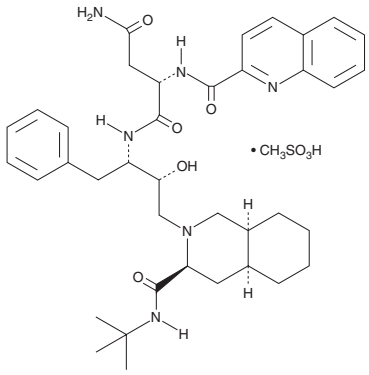
PRODUCT INFORMATION

Saquinavir (mesylate)

Item No. 9001893

CAS Registry No.: 149845-06-7
Formal Name: (2S)-N[1]-[(1S,2R)-3-[(3S,4aS,8aS)-3-[[(1,1-dimethylethyl)amino]carbonyl]octahydro-2(1H)-isoquinolinyl]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-butanediamide, monomethanesulfonate
Synonym: Ro 31-8959/003
MF: $C_{38}H_{50}N_6O_5 \bullet CH_3SO_3H$
FW: 767.0
Purity: ≥98%
UV/Vis.: λ_{max}: 206, 239, 291 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Saquinavir (mesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the saquinavir (mesylate) in the solvent of choice, which should be purged with an inert gas. Saquinavir (mesylate) is soluble in organic solvents such as methanol and DMSO. The solubility of saquinavir (mesylate) in these solvents is approximately 1 mg/ml.

Description

Saquinavir is an HIV protease inhibitor (K_is = 0.12 and < 0.1 nM for HIV-1 and HIV-2 protease, respectively) that exhibits antiviral activity with low cytotoxicity.[1,2] When co-administered with 50 mg/kg ritonavir (Item No. 13872), the bioavailability of 20 mg/kg saquinavir has been shown to increase 325-fold in mice through a mechanism that inhibits its metabolism by CYP3A.[3]

References

1. Roberts, N.A., Martin, J.A., Kinchington, D., *et al.* Rational design of peptide-based HIV proteinase inhibitors. *Science* **248(4953)**, 358-361 (1990).
2. Tucker, T.J., Lumma, W.C., Jr., Payne, L.S., *et al.* A series of potent HIV-1 protease inhibitors containing a hydroxyethyl secondary amine transition state isostere: Synthesis, enzyme inhibition, and antiviral activity. *J. Med. Chem.* **35(14)**, 2525-2533 (1992).
3. Tomaru, A., Takeda-Morishita, M., Banba, H., *et al.* Analysis of the pharmacokinetic boosting effects of ritonavir on oral bioavailability of drugs in mice. *Drug Metab. Pharmacokinet.* **28(2)**, 144-152 (2013).

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