



(12) Translation of  
european patent specification

(11) NO/EP 2563771 B1

NORWAY

(19) NO  
(51) Int Cl.  
**C07D 401/06 (2006.01)**  
**A61K 31/4439 (2006.01)**  
**A61P 9/00 (2006.01)**  
**A61P 35/00 (2006.01)**

**Norwegian Industrial Property Office**

---

(21) Translation Published 2016.04.11  
(80) Date of The European Patent Office Publication of the Granted Patent 2015.11.25  
(86) European Application Nr. 11772772.7  
(86) European Filing Date 2011.04.22  
(87) The European Application's Publication Date 2013.03.06  
(30) Priority 2010.04.24, US, 327663 P  
(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 Viamet Pharmaceuticals, Inc., 4505 Emperor Boulevard, Suite 300, Durham, NC 27703, US-USA  
(72) Inventor HOEKSTRA, William, J., 7 Treviso Place, Durham, NC 27707, US-USA  
SCHOTZINGER, Robert, J., 7000 Potomac Court, Raleigh, NC 27613, US-USA  
RAFFERTY, Stephen, William, 7321 Abron Drive, Durham, NC 27713, US-USA  
(74) Agent or Attorney Tandbergs Patentkontor AS, Postboks 1570 Vika, 0118 OSLO, Norge

---

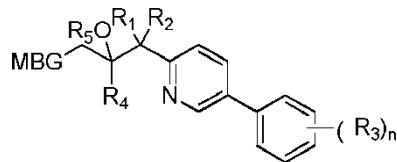
(54) Title **METALLOENZYME INHIBITOR COMPOUNDS**  
(56) References Cited: JP-A- 2000 344 744  
US-A- 4 616 026  
US-A- 5 084 465  
ETO H ET AL: "New antifungal 1,2,4-triazoles with difluoro(heteroaryl)methyl moiety", CHEMICAL AND PHARMACEUTICAL BULLETIN, PHARMACEUTICAL SOCIETY OF JAPAN, JP, vol. 48, no. 7, 1 July 2000 (2000-07-01), pages 982-990, XP002197708, ISSN: 0009-2363  
ETO, HIROMICHI ET AL.: 'New Antifungal 1,2,4-Triazoles with Difluoro(heteroary 1)methyl Moiety' CHEMICAL AND PHARMACEUTICAL BULLETIN vol. 48, no. 7, 2000, pages 982 - 990

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.** En forbindelse med formel (I), eller et salt derav, hvor:

5



(I)

MBG er eventuelt substituert tetrazolyl, eventuelt substituert triazolyl, eller eventuelt substituert pyrazolyl;

R<sub>1</sub> er halogen;

10

R<sub>2</sub> er halogen;

hver R<sub>3</sub> er uavhengig alkyl, cyano, halogenalkyl, alkoxsy, halogen, halogen-alkoxsy,

R<sub>4</sub> er aryl som eventuelt er substituert med 0, 1, 2 eller 3 uavhengig R<sub>3</sub>;

R<sub>5</sub> er H eller -C(O)alkyl, eventuelt substituert med amino;

15

n er 0, 1, 2 eller 3.

**2.** Forbindelse ifølge krav 1, hvor R<sub>1</sub> er fluor.

**3.** Forbindelse ifølge krav 1, hvor R<sub>2</sub> er fluor.

20

**4.** Forbindelse ifølge krav 1, hvor R<sub>1</sub> og R<sub>2</sub> er fluor.

**5.** Forbindelse ifølge krav 1, hvor R<sub>4</sub> er fenyl eventuelt substituert med 0, 1, 2 eller 3 uavhengig R<sub>3</sub>.

25

**6.** Forbindelse ifølge krav 1, hvor R<sub>4</sub> er fenyl eventuelt substituert med 0, 1, 2 eller 3 uavhengig halogen.

30

**7.** Forbindelse ifølge krav 1, hvor R<sub>4</sub> er fenyl eventuelt substituert med 0, 1, 2 eller 3 uavhengig fluor.

**8.** Forbindelse ifølge krav 1, hvor R<sub>4</sub> er 2,4-difluorfenyl.

9. Forbindelse ifølge krav 1, hvor R<sub>5</sub> er H.

10. Forbindelse ifølge krav 1, hvor R<sub>5</sub> er aminosubstituert acyl.

5     **11.** Forbindelse ifølge krav 1, hvor:

R<sub>1</sub> er fluor;

R<sub>2</sub> er fluor;

R<sub>4</sub> er 2,4-difluorfenyl; og

10     R<sub>5</sub> er H.

**12.** Forbindelse ifølge krav 1, hvor:

15     hver R<sub>3</sub> er uavhengig cyano, halogenalkyl, alkoksy, halogen, halogenalkoksy, og

n er 1 eller 2.

**13.** Forbindelse ifølge krav 11, hvor:

20     hver R<sub>3</sub> er uavhengig cyano, halogenalkyl, alkoksy, halogen, halogenalkoksy, og

n er 1.

**14.** Forbindelse ifølge krav 1, som er én av:

25     4-(6-(2-(2,4-difluorfenyl)-1,1-difluor-2-hydroksy-3-(1*H*-tetrazol-1-yl)propyl)-pyridin-3-yl)benzonitril (**1**);

2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)-1-(5-(4-(trifluormetyl)-fenyl)pyridin-2-yl)propan-2-ol (**2**);

30     3-(6-(2-(2,4-difluorfenyl)-1,1-difluor-2-hydroksy-3-(1*H*-tetrazol-1-yl)propyl)-pyridin-3-yl)benzonitril (**3**);

35     2-(2,4-difluorfenyl)-1,1-difluor-1-(5-(4-isopropoksyfenyl)pyridin-2-yl)-3-(1*H*-tetrazol-1-yl)propan-2-ol (**4**);

2-(2,4-difluorfenyl)-1,1-difluor-1-(5-(4-fluorfenyl)pyridin-2-yl)-3-(1*H*-tetrazol-1-yl)propan-2-ol (**5**);

40     2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)-1-(5-(3-(trifluormetoksy)-fenyl)pyridin-2-yl)propan-2-ol (**6**);

45     2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)-1-(5-(4-(trifluormetoksy)-fenyl)pyridin-2-yl)propan-2-ol (**7**);

1-(5-(3-klorfenyl)pyridin-2-yl)-2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)propan-2-ol (**8**);  
1-(5-(4-klorfenyl)pyridin-2-yl)-2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)propan-2-ol (**9**);  
5 2-(2,4-difluorfenyl)-1-(5-(2,5-difluorfenyl)pyridin-2-yl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)propan-2-ol (**10**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)-1-(5-(4-(2,2,2-trifluoretoksy)fenyl)pyridin-2-yl)propan-2-ol (**11**);  
10 2-(2,4-difluorfenyl)-1,1-difluor-1-(5-(4-(2,2,3,3,3-pentafluorpropoksy)fenyl)pyridin-2-yl)-3-(1*H*-tetrazol-1-yl)propan-2-ol (**12**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)-1-(5-(4-(2,2,2-trifluoretoksy)fenyl)pyridin-2-yl)propan-2-yl3-aminopropanat (**13**);  
15 2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)-1-(5-(4-(2,2,2-trifluoretoksy)fenyl)pyridin-2-yl)propan-2-yl2-aminoacetathydroklorid (**14**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-pyrazol-3-yl)-1-(5-(4-(trifluormetyl)fenyl)pyridin-2-yl)propan-2-ol (**15**);  
20 2-(2,4-difluorfenyl)-1,1-difluor-1-(5-(4-fluorfenyl)pyridin-2-yl)-3-(1*H*-1,2,4-triazol-1-yl)propan-2-ol (**16**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-1,2,4-triazol-1-yl)-1-(5-(4-(2,2,2-trifluoretoksy)fenyl)pyridin-2-yl)propan-2-ol (**17**);  
25 2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-1,2,4-triazol-1-yl)-1-(5-(4-(trifluormetoksy)fenyl)pyridin-2-yl)propan-2-ol (**18**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-1,2,3-triazol-1-yl)-1-(5-(4-(trifluormetoksy)fenyl)pyridin-2-yl)propan-2-ol (**19**);  
30 2-(2,4-difluorfenyl)-1,1-difluor-3-(2-*H*-tetrazol-1-yl)-1-(5-(4-(2,2,2-trifluoretoksy)fenyl)pyridin-2-yl)propan-2-ol (**20**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(2-*H*-tetrazol-1-yl)-1-(5-(3-fluorfenyl)pyridin-2-yl)propan-2-ol (**21**);  
35 2-(2,4-difluorfenyl)-1,1-difluor-3-(2-*H*-tetrazol-1-yl)-1-(5-(4-(trifluormetyl)fenyl)pyridin-2-yl)propan-2-ol (**22**);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1*H*-1,2,3-triazol-1-yl)-1-(5-(4-(trifluormetylfenyl)pyridin-2-yl)propan-2-ol (**23**);  
4-(6-(2-(2,4-difluorfenyl)-1,1-difluor-2-hydroksy-3-(1*H*-tetrazol-1-yl)propyl)pyridin-3-yl)fenol (**24**);  
35 2-(2,4-difluorfenyl)-1,1-difluor-1-(5-(3-isopropylfenyl)pyridin-2-yl)-3-(1*H*-tetrazol-1-yl)propan-2-ol (**25**);  
2-(2,4-difluorfenyl)-1-(5-(3,4-difluorfenyl)pyridin-2-yl)-1,1-difluor-3-(1*H*-tetrazol-1-yl)propan-2-ol (**26**);

1-(5-(3-(difluormetoksy)fenyl)pyridin-2-yl)-2-(2,4-difluorfenyl)-1,1-difluor-3-(1H-tetrazol-1-yl)propan-2-ol (27);  
2-(2,4-difluorfenyl)-1,1-difluor-3-(1H-tetrazol-1-yl)-1-(5-(4-((trifluormetyl)tio)fenyl)pyridin-2-yl)propan-2-ol (28).

5

- 15.** En sammensetning som omfatter en forbindelse ifølge krav 1, og en farmasøytisk akseptabel bærer.