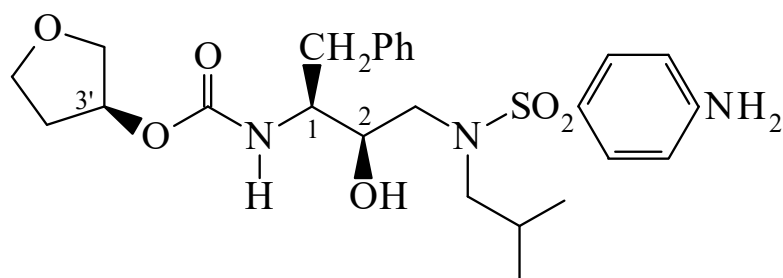




>>Entry Name: Amprenavir, INN

Synonym(s): Tetrahydro-3-furanyl [3-[[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]carbamate, 9Cl. Agenerase. K VX 478. 141W94. VX 478



CAS Registry Number: 161814-49-9

Related CAS Registry Numbers(s): 160231-70-9

Molecular Formula: C₂₅H₃₅N₃O₆S

Molecular Weight: 505.634

Accurate Mass: 505.224657

Percentage Composition: C 59.39%; H 6.98%; N 8.31%; O 18.99%; S 6.34%

Biological Use/Importance: HIV-1 protease inhibitor. Antiviral agent

Physical Description: Solid

Development Status: Launched 1999 (US)

Other Data: Stereochem. is (1*S*,2*R*,3'*S*)

>>Derivative: 2-Phosphate

Synonym(s): Fosamprenavir, INN

CAS Registry Number: 226700-79-4

Extra CAS Registry Numbers(s): 226700-80-7

Molecular Formula: C₂₅H₃₆N₃O₉PS

Molecular Weight: 585.614

Accurate Mass: 585.190989

Percentage Composition: C 51.28%; H 6.20%; N 7.18%; O 24.59%; P 5.29%; S 5.48%

Biological Use/Importance: Aspartyl protease and HIV protease inhibitor. Antiviral agent

Physical Description: Characterised by ms

>>Derivative: 2-Phosphate, Ca salt

Synonym(s): Fosamprenavir calcium, USAN. GW 433908G

CAS Registry Number: 226700-81-8

Physical Description: Microcryst. needles (EtOH aq.)

Melting Point: Mp 282-284 dec.°

References:

- Pat. Coop. Treaty (WIPO)*, 1994, *Vertex*, 94 05 639; *CA*, **122**, 81141j, (*synth, pharmacol*)
- Tisdale, M. *et al.*, *Antimicrob. Agents Chemother.*, 1995, **39**, 1704, (*activity*)
- Kim, E.E. *et al.*, *J.A.C.S.*, 1995, **117**, 1181, (*cryst struct, enzyme complex*)
- Condra, J.H. *et al.*, *Nature (London)*, 1995, **374**, 569, (*pharmacol*)
- St Clair, M.H. *et al.*, *Antiviral Res.*, 1996, **29**, 53, (*activity*)
- Moyle, G. *et al.*, *Drugs*, 1996, **51**, 701, (*rev*)
- Adkins, J.C. *et al.*, *Drugs*, 1998, **55**, 837-842, (*rev*)
- Billich, A., *IDrugs*, 1999, **2**, 466
- Martindale, The Extra Pharmacopoeia*, 32nd edn., *Pharmaceutical Press*, 1999, 606
- Pat. Coop. Treaty (WIPO)*, 1999, *Vertex*, 99 33 792; *CA*, **131**, 87803j, (*fosamprenavir*)
- Noble, S. *et al.*, *Drugs*, 2000, **60**, 1383-1410, (*rev.*)
- Conway, B. *et al.*, *Expert Opin. Invest. Drugs*, 2000, **9**, 371-382, (*rev.*)
- Pat. Coop. Treaty (WIPO)*, 2000, *Glaxo*, 00 4 033; *CA*, **132**, 93472n, (*fosamprenavir calcium*)