(12) **Translation of European patent specification**

(11) **NO/EP 3653625 B1**

**NORWAY**

(19) NO

(51) Int Cl.

| | |
|---|---|
| ***C07D 471/04 (2006.01)*** | ***A61P 3/06 (2006.01)*** |
| ***A61K 31/438 (2006.01)*** | ***A61P 3/10 (2006.01)*** |
| ***A61K 31/444 (2006.01)*** | ***A61P 9/10 (2006.01)*** |
| ***A61K 31/497 (2006.01)*** | ***A61P 43/00 (2006.01)*** |
| ***A61K 31/501 (2006.01)*** | ***C07D 471/10 (2006.01)*** |
| ***A61K 31/506 (2006.01)*** | ***C07D 471/20 (2006.01)*** |
| ***A61K 31/527 (2006.01)*** | ***C07D 487/10 (2006.01)*** |
| ***A61P 3/00 (2006.01)*** | ***C07D 491/147 (2006.01)*** |
| ***A61P 3/04 (2006.01)*** | ***C07D 491/20 (2006.01)*** |

**Norwegian Industrial Property Office**

| | | |
|---|---|---|
| (45) | Translation Published | 2024.04.01 |
| (80) | Date of The European Patent Office Publication of the Granted Patent | 2024.02.07 |
| (86) | European Application Nr. | 18831430.6 |
| (86) | European Filing Date | 2018.07.13 |
| (87) | The European Application's Publication Date | 2020.05.20 |
| (30) | Priority | 2017.07.14, JP, 2017137678 |
| (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 | Shionogi & Co., Ltd, 1-8, Doshomachi 3-chome Chuo-ku, Osaka-shi, Osaka 541-0045, Japan |
| (72) | Inventor | NODU Kouhei, c/o Shionogi&Co. Ltd. 1-1 Futabacho 3-chome, Toyonaka-shi Osaka 561-0825, Japan<br>TATENO Yusuke, c/o Shionogi&Co. Ltd. 1-1 Futabacho 3-chome, Toyonaka-shi Osaka 561-0825, Japan<br>MASUDA Kengo, c/o Shionogi&Co. Ltd. 1-1 Futabacho 3-chome, Toyonaka-shi Osaka 561-0825, Japan<br>NISHIURA Yuji, c/o Shionogi&Co. Ltd. 1-1 Futabacho 3-chome, Toyonaka-shi Osaka 561-0825, Japan<br>SASAKI Yoshikazu, c/o Shionogi&Co. Ltd. 1-1 Futabacho 3-chome, Toyonaka-shi Osaka 561-0825, Japan<br>HINATA Yu, c/o Shionogi&Co. Ltd. 1-1 Futabacho 3-chome, Toyonaka-shi Osaka 561-0825, Japan |
| (74) | Agent or Attorney | Novagraaf Brevets, Bâtiment O2, 2 rue Sarah Bernhardt CS90017, 92665 ASNIÈRES-SUR-SEINE CEDEX, Frankrike |


(54) Title **FUSED RING DERIVATIVE HAVING MGAT-2 INHIBITORY ACTIVITY**

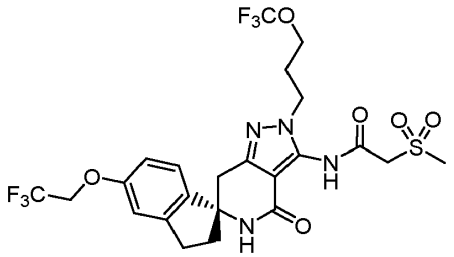
(56) References Cited: WO-A1-2008/085509, WO-A1-2009/126584, KR-A- 20100 097 077

JP-A- 2017 508 779, JP-A- 2016 537 369, JP-A- 2016 514 116, JP-A- 2016 164 154
JP-A- 2015 535 848, JP-A- 2014 526 545, JP-A- 2014 506 907, JP-A- 2014 009 165, WO-A1-2010/095767, WO-A1-2011/079051, WO-A1-2012/091010, WO-A1-2012/117027, WO-A1-2013/082345, WO-A1-2013/130660, WO-A1-2013/175417, WO-A1-2014/054053 WO-A1-2014/133134, WO-A1-2014/154586, WO-A1-2015/073767, WO-A1-2015/112754 WO-A1-2015/134699, WO-A1-2015/134701, WO-A1-2016/044120, WO-A1-2016/090382 WO-A1-2016/106009, WO-A1-2016/121782, WO-A1-2017/069224, WO-A2-2015/191681 CN-A- 103 012 397, CN-A- 104 109 160, JP-A- 2006 514 043, JP-A- 2013 067 595 JP-A- 2013 515 729, JP-A- 2014 005 245
LIPING H. PETTUS ET AL: "Discovery and Optimization of Quinazolinone-pyrrolopyrrolones as Potent and Orally Bioavailable Pan-Pim Kinase Inhibitors", JOURNAL OF MEDICINAL CHEMISTRY, vol. 59, no. 13, 14 July 2016 (2016-07-14) , pages 6407-6430, XP055761979, ISSN: 0022-2623, DOI: 10.1021/acs.jmedchem.6b00610
SATO, KENJIRO et al.: "Discovery of a Novel Series of N-Phenylindoline-5-sulfonamide Derivatives as Potent, Selective, an d Orally Bioavailable Acyl CoA:Monoacylglycerol Acyltransfer ase-2 Inhibitors", Journal of Medicinal Chemistry, vol. 58, 2015, pages 3892-3909, XP055569872,
OKUMA, CHIHIRO et al.: "JTP-103237, a novel monoacylglycerol acyltransferase inhibitor, modulates fat absorption and prevents diet-induced obesity", European Journal of Pharmacology, vol. 758, 2015, pages 72-81, XP029221741,
SATO, KENJIRO et al.: "Optimization of a novel series of N-ph enylindoline-5-sulfonamide-based acyl CoA:monoacylglycerol a cyltransferase-2 inhibitors: Mitigation of CYP3A4 time-dependent inhibition and phototoxic liabilities", Bioorganic & Medicinal Chemistry, vol. 23, 2015, pages 4544-4560, XP055571227,
MA, ZHENGPING et al.: "Characterization of monoacylglycerol a cyltransferase 2 inhibitors by a novel probe in binding assays", Analytical Biochemistry, vol. 501, 2016, pages 48-55, XP029497221,
BARLIND, JONAS G. et al.: "Identification and design of a novel series of MGAT2 inhibitors", Bioorganic & Medicinal Chemistry Letters, vol. 23, 2013, pages 2721-2726, XP028546942,
STEVEN GUNAWAN ET AL: "Bifunctional building blocks in the Ugi-azide condensation reaction: a general strategy toward exploration of new molecular diversity", ORGANIC & BIOMOLECULAR CHEMISTRY, vol. 11, no. 36, 1 January 2013 (2013-01-01), page 6036, XP055762070, ISSN: 1477-0520, DOI: 10.1039/c3ob40900g
Peter Stanetty ET AL: "New Benzo-and Thieno-fused Spirolactams", Acta Chim. Slov, 1 January 2009 (2009-01-01), pages 513-520, XP055762022, Retrieved from the Internet: URL:http://acta-arhiv.chem-soc.si/56/56-03 -513.pdf
CAROLYN L. LADD ET AL: "Intramolecular sp 3 Functionalization of Cyclopropyl [alpha]-Amino Acid-Derived Benzamides", JOURNAL OF ORGANIC CHEMISTRY, vol. 81, no. 1, 4 January 2016 (2016-01-04), pages 256-264, XP055762112, Japan ISSN: 0022-3263, DOI: 10.1021/acs.joc.5b01916
BUSUJIMA, TSUYOSHI et al.: "Identification of 2- [2-(4-tert-butylphenyl)ethyl]-N-(4-fluorop henyl)-1, 2, 3, 4-tetrahydroisoqui noline-6-sulfonamide (29) as an orally available MGAT2 inhibitor", Bioorganic & Medicinal Chemistry, vol. 23, 2015, pages 5922-5931, XP055393280,

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/

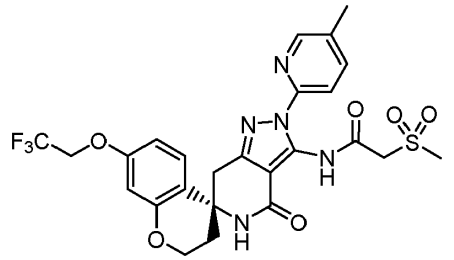
PATENTKRAV

1. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



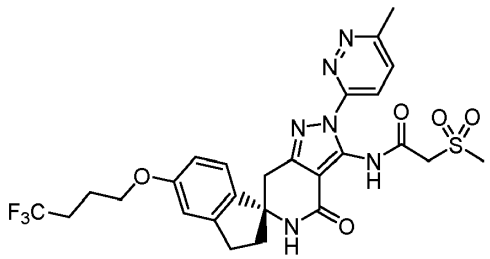
I-253 .

2. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



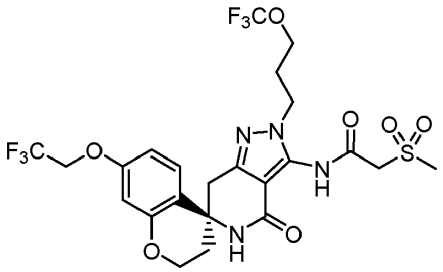
I-275 .

3. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



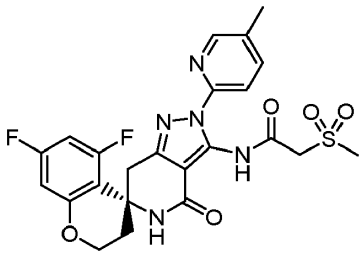
II-103 .

4. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



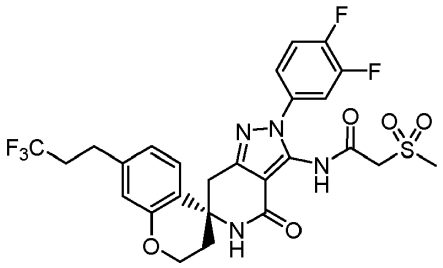
II-121

5. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



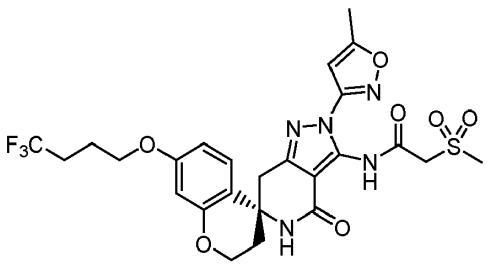
II-203

6. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



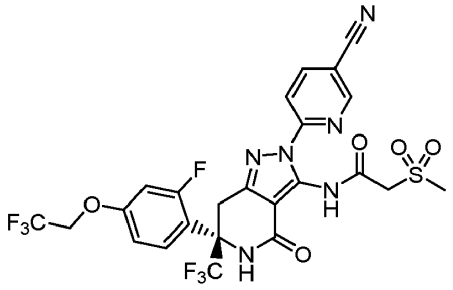
II-225

7. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



II-233

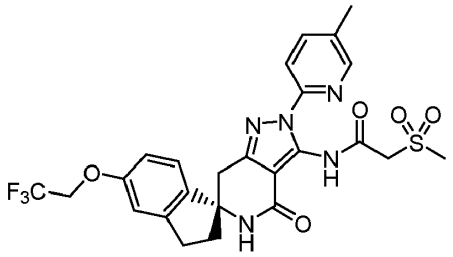
8. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



I-170

.

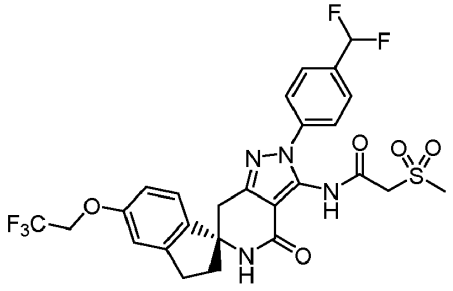
9. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



I-236

.

10. Forbindelse eller dens farmasøytisk akseptable salt, hvori forbindelsen er representert av den følgende formelen:



II-174

.

11. Farmasøytisk sammensetning omfattende forbindelsen eller dens farmasøytisk akseptable salt ifølge et hvilket som helst av kravene 1 til 10.

12. Den farmasøytiske sammensetningen ifølge krav 11, for anvendelse ved behandling eller forebygging av fedme, metabolsk syndrom, hyperlipidemi,

hypertriglyseridemi, hyper-VLDL-triglyseridemi, for høy konsentrasjon av fettsyrer i blodet, diabetes mellitus eller arteriosklerose.

13. Forbindelsen eller dens farmasøytisk akseptable salt ifølge et hvilket som helst av kravene 1 til 10 for anvendelse ved behandling eller forebygging av fedme, metabolsk syndrom, hyperlipidemi, hypertriglyseridemi, hyper-VLDL-triglyseridemi, for høy konsentrasjon av fettsyrer i blodet, diabetes mellitus eller arteriosklerose.