

Product Specification Sheet

Product Name: TMC114 (Darunavir)

Catalog Number: C8114

Technical information:

Chemical Formula: C₂₇H₃₇N₃O₇S.C₂H₅OH

CAS #: 635728-49-3

Molecular Weight: 593.73

Purity: > 98%

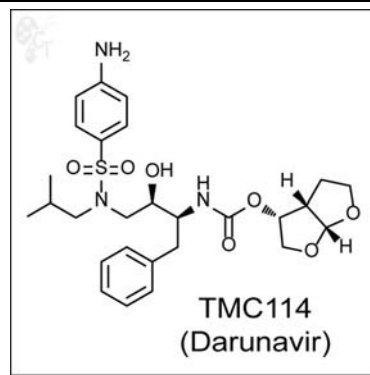
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: N-[(1S,2R)-3-[[[4-Aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]carbamic acid (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester compd. with ethanol

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



Handling: • To make 10 mM stock solution, add 0.168mL of DMSO for each mg of TMC114 (Darunavir).

- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: Darunavir Ethanolate (TMC114), a phenylalanine mimic, is a potent inhibitor of HIV-1 protease with EC50 activity against the wild type IIB strain of 4 nM and an average EC50 activity against mutant protease inhibitor strains M1-M5 of 5 nM. [1] Furthermore, of 150 protease inhibitor-resistant viruses tested, 75% were inhibited by darunavir with a EC50 of <10 nM. [2]

Darunavir exhibits no cytotoxicity at concentrations up to 100 uM and has been shown to be synergistic with a variety of other protease inhibitors and reverse transcriptase inhibitors. [3]

- Reference:**
1. Surleraux et al., Discovery and Selection of TMC114, a Next Generation HIV-1 Protease Inhibitor. J. Med. Chem. 2005, 48, 1813-1822. Pubmed ID: 15771427
 2. Molina et al., Darunavir (TMC114): a new HIV-1 protease inhibitor. Expert Opin. Pharmacother. 2007, 8(12), 1951-1964. Pubmed ID: 17696796
 3. De Meyer et al., TMC114, a Novel Human Immunodeficiency Virus Type 1 Protease Inhibitor Active against Protease Inhibitor-Resistant Viruses, Including a Broad Range of Clinical Isolates. Antimicrob. Agents. Chemother. 2005, 49(6), 2314-2321.

To reorder: <http://www.cellagentech.com/TMC114-Darunavir/>

For Technical Support: technical@cellagentech.com

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