



(12) Translation of
European patent specification

(11) NO/EP 2592081 B1

NORWAY

(19) NO	
(51) Int Cl.	A61P 11/00 (2006.01)
C07D 471/04 (2006.01)	A61P 13/00 (2006.01)
A61K 31/437 (2006.01)	A61P 13/08 (2006.01)
A61K 31/4375 (2006.01)	A61P 17/00 (2006.01)
A61K 31/4545 (2006.01)	A61P 19/02 (2006.01)
A61K 31/496 (2006.01)	A61P 21/00 (2006.01)
A61K 31/497 (2006.01)	A61P 29/00 (2006.01)
A61K 45/00 (2006.01)	A61P 35/00 (2006.01)
A61K 45/06 (2006.01)	A61P 43/00 (2006.01)
	C07D 471/14 (2006.01)

Norwegian Industrial Property Office

(21)	Translation Published	2017.06.12
(80)	Date of The European Patent Office Publication of the Granted Patent	2017.03.08
(86)	European Application Nr.	11803561.7
(86)	European Filing Date	2011.07.05
(87)	The European Application's Publication Date	2013.05.15
(30)	Priority	2010.07.06, JP, 2010154280 2011.03.18, JP, 2011060765
(84)	Designated Contracting States:	AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO RS SE SI SK SM TR
(73)	Proprietor	ONO PHARMACEUTICAL CO., LTD., 1-5, Doshomachi 2-chome Chuo-ku, Osaka-shi, Osaka 541-8526, JP-Japan
(72)	Inventor	OHATA, Akira, c/o ONO PHARMACEUTICAL CO., LTD.1-1, Sakurai 3-chomeShimamoto-cho, Mishima-gunOsaka 618-8585, JP-Japan NAKATANI, Shingo, c/o ONO PHARMACEUTICAL CO., LTD.1-1, Sakurai 3-chomeShimamoto-cho, Mishima-gunOsaka 618-8585, JP-Japan SUGIYAMA, Tetsuya, c/o ONO PHARMACEUTICAL CO., LTD.17-2, Wadai, Tsukuba-shilbaraki 300-4247, JP-Japan MORIMOTO, Takashi, c/o ONO PHARMACEUTICAL CO., LTD.1-1, Sakurai 3-chomeShimamoto-cho, Mishima-gunOsaka 618-8585, JP-Japan
(74)	Agent or Attorney	Tandbergs Patentkontor AS, Postboks 1570 Vika, 0118 OSLO, Norge

(54) Title **TETRAHYDROCARBOLINE DERIVATIVE**

(56) References Cited:
JP-A- 2003 533 453, JP-A- 2004 518 730, JP-A- 2007 518 822
SEEFELD M A ET AL: "Inhibitors of bacterial enoyl acyl carrier protein reductase (FabI): 2,9-disubstituted 1,2,3,4-tetrahydropyrido[3,4-b]indoles as potential antibacterial agents", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, AMSTERDAM, NL, vol. 11, 1 January 2001 (2001-01-01), pages 2241-2244, XP002214252, ISSN: 0960-894X, DOI: 10.1016/S0960-894X(01)00405-X
BHONSLE, JAYENDRA B. HUDDLER, DONALD: "Novel method for mining QSPR-relevant conformation", CHEMICAL ENGINEERING COMMUNICATIONS, vol. 195, no. 11, 2008, pages 1396-1423, XP009177255, DOI: 10.1080/00986440801963808
XIAOMING ZHANG ET AL.: 'Oxidative methods for promoting iminium cation cyclization reactions' TETRAHEDRON LETTERS vol. 34, no. 33, 1993, pages 5239 - 5242, XP055101372

Enclosed is a translation of the patent claims in Norwegian. Please note that as per the Norwegian Patents Acts, section 66i the patent will receive protection in Norway only as far as there is agreement between the translation and the language of the application/patent granted at the EPO. In matters concerning the validity of the patent, language of the application/patent granted at the EPO will be used as the basis for the decision. The patent documents published by the EPO are available through Espacenet (<http://worldwide.espacenet.com>) or via the search engine on our website here: <https://search.patentstyret.no/>

Patentkrav

- 1 **1.** cis-4-{2-[9-(3-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}cykloheksankarboksylsyre eller et salt derav eller et solvat derav.
- 5 **2.** trans-4-{2-[9-(2,4-difluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}cykloheksankarboksylsyre eller et salt derav eller et solvat derav.
- 10 **3.** trans-4-{2-[9-(4-klorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}cykloheksankarboksylsyre eller et salt derav eller et solvat derav.
- 15 **4.** 4-{2-[9-(3-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.2]oktan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 20 **5.** 4-{2-[9-(3,5-difluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.2]oktan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 25 **6.** 4-{2-okso-2-[9-(2,4,5-trifluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]ethyl}bicyklo[2.2.2]oktan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 30 **7.** 4-{2-[9-(4-klorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.2]oktan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 35 **8.** 4-{2-[9-(4-klor-2-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.2]oktan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 40 **9.** (1R,3R)-3-{2-[9-(4-klor-2-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}-1,2,2-trimetylcyklopentankarboksylsyre eller et salt derav eller et solvat derav.

10. (1R,3R)-3-{2-[9-(4-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}-1,2,2-trimethylcyklopentankarboksylsyre eller et salt derav eller et solvat derav.
- 5 11. (1R,3R)-3-{2-[9-(3-klor-4-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]-pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}-1,2,2-trimethylcyklopentankarboksylsyre eller et salt derav eller et solvat derav.
- 10 12. 4-{2-[9-(3-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.1]heptan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 15 13. 4-{2-[9-(2,4-difluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.1]heptan-1-karboksylsyre eller et salt derav eller et solvat derav.
- 20 14. trans-4-{2-[9-(4-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}-1-metylcykloheksankarboksylsyre eller et salt derav eller et solvat derav.
- 25 15. trans-4-{2-[9-(4-klorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}-1-metylcykloheksankarboksylsyre eller et salt derav eller et solvat derav.
- 30 16. 2-metoksy-4-{2-okso-2-[9-(2,4,5-trifluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]etyl}benzosyre eller et salt derav eller et solvat derav.
- 35 17. 4-{2-[9-(3,4-difluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.2]oktan-1-karboksylsyre eller et salt derav eller et solvat derav.
18. 4-{2-[9-(4-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.1]heptan-1-karboksylsyre eller et salt derav eller et solvat derav.

19. 4-{2-[9-(4-klorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}bicyklo[2.2.1]heptan-1-karboksylsyre eller et salt derav eller et solvat derav.

5 **20.** 4-{2-[9-(3,5-difluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2-oksoetyl}-2-metoksybenzosyre eller et salt derav eller et solvat derav.

21. 4-(2-{9-[(5-klor-3-tienyl)metyl]-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl}-2-oksoetyl)-2-metylbenzosyre eller et salt derav eller et solvat derav.

10

22. 6-[9-(3-fluorbenzyl)-5,6,8,9-tetrahydro-7H-pyrido[4',3':4,5]pyrrolo[2,3-b]pyridin-7-yl]-2,2-dimetyl-6-oksoheksansyre eller et salt derav eller et solvat derav.

15

23. cis-4-(2-{9-[(2,5-dimetyl-1,3-tiazol-4-yl)metyl]-1,3,4,9-tetrahydro-2H-β-karbolin-2-yl}-2-oksoetyl)cykloheksankarboksylsyre eller et salt derav eller et solvat derav.

24. rel-[(2R,6S)-4-(2-{9-[(5-klor-2-tienyl)metyl]-1,3,4,9-tetrahydro-2H-β-karbolin-2-yl}-2-oksoetyl)-2,6-dimetyl-1-piperazinyl]eddiksyre eller et salt derav eller et solvat derav.

20