

Product Data Sheet

Product Name: Nelfinavir Mesylate

Cat. No.: GC14084

Chemical Properties

Cas. No. 159989-65-8

Chemical Name (3S,4aS,8aS)-N-tert-butyl-2-[(2R,3R)-2-hydroxy-3-[(3-hydroxy-2-methylbenzoyl)amino]-4-phenylsulfanylbutyl]-3,4,4a,5,6,7,8,8a-octahydro-1H-isoquinoline-3-carboxamide; methanesulfonic acid

SMILES CC1=C(C=CC=C1O)C(=O)NC(CSC2=CC=CC=C2)C(CN3CC4CCCCC4CC3C(=O)NC(C)(C)C)O.CS(=O)(=O)O

Formula $C_{33}H_{49}N_3O_7S_2$

M.Wt 663.89

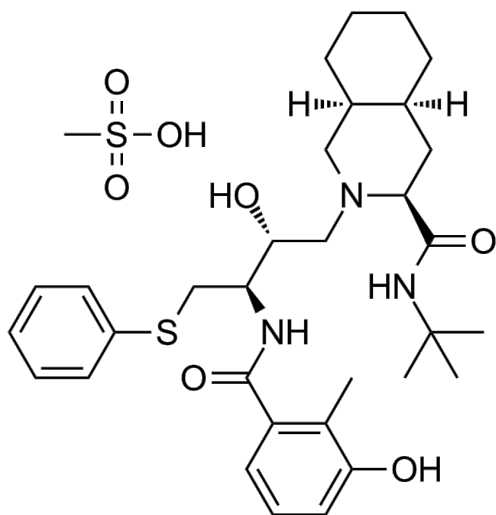
Solubility ≥ 66.4 mg/mL in DMSO, ≥ 100.4 mg/mL in EtOH with gentle warming

Storage Store at 2-8°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Nelfinavir Mesylate is a potent inhibitor of HIV-1 protease [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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HIV-1 protease is a constitutive enzyme that processes gag and gag-pol polyproteins for packaging into the nascent virion actively budding from a productively infected cell. Inhibition of the enzyme results in the formation of immature non-infectious particles [2].

Nelfinavir Mesylate is a potent inhibitor of HIV-1 protease with K_i of 2.0 nM. In CEM cells infected with the HIV strain IIIB, Nelfinavir Mesylate was potent antiviral agent with ED50 value of 14 nM and exhibited minimal cellular toxicity (TD_{50} s > 5000 nM) [1]. In CEM-SS and MT-2 cells, Nelfinavir Mesylate protected these cells from acute HIV-1 RF- and HIV-1 IIIB-induced cell killing with EC50s ranging from 31 to 43 nM [3].

In 65 HIV-1-infected patients, Nelfinavir Mesylate was well-tolerated and exhibited robust antiviral activity with demonstrable superiority of the 750 mg and 1000 mg three times daily regimens. Thirty patients who continued to receive therapy at 12 months acquired a persistent 1.6 log₁₀ reduction in HIV RNA, accompanied by a mean increase in CD4 cells of 180-200/mm³ [2].

References:

- [1]. Kaldor SW, Kalish VJ, Davies JF, et al. Viracept (nelfinavir mesylate, AG1343): a potent, orally bioavailable inhibitor of HIV-1 protease. *J Med Chem*, 1997, 40(24): 3979-3985.
- [2]. Markowitz M, Conant M, Hurley A, et al. A preliminary evaluation of nelfinavir mesylate, an inhibitor of human immunodeficiency virus (HIV)-1 protease, to treat HIV infection. *J Infect Dis*, 1998, 177(6): 1533-1540.
- [3]. Patick AK, Mo H, Markowitz M, et al. Antiviral and resistance studies of AG1343, an orally bioavailable inhibitor of human immunodeficiency virus protease. *Antimicrob Agents Chemother*, 1996, 40(2): 292-297.

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