	3,4-(CH ₃ O) ₂ Ph-	-H	-H	506
1234	-H	-H	-OCH ₂ O-	-H	-H	-H	414
1235	-H	-H	-H	-H	-H	-Cl	448
1236	-H	-H	-H	-H	-H	-H	414
1237	-H	-H	-H	-H	-OCH ₃	-H	444
1238	-H	-NO ₂	-H	-OCH ₂ O-	-H	-H	459
1239	-H	-H	-H		-F	-H	486
1240	-H	-H		-H	-H	-NO ₂	617
1241	-H	-H		-H	-H	-NO ₂	589
1242	-H	-H		-H	-H	-OCH ₃	587
1243	-H	-H	-H		-H	-H	437
1244	-H	-H	-H		-H	-H	626
1245	-H	-H	-H		-H	-H	513
1246	-H	-H	-H		-H	-H	486

Table 60



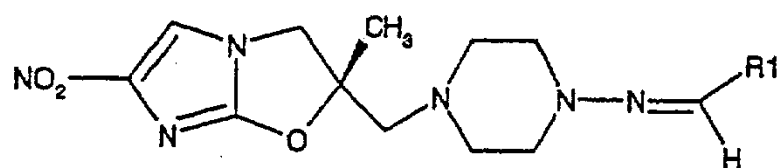
Example	R1	mp(°C) or MS
1247		174.1 - 175.8
1248		201.9 - 203
1249		218.8 - 220.1
1250		156 - 159.3
1251		386
1252		496
1253		513
1254		440

Table 61



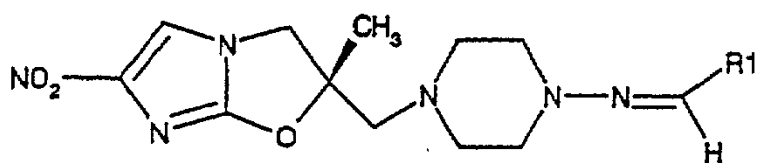
Example	R1	mp(°C)
1255		211.5 - 213.6
1256		190.2 - 191.8
1257		182 - 185.7
1258		192.3 - 195.5
1259		218.4 - 218.9
1260		196 - 197.8
1261		212.5 - 212.9
1262		219.2 - 220
1263		209.4 - 210.4

Table 62



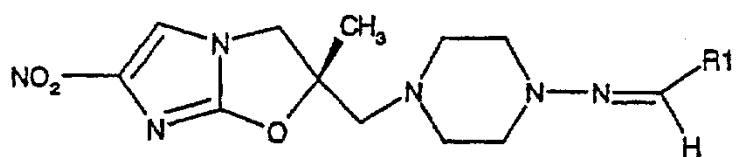
Example	R1	mp(°C) or MS
1264		205.4 - 206.6
1265		214.7 - 215.2
1266		420
1267		498
1268		420
1269		463
1270		450

Table 63

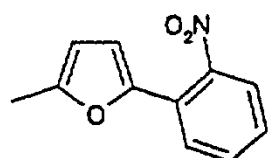
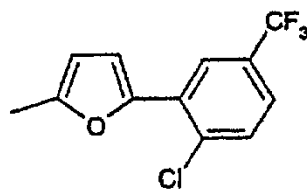
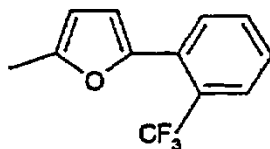
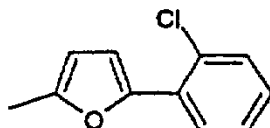
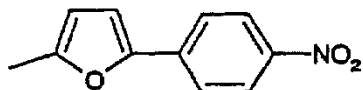
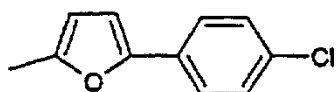
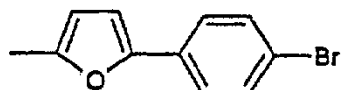
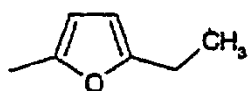
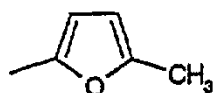
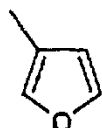


Example	R1	mp(°C) or MS
1271		227.6 - 228.3
1272		428
1273		494
1274		376
1275		374

Table 64

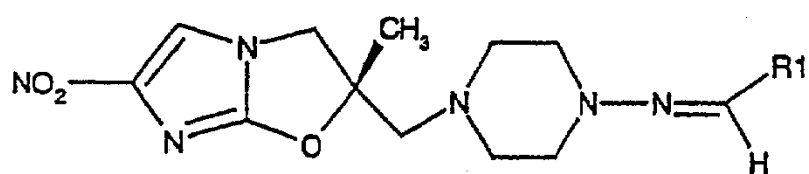


Example	R1	mp(°C) or MS
1276		360
1277		374
1278		388
1279		230.6 - 232.6
1280		470
1281		481
1282		470
1283		504
1284		538
1285		481



1207

Table 65



Example	R1	mp(°C) or MS
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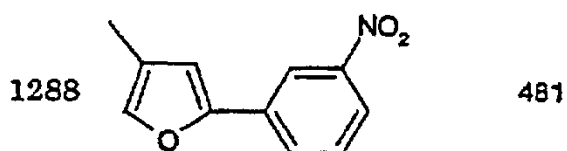
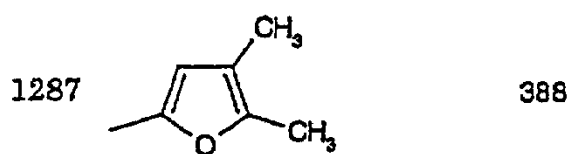
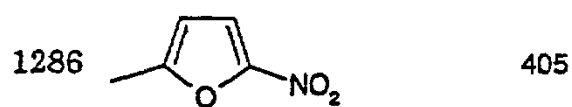
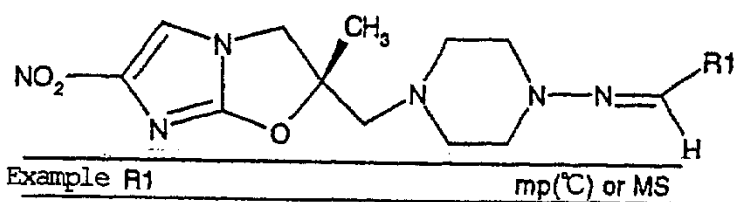
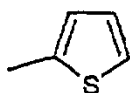


Table 66

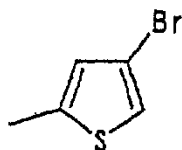


1289



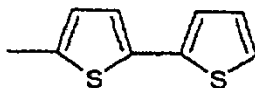
376

1290



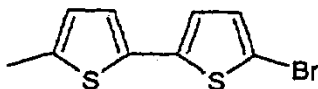
217.8 - 218.5

1291



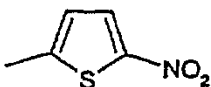
213.2 - 215.6

1292



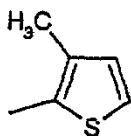
536

1293



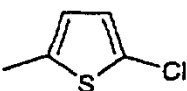
421

1294



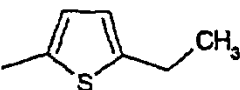
390

1295



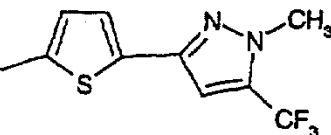
410

1296



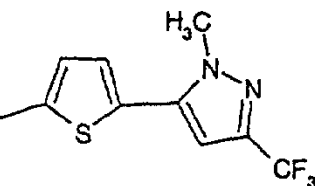
404

1297



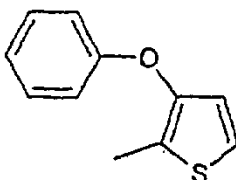
524

1298



524

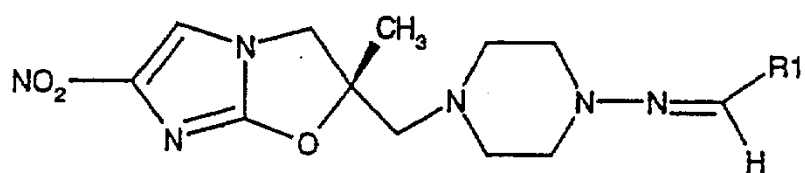
1299



468

1209

Table 67



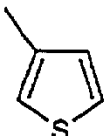
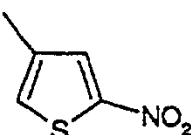
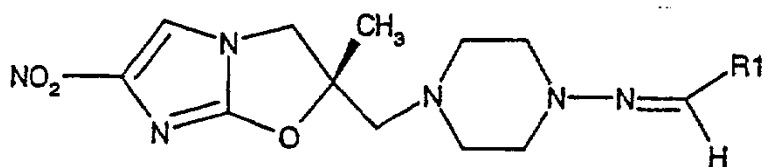
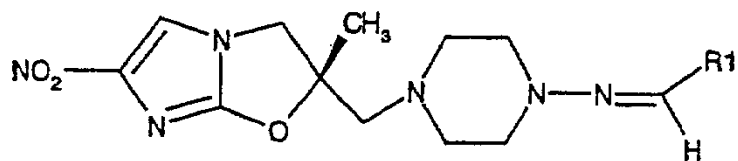
Example	R1	mp($^{\circ}\text{C}$) or MS
1300		376
1301		421

Table 68



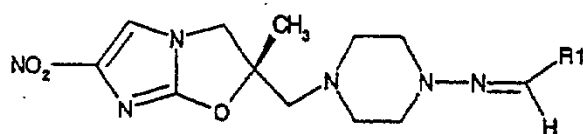
Example	R1	mp(°C) or MS
1302		371
1303		371
1304		371
1305		447
1306		465
1307		515
1308		531
1309		483
1310		461
1311		507
1312		481

Table 69



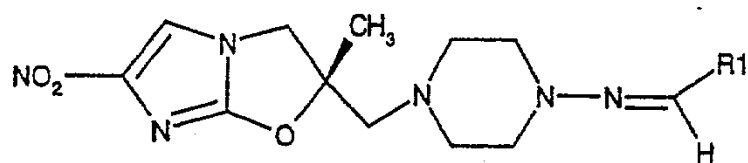
Example	R1	mp(°C) or MS
1313		437
1314		453
1315		437
1316		453
1317		427
1318		449
1319		449
1320		439
1321		465
1322		461
1323		477
1324		531

Table 70



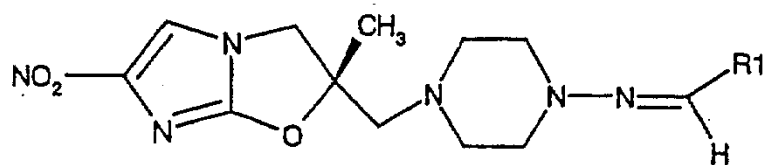
Example	R1	mp(°C) or MS
1325		507
1326		481
1327		499
1328		453
1329		437
1330		377
1331		189.4 - 190.6
1332		498
1333		453
1334		483
1335		487
1336		201.2 - 203.5

Table 71



Example	R1	mp(°C) or MS
1337		531
1338		494
1339		483
1340		481
1341		469
1342		467
1343		543

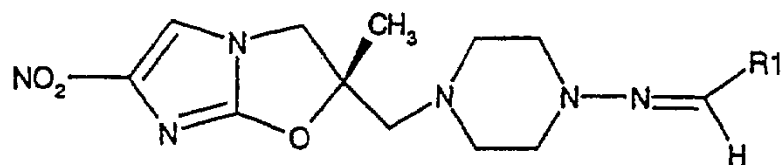
Table 72



Example	R1	mp(°C) or MS
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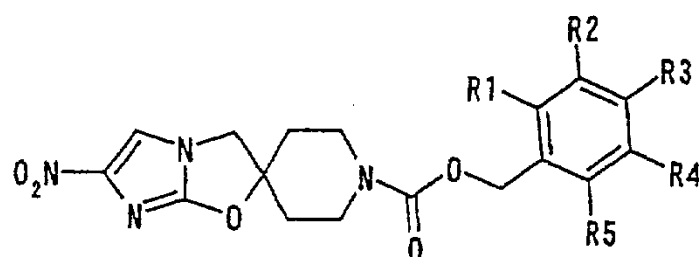
1344		469
1345		220.6 - 220.9
1346		421
1347		421
1348		563
1349		499
1350		467
1351		485

Table 73



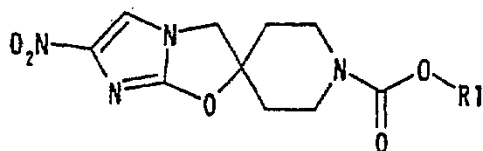
Example	R1	mp(°C) or MS
1352		153.1 - 157.5
1353		492
1354		416
1355		533
1356		410
1357		487
1358		188.6 - 190.6
1359		440
1360		454
1361		412

Table 74



Example	R1	R2	R3	R4	R5	mp(°C)
1362	-H	-H	-F	-H	-H	187 - 188
1363	-H	-H	-Cl	-H	-H	183 - 184
1364	-H	-OCH ₃	-OCH ₃	-OCH ₃	-H	169 - 170
1365	-H	-Cl	-Cl	-H	-H	174 - 175
1366	-Cl	-H	-H	-H	-Cl	208 - 209
1367	-F	-F	-H	-H	-H	184 - 185
1368	-H	-F	-F	-H	-H	185 - 186
1369	-H	-H	-CO ₂ CH ₃	-H	-H	183 - 184.5
1370	-H	-CO ₂ C ₂ H ₅	-H	-CO ₂ C ₂ H ₅	-H	181 - 182
1371	-H	-H	-OCH ₃	-H	-H	175 - 176
1372	-Cl	-H	-H	-H	-H	173 - 174.5
1373	-H	-Cl	-H	-H	-H	173 - 174
1374	-H	-CF ₃	-H	-H	-H	184 - 186
1375	-CF ₃	-H	-H	-H	-H	188 - 190
1376	-H	-H	-Ph	-H	-H	187 - 188
1377	-H	-CF ₃	-H	-CF ₃	-H	183 - 184
1378	-F	-F	-F	-F	-F	235 - 237
1379	-H	-H	-SCH ₃	-H	-H	177 - 179
1380	-H	-H	-C(CH ₃) ₃	-H	-H	201 - 202
1381	-CH ₃	-H	-H	-H	-H	183 - 185
1382	-OCF ₃	-H	-H	-H	-H	190 - 191
1383	-H	-OCF ₃	-H	-H	-H	188 - 189

Table 75



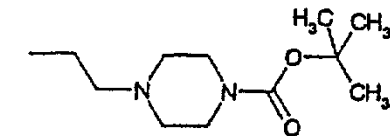
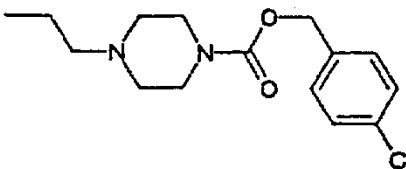
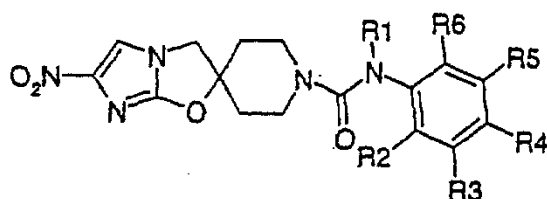
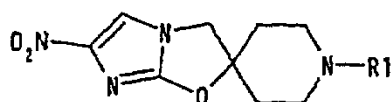
Example	R1	mp(°C)
1384	(CH ₃) ₂ CH-	251-253
1385	(CH ₃) ₂ CHCH ₂ -	233-235
1386	C ₈ H ₁₇ -	219-220
1387	Ph(CH ₂) ₂ -	198-200
1388	PhCH=CHCH ₂ -	180-181
1389	Ph(CH ₂) ₃ -	186-187.5
1390	(Ph) ₂ CH-	202-204
1391	Ph-	242-244
1392	2-NaphthylCH ₂ -	173.5-174.5
1393	4-PyridylCH ₂ -	163-164
1394	4-ClPh(CH ₂) ₂ -	198-200
1395	(4-ClPh) ₂ CH-	238-240
1396	4-CH ₃ Ph-	230-231
1397	4-CH ₃ OPh-	248-250
1398	2-BocNHPhCH ₂ -	144-145
1399	CH ₃ OCH ₂ CH ₂ -	1.80-2.00 (m, 2H), 2.15-2.25 (m, 2H), 3.30-3.40 (m, 5H), 3.68 (t, 2H, J = 4.5Hz), 3.95-4.20 (m, 4H), 4.27 (t, 2H, J = 4.5Hz), 7.54 (s, 1H)
1400		1.46 (s, 9H), 1.80-1.95 (m, 2H), 2.05-2.15 (m, 2H), 2.40-2.50 (m, 4H), 2.66 (t, 2H, J = 5Hz), 3.25-3.45 (m, 6H), 3.90-4.10 (m, 4H), 4.24 (t, 2H, J = 5Hz), 7.54 (s, 1H)
1401		1.80-1.95 (m, 2H), 2.05-2.25 (m, 2H), 2.35-2.60 (m, 4H), 2.63-2.81 (m, 2H), 3.25-3.55 (m, 6H), 3.65-4.25 (m, 6H), 5.09 (s, 2H), 7.20-7.40 (m, 4H), 7.54 (s, 1H)

Table 76



Example	R1	R2	R3	R4	R5	R6	mp(°C)
1402	-H	-H	-H	-Cl	-H	-H	249 - 252(dec.)
1403	-H	-H	-H	-F	-H	-H	238 - 240(dec.)
1404	-H	-H	-H	-CF ₃	-H	-H	215 - 217
1405	-H	-H	-H	-OCF ₃	-H	-H	231 - 233
1406	-H	-H	-H	-CH ₃	-H	-H	>300
1407	-H	-H	-F	Morpholino-	-H	-H	240 - 245(dec.)
1408	-H	-H	-H	-CO ₂ C(CH ₃) ₃	-H	-H	>300
1409	-H	-H	-Cl		-H	-H	205 - 207
1410	-H	-H	-H		-H	-H	246 - 250(dec.)
1411	-H	-H	-F		-H	-H	220 - 225(dec.)

Table 77



Example	R1	Mp(°C)
1412	PhCO-	178-180
1413	PhCH ₂ CO-	170-171
1414	Ph(CH ₂) ₂ CO-	160.5-162
1415	PhOCH ₂ CO-	203-205
1416	(CH ₃) ₂ CHCH ₂ CO-	214-215
1417	4-CF ₃ PhCO-	165-166
1418	PhCH ₂ -	249-251(dec.)
1419	4-PhPhCH ₂ -	262-264(dec.)
1420		195-197(dec.)
1421		226-227(dec.)

Example 1422

Production of (S)-1-(2-bromo-4-nitroimidazol-1-yl)-2-methyl-3-{4-[1-(4-trifluoromethoxyphenyl)piperidin-4-yl]piperazin-1-yl}propan-2-ol

A mixture of (R)-2-bromo-4-nitro-1-(2-methyl-2-oxiranylmethyl)imidazole (485 mg, 1.75 mmol), 1-[1-(4-trifluoromethoxyphenyl)piperidin-4-yl]piperazine (720 mg, 2.19 mmol) and ethanol (10 ml) was stirred at 50°C for 8 hours. The reaction mixture was concentrated, and the residue was purified by silica gel column chromatography (methylene chloride/methanol = 20/1) to obtain (S)-1-(2-bromo-4-nitroimidazol-1-yl)-2-methyl-3-{4-[1-(4-trifluoromethoxyphenyl)piperidin-4-yl]piperazin-1-yl}propan-2-ol (792 mg, yield 77%) as a yellow amorphous form.

¹H-NMR(CDCl₃) δppm:

1.13(3H, s), 1.50-1.75(2H, m), 1.81-2.00(2H, m),
2.35(1H, d, J = 13.9 Hz), 2.40-2.86(12H, m), 3.57-
3.76(2H, m), 3.98(2H, s), 6.89(2H, d, J = 8.5 Hz),
7.09(2H, d, J = 8.5 Hz), 8.12(1H, s).

Following compounds were produced in the same manner.

Example 1423

Tert-butyl (S)-4-{4-[3-(2-chloro-4-nitroimidazol-1-yl)-2-hydroxy-2-methylpropyl]piperazin-1-yl}piperidine-1-carboxylate

¹H-NMR(CDCl₃) δppm:

1.12(3H, s), 1.29-1.48(11H, m), 1.67-1.81(2H, m),

2.34 (1H, d, $J = 13.8$ Hz), 2.38-2.74 (12H, m), 3.97 (2H, s), 4.02-4.14 (2H, m), 8.05 (1H, s).

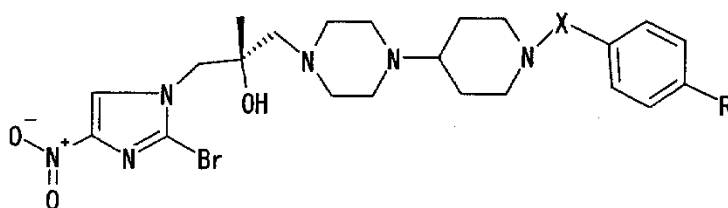
Example 1424

Tert-butyl (S)-4-{1-[3-(2-chloro-4-nitroimidazol-1-yl)-2-hydroxy-2-methylpropyl]piperidin-4-yl}piperazin-1-carboxylate

$^1\text{H-NMR}$ (CDCl_3) δ ppm:

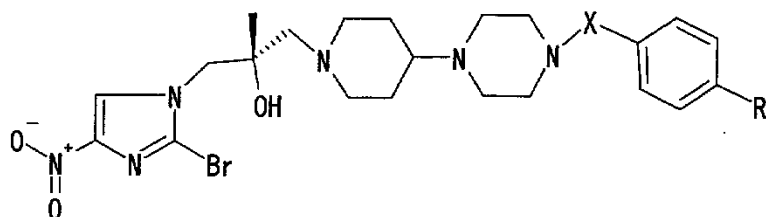
1.11 (3H, s), 1.38-1.57 (11H, m), 1.69-1.86 (2H, m), 2.24-2.50 (9H, m), 2.67-2.79 (1H, m), 2.86-3.00 (1H, m), 3.31-3.43 (4H, m), 3.95 (2H, s), 8.04 (1H, s).

Table 78



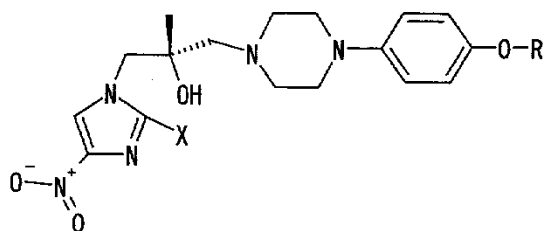
Example	X	R	$^1\text{H-NMR}$ (CDCl_3) δ ppm
1425	none	OCF_3	1.13 (3H, s), 1.50-1.75 (2H, m), 1.81-2.00 (2H, m), 2.35 (1H, d, $J=13.9\text{Hz}$), 2.40-2.86 (12H, m), 3.57-3.76 (2H, m), 3.98 (2H, s), 6.89 (2H, d, $J=8.5\text{Hz}$), 7.09 (2H, d, $J=8.5\text{Hz}$), 8.12 (1H, s).
1426	C=O	Cl	1.13 (3H, s), 1.31-1.56 (2H, m), 1.70-2.00 (2H, m), 2.36 (1H, d, $J=13.9\text{Hz}$), 2.65-2.91 (12H, m), 3.63-3.84 (1H, m), 3.93 (2H, s), 4.56-4.74 (1H, m), 7.19-7.42 (4H, m), 8.13 (1H, s).
1427	C=O	OCF_3	1.14 (3H, s), 1.35-1.60 (2H, m), 1.74-2.00 (2H, m), 2.36 (1H, d, $J=13.9\text{Hz}$), 2.42-2.93 (12H, m), 3.65-3.81 (1H, m), 4.00 (2H, s), 4.56-4.74 (1H, m), 7.25 (2H, d, $J=8.7\text{Hz}$), 7.44 (2H, d, $J=8.7\text{Hz}$), 8.14 (1H, s).
1428	CH_2	Cl	1.12 (3H, s), 1.43-2.05 (6H, m), 2.34 (1H, d, $J=13.9\text{Hz}$), 2.37-2.95 (12H, m), 3.47 (2H, s), 3.97 (2H, s), 7.12-7.30 (4H, m), 8.12 (1H, s).
1429	CH_2	OCF_3	1.12 (3H, s), 1.44-2.05 (6H, m), 2.35 (1H, d, $J=14.0\text{Hz}$), 2.42-2.93 (12H, m), 3.48 (2H, s), 3.98 (2H, s), 7.15 (2H, d, $J=8.6\text{Hz}$), 7.34 (2H, d, $J=8.6\text{Hz}$), 8.12 (1H, s).

Table 79



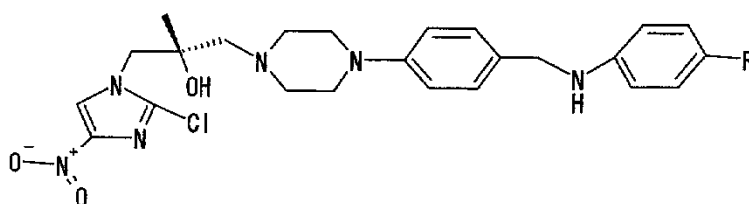
Example	X	R	¹ H-NMR (CDCl ₃) δppm
1430	C=O	Cl	1.12(3H, s), 1.43-1.81(4H, m), 2.10-2.62(9H, m), 2.71-3.07(2H, m), 3.31-3.45(4H, m), 3.96(2H, s), 7.24-7.40(4H, m), 8.10(1H, s).
1431	C=O	OCF ₃	1.12(3H, s), 1.45-1.83(4H, m), 2.12-2.57(9H, m), 2.71-3.07(2H, m), 3.28-3.47(4H, m), 3.96(2H, s), 7.25(2H, d, J=8.6Hz), 7.45(2H, d, J=8.6Hz), 8.10(1H, s).
1432	CH ₂	OCF ₃	1.11(3H, s), 1.50-1.98(4H, m), 2.24-3.10(15H, m), 3.54(2H, s), 3.98(2H, s), 7.16(2H, d, J=8.6Hz), 7.34(2H, d, J=8.6Hz), 8.12(1H, s).
1433	CH ₂	Cl	1.11(3H, s), 1.40-1.83(4H, m), 2.25-3.02(15H, m), 3.46(2H, s), 3.95(2H, s), 7.14-7.29(4H, m), 8.12(1H, s).
1434	none	OCF ₃	1.13(3H, s), 1.50-1.64(2H, m), 1.76-1.88(2H, m), 2.15-2.43(5H, m), 2.52-2.95(6H, m), 3.05-3.19(4H, m), 3.98(2H, s), 6.89(2H, d, J=8.7Hz), 7.11(2H, d, J=8.7Hz), 8.1(1H, s).
1435	none	Cl	1.13(3H, s), 1.50-1.64(2H, m), 1.71-1.90(2H, m), 2.12-2.48(5H, m), 2.55-2.90(6H, m), 3.05-3.19(4H, m), 3.96(2H, s), 6.84(2H, d, J=8.7Hz), 7.18(2H, d, J=8.7Hz), 8.11(1H, s).

Table 80



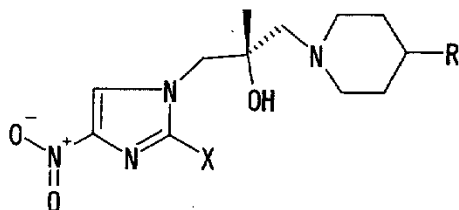
Example	X	R	¹ H-NMR (CDCl ₃) δppm
1436	Cl	4-ClPh-	1.17(3H, s), 2.41(1H, d, J=13.9Hz), 2.56(1H, d, J=13.9Hz), 2.63-2.73(2H, m), 2.76-2.92(2H, m), 3.08-3.18(4H, m), 3.40(1H, s), 4.01(2H, s), 6.80-6.96(6H, m), 7.14-7.24(2H, m), 8.06(1H, s).
1437	Br	4-CF ₃ PhCH ₂ -	1.16(3H, s), 2.39(1H, d, J=13.9Hz), 2.55(1H, d, J=13.9Hz), 2.65-2.78(2H, m), 2.84-2.92(2H, m), 3.02-3.14(4H, m), 3.46(1H, s), 4.01(2H, s), 5.08(2H, s), 6.89(4H, m), 7.48(2H, d, J=8.3Hz), 7.63(2H, d, J=8.3Hz), 8.12(1H, s).
1438	Br	4-ClPhCH ₂ -	1.16(3H, s), 2.39(1H, d, J=13.9Hz), 2.55(1H, d, J=13.9Hz), 2.63-2.78(2H, m), 2.80-2.96(2H, m), 3.04-3.12(4H, m), 3.47(1H, s), 4.01(2H, s), 4.98(2H, s), 6.88(4H, s), 7.35(4H, s), 8.12(1H, s).
1439	Cl	4-CF ₃ OPhCH ₂ -	1.16(3H, s), 2.40(1H, d, J=13.9Hz), 2.55(1H, d, J=13.9Hz), 2.65-2.76(2H, m), 2.78-2.92(2H, m), 3.04-3.12(4H, m), 3.45(1H, s), 4.00(2H, s), 5.0(2H, s), 6.89(4H, s), 7.22(2H, d, J=8.6Hz), 7.45(2H, d, J=8.6Hz), 8.06(1H, s).
1440	Cl	4-CF ₃ OPh-	1.18(3H, s), 2.41(1H, d, J=13.9Hz), 2.56(1H, d, J=13.9Hz), 2.65-2.76(2H, m), 2.78-2.88(2H, m), 3.06-3.20(4H, m), 3.39(1H, s), 4.02(2H, s), 6.84-7.00(6H, m), 7.14(2H, d, J=8.3Hz), 8.06(1H, s).
1441	Cl	4-CF ₃ Ph-	1.18(3H, s), 2.42(1H, d, J=13.9Hz), 2.57(1H, d, J=13.9Hz), 2.65-2.77(2H, m), 2.79-2.92(2H, m), 3.06-3.20(4H, m), 3.40(1H, s), 4.03(2H, s), 6.80-7.00(6H, m), 7.53(2H, d, J=8.6Hz), 8.07(1H, s).

Table 81



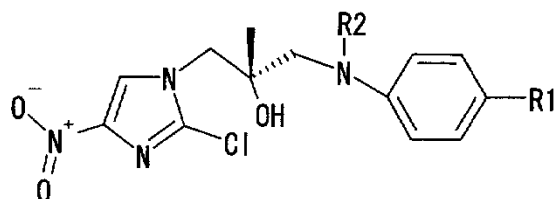
Example	R	¹ H-NMR (CDCl ₃) δppm
1442	Cl-	1.17(3H, s), 2.40(1H, d, J=13.9Hz), 2.55(1H, d, J=13.9Hz), 2.65-2.92(4H, m), 3.08-3.24(4H, m), 3.40(2H, s), 4.00(2H, s), 4.20(2H, s), 6.54(2H, d, J=8.7Hz), 6.88(2H, d, J=8.7Hz), 7.09(2H, d, J=8.7Hz), 7.18-7.25(2H, m), 8.06(1H, s).
1443	CF ₃ O-	1.16(3H, s), 2.41(1H, d, J=13.9Hz), 2.55(1H, d, J=13.9Hz), 2.65-2.92(4H, m), 3.08-3.25(4H, m), 3.44(2H, s), 4.02(2H, s), 4.21(2H, s), 6.57(2H, d, J=8.6Hz), 6.89(2H, d, J=8.6Hz), 7.01(2H, d, J=8.3Hz), 7.22(2H, d, J=8.3Hz), 8.06(1H, s).

Table 82



Example	X	R	¹ H-NMR (CDCl ₃) δppm
1444	Cl		1.15(3H, s), 1.51-1.86(4H, m), 2.27-2.57(3H, m), 2.75-2.92(2H, m), 3.00-3.16(2H, m), 3.56(1H, s), 4.17(2H, s), 6.90-7.02(4H, m), 7.10-7.25(2H, m), 7.56(2H, d, J=8.8Hz), 8.01(1H, s).
1445	Br		1.25(3H, s), 1.50-2.00(8H, m), 2.24-2.67(7H, m), 2.75-3.20(4H, m), 3.97(2H, s), 4.25-4.40(1H, m), 6.88(2H, d, J=8.8Hz), 7.13(2H, d, J=8.8Hz), 8.12(1H, s).
1446	Cl		1.14(3H, s), 1.76-1.93(4H, m), 2.24-2.52(5H, m), 2.74-2.86(1H, m), 2.95-3.07(1H, m), 3.98(2H, s), 7.17(2H, d, J=8.8Hz), 7.55(2H, d, J=8.8Hz), 8.05(1H, s).
1447	Cl		1.13(3H, s), 1.51-1.90(4H, m), 2.19-2.55(5H, m), 2.71-2.83(1H, m), 2.85-3.19(5H, m), 3.51-3.83(4H, m), 3.98(2H, s), 6.90(2H, d, J=8.3Hz), 7.13(2H, d, J=8.3Hz), 8.05(1H, s).
1448	Cl		1.15(3H, s), 1.39-1.83(5H, m), 2.33-2.62(3H, m), 3.00-3.15(2H, m), 3.49-3.61(2H, m), 4.17(2H, s), 6.97-7.05(4H, m), 7.21-7.24(2H, m), 7.53-7.58(2H, m), 8.08(1H, s).
1449	Cl		1.14(3H, s), 1.61-1.81(5H, m), 2.34-2.57(3H, m), 2.77-2.84(2H, m), 3.01-3.06(2H, m), 3.99(2H, s), 5.04(2H, s), 6.89-6.95(2H, m), 7.11-7.25(4H, m), 7.43-7.48(2H, m), 8.09(1H, s).
1450	Cl		1.14(3H, s), 1.45-1.80(5H, m), 2.38-2.60(3H, m), 2.78-2.90(2H, m), 3.01-3.06(2H, m), 3.98(2H, s), 5.11(2H, s), 6.89-6.93(2H, m), 7.12-7.18(2H, m), 7.52-7.55(2H, m), 7.62-7.65(2H, m), 8.08(1H, s).
1451	Cl		1.14(3H, s), 1.38-1.80(5H, m), 2.28-2.60(3H, m), 2.77-2.93(2H, m), 3.02-3.08(2H, m), 3.98(2H, s), 5.01(2H, s), 6.84-6.92(2H, m), 7.11-7.16(2H, m), 7.32-7.36(4H, m), 8.08(1H, s).

Table 83



Example	R1	R2	¹ H-NMR (CDCl ₃) δppm
1452		CH ₃	1.13(3H, s), 1.48(9H, s), 2.42(3H, s), 2.43(2H, s), 3.03-3.13(4H, m), 3.42(1H, d, J=10.7Hz), 3.50-3.63(5H, m), 3.85(2H, s), 6.84(2H, d, J=7.1Hz), 7.11(2H, d, J=7.1Hz), 7.88(1H, s).
1453		C ₂ H ₅	1.06-1.11(6H, m), 1.48(9H, s), 2.41(1H, d, J=13.2Hz), 2.55(1H, d, J=13.2Hz), 2.64-2.68(2H, m), 3.10-3.13(4H, m), 3.42(1H, d, J=13.2Hz), 3.57-3.60(4H, m), 3.69(1H, d, J=13.2Hz), 3.79(2H, s), 6.83-6.86(2H, m), 7.09-7.12(2H, m), 7.84(1H, s).

Example 1454

Production of (S)-2-methyl-6-nitro-2-{4-[1-(4-trifluoromethoxyphenyl)piperidin-4-yl]piperazin-1-ylmethyl}-2,3-dihydroimidazo[2,1-b]oxazole

(S)-1-(2-bromo-4-nitroimidazol-1-yl)-2-methyl-3-{4-[1-(4-trifluoromethoxyphenyl)piperidin-4-yl]piperazin-1-yl}propan-2-ol (790 mg, 1.34 mmol) was dissolved in N,N-dimethylformamide (4 ml), sodium hydride (69 mg, 1.74 mmol) was added while cooling on ice, and the solution was stirred at the same temperature for 1 hour. To the reaction mixture, ethyl acetate (1.3 ml) and water (10 ml) were added in this order, and the precipitated crystals were filtered off and washed with water. The crystals were purified by

silica gel column chromatography (methylene chloride/methanol = 10/1) and recrystallized from ethyl acetate/isopropyl ether to obtain (S)-2-methyl-6-nitro-2-{4-[1-(4-trifluoromethoxyphenyl)piperidin-4-yl]piperazin-1-ylmethyl}-2,3-dihydroimidazo[2,1-b]oxazole (270 mg, yield 40%) as a white powder. Melting point 180-181.5°C.

Following compounds were produced in the same manner.

Example 1455

(S)-2-{4-[4-(4-chlorophenyl)piperazin-1-yl]piperidin-1-ylmethyl}-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole

Yield 34%

Melting point 226-228°C.

Example 1456

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]piperidin-1-ylmethyl}-2,3-dihydroimidazo[2,1-b]oxazole

Yield 23%

Melting point 193-194°C.

Example 1457

(S)-2-{4-[4-(4-chlorobenzyl)piperazin-1-yl]piperidin-1-ylmethyl}-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole

Yield 25%

Melting point 148-149°C.

Example 1458

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxybenzyl)piperazin-1-yl]piperidin-1-ylmethyl}-2,3-dihydroimidazo[2,1-b]oxazole

Yield 21%

Melting point 142-143°C.

Example 1459

(S)-(4-chlorophenyl)-{4-[1-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperidin-4-yl]piperazin-1-yl}methanone

Yield 29%

Melting point 174-175°C.

Example 1460

(S)-{4-[1-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperidin-4-yl]piperazin-1-yl}-(4-trifluoromethoxyphenyl)methanone

Yield 29%

Melting point 137-138°C.

Example 1461

(S)-2-{4-[1-(4-chlorobenzyl)piperidin-4-yl]piperazin-1-ylmethyl}-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole

Yield 24%

Melting point 168-169°C.

Example 1462

(S)-2-methyl-6-nitro-2-{4-[1-(4-trifluoromethoxybenzyl)piperidin-4-yl]piperazin-1-ylmethyl}-2,3-dihydroimidazo[2,1-b]oxazole

Yield 27%

Melting point 140-141°C.

Example 1463

(S)-(4-chlorophenyl)-{4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-yl]piperidin-1-yl}methanone

Yield 30%

Melting point 218-220°C.

Example 1464

(S)-{4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-yl]piperidin-1-yl}-(4-trifluoromethoxyphenyl)methanone

Yield 27%

Melting point 133-134°C.

Example 1465

(S)-1'-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)-4-(4-trifluoromethoxyphenoxy)-[1,4']bipiperidinyl

Yield 41%

Melting point 131-132°C.

Example 1466

Production of tert-butyl (S)-4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-yl]piperidine-1-carboxylate

A mixture of (R)-2-chloro-4-nitro-1-(2-methyl-2-oxiranylmethyl)imidazole (3 g, 13.79 mmol), tert-butyl 4-piperazin-1-yl-piperidine-1-carboxylate (3.9 g, 14.48 mmol), and ethanol (30 ml) was stirred at

50°C for 9 hours. The reaction mixture was concentrated, and the residue was purified by silica gel column chromatography (methylene chloride/methanol = 10/1) to obtain a yellow amorphous form. The amorphous form was dissolved in N,N-dimethylformamide (18 ml), sodium hydride (651 mg, 16.28 mmol) was added while cooling on ice, and the resultant solution was stirred at the same temperature for 1 hour. To the reaction mixture, ethyl acetate (6 ml) and water (42 ml) were added in this order, and the precipitated crystals were filtered off and washed with water. The filtrate was recrystallized from 2-propanol (20 ml)/water (60 ml) to obtain tert-butyl (S)-4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-yl]piperidine-1-carboxylate (4.08 g, 66%) as a light yellow powder.

Melting point 181-182°C.

The following compound was produced in the same manner.

Example 1467

Tert-butyl (S)-4-[1-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperidin-4-yl]piperazine-1-carboxylate

Yield 56%

Melting point 184-185°C.

Example 1468

Production of 4-trifluoromethoxybenzyl (S)-4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-

ylmethyl)piperazin-1-yl]piperidine-1-carboxylate

A mixture of 4-(trifluoromethoxy)benzyl alcohol (480 mg, 2.50 mmol), 1,1'-carbonylbis-1H-imidazole (405 mg, 2.50 mmol), and N,N-dimethylformamide (3 ml) was stirred at room temperature for 14 hours.

Meanwhile, tert-butyl (S)-4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)-piperazin-1-yl]piperidine-1-carboxylate (750 mg, 1.66 mmol) was dissolved in methylene chloride (5 ml), trifluoroacetic acid (5 ml) was added, and the resultant solution was stirred at room temperature for 14 hours. The reaction mixture was concentrated. To the residue, methanol (5 ml) and triethylamine (5 ml) were added, and the mixture was stirred at room temperature for 10 minutes and then concentrated. The residue was dissolved in N,N-dimethylformamide (5 ml). The solution was added to the above described N,N-dimethylformamide solution followed by stirring at 50°C for 3 hours.

The reaction mixture was allowed to return to room temperature, poured in water (40 ml), and extracted with ethyl acetate (30 ml) twice. The organic phases were combined, washed with water (40 ml) twice followed by a saturated saline solution (30 ml), and then dried over sodium sulfate. After filtration, the filtrate was concentrated, and the residue was purified by silica gel column chromatography (methylene

chloride/methanol = 10/1) and then recrystallized from ethyl acetate/isopropyl ether to obtain 4-trifluoromethoxybenzyl (S)-4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-yl]piperidine-1-carboxylate (545 mg, 58%) as a light brown powder.

Melting point 105-106°C.

The following compound was produced in the same manner.

Example 1469

4-Trifluoromethoxybenzyl (S)-4-[1-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperidin-4-yl]piperazin-1-carboxylate

Yield 64%

Melting point 110-111°C.

Example 1470

Production of (S)-2-methyl-6-nitro-2-[4-{1-[3-(4-trifluoromethoxyphenyl)-2-propenyl]piperidin-4-yl}piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole

Tert-butyl (S)-4-[4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-yl]piperidine-1-carboxylate (750 mg, 1.66 mmol) was dissolved in methylene chloride (5 ml), trifluoroacetic acid (5 ml) was added followed by stirring at room temperature for 1.5 hours. The reaction mixture was concentrated. To the residue, methylene chloride (5 ml) and triethylamine (5 ml) were added, and the

mixture was stirred at room temperature for 10 minutes and then concentrated. The residue was dissolved in 1,2-dichloroethane (20 ml), 3-(4-trifluoromethoxyphenyl)propenal (396 mg, 1.83 mmol) and sodium triacetoxymethylborohydride (564 mg, 2.66 mmol) were added followed by stirring at room temperature for 3.5 hours. The reaction solution was washed with a saturated sodium hydrogencarbonate solution (15 ml), water (20 ml), and a saturated saline solution (20 ml) in this order, and then dried over sodium sulfate. After filtration, the filtrate was concentrated, and the residue was purified by silica gel column chromatography (methylene chloride/methanol = 10/1) and then recrystallized from methanol/water to obtain (S)-2-methyl-6-nitro-2-[4-{1-[3-(4-trifluoromethoxyphenyl)-2-propenyl]piperidin-4-yl}piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole (446 mg, 49%) as a light yellow powder.

Melting point 157-158°C.

Following compounds were produced in the same manner.

Example 1471

(S)-2-methyl-6-nitro-2-[4-{4-[3-(4-trifluoromethoxyphenyl)-2-propenyl]piperazin-1-yl}piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole

Melting point 174-175°C.

Example 1472

(S)-2-methyl-6-nitro-2-[4-[4-(4-trifluoromethoxy-

phenoxy)phenyl]piperazin-1-ylmethyl}-2,3-dihydro-
imidazo[2,1-b]oxazole 4-toluenesulfonate

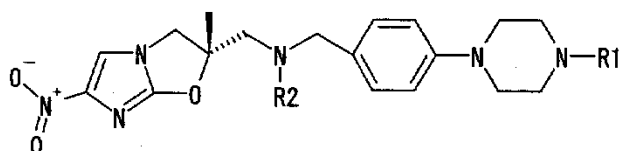
Melting point 146.1-148.6°C.

Example 1473

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxy-
phenoxy)phenyl]piperazin-1-ylmethyl}-2,3-dihydro-
imidazo[2,1-b]oxazole hydrochloride

Melting point 133-137°C.

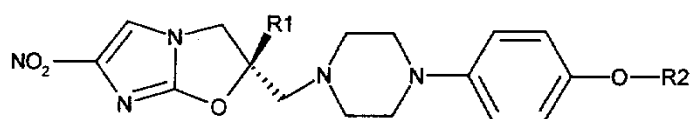
Table 84



Example	R1	R2	¹ H-NMR (CDCl ₃) δppm
1474		CH ₃	1.48(9H, s), 1.55(3H, s), 2.36(3H, s), 2.61(1H, d, J=12.3Hz), 2.80(1H, d, J=12.3Hz), 3.03-3.15(4H, m), 3.41(1H, d, J=10.8Hz), 3.48-3.60(5H, m), 3.69(1H, d, J=8.1Hz), 4.08(1H, d, J=8.1Hz), 6.85(2H, d, J=7.1Hz), 7.06(2H, d, J=7.1Hz), 7.46(1H, s).
1475		C ₂ H ₅	0.97(3H, t, J=7.1Hz), 1.49(9H, s), 1.53(3H, s), 2.54-2.78(3H, m), 2.93-2.96(1H, m), 3.10-3.13(4H, m), 3.39-3.43(1H, m), 3.57-3.67(6H, m), 3.96(1H, d, J=9.8Hz), 6.83-6.85(2H, m), 7.02-7.05(2H, m), 7.43(1H, s).
1476	H	CH ₃	1.53(3H, s), 2.37(3H, s), 2.60(1H, d, J=12.2Hz), 2.78(1H, d, J=12.2Hz), 2.98-3.18(8H, m), 3.40(1H, d, J=10.8Hz), 3.55(1H, d, J=10.8Hz), 3.66(1H, d, J=8.1Hz), 4.04(1H, d, J=8.1Hz), 6.85(2H, d, J=7.1Hz), 7.06(2H, d, J=7.1Hz), 7.45(1H, s).
1477	H	C ₂ H ₅	0.98(3H, t, J=7.1Hz), 1.53(3H, s), 2.55-2.75(3H, m), 2.93-2.95(1H, m), 3.15-3.18(4H, m), 3.24-3.28(4H, m), 3.37-3.43(1H, m), 3.62-3.67(2H, m), 3.94(1H, d, J=9.8Hz), 6.82-6.87(2H, m), 7.02-7.05(2H, m), 7.44(1H, s).

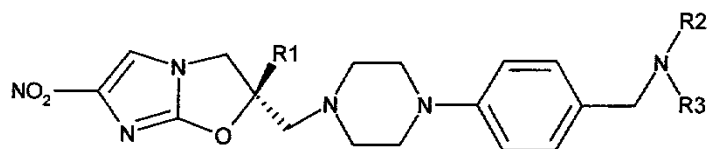
1234

Table 85



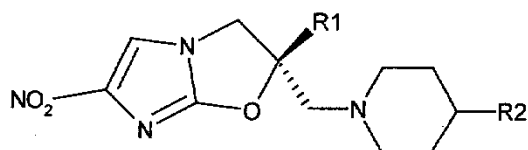
Example	R1	R2	mp (°C)
1478	-CH ₃	4-ClPh-	202.6 - 204.0 dec
1479	-CH ₃	4-CF ₃ PhCH ₂ -	172.0 - 174.2
1480	-CH ₃	4-ClPhCH ₂ -	227.0 - 228.3 dec
1481	-CH ₃	4-CF ₃ OPhCH ₂ -	176 - 179.5
1482	-CH ₃	4-CF ₃ OPh-	114.1 - 116.6
1483	-CH ₃	4-CF ₃ Ph-	105 - 110

Table 86



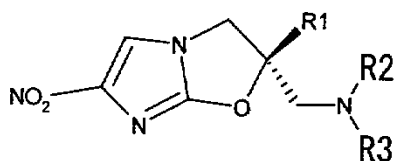
Example	R1	R2	R3	mp (°C)
1484	-CH ₃	-CH ₃	4-ClPh-	126.2-127.5
1485	-CH ₃	-CH ₃	4-CF ₃ OPh-	103.0-106.6
1486	-CH ₃	-H	4-ClPh-	209.8 - 210.3 dec
1487	-CH ₃	-H	4-CF ₃ OPh-	110.0 - 113.5

Table 87



Example	R1	R2	mp (°C) or ¹ H NMR
1488	-CH ₃		110.6-111.7
1489	-CH ₃		¹ H NMR (CDCl ₃) δppm 1.56-1.71 (7H, m), 2.16 (3H, m), 2.57 (1H, d, J=14.9Hz), 2.76-3.10 (3H, m), 3.93 (1H, d, J=9.8Hz), 4.36 (1H, d, J=9.8Hz), 7.12 (2H, d, J=8.5Hz), 7.55-7.68 (3H, m), 9.04 (1H, s).
1490	-CH ₃		¹ H NMR (CDCl ₃) δppm 1.48-1.68 (4H, m), 1.75-1.95 (1H, m), 2.19-2.56 (4H, m), 2.75-3.19 (9H, m), 3.53-3.77 (4H, m), 3.88 (1H, d, J=9.7Hz), 4.36 (1H, d, J=9.7Hz), 6.89 (2H, d, J=8.5Hz), 7.13 (2H, d, J=8.5Hz), 7.68 (1H, s).
1491	-CH ₃		148.4 - 149.7
1492	-CH ₃		160.4 - 161.8
1493	-CH ₃		164.4 - 167.3 dec
1494	-CH ₃		140.2 - 142.8

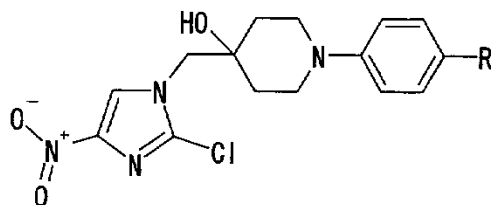
Table 88

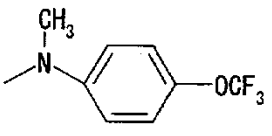
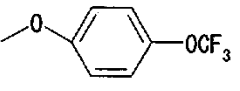
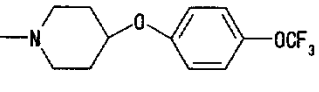
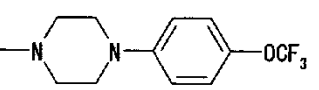


Example	R1	R2	R3	mp (°C) or ¹ H NMR
1495	-CH ₃		-CH ₃	143.1 - 145.8 ¹ H NMR(CDCl ₃) δ 0.97(3H, t, J=7.1Hz), 1.51(3H, s), 2.58-2.76(7H, m), 2.89(1H, d, J=14.9Hz), 3.17-3.20(4H, m), 3.38(1H, d, J=13.3Hz), 3.54-3.64(4H, m), 3.89(1H, d, J=9.8Hz), 6.82-6.85(2H, m), 7.01-7.04(2H, m), 7.27-7.30(4H, m), 7.41(1H, s).
1496	-CH ₃		-C ₂ H ₅	
1497	-CH ₃		-CH ₃	100.7 - 103.0

The following compounds were produced in the same manner as in Example 649.

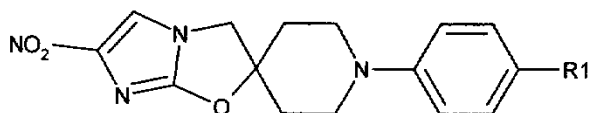
Table 89



Example	R	Solvent	¹ H-NMR δppm
1498	-Cl	DMSO-d ₆	1.32-1.50 (2H,m), 1.55-1.75 (2H,m), 2.86-3.02 (2H, m), 3.36-3.50 (2H,m), 4.05 (2H,s), 4.93 (1H,s), 6.95 (2H,d, J=8.9Hz), 7.21 (2H,d, J=8.9Hz), 8.35 (1H, s).
1499	-OCF ₃	DMSO-d ₆	1.51-1.67 (2H,m), 1.76-1.94 (2H,m), 2.02 (1H,s), 2.96-3.12 (2H,m), 3.37-3.56 (2H,m), 4.07 (2H,s), 6.9 (2H,d, J=8.9Hz), 7.12 (2H,d, J=8.9Hz), 8.00 (1H, s).
1500		CDCl ₃	1.57-1.71 (2H,m), 1.78-1.98 (2H,m), 2.92-3.08 (2H,m), 3.24 (3H,s), 3.35-3.51 (2H,m), 4.09 (2H,s), 6.74 (2H,d, J=8.9Hz), 6.88-7.10 (6H,m), 8.02 (1H, s).
1501		CDCl ₃	1.55-1.69 (2H,m), 1.80-1.98 (2H,m), 2.92-3.08 (2H,m), 3.33-3.49 (2H,m), 4.09 (2H,s), 6.82-6.98 (6H,m), 7.14 (2H,d, J=8.9Hz), 8.02 (1H, s).
1502		CDCl ₃	1.53-1.67 (2H,m), 1.80-2.16 (6H,m), 2.84-3.06 (4H,m), 3.24-3.45 (4H,m), 4.11 (2H,s), 4.33-4.47 (1H,m), 6.80-6.92 (6H,m), 7.14 (2H,d, J=8.9Hz), 8.00 (1H, s).
1503		CDCl ₃	1.53-1.65 (2H,m), 1.82-1.98 (2H,m), 2.84-3.00 (2H,m), 3.14-3.39 (10H,m), 4.07 (2H,s), 6.84-6.96 (6H,m), 7.14 (2H,d, J=8.6Hz), 8.01 (1H, s).

The following compounds were produced in the same manner as in Example 652.

Table 90



Example	R1	mp (°C)
1504	-Cl	242.0 - 245.0
1505	-OCF ₃	235.9 - 236.8dec.
1506		214.0 - 215.1dec.
1507		227.3-229.4
1508		237.6-238.4
1509		254.2 - 256.8

The following compounds were produced in the same manner as in Example 128.

Example 1510

(R)-2-methyl-6-nitro-2-{4-[1-(4-trifluoromethoxybenzyl)piperidin-4-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole

Melting point 186-188°C.

Example 1511

(R)-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)-piperidin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-

b]oxazole

Melting point 157-160°C.

Example 1512

(R)-2-methyl-6-nitro-2-{4-[3-(4-trifluoromethoxyphenoxy)-8-azabicyclo[3.2.1]octan-8-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole 4-toluenesulfonate

Melting point 207.2-208.0°C (decomposition).

Example 1513

2-Methyl-6-nitro-2-[4-(4-trifluoromethoxyphenoxy)-benzyloxymethyl]-2,3-dihydroimidazo[2,1-b]oxazole

Melting point 107.9-109.3°C.

Example 1514

6-Nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole

Melting point 173.2-177.3°C.

Example 1515

2-Methyl-6-nitro-2-{4-[4-(4-chlorophenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole

Melting point 151.0-152.3°C.

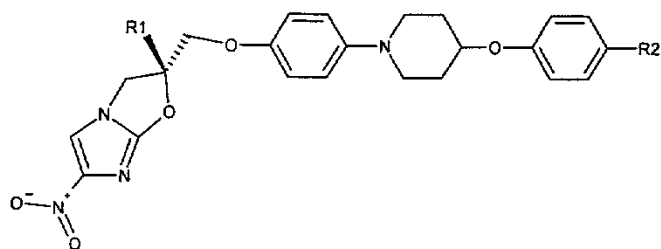
Example 1516

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-

dihydroimidazo[2,1-b]oxazole

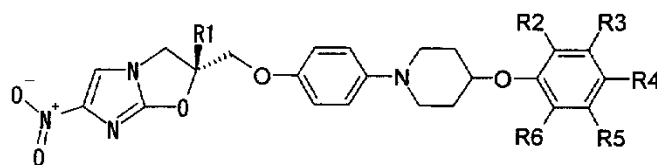
Melting point 199.0-200.5°C.

Table 91



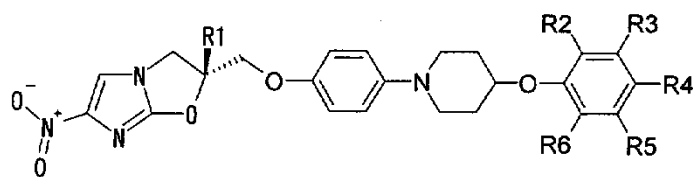
Example	R1	R2	mp (°C)
1517	-CH ₃	-Cl	183.8 - 184
1518	-CH ₃	-CF ₃	179.4 - 180.9
1519	-CH ₃	-F	190.2 - 192.8
1520	-CH ₃	-OCH ₃	193.3 - 194.5
1521	-CH ₃	-CH ₃	198.2 - 201.1 dec
1522	-CH ₃	-H	194.5 - 197.5
1523	-CH ₃	-CN	196.2 - 198.5 dec
1524	-H	-OCF ₃	157.0 - 160.0
1525	-H	-Cl	166.5 - 171.0 dec

Table 92



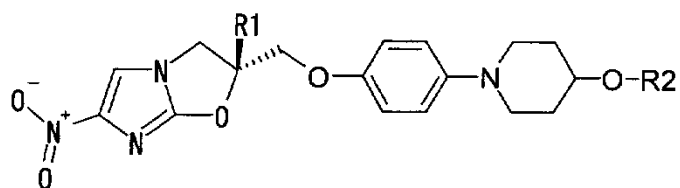
Example	R1	R2	R3	R4	R5	R6	MS (M+1)
1526	-CH ₃	-OCH ₃	-H	-H	-H	-H	481
1527	-CH ₃	-H	-OCH ₃	-H	-H	-H	481
1528	-CH ₃	-H	-H	-OCH ₃	-H	-H	481
1529	-CH ₃	-H	-H	-H	-OCH ₃	-OCH ₃	511
1530	-CH ₃	-OCH ₃	-H	-H	-H	-OCH ₃	511
1531	-CH ₃	-H	-H	-OCH ₃	-OCH ₃	-H	511
1532	-CH ₃	-H	-OCH ₃	-H	-OCH ₃	-H	511
1533	-CH ₃	-H	-H	-H	-H	-H	451
1534	-CH ₃	-H	-H	-H	-H	-Cl	485
1535	-CH ₃	-H	-H	-H	-Cl	-H	485
1536	-CH ₃	-H	-H	-Cl	-H	-H	485
1537	-CH ₃	-Cl	-Cl	-H	-H	-H	519
1538	-CH ₃	-Cl	-H	-Cl	-H	-H	519
1539	-CH ₃	-Cl	-H	-H	-Cl	-H	519
1540	-CH ₃	-Cl	-H	-H	-H	-Cl	519
1541	-CH ₃	-H	-Cl	-Cl	-H	-H	519
1542	-CH ₃	-H	-Cl	-H	-Cl	-H	519
1543	-CH ₃	-H	-H	-H	-H	-CH ₃	465
1544	-CH ₃	-H	-H	-H	-CH ₃	-H	465
1545	-CH ₃	-H	-H	-CH ₃	-H	-H	465
1546	-CH ₃	-CH ₃	-CH ₃	-H	-H	-H	479
1547	-CH ₃	-CH ₃	-H	-CH ₃	-H	-H	479
1548	-CH ₃	-CH ₃	-H	-H	-CH ₃	-H	479
1549	-CH ₃	-CH ₃	-H	-H	-H	-CH ₃	479
1550	-CH ₃	-H	-CH ₃	-CH ₃	-H	-H	479
1551	-CH ₃	-H	-CH ₃	-H	-CH ₃	-H	479
1552	-CH ₃	-H	-H	-H	-H	-F	469
1553	-CH ₃	-H	-H	-H	-F	-H	469
1554	-CH ₃	-H	-H	-F	-H	-H	469
1555	-CH ₃	-F	-F	-H	-H	-H	487
1556	-CH ₃	-F	-H	-F	-H	-H	487
1557	-CH ₃	-F	-H	-H	-F	-H	487
1558	-CH ₃	-F	-H	-H	-H	-F	487
1559	-CH ₃	-H	-F	-F	-H	-H	487
1560	-CH ₃	-CO ₂ C ₂ H ₅	-H	-H	-H	-H	523
1561	-CH ₃	-H	-CO ₂ C ₂ H ₅	-H	-H	-H	523
1562	-CH ₃	-H	-H	-CO ₂ C ₂ H ₅	-H	-H	523
1563	-CH ₃	-CN	-H	-H	-H	-H	476
1564	-CH ₃	-H	-CN	-H	-H	-H	476
1565	-CH ₃	-H	-H	-CN	-H	-H	476
1566	-CH ₃	-H	-H	-H	-H	-CF ₃	519
1567	-CH ₃	-H	-H	-H	-CF ₃	-H	519

Table 93



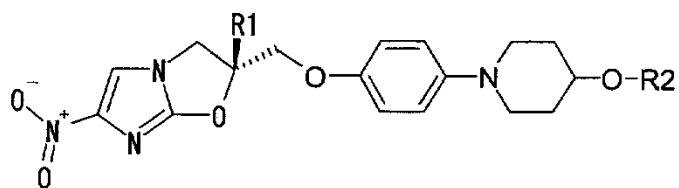
Example	R1	R2	R3	R4	R5	R6	MS (M+1)
1568	-CH ₃	-H	-H	-CF ₃	-H	-H	519
1569	-CH ₃	-H	-H	-H	-H	-OCF ₃	535
1570	-CH ₃	-H	-F	-H	-F	-H	487
1571	-CH ₃	-H	-H	-H	-OCF ₃	-H	535
1572	-CH ₃	-H	-H	-OCF ₃	-H	-H	535
1573	-CH ₃	-H	-H	-H	-H	-OCH(CH ₃) ₂	509
1574	-CH ₃	-H	-H	-CH ₃	-H	-CO ₂ C ₂ H ₅	537
1575	-CH ₃	-H	-H	-OCH ₃	-H	-CO ₂ CH ₃	539
1576	-CH ₃	-H	-H	-Br	-H	-F	547
1577	-CH ₃	-H	-CH ₃	-H	-H	-F	483
1578	-CH ₃	-H	-H	-C ₃ H ₇	-H	-H	493
1579	-CH ₃	-H	-H	-Cl	-F	-H	503
1580	-CH ₃	-H	-H	-NO ₂	-H	-F	514
1581	-CH ₃	-H	-H	-CH ₂ CH=CH ₂	-H	-OCH ₃	521
1582	-CH ₃	-H	-H	-H	-C ₆ H ₅	-H	527
1583	-CH ₃	-H	-H	-H	-N(C ₂ H ₅) ₂	-H	522
1584	-CH ₃	-H	-CH=CHCH ₃ (cis)	-H	-H	-OC ₂ H ₅	535
1585	-CH ₃	-H	-H	-CH(CH ₃) ₂	-H	-H	493
1586	-CH ₃	-H	-H	-H	-NHCONH ₂	-H	509
1587	-CH ₃	-H	-H	-CH ₂ CH ₂ COCH ₃	-H	-H	521
1588	-CH ₃	-H	-H	-H	-NHC ₆ H ₅	-H	542
1589	-CH ₃	-H	-H	-NH ₂	-H	-Cl	500
1590	-CH ₃	-H	-H	-CH ₂ CO ₂ CH ₃	-H	-H	523
1591	-CH ₃	-H	-H	-OCH ₃	-H	-Cl	515
1592	-CH ₃	-H	-H	-H	-CO ₂ CH ₃	-H	509
1593	-CH ₃	-H	-H	-COCH ₃	-H	-CONH ₂	536
1594	-CH ₃	-H	-H	-COC ₂ H ₅	-H	-H	507
1595	-CH ₃	-H	-H	-COCH ₃	-H	-CH ₃	507
1596	-CH ₃	-H	-H	-COCH ₃	-OH	-H	509
1597	-CH ₃	-H	-H	-NHCOCH ₃	-H	-H	508
1598	-CH ₃	-H	-CH ₃	-CH ₃	-CH ₃	-H	493
1599	-CH ₃	-H	-H	-H	-H	-CH ₂ C ₆ H ₅	541
1600	-CH ₃	-H	-OCH ₃	-H	-H	-CO ₂ CH ₃	539
1601	-CH ₃	-H	-H	-SCH ₃	-H	-H	497
1602	-CH ₃	-H	-H	-CHF ₂	-H	-H	517

Table 94



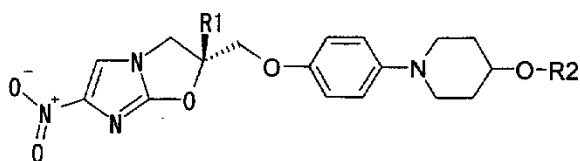
Example	R1	R2	MS (M+1)
1603	-CH ₃		495
1604	-CH ₃		501
1605	-CH ₃		507
1606	-CH ₃		518
1607	-CH ₃		518
1608	-CH ₃		522
1609	-CH ₃		519
1610	-CH ₃		531
1611	-CH ₃		525
1612	-CH ₃		502

Table 95



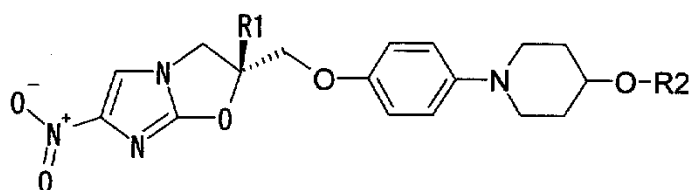
Example	R1	R2	MS (M+1)
1613	-CH ₃		502
1614	-CH ₃		517
1615	-CH ₃		521
1616	-CH ₃		541
1617	-CH ₃		519
1618	-CH ₃		505
1619	-CH ₃		502
1620	-CH ₃		516
1621	-CH ₃		507
1622	-CH ₃		505

Table 96



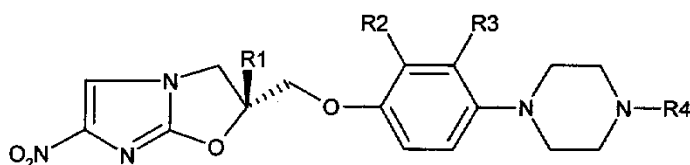
Example	R1	R2	MS (M+1)
1623	-CH ₃		491
1624	-CH ₃		584
1625	-CH ₃		582
1626	-CH ₃		516
1627	-CH ₃		568
1628	-CH ₃		659
1629	-CH ₃		635
1630	-CH ₃		673
1631	-CH ₃		508
1632	-CH ₃		505

Table 97



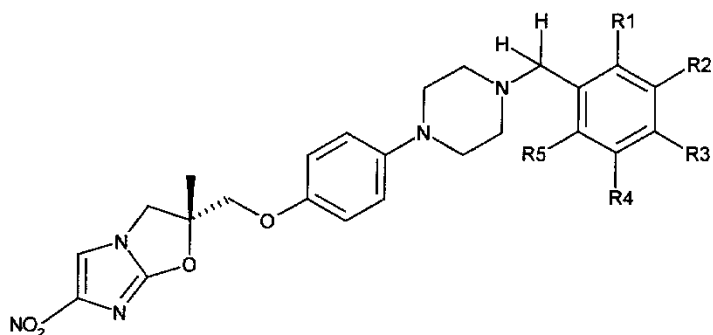
Example	R1	R2	MS (M+1)
1633	-CH ₃		452
1634	-CH ₃		452
1635	-CH ₃		492
1636	-CH ₃		493
1637	-CH ₃		503
1638	-CH ₃		

Table 98



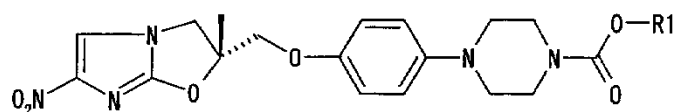
Example	R1	R2	R3	R4	mp (°C) or ¹ H NMR
1639	-CH ₃	-H	-H	-CH ₂ C ₆ H ₅	208 - 210.5
1640	-CH ₃	-H	-H	4-BrPhCH ₂ -	213.5 - 215.4
1641	-CH ₃	-H	-H	4-BrPhCH ₂ OCO-	185.4 - 188.5
1642	-CH ₃	-H	-H	-cyclo-C ₆ H ₁₁	255.4 - 257.9 dec
1643	-CH ₃	-H	-H	4-CF ₃ PhCH=N-	178.0 - 278.6
1644	-CH ₃	-H	-H	4-CF ₃ OPhOCO-	226.5-226.8
1645	-CH ₃	-H	-H	4-CF ₃ PhCCCH ₂ OCO-	186.4-187.7
1646	-H	-H	-H	4-CF ₃ OPh-	232.0 - 234.5
1647	-H	-H	-H	4-CF ₃ OPhCH ₂ -	171.4 - 172.9
1648	-H	-H	-H	4-ClPhCH ₂ -	191.2-192.0
					¹ H NMR (CDCl ₃) δ 1.48(9H, s), 2.99-
					3.04(4H, m), 3.55-3.60(4H, m), 4.22-
					4.37(2H, m), 4.39-4.49(2H, m), 5.56-
					5.63(1H, m), 6.79-6.91(4H, m),
					7.63(1H, s).
1649	-H	-H	-H	(CH ₃) ₃ COCO-	

Table 99



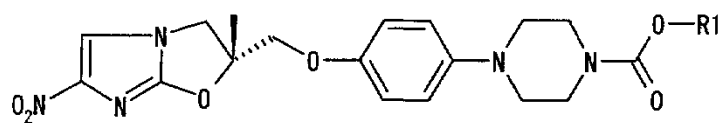
Example	R1	R2	R3	R4	R5	MS (M+1)
1650	-H	-CF ₃	-H	-H	-H	518
1651	-H	-H	-NO ₂	-H	-H	495
1652	-H	-H	-CF ₃	-H	-H	518
1653	-H	-H	-CH ₃	-H	-H	464
1654	-H	-H	-CN	-H	-H	475
1655	-H	-H	-C ₆ H ₅	-H	-H	526
1656	-H	-H	-F	-H	-H	468
1657	-H	-Cl	-Cl	-H	-H	518
1658	-CF ₃	-H	-H	-H	-H	518
1659	-H	-H	-OCH ₃	-H	-H	480
1660	-H	-H	-SCH ₃	-H	-H	496
1661	-H	-H	-SO ₂ CH ₃	-H	-H	528
1662	-H	-H	-OCH ₂ C ₆ H ₅	-H	-H	556
1663	-H	-Cl	-H	-Cl	-H	518
1664	-F	-F	-F	-H	-H	504
1665	-H	-H	-OCOCH ₃	-H	-H	508

Table 100



Example	R1	MS (M+1)
1666	4-CF ₃ OPh-	564
1667	4-CH ₃ OPhCH ₂ -	524
1668	4-CH ₃ PhCH ₂ -	508
1669	4-CH ₃ O ₂ CPhCH ₂ -	552
1670	-CH ₂ C ₆ H ₅	494
1671	4-CH ₃ SPhCH ₂ -	540
1672	4-NO ₂ PhCH ₂ -	539
1673	3, 4, 5- (CH ₃ O) ₃ PhCH ₂ -	584
1674	2-CH ₃ CONHPhCH ₂ -	551
1675	4-FPhCH ₂ -	512
1676	4-CF ₃ OPhCH ₂ -	578
1677	4-PhCH ₂ OPhCH ₂ -	600
1678	4-CF ₃ SPhCH ₂ -	594
1679	3-CF ₃ OPhCH ₂ -	578
1680	2-CF ₃ OPhCH ₂ -	578
1681	C ₆ F ₅ CH ₂ -	584
1682	PhCH=CHCH ₂ -	520
1683	4-ClPhCH=CHCH ₂ -	554
1684	4-CF ₃ OPhCH=CHCH ₂ -	604
1685	-(CH ₂) ₂ C ₆ H ₅	508
1686	Ph (CH ₂) ₃ -	522
1687	PhCCCH ₂ -	518
1688	PhS (CH ₂) ₂ -	540
1689	PhCH ₂ O (CH ₂) ₂ -	538
1690	-CH ₂ CH ₂ CH=CH ₂	458
1691	-CH ₂ CH ₂ CN	457
1692	CH ₃ (CH ₂) ₁₅ -	628
1693	CH ₃ CH ₂ O (CH ₂) ₂ O (CH ₂) ₂ -	520
1694	-CH ₂ CH ₂ CCH	456
1695	CF ₃ CF ₂ CF ₂ CH ₂ -	586
1696	-CH ₂ -cyclo-C ₃ H ₅	458

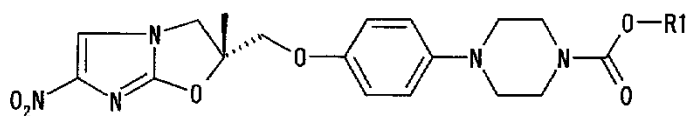
Table 101



Example	R1	MS (M+1)
1697		495
1698		495
1699		484
1700		584
1701		596
1702		634
1703		495
1704		552
1705		509
1706		484

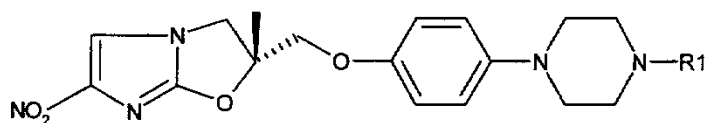
1251

Table 102



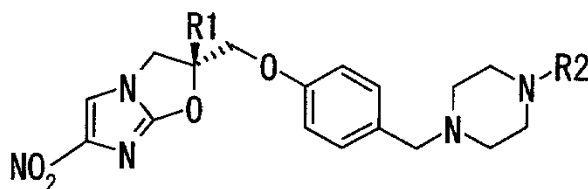
Example	R1	MS (M+1)
1707	 <chem>CC1CCc2ccccc12</chem>	520
1708	 <chem>CCc1cc([N+](=O)[O-])oc1</chem>	529
1709	 <chem>CCc1ccc2ccccc12</chem>	544

Table 103



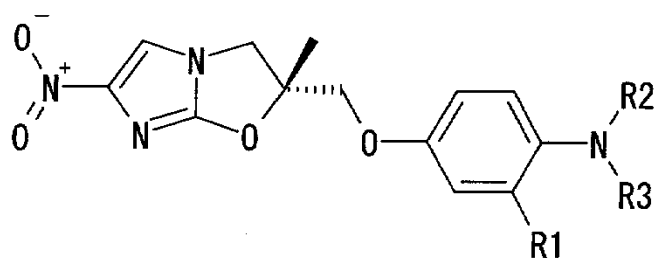
Example	R1	MS (M+1)
1710	-CH ₂ CH=CH ₂	400
1711	-C ₆ H ₁₃	444
1712	-CH ₂ CN	399
1713	-(CH ₂) ₂ CH(CH ₃) ₂	430
1714	-CH ₂ CON(CH ₃) ₂	445

Table 104



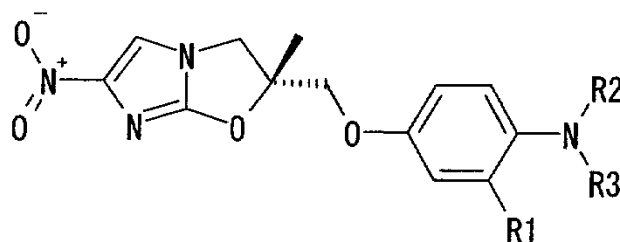
Example	R1	R2	mp (°C) or ¹ H NMR
1715	-CH ₃	4-CF ₃ OPh-	157.9 - 158.8
1716	-CH ₃	4-CF ₃ OPhCH ₂ -	188.4 - 190.2
1717	-CH ₃	4-CF ₃ OPhCH ₂ OCO-	112.1 - 115.4
1718	-CH ₃	4-CF ₃ OPhCO-	
1719	-CH ₃	4-CF ₃ OPhNHCO-	

Table 105



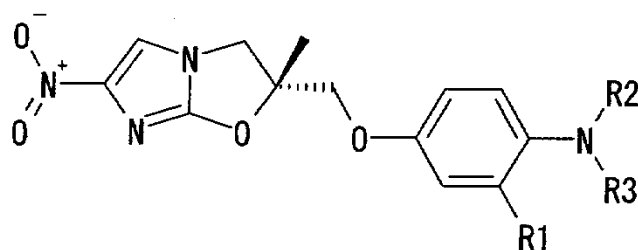
Example	R1	R2	R3	mp (°C)
1720	-H	4-CF ₃ OPh-	-CH ₃	126.9-128.9
1721	-H	4-CF ₃ OPh-	-C ₂ H ₅	102.1 - 103.1
1722	-H	4-ClPh-	-C ₂ H ₅	121.7 - 123.6
1723	-H	-C ₆ H ₅	-CH ₃	169.5 - 171.0
1724	-H	4-CF ₃ OPhCH ₂ -	-CH ₃	134.8 - 136.8
1725	-H	4-ClPhCH ₂ -	-CH ₃	161.4 - 164.1
1726	-H	4-CF ₃ PhCH ₂ -	-CH ₃	136.4 - 137.9
1727	-H	4-CF ₃ OPhCH ₂ -	-C ₂ H ₅	119 - 120.5
1728	-H	4-CF ₃ PhCH ₂ -	-C ₂ H ₅	134.3 - 135.7
1729	-H	4-ClPhCH ₂ -	-C ₂ H ₅	135.8 - 137
1730	-F	4-CF ₃ OPhCH ₂ -	-CH ₃	132.7 - 134.7
1731	-H	4-CF ₃ OPhCH ₂ -	4-CF ₃ OPhCH ₂ -	135.9 - 137
1732	-H	4-CF ₃ OPhCH ₂ -	-C ₆ H ₅	198.9 - 200.8
1733	-H	4-CF ₃ PhCH ₂ -	-C ₆ H ₅	192.7 - 194.7
1734	-H	4-ClPhCH ₂ -	-C ₆ H ₅	195.1 - 196.1
1735	-H	-C ₆ H ₅	-COCH ₃	167.7 - 168.7
1736	-H	4-ClPh-	-COCH ₃	220.0 - 223.5
1737	-H	4-CF ₃ Ph-	-COCH ₃	223.1 - 224.6
1738	-H	4-CF ₃ OPh-	-COCH ₃	243.6 - 244.9
1739	-F	4-ClPh-	-COCH ₃	221.8 - 223.0
1740	-F	4-CF ₃ OPh-	-COCH ₃	240.3 - 242.9
1741	-H	-C ₆ H ₅	-CO ₂ CH ₃	182.7 - 184.8
1742	-H	4-ClPh-	-CO ₂ CH ₃	244.0 - 245.1
1743	-H	4-CF ₃ OPhCH ₂ -	-H	151.4 - 154.3
1744	-H	4-CF ₃ OPhCH ₂ -	-CO ₂ C ₂ H ₅	105.1 - 107.6
1745	-H	4-ClPh(CH ₂) ₂ -	-CH ₃	116.1 - 117.6
1746	-H	4-CF ₃ OPhO(CH ₂) ₃ -	-H	189.9 - 191.0
1747	-H	4-CF ₃ OPhCO-	-CH ₃	143.3 - 145.9

Table 106



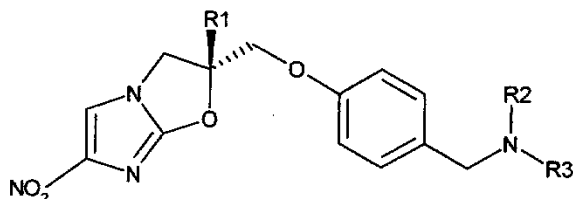
Example	R1	R2	R3	¹ H NMR
1748	-H	4-CF ₃ Ph-	-CH ₃	¹ H NMR(CDCl ₃) δ 1.80(3H, s), 3.28(3H, s), 4.06(1H, d, J=10.2Hz), 4.11(1H, d, J=10.2Hz), 4.26(1H, d, J=10.2Hz), 4.52(1H, d, J=10.2Hz), 6.70(2H, d, J=8.7Hz), 6.88(2H, d, J=8.8Hz), 7.13(2H, d, J=8.8Hz), 7.39(2H, d, J=8.7Hz), 7.57(1H, s).
1749	-H	4-ClPh-	-CH ₃	¹ H NMR(CDCl ₃) δ 1.79(3H, s), 3.22(3H, s), 4.04(1H, d, J=10.4Hz), 4.08(1H, d, J=10.4Hz), 4.23(1H, d, J=10.1Hz), 4.50(1H, d, J=10.1Hz), 6.70-6.73(2H, m), 6.81-6.84(2H, m), 7.03-7.06(2H, m), 7.12-7.15(2H, m), 7.56(1H, s).
1750	-H	4-CF ₃ Ph-	-C ₂ H ₅	¹ H NMR(CDCl ₃) δ 1.20(3H, d, J=7.1Hz), 1.81(3H, s), 3.71(2H, q, J=7.1Hz), 4.07(1H, d, J=10.2Hz), 4.11(1H, d, J=10.2Hz), 4.27(1H, d, J=10.2Hz), 4.52(1H, d, J=10.2Hz), 6.63-6.66(2H, m), 6.88-6.91(2H, m), 7.10-7.12(2H, m), 7.34-7.37(2H, m), 7.57(1H, s).
1751	-F	4-ClPh-	-CH ₃	¹ H NMR(CDCl ₃) δ 1.80(3H, s), 3.20(3H, s), 4.06(1H, d, J=10.2Hz), 4.09(1H, d, J=10.1Hz), 4.25(1H, d, J=10.1Hz), 4.49(1H, d, J=10.2Hz), 6.54-6.57(2H, m), 6.64-6.71(2H, m), 7.09-7.19(3H, m), 7.57(1H, s).
1752	-H	4-CF ₃ OPhCH ₂ -	-COCH ₃	¹ H NMR(CDCl ₃) δ 1.79(3H, s), 1.85(3H, s), 4.06(1H, d, J=10.2Hz), 4.08(1H, d, J=10.2Hz), 4.24(1H, d, J=10.2Hz), 4.48(1H, d, J=10.2Hz), 4.82(2H, s), 6.78-6.82(2H, m), 6.88-6.91(2H, m), 7.09-7.11(2H, m), 7.20-7.22(2H, m), 7.56(1H, s).
1753	-H	4-CF ₃ OPhCO-	-CH ₃	¹ H NMR(CDCl ₃) δ 1.76(3H, s), 3.45(3H, s), 4.03(1H, d, J=10.1Hz), 4.04(1H, d, J=10.2Hz), 4.18(1H, d, J=10.1Hz), 4.46(1H, d, J=10.2Hz), 6.69-6.72(2H, m), 6.95-6.98(2H, m), 7.37-7.46(4H, m), 7.55(1H, s).
1754	-H	4-ClPhCO-	-CH ₃	¹ H NMR(CDCl ₃) δ 1.77(3H, s), 3.43(3H, s), 4.03(1H, d, J=10.1Hz), 4.04(1H, d, J=10.2Hz), 4.18(1H, d, J=10.1Hz), 4.46(1H, d, J=10.2Hz), 6.69-6.72(2H, m), 6.94-6.97(2H, m), 7.13-7.23(4H, m), 7.55(1H, s).
1755	-H	4-ClPhCO-	-H	¹ H NMR(DMSO) δ 1.68(3H, s), 4.17-4.20(1H, m), 4.22-4.30(2H, m), 4.36-4.39(1H, m), 6.88-6.91(2H, m), 7.57-7.66(4H, m), 7.94-7.97(2H, m), 8.15(1H, s), 10.19(1H, brs).

Table 107



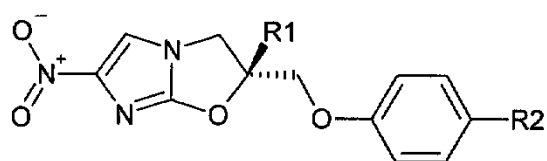
Example	R1	R2	R3	^1H NMR
1756	-H	4-ClPhCO-	-H	^1H NMR(DMSO) δ 1.68(3H, s), 4.17-4.20(1H, m), 4.22-4.30(2H, m), 4.36-4.39(1H, m), 6.88-6.91(2H, m), 7.57-7.66(4H, m), 7.94-7.97(2H, m), 8.15(1H, s), 10.19(1H, brs).
1757	-H	4-CF ₃ PhCO-	-H	^1H NMR(DMSO) δ 1.68(3H, s), 4.17-4.21(1H, m), 4.24-4.30(2H, m), 4.36-4.40(1H, m), 6.90-6.93(2H, m), 7.65-7.68(2H, m), 7.88-7.90(2H, m), 8.11-8.13(2H, m), 8.16(1H, s), 10.35(1H, brs).
1758	-H	4-CF ₃ OPhCO-	-H	^1H NMR(DMSO) δ 1.68(3H, s), 4.17-4.20(1H, m), 4.24-4.31(2H, m), 4.36-4.40(1H, m), 6.89-6.92(2H, m), 7.49-7.52(2H, m), 7.63-7.66(2H, m), 8.04-8.06(2H, m), 8.16(1H, s), 10.23(1H, brs).
1759	-H	4-ClPh-	-H	142.6 - 144.7
1760	-H	4-CF ₃ OPh(CH ₂) ₂ -	-H	
1761	-H	4-CF ₃ OPh(CH ₂) ₂ -	-CH ₃	
1762	-H	4-CF ₃ OPhO(CH ₂) ₃ -	-C ₂ H ₅	107.6 - 109.2
1763	-H	4-CF ₃ OPhCOCH ₂ -	-H	
1764	-H	4-CF ₃ OPhCOCH ₂ -	-CH ₃	

Table 108



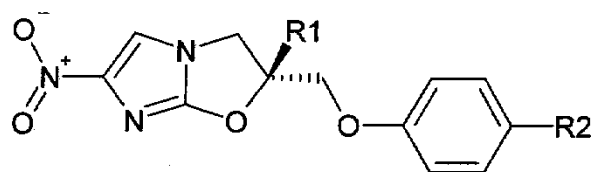
Example	R1	R2	R3	mp (°C) or ¹ H NMR
1765	-CH ₃	-H	4-ClPh-	171.5 - 173.5
1766	-CH ₃	-H	4-CF ₃ Ph-	172.2 - 174.9
1767	-CH ₃	-CH ₃	4-CF ₃ OPhCH ₂ -	138.5 - 141.0
1768	-CH ₃	-H	4-CF ₃ OPh-	165.1 - 167.2
1769	-CH ₃	-COCH ₃	4-CF ₃ OPh-	128.4 - 130.8
1770	-CH ₃	-CH ₃	4-CF ₃ OPh-	113.6 - 114.5
1771	-CH ₃	-CH ₃	4-CF ₃ Ph-	168.2 - 170.7
1772	-CH ₃	-COCH ₃	4-CF ₃ Ph-	132.8 - 133.9
1773	-CH ₃	-CH ₃	4-ClPh-	160.9 - 163.4
				¹ H NMR(CDCl ₃) δ 1.21(3H, t, d=7.1), 1.77(3H, s), 4.05(1H, d, J=10.3Hz), 4.06(1H, d, J=10.2Hz), 4.15-4.22(3H, m), 4.49(1H, d, J=10.3Hz), 4.78(2H, s), 6.74-6.78(2H, m), 7.07-7.13(6H, m), 7.55(1H, s).
1774	-CH ₃	-CO ₂ C ₂ H ₅	4-CF ₃ OPh-	¹ H NMR(CDCl ₃) δ 1.78(3H, s), 1.86(3H, s), 4.03(1H, d, J=10.2Hz), 4.05(1H, d, J=10.1Hz), 4.21(1H, d, J=10.1Hz), 4.48(1H, d, J=10.2Hz), 4.78(2H, s), 6.71-6.74(2H, m), 6.87-6.89(2H, m), 7.08-7.11(2H, m), 7.28-7.32(2H, m), 7.55(1H, s).
1775	-CH ₃	-COCH ₃	4-ClPh-	

Table 109



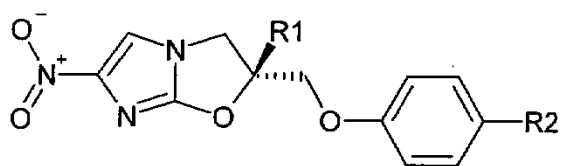
Example	R1	R2	mp (°C)
1776	-CH ₃	-4-PYRIDYL	228.2 - 229.8 dec
1777	-CH ₃	-CHO	176.0 - 179.5
1778	-CH ₃	4-ClPhCO-	186.2 - 188.5
1779	-CH ₃	4-CF ₃ PhCO-	170.3 - 172.4
1780	-CH ₃	4-CF ₃ OPhCO-	159.7 - 160.7

Table 110



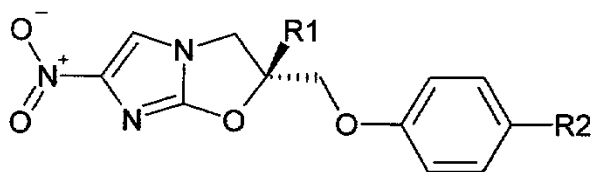
Example	R1	R2	mp (°C) or ¹ H NMR
1781	-CH ₃		177.4 - 184.3
1782	-CH ₃		196.3 - 197.8
1783	-CH ₃		212.3 - 214.0
1784	-CH ₃		251.9 - 253.0
1785	-CH ₃		216.7 - 219.5 dec
1786	-CH ₃		248.1 - 248.2
1787	-CH ₃		150.3 - 154.9
1788	-CH ₃		150.8 - 151.2
1789	-CH ₃		¹ H NMR(CDCl ₃) δ 1.55(1H, s), 1.60(1H, s), 1.77(3H, s), 1.80-1.95(2H, m), 2.15-2.40(2H, m), 3.04-3.27(2H, m), 3.33-3.53(2H, m), 3.95-4.11(2H, m), 4.19(1H, d, J=10.2Hz), 4.50(1H, d, J=10.2Hz), 6.71-6.86(2H, m), 6.88-7.02(2H, m), 7.14-7.31(2H, m), 7.47-7.63(3H, m).
1790	-CH ₃		¹ H NMR(DMSO) δ 1.67(3H, s), 2.61(2H, brs), 3.74(2H, d, J=2.9Hz), .17(1H, d, J=13.0Hz), 4.21(2H, s), 6.28(1H, s), 6.81(2H, d, J=9.1Hz), 6.94(2H, d, J=9.2Hz), 7.18-7.57(5H, m), 8.17(1H, s).

Table 111



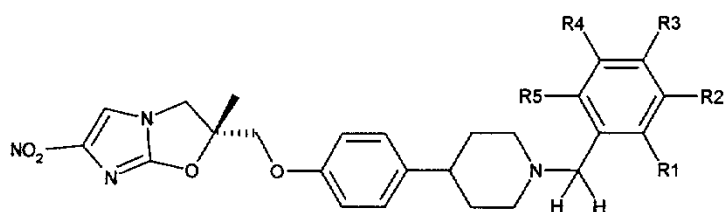
Example	R1	R2	mp (°C) or ¹ H NMR
1791	-CH ₃		202.0 - 203.5
1792	-CH ₃		206.0
1793	-CH ₃		247.8 - 249.8
1794	-CH ₃		97.7 - 99.7
1795	-CH ₃		172.5 - 175.8
1796	-CH ₃		160.7 - 163.0
1797	-CH ₃		¹ H NMR(CDCl ₃) δ 1.81(3H, s), 3.44(3H, s), 4.06(1H, d, J=10.24Hz), 4.12(1H, d, J=10.0Hz), 4.27(1H, d, J=10.0Hz), 4.52(1H, d, J=10.2Hz), 6.83-6.91(2H, m), 7.39-7.45(2H, m), 7.51-7.56(3H, m), 7.57(1H, s), 7.62-7.68(2H, m)

Table 112



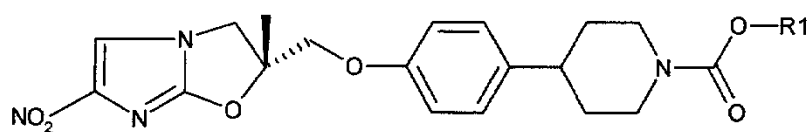
Example	R1	R2	mp(°C) or ¹ H NMR
1798	-CH ₃		¹ H NMR(CDCl ₃) δ 1.80(3H, s), 4.01-4.14 (2H, m), 4.26(1H, d, J=10.0Hz), 4.51(1H, d, J=10.3Hz), 6.85(2H, d, J=8.6Hz), 7.03-7.09(4H, m), 7.53-7.60 (4H, m), 7.66(1H, s)
1799	-CH ₃		¹ H NMR(CDCl ₃) δ 1.81(3H, s), 4.05(1H, d, J=10.3Hz), 4.11(1H, d, J=10.0Hz), 4.26(1H, d, J=10.0Hz), 4.51(1H, d, J=10.3Hz), 6.83-7.05(4H, m), 7.20-7.26 (2H, m), 7.51-7.60(4H, m), 7.65(1H, s)
1800	-CH ₃		
1801	-CH ₃		
1802	-CH ₃		
1803	-CH ₃		209.1 - 212.9

Table 113



Example	R1	R2	R3	R4	R5	MS (M+1)
1804	-H	-CF ₃	-H	-H	-H	517
1805	-H	-H	-NO ₂	-H	-H	494
1806	-H	-H	-CF ₃	-H	-H	517
1807	-H	-H	-CH ₃	-H	-H	463
1808	-H	-H	-CN	-H	-H	474
1809	-H	-H	-C ₆ H ₅	-H	-H	525
1810	-H	-H	-F	-H	-H	467
1811	-H	-Cl	-Cl	-H	-H	517
1812	-CF ₃	-H	-H	-H	-H	517
1813	-H	-H	-OCH ₃	-H	-H	479
1814	-H	-H	-SCH ₃	-H	-H	495
1815	-H	-H	-SO ₂ CH ₃	-H	-H	527
1816	-H	-H	-OCH ₂ C ₆ H ₅	-H	-H	555
1817	-H	-Cl	-H	-Cl	-H	517
1818	-F	-F	-F	-H	-H	503
1819	-H	-H	-OCOCH ₃	-H	-H	507

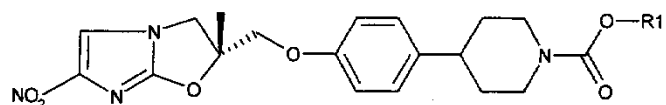
Table 114



Example	R1	MS (M+1)
1820	4-CF ₃ OPh-	563
1821	4-CH ₃ OPhCH ₂ -	523
1822	4-CH ₃ PhCH ₂ -	507
1823	4-CH ₃ O ₂ CPhCH ₂ -	551
1824	-CH ₂ C ₆ H ₅	493
1825	4-CH ₃ SPhCH ₂ -	539
1826	4-NO ₂ PhCH ₂ -	538
1827	3, 4, 5- (CH ₃ O) ₃ PhCH ₂ -	583
1828	2-CH ₃ CONHPhCH ₂ -	550
1829	4-FPhCH ₂ -	511
1830	4-CF ₃ OPhCH ₂ -	577
1831	4-PhCH ₂ OPhCH ₂ -	599
1832	4-CF ₃ SPhCH ₂ -	593
1833	3-CF ₃ OPhCH ₂ -	577
1834	2-CF ₃ OPhCH ₂ -	577
1835	C ₆ F ₅ CH ₂ -	583
1836	PhCH=CHCH ₂ -	519
1837	4-ClPhCH=CHCH ₂ -	553
1838	4-CF ₃ OPhCH=CHCH ₂ -	603
1839	-(CH ₂) ₂ C ₆ H ₅	507
1840	Ph (CH ₂) ₃ -	521
1841	PhCCCH ₂ -	517
1842	PhS (CH ₂) ₂ -	539
1843	PhCH ₂ O (CH ₂) ₂ -	537
1844	-CH ₂ CH ₂ CH=CH ₂	457
1845	-CH ₂ CH ₂ CN	456
1846	CH ₃ CH ₂ O (CH ₂) ₂ O (CH ₂) ₂ -	519
1847	-CH ₂ CH ₂ CCH	455
1848	CF ₃ CF ₂ CF ₂ CH ₂ -	585
1849	-CH ₂ -cyclo-C ₃ H ₅	457

1263

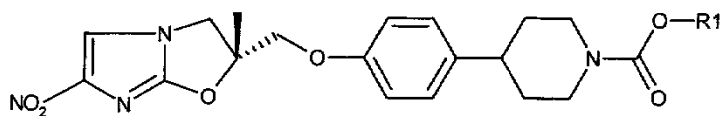
Table 115



Example	R1	MS (M+1)
1850		494
1851		494
1852		483
1853		583
1854		595
1855		499
1856		494
1857		551
1858		508
1859		483
1860		519
1861		528

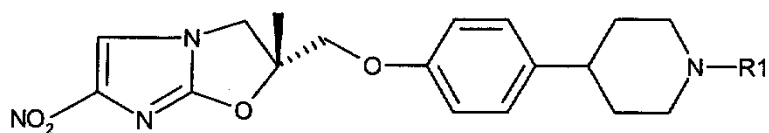
1264

Table 116



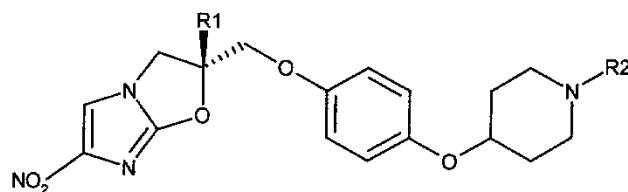
Example	R1	MS (M+1)
1862		543

Table 117



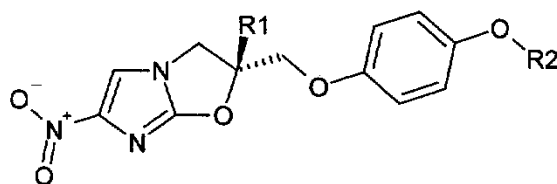
Example	R1	MS (M+1)
1863	-CH ₂ CH=CH ₂	399
1864	-(CH ₂) ₂ C ₆ H ₅	463
1865	-C ₆ H ₁₃	443
1866	-CH ₂ CN	398
1867	-CH ₂ CON(CH ₃) ₂	444
1868	PhCOCH ₂ -	477

Table 118



Example	R1	R2	mp (°C)
1869	-CH ₃	4-CF ₃ PhCH ₂ -	171.4 - 172.4
1870	-CH ₃	4-CF ₃ PhCH ₂ OCO-	130.4 - 131.7
1871	-CH ₃	4-ClPhCH ₂ OCO-	126.8 - 129.1
1872	-CH ₃	4-CF ₃ OPhCH ₂ OCO-	143.8 - 144.8
1873	-CH ₃	4-ClPhCH ₂ -	183.0 - 187.2
1874	-CH ₃	4-CF ₃ OPhCH ₂ -	174.3 - 176.5
1875	-CH ₃	4-CF ₃ Ph-	156.7 - 157.7
1876	-CH ₃	(CH ₃) ₃ COCO-	197.0 - 198.2
1877	-CH ₃	4-CF ₃ OPh-	154.5 - 156.7

Table 119



Example	R1	R2	mp (°C) or ¹ H NMR
1878	-CH ₃	4-ClPhCH ₂ -	214.3 - 216.1
1879	-CH ₃	4-CF ₃ Ph-	158.5 - 160.1
1880	-CH ₃	4-CF ₃ OPh-	161.7 - 164.4
1881	-CH ₃	4-ClPh-	163.5 - 166.3
1882	-CH ₃	4-CF ₃ OPhCH ₂ -	¹ H NMR(CDCl ₃) δ 1.76(3H, s), 4.02(1H, d, J=10.2Hz), 4.04(1H, d, J=10.2Hz), 4.18(1H, d, J=10.2Hz), 4.48(1H, d, J=10.2Hz), 5.00(2H, s), 6.77-6.81(2H, m), 6.85-6.90(2H, m), 7.21-7.23(2H, m), 7.42-7.45(2H, m), 7.54(1H, s).
1883	-CH ₃	4-CF ₃ PhCH ₂ -	¹ H NMR(CDCl ₃) δ 1.77(3H, s), 4.02(1H, d, J=10.2Hz), 4.04(1H, d, J=10.2Hz), 4.18(1H, d, J=10.2Hz), 4.49(1H, d, J=10.2Hz), 5.07(2H, s), 6.77-6.81(2H, m), 6.85-6.91(2H, m), 7.51-7.55(3H, m), 7.62-7.65(2H, m).

Example 1884

Production of (R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole

4-[4-(4-Trifluoromethoxyphenoxy)piperidin-1-yl]phenol (693 mg, 1.96 mmol) was dissolved in N,N'-dimethylformamide (3 ml), and sodium hydride (86 mg, 2.16 mmol) was added while cooling on ice followed by stirring at 70-75°C for 20 minutes. The mixture was cooled on ice. To the solution, a solution prepared by dissolving (R)-2-bromo-4-nitro-1-(2-methyl-2-oxiranylmethyl)imidazole (720 mg, 2.75 mmol) in N,N'-dimethylformamide (3 ml) was added followed by stirring at 70-75°C for 20 minutes. The reaction mixture was allowed to return to room temperature, ice water (25 ml) was added, and the resultant solution was extracted with methylene chloride (50 ml) three times. The organic phases were combined, washed with water 3 times, and dried over magnesium sulfate. After filtration, the filtrate was concentrated, and the residue was purified by silica gel column chromatography (methylene chloride/ethyl acetate = 3/1). Recrystallization from ethyl acetate/isopropyl ether gave (R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole (343 mg, 33%) as a light yellow powder.

Example 1885

(1) Production of 3-(4-trifluoromethylphenyl)-2-

propenyl (S)-4-[3-(2-bromo-4-nitroimidazol-1-yl)-2-hydroxy-2-methylpropyl]piperazin-1-carboxylate

A mixture of (R)-2-bromo-4-nitro-1-(2-methyl-2-oxiranylmethyl)imidazole (2.04 g, 7.78 mmol), 3-(4-trifluoromethylphenyl)-2-propenyl piperazine-1-carboxylate (2.69 g, 8.56 mmol) and N,N'-dimethylformamide (10 ml) was stirred at 50°C for 20 hours. The reaction solution was allowed to return to room temperature, water was added, and the resultant solution was extracted with ethyl acetate (15 ml) twice. The organic phases were combined, washed with water three times, and dried over sodium sulfate. After filtration, the filtrate was concentrated, and the residue was purified by silica gel column chromatography (n-hexane/ethyl acetate = 1/2) to obtain 3-(4-trifluoromethylphenyl)-2-propenyl (S)-4-[3-(2-bromo-4-nitroimidazol-1-yl)-2-hydroxy-2-methylpropyl]piperazin-1-carboxylate (3.77 g, 84%) as a yellow oil.

¹H-NMR (CDCl₃) δppm:

1.16 (3H, s), 2.36 (1H, d, J = 14.0 Hz), 2.43 - 2.76 (5H, m), 3.21 (1H, s), 3.41 - 3.57 (4H, m), 4.01 (2H, s), 4.78 (2H, dd, J = 1.0 Hz, 6.1 Hz), 6.29 - 6.43 (1H, m), 6.66 (1H, d, J = 16.0 Hz), 7.48 (2H, d, J = 8.3 Hz), 7.58 (2H, d, J = 8.3 Hz), 8.10 (1H, s).

(2) Production of 3-(4-trifluoromethylphenyl)-2-propenyl (S)-4-(2-methyl-6-nitro-2,3-dihydroimidazo-[2,1-b]oxazol-2-ylmethyl)piperazine-1-carboxylate

3-(4-Trifluoromethylphenyl)-2-propenyl (S)-4-

[3-(2-bromo-4-nitroimidazol-1-yl)-2-hydroxy-2-methylpropyl]piperazine-1-carboxylate (3.5 g, 6.07 mmol) was dissolved in N,N'-dimethylformamide (10.5 ml), and sodium hydride (316 mg, 7.89 mmol) was added while cooling on ice followed by stirring at the same temperature for 1.5 hours. To the reaction solution, ethyl acetate (3.5 ml) and water (24.5 ml) were added followed by stirring for 30 minutes. The precipitated crystals were filtered off, washed with water, and purified by silica gel column chromatography (ethyl acetate). Recrystallization from 2-propanol/water gives a light yellow powder of 3-(4-trifluoromethylphenyl)-2-propenyl (S)-4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazine-1-carboxylate (2.07 g, 69%).

Test example 1

Antimicrobial assay (agar dilution method)

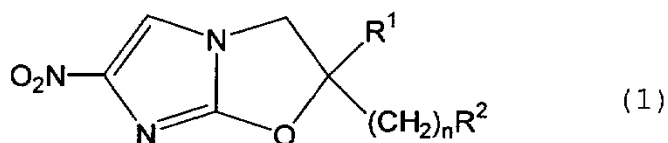
The minimal inhibitory concentration of the 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound obtained in Example 397 against *Mycobacterium tuberculosis* (*M. tuberculosis* H37Rv) was determined using a 7H11 medium (manufactured by BBL). The above strain had been cultured on a 7H9 medium (manufactured by BBL) in advance, the number of viable cells had been counted, and a cell suspension with the final viable cell count approximately 10^6 CFU/ml was prepared, using a cell suspension stored freezing at -80°C . 5 μl of the

thus prepared cell suspension was inoculated onto the 7H11 agar medium containing the test compound and then cultured at 37°C for 14 days. Thereafter, the culture was subjected to the test to determine the minimal inhibitory concentration.

The minimal inhibitory concentration of the compound against *M. tuberculosis* H37Rv was 0.024 µg/ml.

CLAIMS

1. A 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound represented by the following general formula (1), optically active form thereof, or pharmaceutically acceptable salt thereof:



wherein R^1 represents a hydrogen atom or C1-6 alkyl group, n represents an integer of 0 to 6, and R^2 represents a group represented by general formula (A), (B), (C), (D), (E), (F) or (G) indicated below, and further, R^1 and $-(CH_2)_nR^2$ may bind to each other together with carbon atoms adjacent thereto through nitrogen atoms, so as to form a spiro ring represented by general formula (H) indicated below:

a group represented by the following general formula (A):



wherein R^3 represents:

A1) hydrogen atom;

A2) C1-6 alkyl group;

A3) C1-6 alkoxy-C1-6 alkyl group

A4) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a phenyl C1-6 alkoxy group, a halogen-substituted or unsubstituted

C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a phenoxy group which may have, as a substituent, at least one halogen-substituted or unsubstituted C1-6 alkoxy group on the phenyl ring);

A5) biphenylyl C1-6 alkyl group;

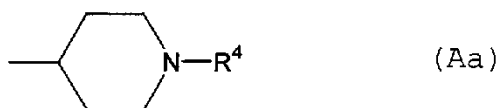
A6) phenyl C2-6 alkenyl group;

A7) C1-6 alkylsulfonyl group;

A8) benzenesulfonyl group which may be substituted by a C1-6 alkyl group;

A9) C1-6 alkanoyl group;

A10) a group represented by the following general formula (Aa):



wherein R^4 represents a C1-6 alkoxy carbonyl group; phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a phenyl C1-6 alkoxy group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a phenyl C1-6 alkoxy group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-

substituted or unsubstituted C1-6 alkoxy group);

A11) biphenyl C1-6 alkoxycarbonyl group;

A12) benzoxazolyl C1-6 alkyl group (which may be substituted on the benzoxazole ring by at least one oxo group as a substituent);

A13) benzoxazolyl group; or

A14) oxazolyl C1-6 alkyl group (which may be substituted on the oxazole ring by at least one group selected from the group consisting of a phenyl group and C1-6 alkyl group as a substituent),

a group represented by the following general formula (B):



wherein R^5 represents a tetrazolyl group (which may be substituted on the tetrazole ring by a C1-6 alkyl group or phenyl group which may have a halogen atom as a substituent), or benzoxazolyl group,

a group represented by the following general formula (C):



wherein R^6 represents a C1-6 alkyl group, a carbamoyloxy group represented by the following general formula (D):



wherein R^7 and R^8 each identically or differently represent any one of:

D1) hydrogen atom;

D2) C1-8 alkyl group;

D3) halogen-substituted C1-6 alkyl group;

D4) C1-6 alkoxy carbonyl-C1-6 alkyl group;

D5) C3-8 cycloalkyl group;

D6) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

D7) phenyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a C1-6 alkanoyl group, a carboxyl group, a C1-6 alkoxy carbonyl group, a phenyl C1-6 alkoxy carbonyl group, a carbamoyl group, a C1-6 alkyl carbamoyl group, an aminosulfonyl group, and a morpholino group);

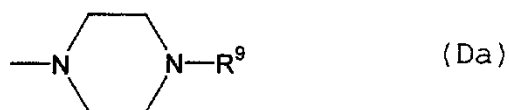
D8) naphthyl group;

D9) pyridyl group; and

D10) R^7 and R^8 may bind to each other together with nitrogen atoms adjacent thereto directly or through other hetero atoms or carbon atoms, so as to form a saturated heterocyclic group shown in any one of (D10-1) to (D10-3) indicated below, or benzene condensed heterocyclic group shown in any one of (D10-4) to (D10-7) indicated below:

(D10-1) piperazinyl group represented by the

following general formula (Da):



wherein R^9 represents:

(Da1) hydrogen atom;

(Da2) C1-6 alkyl group;

(Da3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Da4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Da5) C1-6 alkoxycarbonyl group;

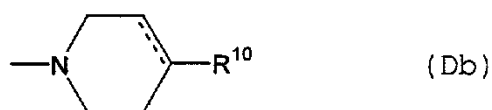
(Da6) phenyl C1-6 alkoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Da7) phenyl C3-6 alkenyloxycarbonyl group (which may have at least one halogen-substituted or

unsubstituted C1-6 alkyl group on the phenyl ring); or

(Da8) phenyl C1-6 alkylidene substituted amino group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group as a substituent),

(D10-2) a group represented by the following general formula (Db):



wherein the dotted line represents that the bond may be a double bond, and R^{10} represents:

(Db1) hydrogen atom;

(Db2) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Db3) phenoxy group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group); or

(Db4) phenylamino group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group),

(D10-3) morpholino group;

(D10-4) indolinyl group (which may be substituted on the indoline ring by at least one halogen atom

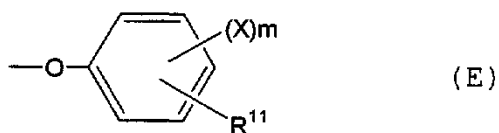
as a substituent);

(D10-5) isoindolinyl group (which may be substituted on the isoindoline ring by at least one halogen atom as a substituent);

(D10-6) 1,2,3,4-tetrahydroquinolyl group, (which may be substituted on the 1,2,3,4-tetrahydroquinoline ring by at least one halogen atom as a substituent); and

(D10-7) 1,2,3,4-tetrahydroisoquinolyl group, (which may be substituted on the 1,2,3,4-tetrahydroisoquinoline ring by at least one halogen atom as a substituent),

a phenoxy group represented by the following general formula (E):



wherein X represents a halogen atom or amino substituted C1-6 alkyl group which may have a C1-6 alkyl group as a substituent, m represents an integer of 0 to 3, and R¹¹ represents:

E1) hydrogen atom;

E2) halogen-substituted or unsubstituted C1-6 alkyl group;

E3) halogen-substituted or unsubstituted C1-6 alkoxy group;

E4) a group represented by the following general formula (Ea):



wherein W represents a group -CO- or a C1-6 alkylene group, o represents an integer of 0 or 1, and R^{12} and R^{13} each identically or differently represent any one of:

(Ea1) hydrogen atom;

(Ea2) C1-6 alkyl group;

(Ea3) C1-6 alkanoyl group;

(Ea4) C1-6 alkoxycarbonyl group;

(Ea5) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group as a substituent), and the alkyl portion may be substituted by a C1-6 alkoxyimino group);

(Ea6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ea7) benzoyl group (which may be substituted

on the phenyl ring by at least one group selected from a group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ea8) pyridyl group (which may be substituted on the pyridine ring by at least one halogen atom as a substituent);

(Ea9) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ea10) phenoxy C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); and

(Ea11) benzoyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

E5) imidazolyl group;

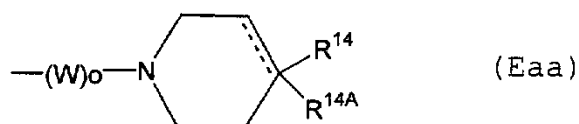
E6) triazolyl group;

E7) morpholino group;

E8) thiomorpholino group;

E9) s-oxide thiomorpholino group;

E10) piperidyl group represented by the following general formula (Eaa):



wherein W and o are the same as above, R^{14A} represents a hydrogen atom, hydroxyl group, C1-6 alkoxy group, or phenyl group (which may be substituted by halogen on the phenyl ring); the dotted line represents that the bond may be a double bond, and when the dotted line represents a double bond, it means that only R^{14} is substituted; R^{14} and R^{14A} may bind to each other together with carbon atoms adjacent thereto to form a C1-4 alkylenedioxy group, and R^{14} represents:

(Eaa1) hydrogen atom;

(Eaa2) C1-6 alkoxy carbonyl group;

(Eaa3) phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; a C1-4 alkylenedioxy group; a C1-6 alkoxy carbonyl group; a cyano group; a C2-6 alkenyl group; a nitro group; a phenyl group; an amino group which may have, as a substituent, a group selected from the group

consisting of a phenyl group, a C1-6 alkyl group, a carbamoyl group and a C1-6 alkanoyl group; a C1-6 alkanoyl-substituted C1-6 alkyl group; a hydroxyl group; a C1-6 alkoxy carbonyl-substituted C1-6 alkyl group; a phenyl C1-6 alkyl group; a C1-6 alkanoyl group; a C1-6 alkylthio group; a 1,2,4-triazolyl group; an isoxazolyl group; an imidazolyl group; a benzothiazolyl group; a 2H-benzotriazolyl group; a pyrrolyl group; a benzoxazolyl group; a piperazinyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of a C1-6 alkoxy carbonyl group and a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) as a substituent); a piperidinyl group (which may be substituted on the piperidine ring by at least one group selected from the group consisting of an amino group (which may be substituted on the amino group by at least one group selected from the group consisting of a C1-6 alkyl group and a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) as a substituent)); and a carbamoyl group));

(Eaa4) hydroxyl group;

(Eaa5) carboxy group;

(Eaa6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group as a substituent), a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group as a substituent);

(Eaa7) C1-6 alkoxy group;

(Eaa8) C3-8 cycloalkyl-C1-6 alkoxy group;

(Eaa9) phenylcarbamoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eaa10) tetrahydropyranyloxy group;

(Eaa11) 1,3-dioxolanyl group;

(Eaa12) oxo group;

(Eaa13) naphthyloxy group (which may be substituted on the naphthalene ring by at least one C1-6 alkyl group as a substituent);

(Eaa14) 2,3-dihydrobenzofuryloxy group (which

may be substituted on the 2,3-dihydrobenzofuran ring by at least one group selected from the group consisting of a C1-6 alkyl group and an oxo group);

(Eaa15) benzothiazolyloxy group (which may be substituted on the benzothiazole ring by at least one C1-6 alkyl group);

(Eaa16) 1,2,3,4-tetrahydronaphthyloxy group (which may be substituted on the 1,2,3,4-tetrahydronaphthalene ring by at least one oxo group as a substituent);

(Eaa17) 1,3-benzoxathiolanyloxy group (which may be substituted on the 1,3-benzoxathiolan ring by at least one oxo group as a substituent);

(Eaa18) isoquinolyloxy group;

(Eaa19) pyridyloxy group;

(Eaa20) quinolyloxy group (which may be substituted on the quinoline ring by at least one C1-6 alkyl group as a substituent);

(Eaa21) dibenzofuryloxy group;

(Eaa22) 2H-chromenyloxy group (which may be substituted on the 2H-chromen ring by at least one oxo group as a substituent);

(Eaa23) benzisoxazolyloxy group;

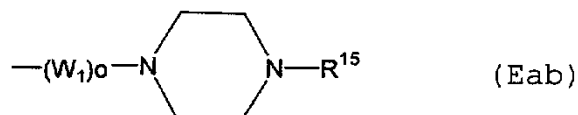
(Eaa24) quinoxalyloxy group;

(Eaa25) 2,3-dihydro-1H-indenyloxy group (which may be substituted on the 2,3-dihydro-1H-indene ring by at least one oxo group as a substituent);

(Eaa26) benzofurazanyloxy group; or

(Eaa27) phenyl C2-6 alkenyl group (which may be substituted on the phenyl ring by at least one group selected from a group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

E11) a group represented by the following general formula (Eab):



wherein o is the same as above, W_1 represents a C1-C6 alkylene group and R^{15} represents:

(Eab1) hydrogen atom;

(Eab2) C1-6 alkyl group (wherein the alkyl group may be substituted by a morpholino group, benzoyl group, carbamoyl group which may have a C1-6 alkyl group as a substituent, or cyano group);

(Eab3) C3-8 cycloalkyl group;

(Eab4) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a phenyl group, a nitro group, a C1-6 alkylthio group, a C1-6 alkylsulfonyl group, a phenyl C1-6 alkoxy group, a C2-6 alkanoyloxy group, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a 1,2,3-thiadiazolyl group);

(Eab5) C2-6 alkenyl group;

(Eab6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab7) C1-6 alkanoyl group;

(Eab8) phenyl C2-6 alkanoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab9) benzoyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab10) C1-20 alkoxy-carbonyl group (which may be substituted on the alkoxy group by at least one group selected from the group consisting of a halogen atom, an amino group which may have a C1-6 alkyl group as a substituent, and a C1-6 alkoxy-substituted C1-6 alkoxy group);

(Eab11) phenyl C1-6 alkoxy-carbonyl group (which may be substituted on the phenyl ring by at

least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a nitro group, a halogen-substituted or unsubstituted C1-6 alkylthio group, an amino group which may have a C1-6 alkanoyl group, a phenyl C1-6 alkoxy group, a C1-6 alkoxycarbonyl group, and a 1,2,3-thiadiazolyl group);

(Eab12) a phenyl C3-6 alkenyloxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab13) phenoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab14) phenyl C1-6 alkylcarbamoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab15) phenylcarbamoyl group (which may be substituted on the phenyl ring by at least one group

selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eab16) benzofuryl-substituted C1-6 alkoxy-carbonyl group which may be substituted by at least one halogen atom on the benzofuran ring;

(Eab17) benzothienyl C1-6 alkoxy-carbonyl group (which may be substituted on the benzothiophene ring by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkoxy group as a substituent);

(Eab18) naphthyl-substituted C1-6 alkoxy-carbonyl group;

(Eab19) pyridyl-substituted C1-6 alkoxy-carbonyl group (which may be substituted on the pyridine ring by at least one halogen atom as a substituent);

(Eab20) furyl-substituted C1-6 alkoxy-carbonyl group (which may be substituted on the furan ring by at least one nitro group as a substituent);

(Eab21) thienyl-substituted C1-6 alkoxy-carbonyl group (which may have at least one halogen atom as a substituent on the thiophene ring);

(Eab22) thiazolyl-substituted C1-6 alkoxy-carbonyl group (which may be substituted on the thiazole ring by at least one group selected from the group consisting of a C1-6 alkyl group and a phenyl

group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group));

(Eab23) tetrazolyl-substituted C1-6 alkoxy-carbonyl group (which may be substituted on the tetrazole ring by at least one group selected from the group consisting of a C1-6 alkyl group and a phenyl group (which may have at least one halogen atom as a substituent on the phenyl ring) as a substituent);

(Eab24) 2,3-dihydro-1H-indenyloxycarbonyl group;

(Eab25) adamantane-substituted C1-6 alkoxy-carbonyl group;

(Eab26) phenyl C3-6 alkynyloxycarbonyl group;

(Eab27) phenylthio C1-6 alkoxy-carbonyl group;

(Eab28) phenyl C1-6 alkoxy-substituted C1-6 alkoxy-carbonyl group;

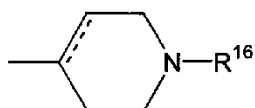
(Eab29) C2-6 alkenyloxycarbonyl group;

(Eab30) C2-6 alkynyloxycarbonyl group;

(Eab31) C3-8 cycloalkyl-substituted C1-6 alkoxy-carbonyl group; or

(Eab32) benzoyl-substituted C1-6 alkoxy-carbonyl group,

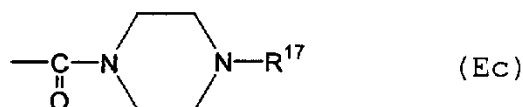
E12) a group represented by the following general formula (Eb):



(Eb)

wherein the dotted line represents that the bond may be a double bond, and R^{16} is defined as the same as R^{15} ;

E13) a group represented by the following general formula (Ec):



wherein R^{17} represents:

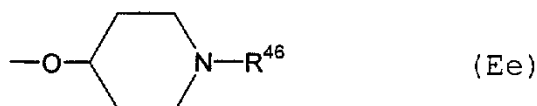
(Ec1) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ec2) C1-6 alkoxy carbonyl group; or

(Ec3) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

E14) pyridyl group;

E15) a group represented by the following general formula (Ee):



wherein R^{46} represents a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group as a substituent); phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); phenyl C1-6 alkoxy-carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or C1-6 alkoxycarbonyl group,

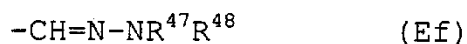
E16) phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

E17) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy

group);

E18) 8-azabicyclo[3,2,1]octyl group (which may be substituted on the 8-azabicyclo[3,2,1]octane ring by at least one phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) as a substituent);

E19) a group represented by the following general formula (Ef):



wherein R^{47} and R^{48} each identically or differently represent any one of a hydrogen atom, a C1-6 alkyl group, a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group), or a pyridyl group (which may be substituted on the pyridine ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group as a substituent); and further, R^{47} and R^{48} may bind to each other together with nitrogen atoms adjacent thereto directly or through other hetero atoms, so as to form a 5-7 membered saturated heterocyclic ring, which may be substituted on the heterocyclic ring by at least one phenyl group (which may be substituted on the phenyl

ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) as a substituent;

E20) phenyl C1-6 alkoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

E21) amino substituted C2-6 alkenyl group (which may be substituted on the amino group by at least one group selected from the group consisting of a C1-6 alkyl group and a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group)); or

E22) oxazolidinyl group (which may be substituted on the oxazolidine ring by at least one oxo group as a substituent),

a group represented by the following general formula (F):



wherein R^{19} and R^{20} each identically or differently represent any one of:

F1) hydrogen atom;

F2) C1-6 alkyl group;

F3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; an amino group which may have at least one group selected from the group consisting of a C1-6 alkyl group, and a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a piperazinyl group (which may be substituted on the piperazine ring by at least one phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) as a substituent); and a piperidyl group (which may be substituted on the piperidine ring by at least one

amino group which may have a group selected from the group consisting of a phenyl group (which may be substituted on the phenyl ring by at least one group selected from a group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) and a C1-6 alkyl group as a substituent));

F4) phenoxy C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

F5) amino C1-6 alkyl group (which may be substituted on the amino group by at least one group selected from the group consisting of a C1-6 alkyl group, a C1-6 alkoxycarbonyl group, and a phenyl group which may be substituted on the phenyl group by at least one group selected from a group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkyl group);

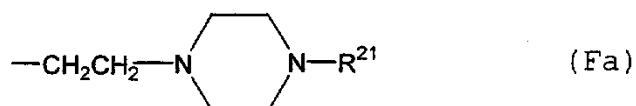
F6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or

unsubstituted C1-6 alkoxy group), and a C1-6 alkoxy-carbonyl group);

F7) C1-6 alkoxy-carbonyl group;

F8) phenyl C1-6 alkoxy-carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

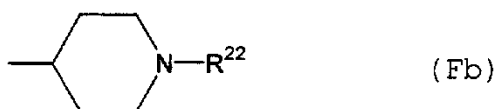
F9) a group represented by the following general formula (Fa):



wherein R^{21} represents a C1-6 alkoxy-carbonyl group; phenyl C1-6 alkoxy-carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkyl group); or phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-

6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

F10) 1-substituted-4-piperidyl group represented by the following formula (Fb):

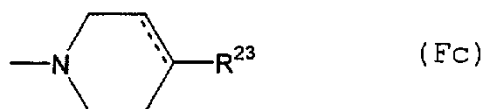


wherein R²² represents a C1-6 alkoxy carbonyl group; phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

F11) piperidyl C1-6 alkyl group (which may have at least one phenoxy group (which may have at least one halogen-substituted or unsubstituted C1-6 alkyl group as a substituent) as a substituent);

F12) in addition, R¹⁹ and R²⁰ may bind to each other together with nitrogen atoms adjacent thereto directly or through other hetero atoms or carbon atoms, so as to form a heterocyclic ring shown in any one of (F12-1) to (F12-10) indicated below:

(F12-1) a group represented by the following formula (Fc):



wherein the dotted line represents that the bond may be a double bond, and R²³ represents:

(Fc1) C1-6 alkyl group;

(Fc2) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc3) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; an amino group which may have, as a substituent, a group selected from the group consisting of a C1-6 alkyl group and a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the

group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a phenyl C1-6 alkoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); and a piperidyl group (which may have, on the piperidine ring, as a substituent, at least one amino group that may have a group selected from the group consisting of a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) and a C1-6 alkyl group));

(Fc4) phenyl C1-6 alkoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc5) biphenyl C1-6 alkoxy group;

(Fc6) phenyl C3-6 alkenyloxy group which may be substituted on the phenyl ring by at least one halogen atom;

(Fc7) phenoxy group (which may be substituted

on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc8) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc9) C1-6 alkoxy carbonyl group;

(Fc10) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc11) phenyl C1-6 alkyl carbamoyl group wherein at least one halogen may be substituted on the phenyl ring;

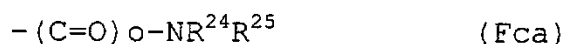
(Fc12) phenyl carbamoyl group (which may be substituted on the phenyl ring by at least one group selected from a group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc13) phenylthio group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc14) phenyl sulfoxide (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc15) pyridyl C1-6 alkoxy group; or

(Fc16) a group represented by the following general formula (Fca):



wherein o is the same as above, and each of R^{24} and R^{25} represents:

(Fca1) hydrogen atom;

(Fca2) C1-6 alkyl group;

(Fca3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca5) C1-6 alkanoyl group;

(Fca6) phenyl C2-6 alkanoyl group that may be substituted on the phenyl ring by at least one halogen atom;

(Fca7) benzoyl group (which may be substi-

tuted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca8) C1-6 alkoxy carbonyl group;

(Fca9) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

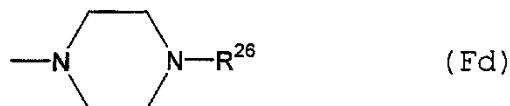
(Fca10) phenyl carbamoyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group);

(Fca11) piperidyl oxycarbonyl group (which may be substituted on the piperidine ring by at least one phenyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group) as a substituent); or

(Fca12) R^{24} and R^{25} may form a 5-6 membered saturated heterocyclic ring through nitrogen atoms adjacent thereto, which may be substituted on the heterocyclic ring by at least one group selected from the group consisting of a C1-6 alkoxy carbonyl group; a benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or

unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group; and a halogen-substituted or unsubstituted C1-6 alkoxy group); a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a phenyl C1-6 alkoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); a phenyl C2-6 alkenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); and a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

(F12-2) 4-substituted-1-piperazinyl group represented by the following general formula (Fd):



wherein R²⁶ represents:

(Fd1) hydrogen atom;

(Fd2) C1-6 alkyl group;

(Fd3) C3-8 cycloalkyl group;

(Fd4) C3-8 cycloalkyl C1-6 alkyl group;

(Fd5) C1-6 alkoxy carbonyl C1-6 alkyl group;

(Fd6) phenyl C2-6 alkenyl group;

(Fd7) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of: a halogen atom; a cyano group; a halogen-substituted or unsubstituted C1-6 alkyl group; C3-8 cycloalkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; an amino group which may have a C1-6 alkyl group as a substituent; a C1-6 alkoxy carbonyl group; a phenoxy group; a phenyl C1-6 alkyl group; a phenyl C2-6 alkenyl group; a pyridyl group; an imidazolyl group; and a piperidyl group);

(Fd8) biphenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy

group, and an amino group which may have a C1-6 alkyl group as a substituent);

(Fd9) naphthyl C1-6 alkyl group;

(Fd10) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a halogen atom; a cyano group; an amino group that may have a C1-6 alkyl group as a substituent; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; a C1-6 alkoxycarbonyl group; a carboxyl group, a phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); an amino C1-6 alkyl group (which may have on the amino group at least one group selected from the group consisting of a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) and a C1-6 alkyl group)); and a phenyl C1-6 alkoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group));

(Fd11) biphenyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl groups);

(Fd12) amino group, amino group which is substituted by a C1-6 alkoxy carbonyl group, phenyl C1-6 alkylamino group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group), or phenylamino group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted C1-6 alkyl group and a halogen atom);

(Fd13) benzoyl C1-6 alkyl group (which may have on the phenyl ring at least one halogen atom as a substituent);

(Fd14) phenyl carbamoyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group);

(Fd15) thiazolyl C1-6 alkyl group (which may be substituted on the thiazole ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6 alkyl group);

(Fd16) oxazolyl C1-6 alkyl group (which may be substituted on the oxazole ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6

alkyl group);

(Fd17) indolyl C1-6 alkyl group;

(Fd18) furyl C1-6 alkyl group (which may be substituted on the furan ring by at least one halogen-substituted or unsubstituted phenyl group);

(Fd19) imidazolyl C1-6 alkyl group (which may be substituted on the imidazole ring by a phenyl group);

(Fd20) quinolyl C1-6 alkyl group;

(Fd21) tetrazolyl group (which may be substituted on the tetrazole ring by a phenyl group);

(Fd22) pyrimidyl group which may be substituted by a phenyl group;

(Fd23) pyridyl group;

(Fd24) benzoxazolyl group;

(Fd25) benzothiazolyl group;

(Fd26) benzoxazolyl C1-6 alkyl group (which may have on the benzoxazole ring at least one oxo group as a substituent);

(Fd27) phenoxy C2-6 alkanoyl group which may be substituted on the phenyl ring by a halogen atom;

(Fd28) phenylthio C2-6 alkanoyl group which may be substituted on the phenyl ring by a halogen atom;

(Fd29) phenyl C2-6 alkanoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group,

and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fd30) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and an amino group which may have a C1-6 alkyl group as a substituent);

(Fd31) biphenylcarbonyl group;

(Fd32) pyridylcarbonyl group;

(Fd33) phenyl C2-6 alkenylcarbonyl group wherein a halogen atom may be substituted on the phenyl ring;

(Fd34) phenyl C1-6 alkylsulfonyl group wherein a halogen atom may be substituted on the phenyl ring;

(Fd35) benzenesulfonyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom and a C1-6 alkyl group);

(Fd36) a group represented by the following general formula (Fda):



wherein R^{27} represents:

(Fda1) halogen-substituted or unsubstituted C1-8 alkyl group;

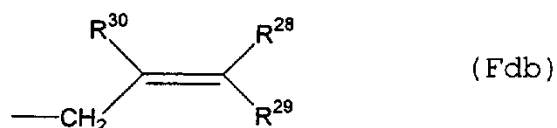
(Fda2) C3-8 cycloalkyl group;

(Fda3) C3-8 cycloalkyl-C1-6 alkyl group;

(Fda4) C1-6 alkoxy-C1-6 alkyl group;

(Fda5) amino-C1-6 alkyl group which may have a C1-6 alkyl group;

(Fda6) a group represented by the following general formula (Fdb):



wherein R^{28} , R^{29} , or R^{30} represent a hydrogen atom, a C1-6 alkyl group, or a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group), respectively;

(Fda7) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by 1 to 5 groups selected from the group consisting of: a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; a halogen-substituted or unsubstituted C1-6 alkylthio group; a phenyl C1-6 alkoxy group; a hydroxy group; a C1-6 alkylsulfinyl group; a C1-6 alkylsulfonyl group; C1-6 alkylsulfonyloxy group; a cyano group; a C1-6 alkanoyl group; a benzoyl group; a phenyl C1-6 alkyl group which may have a C1-6 alkoxy group in the alkyl portion; an amino group; a nitro

group; a carbamoyl group; a C1-6 alkanoylamino group; a C1-6 alkoxycarbonyl group; a C1-6 alkylaminocarbonyl group; a C1-6 alkoxycarbonylamino group; a tri-C1-6-alkylsiloxy group; a pyrrolyl group; a tetrahydro-pyranyloxy group; and an imidazolyl group);

(Fda8) biphenyl C1-6 alkyl group;

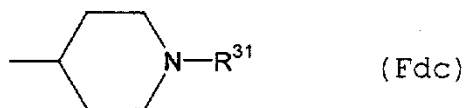
(Fda9) benzhydryl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom, a trifluoromethyl group, and a trifluoromethoxy group);

(Fda10) phenoxy C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fda11) phenyl C2-6 alkynyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group as a substituent);

(Fda12) pyridyl C1-6 alkyl group;

(Fda13) a group represented by the following general formula (Fdc):



wherein R³¹ represents a phenyl group (which may be substituted on the phenyl ring by at least one

group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

(Fda14) piperidino C1-6 alkyl group (which may be substituted on the piperidine ring by a phenoxy group which may have at least one halogen-substituted or unsubstituted alkyl group as a substituent on the phenyl ring);

(Fda15) amino C1-6 alkyl group which may have, as a substituent, at least one group selected from the group consisting of a C1-6 alkyl group and a phenyl group which may have, as a substituent, a halogen-substituted or unsubstituted C1-6 alkoxy group on the phenyl ring;

(Fda16) 1,2,3,6-tetrahydropyridyl C1-6 alkyl group (which may be substituted on the 1,2,3,6-tetrahydropyridine ring by at least one phenyl group

which may have, as a substituent, at least one halogen-substituted or unsubstituted C1-6 alkoxy group on the phenyl ring);

(Fda17) naphthyl C1-6 alkyl group;

(Fda18) fluorenyl C1-6 alkyl group;

(Fda19) pyridyl C1-6 alkyl group;

(Fda20) furyl C1-6 alkyl group (which may be substituted on the furan ring by a halogen-substituted or unsubstituted phenyl group);

(Fda21) thienyl C1-6 alkyl group;

(Fda22) oxazolyl C1-6 alkyl group (which may be substituted on the oxazole ring by a halogen atom or a halogen-substituted or unsubstituted phenyl group);

(Fda23) oxadiazolyl C1-6 alkyl group (which may be substituted on the oxadiazole ring by a halogen-substituted or unsubstituted phenyl group);

(Fda24) pyrazolyl C1-6 alkyl group (which may be substituted on the pyrazole ring by a halogen-substituted or unsubstituted phenyl group);

(Fda25) benzothienyl C1-6 alkyl group (which may be substituted on the benzothiophene ring by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fda26) thienyl C1-6 alkyl group that may be substituted on the thiophene ring by a halogen atom;

(Fda27) benzothiazolyl C1-6 alkyl group;

(Fda28) benzofuryl C1-6 alkyl group which may

be substituted on the benzofuran ring by a halogen atom;

(Fda29) indolinyl C1-6 alkyl group (which may be substituted on the indoline ring by at least one group selected from the group consisting of a C1-6 alkyl group and an oxo group);

(Fda30) benzoxazolyl C1-6 alkyl group (which may be substituted on the benzoxazole ring by at least one group selected from a group consisting of a halogen atom, a C1-6 alkyl group, and an oxo group);

(Fda31) chromenyl C1-6 alkyl group;

(Fda32) 1,2,3,4-tetrahydroquinolyl C1-6 alkyl group (which may be substituted on the quinoline ring by at least one group selected from the group consisting of a C1-6 alkyl group and an oxo group);

(Fda33) thiazolyl C1-6 alkyl group (which may be substituted on the thiazole ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted phenyl group, and a C1-6 alkyl group); or

(Fda34) tetrazolyl C1-6 alkyl group (which may be substituted on the tetrazole ring by a group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6 alkyl group);

(Fd37) a group represented by the following general formula (Fe):



(Fe)

wherein Z represents -C=O or -C=S , and R^{32} and R^{33} each identically or differently represent any one of:

(Fe1) hydrogen atom;

(Fe2) C1-6 alkyl group;

(Fe3) C3-8 cycloalkyl group;

(Fe4) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fe5) phenyl C2-6 alkenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fe6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); and

(Fe7) R^{32} and R^{33} may bind to each other together with nitrogen atoms adjacent thereto through other carbon atoms, so as to form a piperidine ring or 1,2,3,6-tetrahydropyridine ring, which may be

substituted on the piperidine or 1,2,3,6-tetrahydro-pyridine ring by a phenyl group, which may be substituted at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkyl group,

(Fd38) a group represented by the following general formula (Ff):

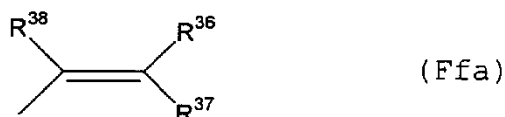


wherein R^{34} represents a hydrogen atom or C1-6 lower alkyl group, and R^{35} represents:

(Ff1) C3-8 cycloalkyl group;

(Ff2) C3-8 cycloalkenyl group;

(Ff3) a group represented by the following general formula (Ffa):



wherein each of R^{36} , R^{37} , and R^{38} represents: a hydrogen atom; C1-6 alkyl group; phenyl group (which may be substituted on the phenyl ring by at least one 1 to 5 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a C1-4 alkylenedioxy group, a C1-6 alkylsulfonyl group, a halogen-substituted or unsubstituted C1-6 alkylthio group, a nitro group, and

an amino group which may have a C1-6 alkanoyl group as a substituent); benzofuryl group (which may be substituted on the benzofuran ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); biphenyl group; furyl group (which may be substituted on the furan ring by a phenyl group which may have a halogen atom as a substituent); or thiazolyl group (which may be substituted on the thiazole ring by at least one phenyl group which may have a halogen atom as a substituent),

(Ff4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a C3-8 cycloalkyl group; a hydroxyl group; a halogen-substituted or unsubstituted C1-8 alkoxy group; a C3-8 cycloalkoxy group; a C1-4 alkylenedioxy group; a cyano group; a nitro group; a phenyl C2-6 alkenyl group; a C2-6 alkanoyloxy group; an amino group which may have a C1-6 alkanoyl group as a substituent; a C1-6 alkyl-sulfonylamino group; a phenyl C1-6 alkoxy group; a phenoxy group; an amino group which has at least one C1-6 alkyl group as a substituent; an amino group which has at least one phenyl group as a substituent; an amino C1-6 alkoxy group which may have at least one C1-6 alkyl group as a substituent; a C1-6 alkoxycarbonyl

group; a C1-6 alkoxycarbonyl C1-6 alkoxy group; a C1-6 alkylthio group; a pyrrolyl group; an imidazolyl group; a piperidyl group; a morpholino group; a pyrrolidinyl group; a thienyl group; a benzofuryl group; a piperazinyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of a C1-6 alkyl group, a phenyl C1-6 alkyl group, and a benzoyl group which may have at least one C1-6 alkyl group as a substituent); a quinolyl group which may be substituted on the quinoline ring by at least one group selected from the group consisting of a C1-6 alkoxy group and an oxo group; a piperidylcarbonyl group which may be substituted on the piperidine ring by a carbostyryl group; and a triazolyl group);

(Ff5) naphthyl group which may be substituted on the naphthalene ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkoxy group, and an amino group which may have a C1-6 alkyl group as a substituent;

(Ff6) biphenyl group (which may be substituted on the biphenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-9 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ff7) fluorenyl group; pyrenyl group;

(Ff8) benzofuryl group (which may be substituted on the benzofuran ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ff9) benzothienyl group (which may be substituted on the benzothiophene ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ff10) pyridyl group (which may be substituted on the pyridine ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group), a furyl group, and a thienyl group);

(Ff11) furyl group (which may be substituted on the furan ring by 1 to 3 groups selected from the group consisting of a C1-6 alkyl group, a nitro group, and a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-

substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a nitro group));

(Ff12) benzothiazole group (which may have, on the benzothiazole ring, at least one phenyl group that may have, as a substituent, a C1-6 alkoxy group on the phenyl ring);

(Ff13) thienyl group (which may have, on the thiophene ring, at least one group selected from the group consisting of a halogen atom, a nitro group, a C1-6 alkyl group, a pyrazolyl group which may be substituted on the pyrazole ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group as a substituent, and a thienyl group which may have a halogen atom on the thiophene ring);

(Ff14) indolyl group (which may be substituted on the indole ring by at least one group selected from the group consisting of a phenylsulfonyl group which may have a C1-6 alkyl group as a substituent, a phenyl C1-6 alkyl group, a C1-6 alkoxycarbonyl group, and a phenyl group);

(Ff15) pyrrolyl group (which may be substituted on the pyrrole ring by at least one group selected from the group consisting of a phenyl group which may be substituted by at least one halogen-substituted or unsubstituted C1-6 alkyl group, and a C1-6 alkyl group);

(Ff16) coumaryl group;

(Ff17) benzimidazolyl group (which may be substituted on the benzimidazole ring by at least one thienyl group as a substituent);

(Ff18) oxazolyl group (which may be substituted on the oxazole ring by at least one phenyl group that may have a halogen atom as a substituent);

(Ff19) thiazolyl group (which may be substituted on the thiazole ring by at least one phenyl group, wherein at least one group selected from the group consisting of a halogen atom, a nitro group, and a phenyl group);

(Ff20) quinolyl group;

(Ff21) 3,4-dihydrocarbostyryl group (which may be substituted on the 3,4-dihydrocarbostyryl ring by at least one group selected from the group consisting of a C1-6 alkoxy group, a C1-6 alkyl group, and a phenyl C1-6 alkoxy group), or carbostyryl group (which may be substituted on the carbostyryl ring by at least one group selected from the group consisting of a C1-6 alkoxy group, a C1-6 alkyl group, and a phenyl C1-6 alkoxy group);

(Ff22) imidazo[2,1-b]thiazolyl group;

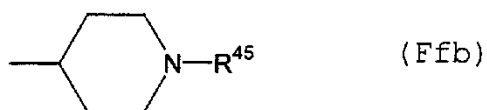
(Ff23) imidazo[2,1-a]pyridyl group;

(Ff24) chromanyl group (which may be substituted on the chroman ring by at least one C1-6 alkyl group); or

(Ff25) 2,3-dihydrobenzofuryl group, or

(Fd39) a group represented by the following

general formula (Ffb):



wherein R⁴⁵ represents: a C1-6 alkoxy carbonyl group; phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); amino substituted C1-6 alkyl group which may have, on the amino group, a group selected from a group consisting of a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) and a C1-6 alkyl group as a substituent; benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); phenyl C1-6

alkoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or phenyl C2-6 alkenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

(F12-3) morpholino group;

(F12-4) imidazolyl group;

(F12-5) 1,4-dioxazaspiro[4,5]decyl group (which may be substituted on the 1,4-dioxazaspiro-[4,5]decane ring by at least one oxo group as a substituent);

(F12-6) homopiperazinyl group (which may be substituted on the homopiperazine ring by at least one group selected from the group consisting of a C1-6 alkoxycarbonyl group, a phenyl C1-6 alkoxycarbonyl group, and a phenyl-substituted or unsubstituted phenyl group as a substituent);

(F12-7) piperazinyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of an oxo group, a C1-6 alkyl group, and a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by

at least one halogen-substituted or unsubstituted C1-6 alkyl group));

(F12-8) piperidyl group (which may be substituted on the piperidine ring by at least one oxo group as a substituent);

(F12-9) pyrrolidinyl group (which may be substituted on the pyrrolidine ring by at least one phenoxy C1-6 alkyl group that may have a halogen-substituted or unsubstituted C1-6 alkoxy group as a substituent); and

(F12-10) isoindolinyl group,

F13) moreover, R^{19} and R^{20} may bind to each other together with nitrogen atoms adjacent thereto directly or through hetero atoms, so as to form a cyclic imide or amide shown in any one of (F13-1) to (F13-11) indicated below:

(F13-1) succinimide group;

(F13-2) oxazolidinyl group (which may be substituted on the oxazolidine ring by at least one oxo group as a substituent);

(F13-3) benzo-1,3-oxazolidinyl group (which may be substituted on the benzo-1,3-oxazolidine ring by at least one group selected from the group consisting of an oxo group, a halogen atom, and a phenyl group as a substituent);

(F13-4) imidazolidinyl group (which may be substituted on the imidazolidine ring by at least one group selected from the group consisting of an oxo

group, a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom and a C1-6 alkoxy group), and a phenyl group);

(F13-5) benzimidazolidinyl group (which may be substituted on the benzimidazolidine ring by at least one group selected from the group consisting of: an oxo group; a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; an amino group which may have a C1-6 alkyl group as a substituent; a C1-6 alkoxycarbonyl group; and a piperidyl group (which may be substituted on the piperidine ring by at least one group selected from the group consisting of a C1-6 alkyl group, a phenyl group wherein 1 to 3 halogen atoms may be substituted on the phenyl ring, a C1-6 alkoxycarbonyl group, and a phenyl C1-6 alkoxycarbonyl group as a substituent));

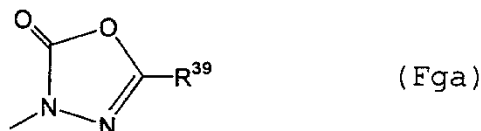
(F13-6) phthalimide group;

(F13-7) indolinyl group (which may have on the indoline ring at least one group selected from the group consisting of a C1-6 alkyl group, a halogen atom, and an oxo group as a substituent);

(F13-8) 2,3-dihydrobenzothiazolyl group (which may have at least one oxo group on the 2,3-dihydrobenzothiazole ring);

(F13-9) 1H-2,4-benzoxazinyl group (which may be substituted on the 1H-2,4-benzoxazine ring by at least one oxo group as a substituent);

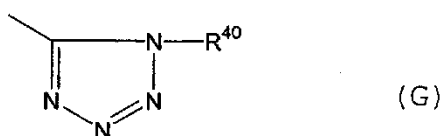
(F13-10) a group represented by the following general formula (Fga):



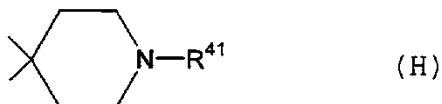
wherein R^{39} represents: a hydrogen atom; a phenyl C1-6 alkyl group which may have, as a substituent, a halogen atom on the phenyl ring; phenoxy C1-6 alkyl group which may have, as a substituent, a halogen atom on the phenyl ring; phenyl C2-6 alkenyl group which may have, as a substituent, a halogen atom on the phenyl ring; phenyl group which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a phenyl group as a substituent; pyridyl group; or pyrazinyl group, and

(F13-11) 1,3-thiazolidinyl group (which may be substituted on the 1,3-thiazolidine ring by at least one group selected from a group consisting of an oxo group and a phenyl C1-6 alkylidene group which may have a halogen-substituted or unsubstituted C1-6 alkyl group on the phenyl ring as a substituent),

a group represented by the following general formula (G):



wherein R^{40} represents a C1-6 alkyl group, or halogen-substituted or unsubstituted phenyl group, a spiro ring group represented by the following general formula (H):



wherein R^{41} represents:

- H1) hydrogen atom;
- H2) C1-6 alkyl group;
- H3) phenyl C1-6 alkyl group that may have a phenyl group as a substituent on the phenyl ring;
- H4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; an amino group (which may be substituted on the amino group by at least one group selected from the group consisting of a C1-6 alkyl group and a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group))); an phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a

halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); and piperidyl group (which may be substituted on the piperidine ring by at least one group selected from the group consisting of phenoxy groups (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group) as a substituent));

H5) piperazinyl C1-6 alkyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of a C1-6 alkoxy-carbonyl group and a phenyl C1-6 alkoxy-carbonyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a phenyl group);

H6) piperazinyl-carbonyl C1-6 alkyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of: a C1-6 alkoxy-carbonyl group; a phenyl C1-6 alkoxy-carbonyl group which may have, as a substituent, a halogen-substituted or unsubstituted C1-6 alkyl group on the phenyl ring; and a phenyl C1-6 alkyl group which may have, as a substituent, at least one group selected

from the group consisting of a halogen-substituted or unsubstituted C1-6 alkyl group and a phenyl group on the phenyl ring);

H7) phenylcarbamoyl C1-6 alkyl group which may have at least one halogen-substituted or unsubstituted C1-6 alkyl group as a substituent on the phenyl ring;

H8) benzoxazolyl C1-6 alkyl group (which may have at least one oxo group as a substituent on the benzoxazole ring);

H9) benzothiazolyl group;

H10) tetrazolyl group (which may have at least one phenyl group as a substituent on the tetrazole ring);

H11) C1-6 alkylsulfonyl group;

H12) phenylsulfonyl group which may have at least one C1-6 alkyl group as a substituent on the phenyl ring;

H13) phenylthiocarbamoyl group which may be substituted on the phenyl ring by at least one halogen atom as a substituent;

H14) C1-8 alkoxy carbonyl group;

H15) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a C1-6 alkoxy carbonyl group, an amino group which may have a C1-6 alkoxy carbonyl group as a substituent, a halogen-substituted or unsubstituted C1-6 alkyl

group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a nitro group, and a C1-6 alkylthio group);

H16) benzhydryloxycarbonyl group (which may be substituted on the phenyl ring by at least one halogen atom);

H17) C1-6 alkoxycarbonyl group which may have a phenyl-substituted or unsubstituted phenyl group;

H18) naphthyl C1-6 alkoxycarbonyl group;

H19) pyridyl C1-6 alkoxycarbonyl group;

H20) C1-6 alkoxy-substituted C1-6 alkoxy-carbonyl group;

H21) piperazinyl C1-6 alkoxycarbonyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of a C1-6 alkoxycarbonyl group and a phenyl C1-6 alkyl group (which may have at least one halogen atom as a substituent on the phenyl ring) as a substituent);

H22) phenoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a C1-6 alkyl group and a C1-6 alkoxy group);

H23) C1-6 alkanoyl group;

H24) benzoyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group);

H25) phenyl C1-6 alkanoyl group (which may be substituted on the phenyl ring by at least one halogen-

substituted or unsubstituted C1-6 alkyl group);

H26) phenoxy C1-6 alkanoyl group (wherein 1 to 3 halogen atoms may be substituted on the phenyl ring);

H27) piperaziny1 C2-6 alkanoyl group (which may be substituted on the piperazine ring by at least one group selected from a group consisting of: a C1-6 alkanoyl group; a phenyl C1-6 alkyl group which may have, as a substituent, at least one group selected from the group consisting of a phenyl group, a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group, on the phenyl ring; a phenyl C1-6 alkoxycarbonyl group which may have, as a substituent, at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group, on the phenyl ring; a phenylcarbamoyl C1-6 alkyl group which may have, as a substituent, at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group, on the phenyl ring; a phenylcarbamoyl group which may have, as a substituent, at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group,

on the phenyl ring; and a benzoxazolyl group);

H28) phenylcarbamoyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom, an amino group which may have a C1-6 alkyl group as a substituent, a carboxyl group, a C1-6 alkoxy carbonyl group, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a piperazinyl group which may have a C1-6 alkyl group as a substituent on the piperazine ring, and a morpholino group);

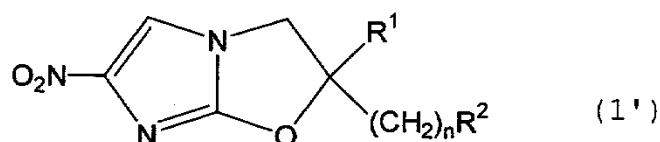
H29) phenyl C1-6 alkylcarbamoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted C1-6 alkyl group and a halogen-substituted or unsubstituted C1-6 alkoxy group); or

H30) piperazinylcarbonyl group (which may be substituted on the piperazine ring by at least one group selected from the group consisting of a C1-6 alkoxy carbonyl group, a phenyl C1-6 alkoxy carbonyl group which may have at least one halogen-substituted or unsubstituted C1-6 alkyl group on the phenyl ring, and a phenyl C1-6 alkyl group which may have a halogen-substituted or unsubstituted C1-6 alkyl group on the phenyl ring),

provided that, in the above general formula (1), when R^1 represents a hydrogen atom and R^2

represents a group represented by the above general formula (A), then R^3 cannot be an isopropyl group; when R^1 represents a hydrogen atom, R^2 represents a group represented by the above general formula (E), and m is 0, then R^{11} cannot be a hydrogen atom; and further, when R^1 represents a hydrogen atom and R^2 represents a group represented by the above general formula (F), then it is not possible that R^{19} represents a hydrogen atom and R^{20} represents a tert-butoxycarbonyl group.

2. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound represented by the following general formula (1'), optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1:



wherein R^1 represents a hydrogen atom or C1-6 alkyl group, n represents an integer of 0 to 6, and R^2 represents a group represented by general formula (A'), (B'), (C'), (D'), (E'), (F') or (G') indicated below, and further, R^1 and $-(CH_2)_nR^2$ may bind to each other together with carbon atoms adjacent thereto through nitrogen atoms, so as to form a spiro ring represented by general formula (H') indicated below:

a group represented by the following general formula (A'):



wherein R^3 represents:

- A1) hydrogen atom;
- A2) C1-6 alkyl group;
- A3) C1-6 alkoxy-C1-6 alkyl group
- A4) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a benzyloxy group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);
- A5) biphenyl C1-6 alkyl group;
- A6) cinnamyl group;
- A7) methanesulfonyl group;
- A8) benzenesulfonyl group that may be substituted by a methyl group;
- A9) C1-6 alkanoyl group;
- A10) a group represented by the following general formula (Aa'):



wherein R^4 represents a C1-6 alkoxy carbonyl group, phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a benzyloxy group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group), or phenyl C1-6 alkyl group (which

may be substituted on the phenyl ring by at least one group selected from the group consisting of a benzyloxy group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

A11) biphenyl C1-6 alkoxy carbonyl group;

A12) 2-(2-oxo-3-benzoxazolyl)ethyl group;

A13) 2-benzoxazolyl group; or

A14) 2-phenyl-5-methyl-4-oxazolylmethyl group,

a group represented by the following general formula (B'):



wherein R^5 represents a 5-(1H)-tetrazolyl group (wherein position 1 may be substituted by a C1-6 alkyl group, or halogen-substituted or unsubstituted phenyl group), or 2-benzoxazolyl group,

a group represented by the following general formula (C'):



wherein R^6 represents a C1-6 alkyl group, a carbamoyloxy group represented by the following general formula (D'):



wherein R^7 and R^8 each identically or differently represent any one of:

D1) hydrogen atom;

D2) C1-8 alkyl group;

D3) halogen-substituted C1-6 alkyl group;

D4) C1-6 alkoxy carbonyl-C1-6 alkyl group;

D5) C5-8 cycloalkyl group;

D6) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

D7) phenyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a C1-6 alkanoyl group, a carboxyl group, a C1-6 alkoxy carbonyl group, a benzyloxycarbonyl group, a carbamoyl group, a C1-6 alkyl carbamoyl group, an aminosulfonyl group, and a morpholino group);

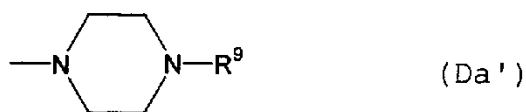
D8) 1-naphthyl group;

D9) 4-pyridyl group; and

D10) R^7 and R^8 may bind to each other together with nitrogen atoms adjacent thereto directly or through other hetero atoms or carbon atoms, so as to form a saturated heterocyclic group shown in any one of (D10-1) to (D10-3) indicated below, or benzene condensed heterocyclic group shown in any one of (D10-4) to (D10-7) indicated below:

(D10-1) a piperazinyl group represented by

the following general formula (Da'):



wherein R^9 represents:

(Da1) hydrogen atom;

(Da2) C1-6 alkyl group;

(Da3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Da4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group);

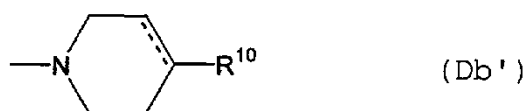
(Da5) C1-6 alkoxy carbonyl group;

(Da6) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Da7) 4-trifluoromethylcinnamyl oxycarbonyl group; or

(Da8) 4-trifluoromethylbenzylideneamino group,

(D10-2) a group represented by the following general formula (Db'):



wherein the dotted line represents that the bond may be a double bond, and R^{10} represents:

(Db1) hydrogen atom;

(Db2) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Db3) phenoxy group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group); or

(Db4) phenylamino group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group), and

(D10-3) a morpholino group;

(D10-4) halogen-substituted or unsubstituted 1-indolinyl group;

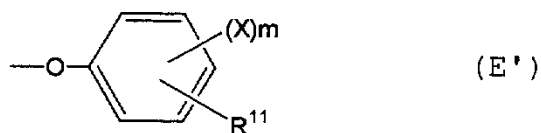
(D10-5) halogen-substituted or unsubstituted 2-isoindolinyl group;

(D10-6) halogen-substituted or unsubstituted

1,2,3,4-tetrahydro-1-quinolinyl group; and

(D10-7) halogen-substituted or unsubstituted
1,2,3,4-tetrahydro-2-isoquinolinyl group,

a phenoxy group represented by the following
general formula (E'):



wherein X represents a halogen atom, m
represents an integer of 0 to 3, and R¹¹ represents:

E1) hydrogen atom;

E2) halogen-substituted or unsubstituted C1-6
alkyl group;

E3) halogen-substituted or unsubstituted C1-6
alkoxy group;

E4) morpholino group;

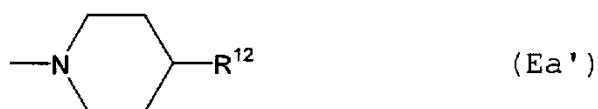
E5) thiomorpholino group;

E6) S-oxide thiomorpholino group;

E7) 1-imidazolyl group;

E8) 1-triazolyl group;

E9) piperidinyl group represented by the
following general formula (Ea'):



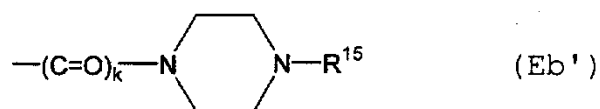
wherein R¹² represents:

(Ea1) hydrogen atom;

(Ea2) C1-6 alkoxycarbonyl group; or

(Ea3) phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

E10) a group represented by the following formula (Eb'):



wherein k represents an integer of 0 or 1, and R^{15} represents:

(Eb1) hydrogen atom;

(Eb2) C1-6 alkyl group;

(Eb3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb5) C1-6 alkanoyl group;

(Eb6) phenyl C2-6 alkanoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb7) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb8) C1-8 alkoxy carbonyl group (which may be substituted on the alkoxy group by at least one group selected from the group consisting of a halogen atom, a di(C1-6 alkyl)amino group, and a C1-6 alkoxy group);

(Eb9) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb10) phenyl C3-6 alkenyloxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

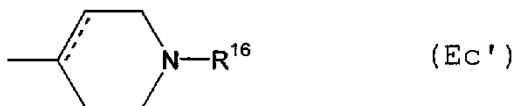
(Eb11) phenoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb12) phenyl C1-6 alkylcarbamoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Eb13) phenylcarbamoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or

(Eb14) 2-benzofuranylmethyloxycarbonyl group which may be substituted by a halogen atom on the benzene ring,

E11) a group represented by the following general formula (Ec'):



wherein the dotted line represents that the bond may be a double bond, and R¹⁶ represents:

(Ec1) hydrogen atom;

(Ec2) C1-6 alkyl group;

(Ec3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ec4) C1-8 alkoxy carbonyl group; or

(Ec5) phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

a group represented by the following general formula (F'):



wherein R^{19} and R^{20} each identically or differently represent any one of:

F1) hydrogen atom;

F2) C1-6 alkyl group;

F3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a dimethylamino group);

F4) phenoxy C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

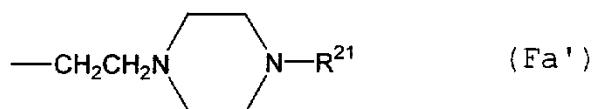
F5) N-methylamino C1-6 alkyl group (wherein, the position N may be substituted by a C1-6 alkoxycarbonyl group, or phenyl group that may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkyl group);

F6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom and a C1-6 alkoxy-carbonyl group);

F7) C1-6 alkoxycarbonyl group;

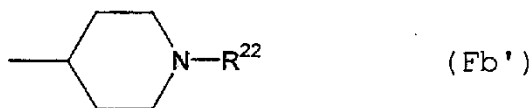
F8) phenyl C1-6 alkoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

F9) 4-substituted-1-piperazinyloethyl group represented by the following general formula (Fa'):



wherein R^{21} represents a C1-6 alkoxy carbonyl group; phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkyl group); or phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

F10) 1-substituted-4-piperidinyl group represented by the following formula (Fb'):



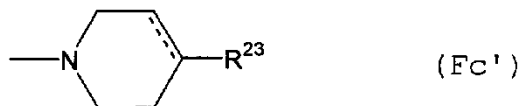
wherein R^{22} represents a C1-6 alkoxy carbonyl group; phenyl C1-6 alkoxy carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy

group); or phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

F11) 2-[4-(4-trifluoromethylphenoxy)-1-piperidinyl]ethyl group;

F12) in addition, R^{19} and R^{20} may bind to each other together with nitrogen atoms adjacent thereto directly or through other hetero atoms or carbon atoms, so as to form a heterocyclic ring shown in any one of (F12-1) to (F12-10) indicated below:

(F12-1) a group represented by the following formula (Fc'):



wherein the dotted line represents that the bond may be a double bond, and R^{23} represents:

(Fc1) C1-6 alkyl group;

(Fc2) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc3) phenyl group (which may be substituted

on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc4) phenyl C1-6 alkoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc5) 4-biphenyl C1-6 alkoxy group;

(Fc6) phenyl C3-6 alkenyloxy group which may be substituted on the phenyl ring by a halogen atom;

(Fc7) phenoxy group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc8) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc9) C1-6 alkoxycarbonyl group;

(Fc10) phenyl C1-6 alkoxycarbonyl group

(which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc11) phenyl C1-6 alkylcarbamoyl group wherein a halogen atom may be substituted on the phenyl ring;

(Fc12) phenylcarbamoyl group wherein a halogen atom may be substituted on the phenyl ring;

(Fc13) phenylthio group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc14) phenyl sulfoxide group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fc15) pyridylmethoxy group; or

(Fc16) a group represented by the following general formula (Fca'):



wherein each of R^{24} and R^{25} represents:

(Fca1) hydrogen atom;

(Fca2) C1-6 alkyl group;

(Fca3) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca4) phenyl group (which may be substituted

on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca5) C1-6 alkanoyl group;

(Fca6) phenylacetyl group which may be substituted on the phenyl ring by a halogen atom;

(Fca7) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca8) C1-6 alkoxycarbonyl group;

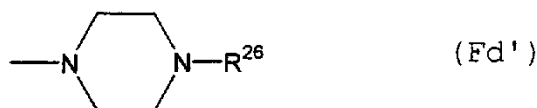
(Fca9) phenyl C1-6 alkoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fca10) phenylcarbamoyl group (which may be substituted by at least one halogen-substituted or unsubstituted C1-6 alkoxy group on the phenyl ring);

(Fca11) 1-(4-trifluoromethylphenyl)-4-piperidinyloxycarbonyl group; or

(Fca12) R^{24} and R^{25} may form a piperidine ring through nitrogen adjacent thereto,

(F12-2) 4-substituted-1-piperaziny1 group represented by the following general formula (Fd'):



wherein R²⁶ represents:

(Fd1) hydrogen atom;

(Fd2) C1-6 alkyl group;

(Fd3) C5-8 cycloalkyl group;

(Fd4) C5-8 cycloalkyl-C1-6 alkyl group;

(Fd5) C1-6 alkoxy carbonyl-C1-6 alkyl group;

(Fd6) cinnamyl group;

(Fd7) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom; a cyano group; a halogen-substituted or unsubstituted C1-6 alkyl group; a cyclohexyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; a dimethylamino group; a C1-6 alkoxy carbonyl group; a phenoxy group; a phenyl C1-6 alkyl group; a styryl group; a 3-pyridyl group; a 1-imidazolyl group; and a 1-piperidino group);

(Fd8) biphenylmethyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a dimethylamino group);

(Fd9) 1- or 2-naphthylmethyl group;

(Fd10) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a halogen atom; a cyano group; a dimethylamino group; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; a C1-6 alkoxy-carbonyl group, and a carboxyl group);

(Fd11) biphenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of halogen-substituted or unsubstituted C1-6 alkyl groups);

(Fd12) amino group, amino group substituted by a C1-6 alkoxy-carbonyl group, benzylamino group, trifluoromethylbenzylamino group, or phenylamino group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted C1-6 alkyl group and a halogen atom);

(Fd13) 4-chlorobenzoylmethyl group;

(Fd14) phenylcarbamoylmethyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group);

(Fd15) 4- or 5-thiazolylmethyl group (which may be substituted on the thiazole ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6 alkyl group);

(Fd16) 4-oxazolylmethyl group (which may be substituted on the oxazole ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6 alkyl group);

(Fd17) 2-indolylmethyl group;

(Fd18) 2-furylmethyl group (which may be substituted on the furan ring by at least one halogen-substituted or unsubstituted phenyl group);

(Fd19) 4- or 5-imidazolylmethyl group (which may be substituted on the imidazole ring by a phenyl group);

(Fd20) 2-quinolylmethyl group;

(Fd21) 5-(1H)-tetrazolyl group (wherein the position-1 of the tetrazole ring may be substituted by a phenyl group);

(Fd22) 2- or 4-pyrimidyl group that may be substituted by a phenyl group;

(Fd23) 2-, 3-, or 4-pyridyl group;

(Fd24) 2-benzoxazolyl group;

(Fd25) 2-benzothiazolyl group;

(Fd26) 2-oxo-3-benzoxazolyl-C1-6 alkyl group;

(Fd27) phenoxy C2-6 alkanoyl group which may be substituted on the phenyl ring by a halogen atom;

(Fd28) phenylthio C2-6 alkanoyl group that may be substituted on the phenyl ring by a halogen atom;

(Fd29) phenyl C2-6 alkanoyl group (which may

be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fd30) benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a C1-6 alkylamino group);

(Fd31) 4-biphenylylcarbonyl group;

(Fd32) 2-, 3-, or 4-pyridylcarbonyl group;

(Fd33) cinnamoyl group wherein a halogen atom may be substituted on the phenyl ring;

(Fd34) phenyl C1-6 alkylsulfonyl group which may be substituted by a halogen atom on the phenyl ring;

(Fd35) benzenesulfonyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a chlorine atom and a methyl group);

(Fd36) a group represented by the following general formula (Fda'):



wherein R^{27} represents:

(Fda1) halogen-substituted or unsubstituted C1-8 alkyl group;

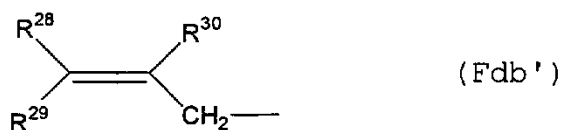
(Fda2) C5-8 cycloalkyl group;

(Fda3) C5-8 cycloalkyl-C1-6 alkyl group;

(Fda4) C1-6 alkoxy-C1-6 alkyl group;

(Fda5) C1-6 alkylamino-C1-6 alkyl group;

(Fda6) a group represented by the following general formula (Fdb'):



wherein R^{28} , R^{29} and R^{30} represent a hydrogen atom, a C1-6 alkyl group, or a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group), respectively;

(Fda7) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by 1 to 5 groups selected from the group consisting of a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a halogen-substituted or unsubstituted C1-6 alkoxy group; a halogen-substituted or unsubstituted C1-6 alkylthio group; a phenyl C1-6 alkoxy group; a hydroxy group; a methylsulfinyl group; a methanesulfonyl group; methanesulfonyloxy group; a cyano group; an acetyl group; a benzoyl group; an α,α -dimethoxybenzyl group, an amino group, a nitro group; a carbamoyl group; an acetylamino group; a C1-6 alkoxycarbonyl group; a C1-6

alkylaminocarbonyl group; a C1-6 alkoxy-carbonylamino group; a tri-C1-6-alkylsiloxy group; a pyrrolyl group; a tetrahydropyranyloxy group; and an 1-imidazolyl group);

(Fda8) biphenyl C1-6 alkyl group;

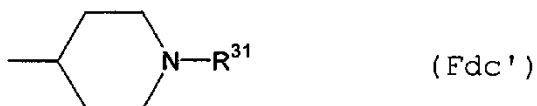
(Fda9) benzhydryl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom, a trifluoromethyl group, and a trifluoromethoxy group);

(Fda10) phenoxy C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from a group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fda11) 3-(4-trifluoromethyl)phenyl-2-propynyl group;

(Fda12) 2-, 3-, or 4-pyridylmethyl group;

(Fda13) a group represented by the following general formula (Fdc'):



wherein R³¹ represents a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a cyano group, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or

unsubstituted C1-6 alkoxy group); phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); or benzoyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group),

(Fda14) 1-piperidinoethyl group (wherein the position-4 of the piperidine ring may be substituted by a 4-trifluoromethylphenoxy group);

(Fda15) N-methyl-N-(4-trifluoromethoxy)-phenylaminoethyl group;

(Fda16) 4-(4-trifluoromethoxyphenyl)-1,2,3,6-tetrahydro-1-pyridinylethyl group;

(Fda17) 1- or 2-naphthylmethyl group;

(Fda18) 1-, 2-, 3-, 4-, or 9-fluorenylmethyl group;

(Fda19) 2-, 3-, or 4-pyridylmethyl group;

(Fda20) 2-furylmethyl group (wherein the position-4 of the furan ring may be substituted by a halogen-substituted or unsubstituted phenyl group);

(Fda21) 3-thienylmethyl group;

(Fda22) 4-oxazolylmethyl group (wherein the position-2 of the oxazoline ring may be substituted by

a halogen atom or chlorophenyl group);

(Fda23) 4-thiazolylmethyl group which may be substituted by a halogen atom;

(Fda24) 5-oxadiazolylmethyl group wherein the position-2 of the oxadiazoline ring may be substituted by a halogen-substituted or unsubstituted phenyl group;

(Fda25) 3-pyrazolylmethyl group wherein the position-1 of the pyrazoline ring may be substituted by a halogen-substituted or unsubstituted phenyl group;

(Fda26) 2- or 3-benzothiophenylmethyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fda27) benzoxazol-2-ylmethyl group which may be substituted by a halogen atom on the benzene ring;

(Fda28) 2-thienylmethyl group which may be substituted by a halogen atom;

(Fda29) 2-benzothiazolylmethyl group;

(Fda30) 2-(5-chloro)benzofuranylmethyl group;

(Fda31) 3,3-dimethyl-2-oxo-5-indolinylmethyl group (wherein the position-1 of the indoline ring may be substituted by a C1-6 alkyl group);

(Fda32) 2-oxo-6-benzoxazolylmethyl group (wherein the position-1 of the benzoxazoline ring may be substituted by a C1-6 alkyl group);

(Fda33) 7-chromenylmethyl group;

(Fda34) 2-oxo-1,2,3,4-tetrahydro-6-quinolyl-

methyl group (wherein the position-1 of the quinoline ring may be substituted by a C1-6 alkyl group);

(Fda35) 5-thiazolylmethyl group (which may be substituted on the thiazole ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6 alkyl group); or

(Fda36) 5-(1H)-tetrazolyl C1-6 alkyl group (wherein the position-1 of the tetrazole ring may be substituted by a group selected from the group consisting of a halogen-substituted or unsubstituted phenyl group and a C1-6 alkyl group),

(Fd37) a group represented by the following general formula (Fe'):



wherein Z represents -C=O or -C=S, and R³² and R³³ each identically or differently represent any one of:

(Fe1) hydrogen atom;

(Fe2) C1-6 alkyl group;

(Fe3) C5-8 cycloalkyl group;

(Fe4) phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fe5) phenyl C2-6 alkenyl group (which may be

substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Fe6) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); and

(Fe7) R^{32} and R^{33} may bind to each other together with nitrogen atoms adjacent thereto through other carbon atoms, so as to form a piperidine ring or 1,2,3,6-tetrahydropyridine ring, wherein the position-4 of the piperidine ring or 1,2,3,6-tetrahydropyridine ring may be substituted by a phenyl group, and the phenyl group may be substituted by at least one group selected from the group consisting of a halogen atom and a halogen-substituted or unsubstituted C1-6 alkyl group, or

(Fd38) a group represented by the following general formula (Ff'):

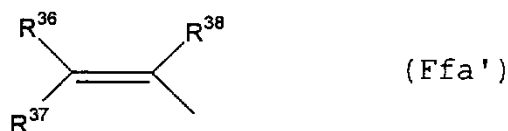


wherein R^{34} represents a hydrogen atom or C1-6 lower alkyl group, and R^{35} represents:

(Ff1) C5-8 cycloalkyl group;

(Ff2) C5-8 cycloalkenyl group;

(Ff3) a group represented by the following general formula (Ffa'):



wherein each of R³⁶, R³⁷ and R³⁸ represents: a hydrogen atom; C1-6 alkyl group; phenyl group (which may be substituted on the phenyl ring by at least one 1 to 5 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a methylenedioxy group, a methanesulfonyl group, a halogen-substituted or unsubstituted C1-6 alkylthio group, a nitro group, and an acetylamino group); 2-benzofuranyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group); 4-biphenyl group; 2-furyl group which may be substituted by a 4-chlorophenyl group; or 2-(4-chlorophenyl)-4-thiazolyl group,

(Ff4) phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of: a halogen atom; a halogen-substituted or unsubstituted C1-6 alkyl group; a C5-8

cycloalkyl group; a hydroxy group; a halogen-substituted or unsubstituted C1-8 alkoxy group; a C5-8 cycloalkoxy group; a methylenedioxy group; an ethylenedioxy group; a cyano group; a nitro group; a cinnamyl group; a C1-6 alkanoyloxy group; a C1-6 alkanoylamino group; a methanesulfonylamino group; a phenyl C1-6 alkoxy group; a phenoxy group; a di(C1-6 alkyl)amino group; a diphenylamino group; a di(C1-6 alkyl)amino C1-6 alkoxy group; a methoxycarbonyl group; a C1-6 alkoxycarbonyl C1-6 alkoxy group; a C1-6 alkylthio group; a pyrrolyl group; a 1-imidazolyl group; a piperidino group; a morpholino group; pyrrolidinyl group; a 2-thienyl group; a 2-benzofuranyl group; a 4-piperazinyl group wherein the position-1 may be substituted by a group selected from the group consisting of a C1-6 alkyl group, a phenyl C1-6 alkyl group, a benzoyl group, and a C1-6 alkyl group substituted benzoyl group; a 2-oxo-3-quinolyl group which may be substituted on the benzene ring by a C1-6 alkoxy group; a 4-(carbostyryl-1-yl)piperidinyl-1-carbonyl group; and a triazolyl group);

(Ff5) 1- or 2-naphthyl group substituted by a halogen atom, halogen-substituted or unsubstituted C1-6 alkoxy group, or dimethylamino group;

(Ff6) 3- or 4-biphenyl group (which may be substituted on the biphenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-9 alkyl group,

and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ff7) 2-fluorenyl group; 3-pyrenyl group;

(Ff8) 2-benzofuranyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

(Ff9) 2- or 3-benzothiophenyl group (which may be substituted on the benzene ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group; or the position-3 or -2 of the thiophene ring may be substituted by a C1-6 alkyl group);

(Ff10) 2-, 3-, or 4-pyridyl group (which may be substituted on the pyridyl group by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a phenyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group), a 2-furyl group, a 3-furyl group, a 2-thienyl group, and a 3-thienyl group);

(Ff11) 2- or 3-furyl group (which may be

substituted on the furan ring by 1 to 3 groups selected from the group consisting of a C1-6 alkyl group, a nitro group, and a phenyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, and a nitro group));

(Ff12) 2-(2-methoxyphenyl)benzothiazol-5-yl group;

(Ff13) 2-thienyl group; 3-thienyl group; 4-bromo-2-thienyl group; 5-chloro-2-thienyl group; 5-ethyl-2-thienyl group; 3-methyl-2-thienyl group; 5-nitro-2-thienyl group; 5-(1-methyl-3-trifluoromethyl-5-pyrazolyl)-2-thienyl group; 5-(1-methyl-5-trifluoromethyl-3-pyrazolyl)-2-thienyl group; 2,2'-bithien-5-yl group; 5'-bromo-2,2'-bithien-5-yl group;

(Ff14) 1-(4-methylbenzenesulfonyl)indol-3-yl group; 1-benzylindol-3-yl group; 6-methoxycarbonylindol-3-yl group; 2-phenylindol-3-yl group;

(Ff15) 1-(3-trifluoromethyl)phenyl-2,5-dimethyl-3-pyrrolyl group;

(Ff16) 6-coumaryl group;

(Ff17) 2-(2-thienyl)-5-benzimidazolyl group; 6-benzimidazolyl group;

(Ff18) 2-(4-chlorophenyl)-4-oxazolyl group;

(Ff19) 2-phenyl-4-thiazolyl group; 2-(4-chlorophenyl)-4-thiazolyl group; 2-(4-nitrophenyl)-4-

thiazolyl group; 2-(4-biphenyl)-4-thiazolyl group;
(Ff20) 2-thiazolyl group;
(Ff21) 2- or 4-quinoliny group;
(Ff22) 8-methoxy-3,4-dihydrocarbostyryl-5-yl
group; 8-methoxy-1-methyl-3,4-dihydrocarbostyryl-5-yl
group; 8-benzyloxy-3,4-dihydrocarbostyryl-5-yl group;
8-methoxycarbostyryl-5-yl group; 8-methoxy-1-
methylcarbostyryl-5-yl group; 8-benzyloxycarbostyryl-5-
yl group; 8-methoxy-3,4-dihydrocarbostyryl-6-yl group;
8-methoxy-1-methyl-3,4-dihydrocarbostyryl-6-yl group;
8-benzyloxy-3,4-dihydrocarbostyryl-6-yl group; 8-
methoxycarbostyryl-6-yl group; 8-methoxy-1-methyl-
carbostyryl-6-yl group; 8-benzyloxycarbostyryl-6-yl
group;
(Ff23) 6-imidazo[2,1-b]thiazolyl group;
(Ff24) 2-imidazo[2,1-a]pyridyl group;
(Ff25) 2,2-dimethyl-6-chromanyl group; or
(Ff26) 2,3-dihydro-5-benzofuranyl group,
(F12-3) morpholino group;
(F12-4) 1-imidazolyl group;
(F12-5) 1,4-dioxa-8-azaspiro[4,5]-8-decyl
group;
(F12-6) 4-tert-butoxycarbonyl-1-
homopiperazinyl group; 4-benzyloxycarbonyl-1-
homopiperazinyl group; 4-(4-biphenyl)-1-
homopiperazinyl group,
(F12-7) 1-tert-butyl-2-piperazinon-4-yl
group; 1-(4-trifluoromethylbenzyl)-2-piperazinon-4-yl

group,

(F12-8) 4-oxo-1-piperidinyl group;

(F12-9) 2-(4-trifluoromethoxyphenoxymethyl)-pyrrolidin-1-yl group; and

(F12-10) 2-isoindolinyl group, and

F13) moreover, R^{19} and R^{20} may bind to each other together with nitrogen atoms adjacent thereto directly or through hetero atoms, so as to form a cyclic imide or amide shown in any one of (F13-1) to (F13-11) indicated below:

(F13-1) 2-succinimide group;

(F13-2) 2-oxooxazolin-3-yl group;

(F13-3) 2-oxobenzo-1,3-oxazolidin-3-yl group; 5-bromo-2-oxobenzo-1,3-oxazolidin-3-yl group; 5-chloro-2-oxobenzo-1,3-oxazolidin-3-yl group; 5-phenyl-2-oxobenzo-1,3-oxazolidin-3-yl group;

(F13-4) 2-oxoimidazolidin-1-yl group (wherein the position-3 of 2-oxoimidazolidin-1-yl group may be substituted by a phenyl C1-6 alkyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom and a methoxy group), or a phenyl group);

(F13-5) 2-oxobenzimidazolidin-1-yl group (which may be substituted on the benzene ring by a halogen atom, halogen-substituted or unsubstituted C1-6 alkyl group, dimethylamino group, or ethoxycarbonyl group; or the position-3 of the imidazolidine ring may be substituted by a 4-piperidinyl group, which may be

substituted by a group selected from the group consisting of a C1-6 alkyl group, a phenyl group wherein 1 to 3 halogen atoms may be substituted on the phenyl ring, a tert-butoxycarbonyl group, and a benzyloxycarbonyl group);

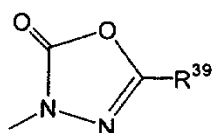
(F13-6) phthalimid-2-yl group;

(F13-7) oxyindol-1-yl group, wherein the position-3 may be substituted by 2 methyl groups or fluorine atoms;

(F13-8) benzoic sulfimid-2-yl group;

(F13-9) 1H-2,4-benzoxazin-3(4H)-on-4-yl group;

(F13-10) a group represented by the following general formula (Fga'):



(Fga')

wherein R³⁹ represents: a hydrogen atom; halogen-substituted or unsubstituted phenyl C1-6 alkyl group; halogen-substituted or unsubstituted phenoxy-methyl group; halogen-substituted or unsubstituted styryl group; phenyl group which may be substituted by at least one group selected from a group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group; biphenyl group; 4-pyridyl group; or 2-pyrazinyl group, and

(F13-11) 5-(4-trifluoromethylbenzylidene)-

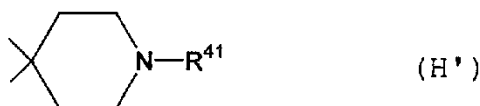
1,3-thiazolidine-2,4-dione-3-yl group;

a group represented by the following general formula (G'):



wherein R⁴⁰ represents a C1-6 alkyl group, or halogen-substituted or unsubstituted phenyl group,

a spiro ring group represented by the following general formula (H'):



wherein R⁴¹ represents:

H1) hydrogen atom;

H2) C1-6 alkyl group;

H3) phenyl C1-6 alkyl group or 4-biphenyl C1-6 alkyl group;

H4) phenyl group (which may be substituted by a halogen-substituted or unsubstituted C1-6 alkyl group);

H5) 4-substituted-1-piperazinyl C1-6 alkyl group (wherein the substituent at the position-4 is a C1-6 alkoxy carbonyl group, 4-biphenylmethoxy carbonyl group or benzyloxy carbonyl group, and the benzyloxy carbonyl group may be substituted by 1 to 3 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group,

and a halogen-substituted or unsubstituted C1-6 alkoxy group on the benzene ring);

H6) 4-substituted-1-piperazinylcarbonyl C1-6 alkyl group, wherein the position-4 is substituted with a C1-6 alkylcarbonyl group, 4-trifluoromethylbenzyloxy-carbonyl group, 4-trifluoromethylbenzyl group, or biphenylmethyl group;

H7) 4-trifluoromethylphenylcarbonyl C1-6 alkyl group;

H8) 2-benzoxazolone-1-ylpropyl group;

H9) 2-benzothiazolyl group;

H10) 1-phenyl-5-tetrazolyl group;

H11) methanesulfonyl group;

H12) benzenesulfonyl or p-toluenesulfonyl group;

H13) phenylthiocarbonyl group, wherein the position-4 of the phenyl ring may be substituted by a halogen atom;

H14) C1-8 alkoxy-carbonyl group;

H15) phenyl C1-6 alkoxy-carbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen atom, a C1-6 alkoxy-carbonyl group, a C1-6 alkoxy-carbonylamino group, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a nitro group, and a methylthio group);

H16) benzhydryloxy-carbonyl group (which may

be substituted on the phenyl ring by 1 to 4 halogen atoms);

H17) 4-biphenylmethoxycarbonyl group;

H18) naphthylmethoxycarbonyl group;

H19) pyridylmethoxycarbonyl group;

H20) methoxyethoxycarbonyl group;

H21) 2-(1-piperazinyl)ethoxycarbonyl group wherein the position-4 may be substituted by a C1-6 alkoxy carbonyl group, or halogen-substituted or unsubstituted phenyl C1-6 alkoxy carbonyl group;

H22) phenoxycarbonyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a C1-6 alkyl group and a C1-6 alkoxy group);

H23) C1-6 alkanoyl group;

H24) benzoyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group);

H25) phenyl C2-6 alkanoyl group (which may be substituted on the phenyl ring by at least one halogen-substituted or unsubstituted C1-6 alkyl group);

H26) phenoxy C2-6 alkanoyl group (which may be substituted by 1 to 3 halogen atoms on the phenyl ring);

H27) 4-substituted-1-piperazinyl C2-6 alkanoyl group (wherein the substituent at the position-4 is a C1-6 alkanoyl group, phenyl C1-6 alkyl group, 4-biphenylmethyl group, phenyl C1-6

alkoxycarbonyl group, phenylcarbamoylemethyl group, phenyl carbamoyl group, or 2-benzoxazolyl group; and the phenyl ring of each of these phenyl C1-6 alkyl group, phenyl C1-6 alkoxycarbonyl group, phenylcarbamoylemethyl group and phenyl carbamoyl group, may be substituted by 1 to 3 groups selected from the group consisting of a halogen atom, a halogen-substituted or unsubstituted C1-6 alkyl group, and a halogen-substituted or unsubstituted C1-6 alkoxy group);

H28) phenylcarbamoylemethyl group (which may be substituted on the phenyl ring by 1 to 3 groups selected from the group consisting of a halogen atom, a dimethylamino group, a carboxyl group, a C1-6 alkoxy-carbonyl group, a halogen-substituted or unsubstituted C1-6 alkyl group, a halogen-substituted or unsubstituted C1-6 alkoxy group, a 4-methyl-1-piperazinyl group, and a morpholino group);

H29) benzylcarbamoylemethyl group (which may be substituted on the phenyl ring by at least one group selected from the group consisting of a halogen-substituted or unsubstituted C1-6 alkyl group and a halogen-substituted or unsubstituted C1-6 alkoxy group); or

H30) 4-substituted-1-piperazinylcarbonyl group, wherein the position-4 is substituted with a tert-butoxycarbonyl group, 4-trifluoromethylbenzyloxy-carbonyl group, or 4-trifluoromethylbenzyl group,

provided that, in the above general formula (1') when R^1 represents a hydrogen atom and R^2 represents a group represented by the above general formula (A'), then R^3 cannot be an isopropyl group; when R^1 represents a hydrogen atom, R^2 represents a group represented by the above general formula (E'), and m is 0, then R^{11} cannot be a hydrogen atom; and further, when R^1 represents a hydrogen atom and R^2 represents a group represented by the above general formula (F'), then it is not possible that R^{19} represents a hydrogen atom and R^{20} represents a tert-butoxycarbonyl group.

3. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (A).

4. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (B).

5. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (C).

6. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceu-

tically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (D).

7. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (E).

8. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (F).

9. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^2 represents a group represented by general formula (G).

10. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 1, wherein R^1 and $-(CH_2)_nR^2$ may bind to each other together with carbon atoms adjacent thereto through nitrogen atoms, so as to form a spiro ring represented by general formula (H).

11. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to any one of

claims 3 to 10, wherein n is 0.

12. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to any one of claims 3 to 10, wherein n represents an integer of 1 to 6.

13. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to any one of claims 3 to 10, wherein R¹ represents a hydrogen atom.

14. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to any one of claims 3 to 10, wherein R¹ represents a C1-6 alkyl group.

15. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R¹¹ represents any one of (E1) to (E3).

16. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R¹¹ represents (E4).

17. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R¹¹ represents any one of (E5) to (E9).

18. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole

compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R^{11} represents (E10).

19. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R^{11} represents (E11).

20. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R^{11} represents (E12).

21. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R^{11} represents (E13).

22. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R^{11} represents any one of (E14) to (E17) and (E19) to (E22).

23. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 7, wherein R^{11} represents (E18).

24. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 8, wherein R^{19} and R^{20} each identically or differently

represent any one of (F1) to (F11).

25. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 8, wherein R^{19} and R^{20} , together with nitrogen atoms adjacent thereto, form a heterocyclic ring shown in any one of (F12-3) to (F12-10) and (F13) directly or through other hetero atoms or carbon atoms.

26. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 8, wherein R^{19} and R^{20} , together with nitrogen atoms adjacent thereto, form a heterocyclic ring shown in (F12-1) directly or through other hetero atoms or carbon atoms.

27. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 8, wherein R^{19} and R^{20} , together with nitrogen atoms adjacent thereto, form a heterocyclic ring shown in (F12-2) directly or through other hetero atoms or carbon atoms.

28. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 18, wherein o is 0.

29. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof, or pharmaceutically acceptable salt thereof according to claim 18, wherein o is 0.

tically acceptable salt thereof according to claim 18, wherein o is 1, and W represents a group -CO-.

30. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 18, wherein o is 1, and W represents a C1-6 alkylene group.

31. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 18, wherein R¹⁴ is any one of (Eaa1) to (Eaa2) and (Eaa4) to (Eaa27).

32. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 18, wherein R¹⁴ is (Eaa3).

33. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 19, wherein o is 0.

34. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 19, wherein o is 1.

35. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 27, wherein R²⁶ is any one of (Fd1) to (Fd35), (Fd37) and (Fd39).

36. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 27, wherein R^{26} is (Fd36).
37. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 27, wherein R^{26} is (Fd38).
38. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 36, wherein R^{27} is any one of (Fda1) to (Fda5) and (Fda7) to (Fda34).
39. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 36, wherein R^{27} is (Fda6).
40. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 37, wherein R^{35} is any one of (Ff1) to (Ff3), (Ff5) to (Ff7), and (Ff9) to (Ff26).
41. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceutically acceptable salt thereof according to claim 37, wherein R^{35} is (Ff4).
42. The 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmaceu-

tically acceptable salt thereof according to claim 37, wherein R³⁵ is (Ff8).

43. 3-(4-Trifluoromethylphenyl)-2-propenyl 4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)piperazin-1-carboxylate,
3-(4-trifluoromethylphenyl)-2-propenyl (S)-4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)-piperazin-1-carboxyate,
3-(4-trifluoromethylphenyl)-2-propenyl (R)-4-(2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazol-2-ylmethyl)-piperazin-1-carboxylate,
2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)-piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-6-nitro-2-{4-[4-(4-trifluoromethoxyphenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[3-(4-trifluoromethoxyphenoxy)-8-

azabicyclo[3.2.1]octan-8-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[3-(4-trifluoromethoxyphenoxy)-8-azabicyclo[3.2.1]octan-8-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[3-(4-trifluoromethoxyphenoxy)-8-azabicyclo[3.2.1]octan-8-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[3-(4-trifluoromethoxyphenoxy)-8-azabicyclo[3.2.1]octan-8-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole 4-toluene sulfonate,
2-methyl-6-nitro-2-[4-(4-trifluoromethylbenzylideneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-[4-(4-trifluoromethylbenzylideneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
2-[4-(5-chlorobenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-[4-(5-chlorobenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-[4-(5-trifluoromethylbenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylbenzyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethyl-benzyl)piperazin-1-yl]phenoxy)methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethyl-benzyl)piperazin-1-yl]phenoxy)methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxybenzyl)piperazin-1-yl]phenoxy)methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxybenzyl)piperazin-1-yl]phenoxy)methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxybenzyl)piperazin-1-yl]phenoxy)methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-[4-(4-trifluoromethylphenoxy)piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-[4-(4-trifluoromethylphenoxy)piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-[4-(4-trifluoromethylphenoxy)piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
2-[4-(4-trifluoromethoxyphenyl)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-[4-(4-trifluoromethoxyphenyl)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-[4-(4-trifluoromethoxyphenyl)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,

2-[4-(4-chlorophenyl)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-[4-(4-chlorophenyl)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-[4-(4-chlorophenyl)piperazin-1-ylmethyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole,

2-methyl-6-nitro-2-[4-(4'-trifluoromethylbiphenyl-4-ylmethyl)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-methyl-6-nitro-2-[4-(4'-trifluoromethylbiphenyl-4-ylmethyl)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-methyl-6-nitro-2-[4-(4'-trifluoromethylbiphenyl-4-ylmethyl)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,

2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylphenyl)-piperazin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylphenyl)-piperazin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylphenyl)-piperazin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

2-methyl-6-nitro-2-{4-[4-(4-chlorobenzyl)piperazin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-methyl-6-nitro-2-{4-[4-(4-chlorobenzyl)piperazin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-methyl-6-nitro-2-{4-[4-(4-chlorobenzyl)piperazin-

1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[4-(4-chlorophenyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[4-(4-chlorophenyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[4-(4-chlorophenyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxy-benzyloxycarbonyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxy-benzyloxycarbonyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxy-benzyloxycarbonyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[4-(3,4-dichlorobenzyloxycarbonyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[4-(3,4-dichlorobenzyloxycarbonyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-{4-[4-(3,4-dichlorobenzyloxycarbonyl)piperazin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-[4-(4-trifluoromethoxyphenoxy)-piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-[4-(4-trifluoromethoxyphenoxy)-piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-methyl-6-nitro-2-[4-(4-trifluoromethoxyphenoxy)-piperidin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-[4-(4-trifluoromethylphenyl)-piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-[4-(4-trifluoromethylphenyl)-piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-[4-(4-trifluoromethylphenyl)-piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-[4-(5-trifluoromethoxybenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-[4-(5-trifluoromethoxybenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-[4-(5-trifluoromethoxybenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-[4-(5-trifluoromethylbenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-[4-(5-trifluoromethylbenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
(R)-2-methyl-6-nitro-2-[4-(5-trifluoromethylbenzofuran-2-ylmethyleneamino)piperazin-1-ylmethyl]-2,3-dihydroimidazo[2,1-b]oxazole,
2-methyl-6-nitro-2-{4-[4-(4-chlorophenoxy)piperidin-1-yl]phenoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
(S)-2-methyl-6-nitro-2-{4-[4-(4-chlorophenoxy)-

piperidin-1-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-methyl-6-nitro-2-{4-[4-(4-chlorophenoxy)-piperidin-1-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylphenoxy)-piperidin-1-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylphenoxy)piperidin-1-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethylphenoxy)piperidin-1-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

2-methyl-6-nitro-2-{4-[1-(4-chlorobenzyl)piperidin-4-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,

(S)-2-methyl-6-nitro-2-{4-[1-(4-chlorobenzyl)piperidin-4-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole,
or

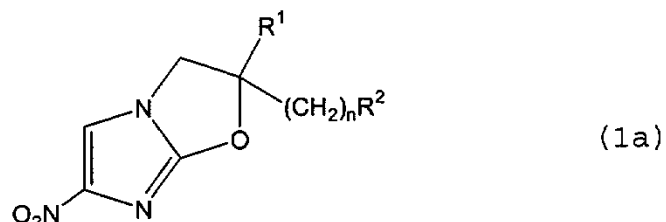
(R)-2-methyl-6-nitro-2-{4-[1-(4-chlorobenzyl)piperidin-4-yl]phoxymethyl}-2,3-dihydroimidazo[2,1-b]oxazole.

44. A pharmaceutical composition which is an antitubercular agent comprising, as an active ingredient, the 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound, optically active form thereof, or pharmacologically acceptable salt thereof according to claim 1.

45. A pharmaceutical composition which is an antitubercular agent comprising, as an active

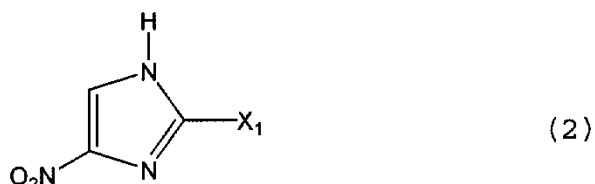
ingredient, at least one compound selected from the 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compounds according to claim 43.

46. A method for producing a compound represented by the following general formula (1a):



wherein R^1 , R^2 and n are defined as the same as in claim 1,

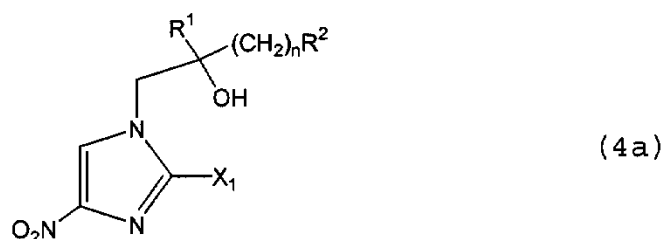
said production method comprising: the reaction of a 4-nitroimidazole compound represented by the following general formula (2):



wherein X_1 represents a halogen atom or nitro group, with an epoxy compound represented by the following general formula (3a):

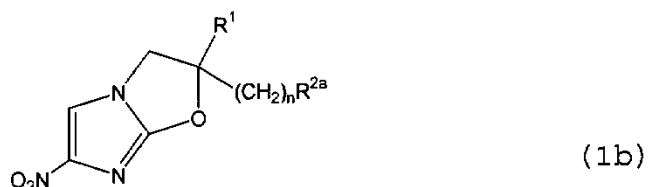


wherein R^1 , R^2 and n are defined as the same as in claim 1, so as to obtain a compound represented by the following general formula (4a):



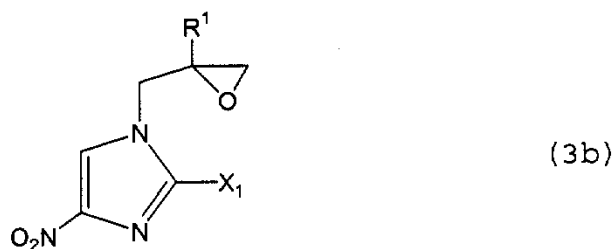
wherein R^1 , R^2 and n are defined as the same as in claim 1, and X_1 represents a halogen atom or nitro group; and the following ring closure of the obtained compound represented by the above general formula (4a).

47. A method for producing a compound represented by the following general formula (1b):



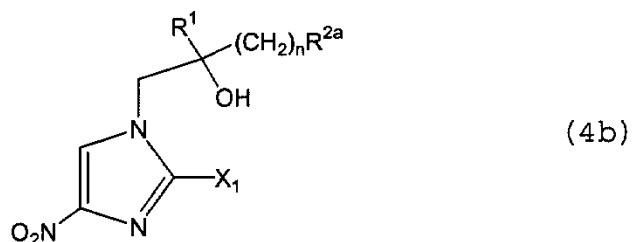
wherein R^1 is as defined in claim 1, and R^{2a} represents a group represented by the general formula (A), (B), (E) or (F) according to claim 1,

said production method comprising: the reaction of a compound represented by the following general formula (3b):



wherein R^1 is as defined in claim 1, and X_1 represents a halogen atom or nitro group, with a compound (5) represented by the following general formula $R^{2a}H(5)$ or a salt thereof,

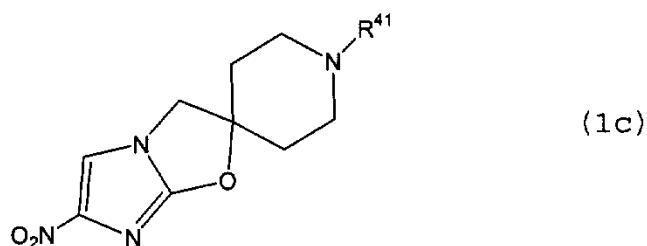
wherein R^{2a} represents the group represented by the general formula (A), (B), (E) or (F) according to claim 1, so as to obtain a compound represented by the following general formula (4b):



wherein R^1 is as defined in claim 1, R^{2a} represents the group represented by the general formula (A), (B), (E) or (F) according to claim 1, and X_1 represents a halogen atom or nitro group; and

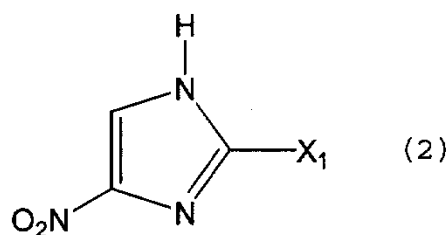
the following ring closure of the obtained compound represented by the above general formula (4b).

48. A method for producing a compound represented by the following general formula (1c):

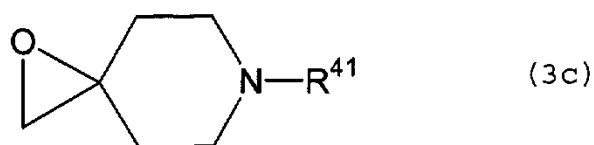


wherein R^{41} is as defined in claim 1,

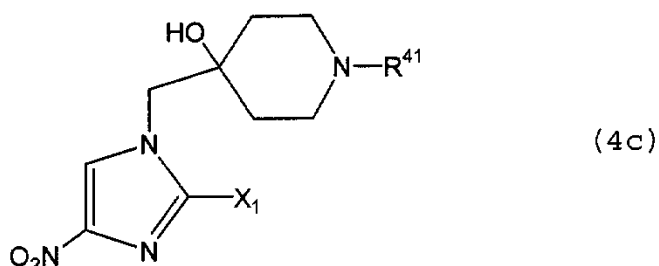
said production method comprising: the reaction of a compound represented by the following general formula (2):



wherein X_1 represents a halogen atom or nitro group, with a compound represented by the following general formula (3c):



wherein R^{41} is as defined in claim 1, so as to obtain a compound represented by the following general formula (4c):



wherein R^{41} is as defined in claim 1, and X_1 represents a halogen atom or nitro group; and the following ring closure of the obtained compound represented by the above general formula (4c).

49. (R)-2-methyl-6-nitro-2-{4-[4-(4-trifluoromethoxy-phenoxy)piperidin-1-yl]phenoxy-methyl}-2,3-dihydroimidazo[2,1-b]oxazole.

50. A pharmaceutical composition which is an antitubercular agent comprising, as an active ingredient, the 2,3-dihydro-6-nitroimidazo[2,1-b]oxazole compound according to claim 49.