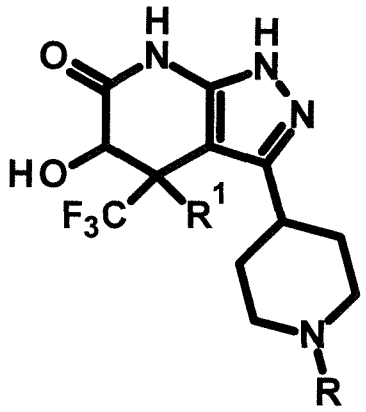
Claims

1. A compound represented by the general formula (I) or a pharmacologically acceptable salt thereof:

[Formula 1]



(I)

wherein R represents an optionally substituted aryl group; wherein the substituent(s) is 1 to 3 identical or different groups selected from the group consisting of a halogen atom, a C_{1-6} alkyl group, a C_{3-7} cycloalkyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-6} alkoxy group, a C_{3-7} cycloalkoxy group, a phenyl group, a C_{2-7} alkoxycarbonyl group, a benzyloxycarbonyl group, a di(C_{1-6} alkyl)aminocarbonyl group, and a di(C_{1-6} alkyl)amino group

or

an optionally substituted heteroaryl group; wherein the heteroaryl is a 5- or 6-membered ring; the heteroatom(s) on the ring of the heteroaryl group is 1 or 2 nitrogen atoms, and the ring optionally further contains one nitrogen atom, oxygen atom, or sulfur atom; and the

substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a halogen atom, a C_{1-6} alkyl group, a C_{3-7} cycloalkyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-6} alkoxy group, a C_{3-7} cycloalkoxy group, a phenyl group, a C_{2-7} alkoxycarbonyl group, a benzyloxycarbonyl group, a di(C_{1-6} alkyl)aminocarbonyl group, and a di(C_{1-6} alkyl)amino group, and R^1 represents a hydrogen atom or a hydroxy group.

2. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is an optionally substituted aryl group; wherein the substituent(s) is 1 to 3 identical or different groups selected from the group consisting of a halogen atom, a C_{1-6} alkyl group, a C_{3-7} cycloalkyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-6} alkoxy group, a C_{3-7} cycloalkoxy group, a phenyl group, a C_{2-7} alkoxycarbonyl group, a benzyloxycarbonyl group, a di(C_{1-6} alkyl)aminocarbonyl group, and a di(C_{1-6} alkyl)amino group.

3. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted aryl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a fluorine atom, a

C_{1-3} alkyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, and a C_{1-3} alkoxy group).

4. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted phenyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a difluoromethoxy group, a trifluoromethoxy group, and a cyano group.

5. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted phenyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a difluoromethoxy group, a trifluoromethoxy group, and a cyano group.

6. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is an optionally substituted heteroaryl group; wherein the heteroaryl is a 5- or 6-membered ring; the heteroatom(s) on the ring of the heteroaryl group is 1 or 2 nitrogen atoms, and the ring optionally further contains one nitrogen atom, oxygen atom, or sulfur atom; and the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a halogen atom, a

C_{1-6} alkyl group, a C_{3-7} cycloalkyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-6} alkoxy group, a C_{3-7} cycloalkoxy group, a phenyl group, a C_{2-7} alkoxycarbonyl group, a benzyloxycarbonyl group, a di(C_{1-6} alkyl)aminocarbonyl group, and a di(C_{1-6} alkyl)amino group.

7. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted heteroaryl group; wherein the heteroaryl is a 5- or 6-membered ring; the heteroatom on the ring of the heteroaryl group is one nitrogen atom, and the ring optionally further contains one nitrogen atom, oxygen atom, or sulfur atom; the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a halogen atom, a C_{1-3} alkyl group, a C_{3-6} cycloalkyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-3} alkoxy group, a C_{2-4} alkoxycarbonyl group, and a benzyloxycarbonyl group.

8. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, thiadiazolyl, or thiazolyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a

fluorine atom, a C_{1-3} alkyl group, a cyclopropyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-3} alkoxy group, a C_{2-4} alkoxycarbonyl group, and a benzyloxycarbonyl group.

9. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of an isopropyl group, a trifluoromethyl group, a difluoromethoxy group, a cyano group, and an isopropoxy group.

10. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a pyridyl, pyrimidyl, pyrazinyl, or thiadiazolyl group substituted by a trifluoromethyl group.

11. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a pyridyl, pyrimidyl, or pyrazinyl group substituted by a trifluoromethyl group.

12. The compound according to any one of claims 1 to 11 or a pharmacologically acceptable salt thereof, wherein R^1 is a hydrogen atom.

13. The compound according to claim 12 or a pharmacologically acceptable salt thereof, wherein the compound or the salt is selected from the group consisting of:

5-hydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-3-[1-(5-isopropoxypyridin-2-yl)piperidin-4-yl]-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyridazin-3-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-3-{1-[2-isopropyl-6-(trifluoromethyl)pyrimidin-4-yl]piperidin-4-yl}-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyrazin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[2-(trifluoromethyl)pyrimidin-5-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

6-{4-[5-hydroxy-6-oxo-4-(trifluoromethyl)-4,5,6,7-tetrahydro-1H-pyrazolo[3,4-b]pyridin-3-yl]piperidin-1-yl}-4-(trifluoromethyl)pyridine-3-carbonitrile,

3-{1-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-5-hydroxy-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[4-(trifluoromethyl)-1,3-thiazol-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[4-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

3-[1-(5-chloropyridin-2-yl)piperidin-4-yl]-5-hydroxy-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyridin-3-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

hydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyrimidin-4-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-3-[1-(6-isopropoxypyridazin-3-yl)piperidin-4-yl]-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

5-hydroxy-3-[1-(6-isopropoxypyridazin-3-yl)piperidin-4-yl]-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one, and

3-[1-(2-cyclopropylpyrimidin-5-yl)piperidin-4-yl]-5-hydroxy-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one.

14. The compound according to claim 12 or a pharmacologically acceptable salt thereof, wherein the compound or the salt is selected from the group consisting of:

(+)-cis-5-hydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyridazin-3-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyrazin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-4-(trifluoromethyl)-3-{1-[2-(trifluoromethyl)pyrimidin-5-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-6-{4-[5-hydroxy-6-oxo-4-(trifluoromethyl)-4,5,6,7-tetrahydro-1H-pyrazolo[3,4-b]pyridin-3-yl]piperidin-1-yl}-4-(trifluoromethyl)pyridine-3-carbonitrile,

(+)-cis-3-[1-(5-chloropyridin-2-yl)piperidin-4-yl]-5-hydroxy-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyridin-3-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-hydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-4-(trifluoromethyl)-3-{1-[6-(trifluoromethyl)pyrimidin-4-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-3-[1-(6-isopropoxypyridazin-3-yl)piperidin-4-yl]-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one,

(+)-cis-5-hydroxy-3-[1-(6-isopropoxypyridazin-3-yl)piperidin-4-yl]-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one, and

(+)-cis-3-[1-(2-cyclopropylpyrimidin-5-yl)piperidin-4-yl]-5-hydroxy-4-(trifluoromethyl)-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one.

15. The compound according to any one of claims 1 to 11 or a pharmacologically acceptable salt thereof, wherein R^1 is a hydroxy group.

16. The compound according to claim 15 or a pharmacologically acceptable salt thereof, wherein the compound or the salt is selected from the group consisting of:

4,5-dihydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one, and

4,5-dihydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyrazin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one.

17. The compound according to claim 15 or a pharmacologically acceptable salt thereof, wherein the compound or the salt is selected from the group consisting of:

(+)-4,5-dihydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyridin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one, and

(+)-4,5-dihydroxy-4-(trifluoromethyl)-3-{1-[5-(trifluoromethyl)pyrazin-2-yl]piperidin-4-yl}-1,4,5,7-tetrahydro-6H-pyrazolo[3,4-b]pyridin-6-one.

18. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted phenyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a difluoromethoxy

group, a trifluoromethoxy group, and a cyano group, and R^1 is a hydrogen atom.

19. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted phenyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a difluoromethoxy group, a trifluoromethoxy group, and a cyano group, and R^1 is a hydrogen atom.

20. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, thiadiazolyl, or thiazolyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a fluorine atom, a C_{1-3} alkyl group, a cyclopropyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-3} alkoxy group, a C_{2-4} alkoxycarbonyl group, and a benzyloxycarbonyl group, and R^1 is a hydrogen atom.

21. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl group; wherein the substituent(s) is 1 or 2 identical or

different groups selected from the group consisting of an isopropyl group, a trifluoromethyl group, a difluoromethoxy group, a cyano group, and an isopropoxy group, and R^1 is a hydrogen atom.

22. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a pyridyl, pyrimidyl, or pyrazinyl group substituted by a trifluoromethyl group, and R^1 is a hydrogen atom.

23. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted phenyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a difluoromethoxy group, a trifluoromethoxy group, and a cyano group, and R^1 is a hydroxy group.

24. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted phenyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a difluoromethoxy group, a trifluoromethoxy group, and a cyano group, and R^1 is a hydroxy group.

25. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, thiadiazolyl, or thiazolyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of a chlorine atom, a fluorine atom, a C_{1-3} alkyl group, a cyclopropyl group, a trifluoromethyl group, a difluoromethoxy group, a trifluoromethoxy group, a cyano group, a C_{1-3} alkoxy group, a C_{2-4} alkoxycarbonyl group, and a benzyloxycarbonyl group, and R^1 is a hydroxy group.

26. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a substituted pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl group; wherein the substituent(s) is 1 or 2 identical or different groups selected from the group consisting of an isopropyl group, a trifluoromethyl group, a difluoromethoxy group, a cyano group, and an isopropoxy group, and R^1 is a hydroxy group.

27. The compound according to claim 1 or a pharmacologically acceptable salt thereof, wherein R is a pyridyl, pyrimidyl, or pyrazinyl group substituted by a trifluoromethyl group, and R^1 is a hydroxy group.

28. The compound according to any one of claims 1 to 13 and 15 to 27 or a pharmacologically acceptable salt thereof, wherein the trifluoromethyl group at the 4-position of the pyrazolopyridine ring and the hydroxy group at the 5-position thereof are cis to each other.

29. The compound according to any one of claims 1 to 13, 15, 16, and 18 to 28 or a pharmacologically acceptable salt thereof, wherein the optical rotation is (+).

30. A pharmaceutical composition comprising a compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof as an active ingredient.

31. An LCAT activator comprising a compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof as an active ingredient.

32. A reversible LCAT activator comprising a compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof as an active ingredient.

33. An anti-arteriosclerotic agent comprising a compound according to any one of claims 1 to 29 or a

pharmacologically acceptable salt thereof as an active ingredient.

34. The compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof for use in a method for treatment or prophylaxis of arteriosclerosis, arteriosclerotic heart disease, coronary heart disease, cerebrovascular disease, peripheral vascular disease, hypo-HDL-cholesterolemia, hyper-LDL-cholesterolemia, or renal disease.

35. The compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof for use in a method for treatment or prophylaxis of dyslipidemia.

36. The compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof for use in a method for treatment or prophylaxis of a disease caused by an increased concentration of LDL cholesterol in the blood.

37. The compound according to any one of claims 1 to 29 or a pharmacologically acceptable salt thereof for use in a method for treatment or prophylaxis of a disease caused by a decreased concentration of HDL cholesterol in the blood.