

PRODUCT INFORMATION



Darunavir

Item No. 15866

CAS Registry No.: 206361-99-1
Formal 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

Synonym: TMC114

MF: C₂₇H₃₇N₃O₇S

FW: 547.7

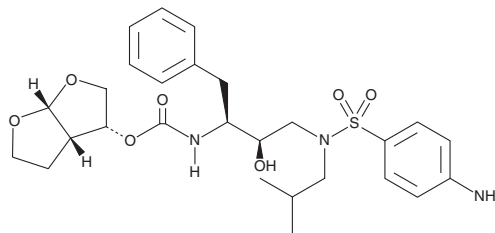
Purity: ≥98%

UV/Vis.: λ_{max}: 268 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Darunavir is supplied as a crystalline solid. A stock solution may be made by dissolving the darunavir in the solvent of choice, which should be purged with an inert gas. Darunavir is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of darunavir in these solvents is approximately 25 and 30 mg/ml, respectively.

Darunavir is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, darunavir should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Darunavir has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Darunavir is an HIV-1 protease inhibitor.¹ It is active against HIV-1_{LAI} in MT-2 cells (IC₅₀ = 3 nM) with a cytotoxic concentration (CC₅₀) of 74.4 μM. Darunavir is also active against wild-type and multidrug-resistant clinical isolates of HIV-1 in phytohemagglutinin-activated peripheral blood mononuclear cells (PHA-PBMCs; IC₅₀s = 3 and 3-29 nM, respectively). It inhibits cell-free diffusion and cell-to-cell spread of HIV-1 in Jurkat cell populations (IC₅₀s = 2.5 and 2.8 nM, respectively).² Formulations containing darunavir have been used in combination therapy for the treatment of HIV.

References

1. Koh, Y., Nakata, H., Maeda, K., *et al.* Novel bis-tetrahydrofuranylurethane-containing nonpeptidic protease inhibitor (PI) UIC-94017 (TMC114) with potent activity against multi-PI-resistant human immunodeficiency virus in vitro. *Antimicrob. Agents Chemother.* **47**(10), 3123-3129 (2003).
2. Titanji, B.K., Aasa-Chapman, M., Pillay, D., *et al.* Protease inhibitors effectively block cell-to-cell spread of HIV-1 between T cells. *Retrovirology* **10**, 161 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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