Nelfinavir Mesylate

Cat. No.: HY-15287A

CAS No.: 159989-65-8

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

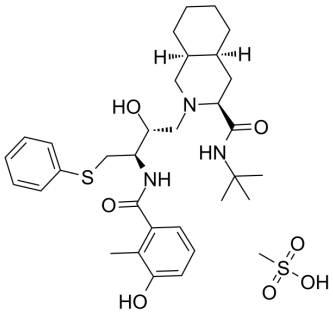
Molecular Weight: 663.89

Target: HIV; HIV Protease

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 1 years; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (150.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5063 mL	7.5314 mL	15.0627 mL
	5 mM	0.3013 mL	1.5063 mL	3.0125 mL
	10 mM	0.1506 mL	0.7531 mL	1.5063 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (7.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (7.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (7.53 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (3.77 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Nelfinavir Mesylate (AG 1343 Mesylate) is a potent and orally bioavailable HIV-1 protease inhibitor (K_i=2 nM) for HIV infection. Nelfinavir Mesylate (AG 1343 Mesylate) is a broad-spectrum, anticancer agent[1][2][3].

IC_{50} & Target

HIV-1

In Vitro

Nelfinavir (AG1341) Mesylate (1-10 μM; 48 hours) inhibits the proliferation of multiple myeloma cells[4].
Nelfinavir Mesylate inhibits 26S chymotrypsin-like proteasome activity, impairs proliferation and triggers apoptosis of the myeloma cell lines and fresh plasma cells[4].
Nelfinavir Mesylate (1-10 μM; 17 hours) induces apoptosis of multiple myeloma cell lines[4].
Nelfinavir Mesylate (5 μM; 0-24 hours) decreases the phosphorylation of AKT[4].
Nelfinavir Mesylate activates the cleavage of caspase-3, decreases the phosphorylation of AKT, STAT-3, ERK1/2, and activates the pro-apoptotic pathway of the unfolded protein response system[4].
Nelfinavir is also a SARS-CoV $3CL^{pro}$ inhibitor with an IC_{50} of 35.93 μM[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[4]

Cell Line:	RPMI, LP1, U266, OPM2 and MM1S cells
Concentration:	1, 2, 5, 10 μM
Incubation Time:	48 hours
Result:	Inhibited the proliferation of RPMI, LP1, U266, OPM2 and MM1S cell lines in a dose-dependent manner with an IC_{50} of 1-5 μM.

Apoptosis Analysis[4]

Cell Line:	LP1 and U266 cells
Concentration:	1-10 μM
Incubation Time:	17 hours
Result:	Induced a dose-dependent increase in the percentage of annexin V^+/propidium $iodide^+$ cells.

Western Blot Analysis[4]

Cell Line:	U266 cells
Concentration:	5 μM
Incubation Time:	0-24 hours
Result:	The level of AKT phosphorylation in U266 cells decreased.

In Vivo

Nelfinavir Mesylate (75 mg/kg; i.p.; 5 days a week for 21 days) decreases multiple myeloma cell growth in NOD/SCID mice[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCID mice (bearing U266-luc cells)[4]
Dosage:	75 mg/kg
Administration:	I.p.; 5 days a week for 21 days
Result:	Decreased MM cell growth in NOD/SCID mice.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Nat Commun. 2020 Sep 4;11(1):4417.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Antiviral Res. 2022 Jun;202:105311.
- J Med Chem. 2021 Mar 11;64(5):2725-2738.

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REFERENCES

[1]. Qi Sun, et al. Bardoxolone and bardoxolone methyl, two Nrf2 activators in clinical trials, inhibit SARS-CoV-2 replication and its 3C-like protease. Signal Transduct Target Ther. 2021 May 29;6(1):212.

[2]. Mondal D, et al. Nelfinavir suppresses signaling and nitric oxide production by human aortic endothelial cells: protective effects of thiazolidinediones. Ochsner J. 2013 Spring;13(1):76-90.

[3]. Kaldor SW, et al. Nelfinavir mesylate (AG1343): a potent, orally bioavailable inhibitor of HIV-1 protease. J Med Chem. 1997 Nov 21;40(24):3979-85.

[4]. Gills JJ, et al. Nelfinavir, A lead HIV protease inhibitor, is a broad-spectrum, anticancer agent that inducesendoplasmic reticulum stress, autophagy, and apoptosis in vitro and in vivo. Clin Cancer Res. 2007 Sep 1;13(17):5183-94.

[5]. Bono C, et al. The human immunodeficiency virus-1 protease inhibitor nelfinavir impairs proteasome activity and inhibits the proliferation of multiple myeloma cells in vitro and in vivo. Haematologica. 2012;97(7):1101-1109.

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