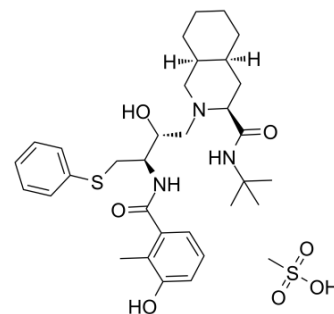


Nelfinavir Mesylate

Cat. No.:	HY-15287A		
CAS No.:	159989-65-8		
Molecular Formula:	C ₃₃ H ₄₉ N ₃ O ₇ S ₂		
Molecular Weight:	663.89		
Target:	HIV Protease; HIV		
Pathway:	Anti-infection; Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 25 mg/mL (37.66 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
Solubility: ≥ 5 mg/mL (7.53 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
Solubility: ≥ 5 mg/mL (7.53 mM); Clear solution
- Add each solvent one by one: **10% DMSO >> 90% corn oil**
Solubility: ≥ 5 mg/mL (7.53 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]}.

IC₅₀ & 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].
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.

- **Nat Commun.** 2020 Sep 4;11(1):4417.
- **Antimicrob Agents Chemother.** 2020 Jul 15;AAC.00872-20.
- **Int J Antimicrob Agents.** 2019 Dec;54(6):814-819.
- **Cell Signal.** 2020, 109775.
- **PLoS One.** 2015 Aug 11;10(8):e0134707.

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REFERENCES

- [1]. 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.
- [2]. 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.
- [3]. Gills JJ, et al. Nelfinavir, A lead HIV protease inhibitor, is a broad-spectrum, anticancer agent that induces endoplasmic reticulum stress, autophagy, and apoptosis in vitro and in vivo. *Clin Cancer Res.* 2007 Sep 1;13(17):5183-94.
- [4]. 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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Caution: Product has not been fully validated for medical applications. For research use only.

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