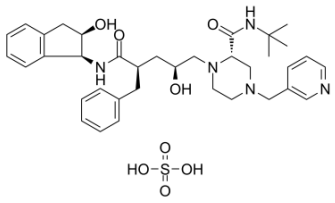
Indinavir sulfate

Cat. No.:	HY-B0689A
CAS No.:	157810-81-6
Molecular Formula:	$C_{36}H_{49}N_5O_8S$
Molecular Weight:	711.87
Target:	HIV; HIV Protease
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (140.48 mM)
H_2O : 50 mg/mL (70.24 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4048 mL	7.0238 mL	14.0475 mL
5 mM	0.2810 mL	1.4048 mL	2.8095 mL
10 mM	0.1405 mL	0.7024 mL	1.4048 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: ≥ 3 mg/mL (4.21 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 3 mg/mL (4.21 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 3 mg/mL (4.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Indinavir sulfate(MK-639 sulfate; L735524 sulfate) is a potent and specific HIV protease inhibitor that appears to have good oral bioavailability.Target: HIV ProteaseIndinavir(MK-639) is a protease inhibitor used as a component of highly active antiretroviral therapy (HAART) to treat HIV infection and AIDS.MK-639 appears to have significant dose-related antiviral activity and is well tolerated [1]. Inhibition constants (K(i)) of the antiviral drug indinavir for the reaction catalyzed by the mutant enzymes were about threefold and 50-fold higher for PR(L24I) and PR(I50V), respectively, relative to PR and PR(G73S). The dimer dissociation constant (K(d)) was estimated to be approximately 20 nM for

both PR(L24I) and PR(I50V), and below 5 nM for PR(G73S) and PR. Crystal structures of the mutants PR(L24I), PR(I50V) and PR(G73S) were determined in complexes with indinavir, or the p2/NC substrate analog at resolutions of 1.10-1.50 Angstrom [2].

CUSTOMER VALIDATION

- **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.
- **bioRxiv**. 2020 Apr.

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REFERENCES

[1]. Stein, D.S., et al., A 24-week open-label phase I/II evaluation of the HIV protease inhibitor MK-639 (indinavir). AIDS, 1996. 10(5): p. 485-92.

[2]. 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. 354(4): p. 789-800.

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