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World Intellectual Property Organization (WIPO) - Geneva, Switzerland

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Request for grant of a patent

(An explanatory leaflet on how to fill in this form is available from the Patent Office)

The Patent Office

Cardiff Road
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South Wales
NP10 8QQ

Application number GB

0612721.1

1. Your reference: *(optional)* 50279P1

2. Full name, address and postcode of the applicant or of each applicant *(underline all surnames)*:

Novartis AG
Lichtstrasse 35
CH - 4056 Basel
Switzerland

Patents ADP number *(if you know it)*: 7125487005

If the applicant is a corporate body, give the country/state of its incorporation: Switzerland

3. Title of the invention: Organic Compounds

4. Name of your agent *(if you have one)*:

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*:

Novartis Pharmaceuticals UK Limited
Patents and Trademarks
Wimblehurst Road
Horsham, West Sussex
RH12 5AB

Patents ADP number *(if you know it)*: 07181522002

5. Priority declaration: Are you claiming priority from one or more earlier-filed patent applications? If so, please give details of the application(s):	Country	Application number *(if you know it)*	Date of filing *(day / month / year)*

6. Divisionals etc: Is this application a divisional application, or being made following resolution of an entitlement dispute about an earlier application? If so, please give the application number and filing date of the earlier application:	Number of earlier UK application	Date of filing *(day / month / year)*

7. Inventorship: (Inventors must be individuals not companies) (Please tick the appropriate boxes)

Are all the applicants named above also inventors?	YES ☐	NO ☑
If yes, are there any other inventors?	YES ☐	NO ☐

8. Are you paying the application fee with this form? YES ☑ NO ☐

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9. Accompanying documents: not counting duplicates, please enter the number of pages of each item accompanying this form:

Continuation sheets of this form:

Description: 16

Claim *(s)*: 1

Abstract:

Drawing *(s)*:

If you are not filing a description, please give details of the previous application you are going to rely upon:

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10. If you are also filing any of the following, state how many against each item.

Priority documents:

Statement of inventorship and right to grant of a patent (Patents Form 7/77):

Request for search (Patents Form 9A/77): 1

Request for substantive examination (Patents Form 10/77):

Any other documents: (please specify)

11. I/We request the grant of a patent on the basis of this application.

Signature(s): _____ Date: 27/06/2006

12. Name, e-mail address, telephone, Fax and/or mobile number, if any, of a contact point for the applicant:

Mrs S Schnerr

phone: 01403 323 069
fax : 01403 323 623

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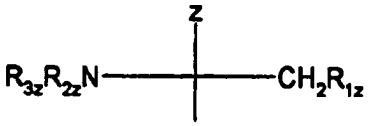
Organic Compounds

The present invention relates to the use of an S1P receptor modulator in the treatment or prevention of neo-angiogenesis associated with a demyelinating disease, e.g. multiple sclerosis.

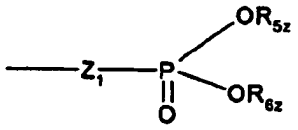
S1 P receptor modulators are typically sphingosine analogues, such as 2-substituted 2-amino- propane-1,3-diol or 2-amino-propanol derivatives, e. g. a compound comprising a group of formula X

Sphingosine-1 phosphate (hereinafter "S1P") is a natural serum lipid. Presently there are eight known S1P receptors, namely S1P1 to S1P8. S1 P receptor modulators are typically sphingosine analogues, such as 2-substituted 2-amino- propane-1,3-diol or 2-amino-propanol derivatives, e. g. a compound comprising a group of formula X



(X)

wherein Z is H, C_{1-6}alkyl, C_{2-6}alkenyl, C_{2-6}alkynyl, phenyl, phenyl substituted by OH, C_{1-6}alkyl substituted by 1 to 3 substituents selected from the group consisting of halogen, C_{3-8}cycloalkyl, phenyl and phenyl substituted by OH, or CH_2-R_{4z} wherein R_{4z} is OH, acyloxy or a residue of formula (a)



(a)

wherein Z_1 is a direct bond or O, preferably O;

each of R_{5z} and R_{6z}, independently, is H, or C_{1-4}alkyl optionally substituted by 1, 2 or 3 halogen atoms;

R_{1z} is OH, acyloxy or a residue of formula (a); and each of R_{2z} and R_{3z} independently, is H, C_{1-4}alkyl or acyl.

Group of formula X is a functional group attached as a terminal group to a moiety which may be hydrophilic or lipophilic and comprise one or more aliphatic, alicyclic, aromatic and/or heterocyclic residues, to the extent that the resulting molecule wherein at least one

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