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产品名称：Indinavir
CAS 号：150378-17-9
产品货号：S80387

生物活性：

Description	Indinavir (MK-639 free base) 是一种口服有效并且具有选择性的 HIV-1 蛋白酶抑制剂，其对 PR 的 Ki 值为 0.54 nM。Indinavir 可通过抑制基质金属蛋白酶-2 水解的激活，抗血管生成以及促癌细胞凋亡来发挥抗癌活性。Indinavir 也是一种 SARS-CoV 3CLpro 抑制剂.
IC50 & Target	HIV-1　　MMP-2

In Vitro

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

Indinavir (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]。

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

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.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 : ≥ 100 mg/mL (162.92 mM; 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

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* "≥" means soluble, but saturation unknown

Preparing Stock Solutions (Solvent / Mass / Concentration)	1 mg	5 mg	10 mg
1 mM	1.6292 mL	8.1461 mL	16.2922 mL
5 mM	0.3258 mL	1.6292 mL	3.2584 mL
10 mM	0.1629 mL	0.8146 mL	1.6292 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

参考文献

[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.

完整储备液配制表：

请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	1.6292 mL	8.1461 mL	16.2922 mL	40.7305 mL
	5 mM	0.3258 mL	1.6292 mL	3.2584 mL	8.1461 mL
	10 mM	0.1629 mL	0.8146 mL	1.6292 mL	4.0731 mL
	15 mM	0.1086 mL	0.5431 mL	1.0861 mL	2.7154 mL
	20 mM	0.0815 mL	0.4073 mL	0.8146 mL	2.0365 mL
	25 mM	0.0652 mL	0.3258 mL	0.6517 mL	1.6292 mL
	30 mM	0.0543 mL	0.2715 mL	0.5431 mL	1.3577 mL
	40 mM	0.0407 mL	0.2037 mL	0.4073 mL	1.0183 mL
	50 mM	0.0326 mL	0.1629 mL	0.3258 mL	0.8146 mL
	60 mM	0.0272 mL	0.1358 mL	0.2715 mL	0.6788 mL
	80 mM	0.0204 mL	0.1018 mL	0.2037 mL	0.5091 mL

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	100 mM	0.0163 mL	0.0815 mL	0.1629 mL	0.4073 mL