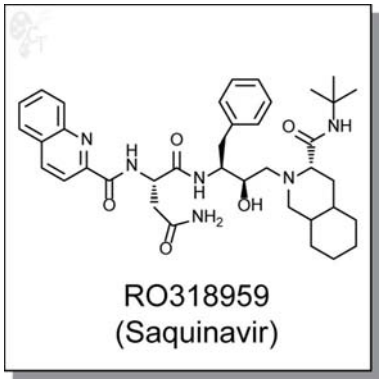
Product Specification Sheet

Product Name: RO318959 (Saquinavir)

Catalog Number: C7318



Technical information:

Chemical Formula:	$C_{38}H_{50}N_6O_5$
CAS #:	127779-20-8
Molecular Weight:	670.84
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 22 mM
Chemical Name:	(2S)-N-[(2S,3R)-4-[(3S)-3-(tert-butylcarbamoyl)-decahydroisoquinolin-2-yl]-3-hydroxy-1-phenylbutan-2-yl]-2-(quinolin-2-ylformamido)butanediamide
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 0.149mL of DMSO for each mg of RO318959 (Saquinavir).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Saquinavir is a decahydroisoquinoline-based, selective inhibitor of aspartic protease encoded by HIV with an IC50 range of 0.5-6.0 nM and IC90 range of 6.0-30.0 nM. [1] Binding inhibition constants for saquinavir against HIV-1 and HIV-2 are 0.12 nM and <0.1 nM, respectively. [2] At a concentration of 10 uM, saquinavir exhibits high selectivity, with less than 50% inhibition of human aspartic proteases renin, pepsin, gastricsin, and cathepsins D and E. [2]

Antiviral potency of saquinavir were shown in JM cells infected with HIV-1 strain GB8 with a IC50 of 2.5 nM. [2] Similarly, and IC50 of 2 nM was obtained against HIV-1 (strain RF) in C8166 cells.

Saquinavir was shown to be a substrate for P-glycoprotein transporter protein, affecting intracellular concentrations and bioavailability. [3]

Reference:

1. Craig et al., Antiviral properties of Ro 31-8959, an inhibitor of human immunodeficiency virus (HIV) proteinase. Anvitiral Res. 1991, 16, 295-395. Pubmed ID: 1810306
2. Roberts et al., Rational design of peptide-based HIV proteinase inhibitors. Science, 1990, 248, 358-361. Pubmed ID: 2183354
3. Kim et al., Saquinavir, an HIV protease inhibitor, is transported by P-glycoprotein. J. Pharmacol. Exp. Ther. 1998, 286(3), 1439-1445. Pubmed ID: 9732409

To reorder: http://www.cellagentech.com/RO318959-Saquinavir/

For Technical Support: technical@cellagentech.com

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