



(12) Translation of
European patent specification

(11) NO/EP 2477992 B1

NORWAY

(19) NO
(51) Int Cl.
C07D 493/04 (2006.01)

Norwegian Industrial Property Office

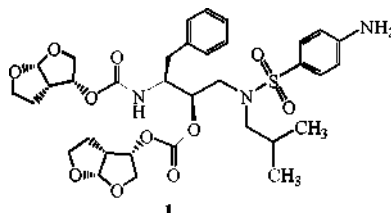
(21)	Translation Published	2017.05.15
(80)	Date of The European Patent Office Publication of the Granted Patent	2016.12.14
(86)	European Application Nr.	10795061.0
(86)	European Filing Date	2010.09.16
(87)	The European Application's Publication Date	2012.07.25
(30)	Priority	2009.09.17, IN, 2257CH2009 2010.01.08, IN, 54CH2010 2010.04.05, IN, 939CH2010
(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 SE SI SK SM TR
(73)	Proprietor	MYLAN LABORATORIES LIMITED, Plot No. 564/A/22, Road No. 92 Jubilee Hills Hyderabad, 500033 Andhra Pradesh, IN-India
(72)	Inventor	VELLANKI, Siva Rama Prasad, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India SAHU, Arabinda, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India KATUKURI, Aravind Kumar, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India VANAMA, Vikram, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India KOTHARI, Satishbabu, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India PONNEKANTI, Venkata Suryanarayana, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India DATTA, Debashish, 1-1-151/1IV FloorSairam TowersAlexander Road, Secunderabad 500 003, IN-India
(74)	Agent or Attorney	Bryn Aarflot AS, Postboks 449 Sentrum, 0104 OSLO, Norge

(54)	Title	PROCESSES FOR THE PREPARATION OF DARUNAVIR AND THE AMORPHOUS FORM THEREOF
(56)	References Cited:	WO-A1-2005/063770 WO-A2-03/106461 US-A1- 2008 014 263 US-A1- 2008 014 280 TIE Y ET AL: "High Resolution Crystal Structures of HIV-1 Protease with a Potent Non-peptide Inhibitor (UIC-94017) Active Against Multi-drug-resistant Clinical Strains", JOURNAL OF MOLECULAR BIOLOGY, LONDON, GB, vol. 338, no. 2, 23 April 2004 (2004-04-23), pages 341-352, XP004500304, ISSN: 0022-2836, DOI: DOI:10.1016/J.JMB.2004.02.052

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/>

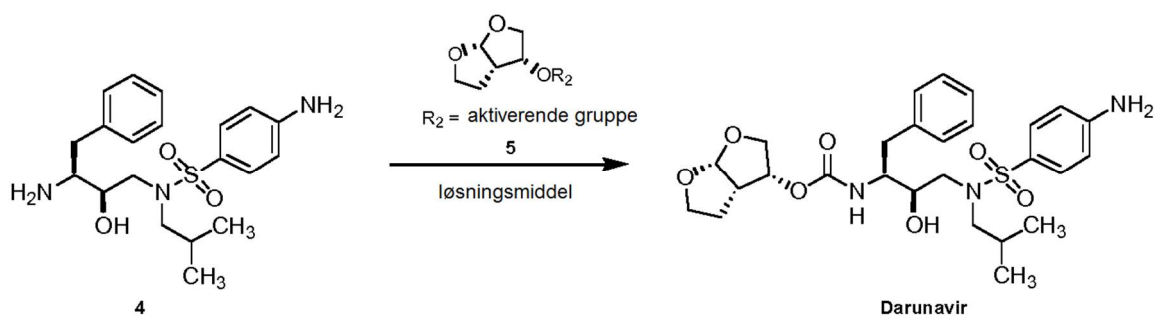
PATENTKRAV

- 5 1. Prosess for fremstilling av amorph Darunavir som inneholder mindre enn 0,1 % av difuranylurenheten med formel 1



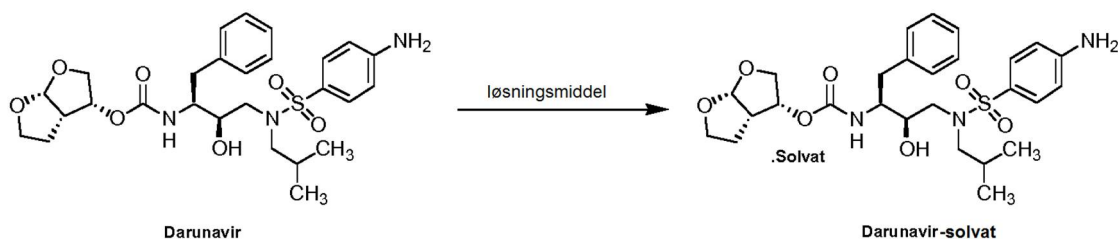
omfattende følgende trinn:

- 10 a) å koble forbindelsen med formel 4 med (3R,3aS,6aR)heksahydrofuro[2,3-b]furan-3-ol-derivat (5) i N-metyl-2-pyrrolidinon (NMPO) for å oppnå Darunavir;



- 15 b) å løse opp Darunaviret i et løsningsmiddel; og
c) å isolere amorph Darunavir med omrørt tynnfilm tørking (ATFD).

2. Prosess ifølge krav 1, videre omfattende omdannelsen av Darunaviret fra trinn a) til Darunavir-alkoholsolvat



før man løser opp Darunavir-alkoholsolvatet i et løsningsmiddel.

3. Prosessen ifølge krav 2, hvori solvatet er tildannet med metanol, etanol,
5 isopropylalkohol, n-propanol, n-butanol, eller blandinger derav.

4. Prosessen ifølge krav 1 eller krav 2, hvori løsningsmidlet anvendt i trinn b) er valgt fra gruppen som består av diklormetan, kloroform, karbontetraklorid, dikloreten, tetrahydrofuran, metanol, etanol, isopropylalkohol, acetonitril, etylacetat og blandinger derav.