Indinavir sulfate ethanolate

Cat. No.: HY-B0689B

CAS No.: 2563866-80-6

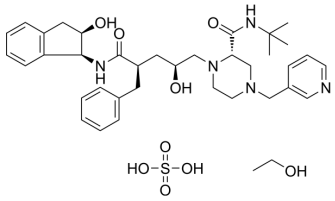
Molecular Formula: $C_{38}H_{55}N_5O_9S$

Molecular Weight: 757.94

Target: Apoptosis; MMP; HIV; HIV Protease; SARS-CoV

Pathway: Apoptosis; Metabolic Enzyme/Protease; Anti-infection

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Indinavir sulfate ethanolate (MK-639 ethanolate) is an orally active and selective HIV-1 protease inhibitor with a K_i of 0.54 nM for PR. Indinavir sulfate ethanolate exhibits anticancer activity by inhibiting the activation of MMPs-2 hydrolysis, anti-angiogenesis and inducing apoptosis. Indinavir sulfate ethanolate is also a SARS-CoV $3CL^{pro}$ inhibitor[1][2][3][4].

IC_{50} & Target	HIV-1	MMP-2

In Vitro

Indinavir sulfate ethanolate (0-50 μM; 18 h) blocks lymphocyte cell cycle in G0/G1 phase in PBMCs cells and impairs lymphoproliferative responses[1].

Indinavir sulfate ethanolate (40 μM-40 nM; 5 days) inhibits cell invasion and (40 μM-40 nM; 48 h) MMPs-2 activation of the Huh7 and SK-HEP-1 hepatocarcinoma cells in vitro[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	PBMCs (from healthy and HIV-infected volunteers)
Concentration:	0-50 μM
Incubation Time:	18 h (pretreatment; stimulation with anti-CD3 for an additional 48 hours)
Result:	Blocked anti-CD3-induced cell-cycle progression in a dose-dependent manner. Resulted in dose-dependent reduction of lymphoproliferative responses.

Cell Invasion Assay[2]

Cell Line:	Huh7 and SK-HEP-1 cells
Concentration:	40 μM-40 nM
Incubation Time:	5 days
Result:	Reduced ability to invade an in vitro constituted extracellular matrix for both cell lines treated compared with the untreated cells.

Western Blot Analysis[2]

Cell Line:	Huh7 and SK-HEP-1 cells
Concentration:	40 μM-40 nM
Incubation Time:	48 h
Result:	Blocked the conversion of latent MMP-2 to its 62/64-kDa active form.

In Vivo

Indinavir sulfate ethanolate (70 mg/kg; i.g.; once a day for 3 weeks) inhibits the growth of hepatocarcinoma cells in vivo[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice(s.c. into Huh7 and SK-HEP-1 cells)[2].
Dosage:	70 mg/kg
Administration:	Oral gavage; once a day for 3 weeks
Result:	Delaied the growth of s.c. implanted hepatocarcinoma xenografts in nude mice compared with placebo.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2021 May 29;6(1):212.
- Nat Commun. 2020 Sep 4;11(1):4417.
- Antimicrob Agents Chemother. 2020 Aug 20;64(9):e00872-20.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Front Pharmacol. 2021 Apr 12;12:634097.

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REFERENCES

[1]. Chavan S, et al. The HIV protease inhibitor Indinavir inhibits cell-cycle progression in vitro in lymphocytes of HIV-infected and uninfected individuals. Blood. 2001 Jul 15;98(2):383-9.

[2]. Esposito V, et al. Evaluation of antitumoral properties of the protease inhibitor indinavir in a murine model of hepatocarcinoma. Clin Cancer Res. 2006 Apr 15;12(8):2634-9.

[3]. Liu F, et al. Kinetic, stability, and structural changes in high-resolution crystal structures of HIV-1 protease with drug-resistant mutations L24I, I50V, and G73S. J Mol Biol. 2005 Dec 9;354(4):789-800.

[4]. Hall DC Jr, et al. A search for medications to treat COVID-19 via in silico molecular docking models of the SARS-CoV-2 spike glycoprotein and 3CL protease. Travel Med Infect Dis. 2020 May-Jun;35:101646.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA