ESI-MS: m/z 389$(M+H)^+$.

[0267]

Reference Example 46

N-benzyl-N-(1-methylethyl)-6,7,8,9-tetrahydropyrazino[2,3-f][1,4]oxazepin-3-amine

To a solution of N,8-dibenzyl-N-(1-methylethyl)-6,7,8,9-tetrahydropyrazino[2,3-f][1,4]oxazepin-3-amine (2.55 g) in methanol (20 mL) was added 20% $Pd(OH)_2/C$ (260 mg), and the mixture was stirred under a hydrogen atmosphere at 50°C for 2 hr. 20% $Pd(OH)_2/C$ (500 mg) was further added, and the mixture was stirred under a hydrogen atmosphere at 50°C for 4 hr. The reaction mixture was filtered, and the filtrate was concentrated to give the title compound (1.95 g, quantitative) as a colorless oil.

ESI-MS: m/z 299$(M+H)^+$

[0268]

Reference Example 47

tert-butyl 3-[(1-methylethyl)amino]-6,7-dihydropyrazino[2,3-f][1,4]oxazepine-8(9H)-carboxylate

Boc_2O (1.56 g) was added to a solution of N-benzyl-N-(1-methylethyl)-6,7,8,9-tetrahydropyrazino[2,3-f][1,4]oxazepin-3-amine (1.15 g) and triethylamine (1.10 mL) in ethyl acetate (15 mL), and the mixture was stirred at room temperature for 16 hr. The reaction mixture was neutralized with 1 N hydrochloric acid, and extracted with tetrahydrofuran. The organic layer was washed with water and saturated brine, dried over magnesium sulfate, and concentrated. The residue was purified by recrystallization (ethyl acetate-hexane) to give a colorless powder. To a solution of this in methanol (20 mL) was added 20% $Pd(OH)_2/C$ (400 mg), and the mixture was stirred under a hydrogen atmosphere at 50°C for 3 hr. 20% $Pd(OH)_2/C$ (400 mg) was further added, and the mixture was stirred under a hydrogen atmosphere at 50°C for 17 hr. The reaction mixture was filtered, and the filtrate was concentrated to give the title compound (1.24 g, 79%) as a pale-yellow oil.

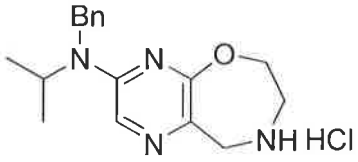
methanol (10 mL) was added 20% $Pd(OH)_2/C$ (90 mg), and the mixture was stirred under a hydrogen atmosphere at 50°C for 3 hr. The reaction mixture was filtered, and the filtrate was concentrated. To a solution of the obtained crude product in ethyl acetate (10 mL) was added a 4 N hydrogen chloride-ethyl acetate solution (400 μL), and the mixture was stirred for 30 min. The reaction mixture was filtered, and the obtained crystals were purified by recrystallization (methanol-ethyl acetate) to give the title compound (257 mg, 65%) as a colorless powder.
^{1}H-NMR(DMSO-d_6): δ1.56-1.75 (m, 2H), 2.09-2.24 (m, 4H), 2.96-3.03 (m, 3H), 3.47 (dt, J=4.54, 2.27 Hz, 2H), 4.25-4.32 (m, 2H), 4.38 (dt, J=4.54, 2.27 Hz, 2H), 4.71 (t, J=8.52 Hz, 1H), 7.83 (s, 1H), 9.87 (brs, 2H).
ESI-MS(free base): m/z 235$(M+H)^+$.

[0350]

Example 19

N-benzyl-N-(1-methylethyl)-6,7,8,9-tetrahydropyrazino[2,3-f][1,4]oxazepin-3-amine hydrochloride

[0351]



[0352]

To a solution of N,8-dibenzyl-N-(1-methylethyl)-6,7,8,9-tetrahydropyrazino[2,3-f][1,4]oxazepin-3-amine (2.55 g) in methanol (20 mL) was added 20% $Pd(OH)_2/C$ (260 mg), and the mixture was stirred under a hydrogen atmosphere at 50°C for 2 hr. 20% $Pd(OH)_2/C$ (500 mg) was further added, and the mixture was stirred under a hydrogen atmosphere at 50°C for 4 hr. The reaction mixture was filtered, and the filtrate was concentrated to give a colorless oil (1.95 g). 53 mg of this oil was dissolved in ethyl acetate (1 mL), a 4 N hydrogen chloride-ethyl acetate solution (49 μL) was added, and the

f][1,4]oxazepine or a salt thereof.

14. 3-(2-Methylpyrrolidin-1-yl)-6,7,8,9-tetrahydropyrazino[2,3-f][1,4]oxazepine or a salt thereof.

~~15. A prodrug of the compound of any one of claims 1-14, or a salt thereof.~~

15~~6~~. A medicament comprising the compound of any one of claims 1-14, or a salt thereof~~, or a prodrug thereof~~.

16~~7~~. The medicament according to claim 15~~6~~, which is a serotonin 5-HT_{2C} receptor activator.

17~~8~~. The medicament according to claim 15~~6~~, which is a drug for the prophylaxis or treatment of a lower urinary tract symptom, obesity, and/or organ prolapse.

~~19. A method for the prophylaxis or treatment of a lower urinary tract symptom, obesity, and/or organ prolapse in a mammal, comprising administering an effective amount of the compound of any one of claims 1-14, or a salt thereof, or a prodrug thereof to the mammal.~~

18~~20~~. Use of the compound of any one of claims 1-14, or a salt thereof~~, or a prodrug thereof~~ for the production of a drug for the prophylaxis or treatment of a lower urinary tract symptom, obesity and/or organ prolapse.