上海源叶生物科技有限公司
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产品名称：NSC 95397
CAS 号：93718-83-3
产品货号：S87619

生物活性：

Description

NSC 95397 是一种有效选择性的 Cdc25 双特异性磷酸酶抑制剂 (Cdc25A、Cdc25B 以及 Cdc25C 的 Ki 值分别为 32、96、40 nM，人亚型 Cdc25A、人亚型 Cdc25C 以及 Cdc25B 的 IC50 值分别为 22.3、56.9、125 nM)。NSC 95397 抑制丝裂原活化蛋白激酶磷酸酶-1 (MKP-1)，并通过 MKP-1 和 ERK1/2 途径抑制结肠癌细胞的增殖并诱导细胞凋亡[2]。

IC50 & Target

Ki: 32 nM (Cdc25A), 96 nM (Cdc25B), 40 nM (Cdc25C)[1]

IC50: 22.3 nM (human Cdc25A) , 56.9 nM (human Cdc25C), 125 nM (Cdc25B)[1]

Cellular Effect

Cell Line	Type	Value	Description
A549	IC_{50}	1.45 μM	Cytotoxicity against human A549 cells assessed as reduction in cell viability after 72 hrs by MTT assay
A549	IC_{50}	13.1 μM	Cytotoxicity against human A549 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
HeLa	IC_{50}	10.8 μM	Cytotoxicity against human HeLa cells after 6 days by WST1 colorimetric assay
HeLa	IC_{50}	8.5 μM	Antiproliferative activity against human HeLa cells after 10 days by clonogenic assay
HL-60	IC_{50}	1 μM	Cytotoxicity against human HL60 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
Hs 683	IC_{50}	2.8 μM	Cytotoxicity against human Hs683 cells assessed as reduction in cell viability after 72 hrs by MTT assay
Jurkat	IC_{50}	3.7 μM	Cytotoxicity against human Jurkat cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
LS174T	IC_{50}	18.1 μM	Cytotoxicity against human LS174T cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
MCF7	IC_{50}	0.96 μM	Cytotoxicity against human MCF7 cells assessed as reduction in cell viability after 72 hrs by MTT assay
MCF7	IC_{50}	9.4 μM	Cytotoxicity against human MCF7 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
MONO-MAC-6	IC_{50}	4.2 μM	Cytotoxicity against human MONO-MAC-6 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
PBMC	IC_{50}	7.8 μM	Cytotoxicity against human PBMC cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay

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	PC-3	IC_{50}	3.4 μM	Cytotoxicity against human PC3 cells assessed as reduction in cell viability after 72 hrs by MTT assay
	SK-MEL-28	IC_{50}	2.3 μM	Cytotoxicity against human SK-MEL-28 cells assessed as reduction in cell viability after 72 hrs by MTT assay
	SW982	IC_{50}	7.9 μM	Cytotoxicity against human SW982 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
	THP-1	IC_{50}	1.4 μM	Cytotoxicity against human THP1 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay
	U-937	IC_{50}	3.9 μM	Cytotoxicity against human U937 cells assessed as reduction in cell viability incubated for 24 hrs by CellTiter-Glo luminescent cell viability assay

In Vitro

NSC 95397 (0, 10, and 20 μM; 24 hour) 以浓度依赖性方式降低三种结肠癌细胞系 SW480、SW620 和 DLD-1 的细胞活力[2]。

NSC 95397(10 μM; 24 h) 在所有三种结肠癌细胞系 SW480、SW620 和 DLD-1 细胞中上调 p21，同时下调 CDK4 和 CDK6[2]。

NSC 95397 (10 μM；24 h) 降低 Ser795 和 Ser807/811 上视网膜母细胞瘤蛋白 (Rb) 的磷酸化[2]。

NSC 95397 (20 μM；24 h) 显著增加裂解的 caspase-9、-3、-7 和 PARP 水平[2]。

NSC 95397 (10 μM；6 h) 增强其下游 ERK1/2 在 Thr202/Tyr 204 处的磷酸化[2]。

Cell Viability Assay[2]

Cell Line:	Human colon cancer cell lines, SW480, SW620, and DLD-1
Concentration:	0, 10, and 20 μM
Incubation Time:	24 hours
Result:	The IC_{50} values of NSC 95397 for the cell growth of SW480, SW620, and DLD-1 cells were 9.9, 14.1 and 18.6 μM, respectively.

Western Blot Analysis[2]

Cell Line:	SW480, SW620, and DLD-1 cells
Concentration:	10 μM
Incubation Time:	24 hours
Result:	p21 was upregulated while CDK4 and CDK6 were downregulated. Rduced the phosphorylation of Rb on Ser795 and Ser807/811

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 : ≥ 100 mg/mL (322.18 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)

* "≥" means soluble, but saturation unknown

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2218 mL	16.1088 mL	32.2175 mL
	5 mM	0.6444 mL	3.2218 mL	6.4435 mL
	10 mM	0.3222 mL	1.6109 mL	3.2218 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

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	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。 **动物实验：** 请根据您的 实验动物和给药方式 选择适当的溶解方案。 以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 方案 一 请依序添加每种溶剂： 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline Solubility: ≥ 10 mg/mL (32.22 mM); 澄清溶液 此方案可获得 ≥ 10 mg/mL（饱和度未知）的澄清溶液。 以 1 mL 工作液为例，取 100 μL 100.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；再向上述体系中加入 50 μL Tween-80，混合均匀；然后再继续加入 450 μL 生理盐水 定容至 1 mL。 生理盐水的配制：将 0.9 g 氯化钠，溶解于 ddH₂O 并定容至 100 mL，可以得到澄清透明的生理盐水溶液。 方案 二 请依序添加每种溶剂： 10% DMSO → 90% (20% SBE-β-CD in Saline) Solubility: ≥ 10 mg/mL (32.22 mM); 澄清溶液 此方案可获得 ≥ 10 mg/mL（饱和度未知）的澄清溶液。 以 1 mL 工作液为例，取 100 μL 100.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液 中，混合均匀。 2 g SBE-β-CD（磺丁基醚 β-环糊精）粉末定容于 10 mL 的生理盐水中，完全溶解至澄清透明。
参考文献	[1]. Lazo JS, et al. Identification of a potent and selective pharmacophore for Cdc25 dual specificity phosphatase inhibitors. Mol Pharmacol. 2002 Apr;61(4):720-8. [2]. Dubey NK, et al. NSC 95397 Suppresses Proliferation and Induces Apoptosis in Colon Cancer Cells through MKP-1 and the ERK1/2 Pathway. Int J Mol Sci. 2018 May 31;19(6). pii: E1625.

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**	3.2218 mL	16.1088 mL	32.2175 mL	80.5438 mL
	5 mM	0.6444 mL	3.2218 mL	6.4435 mL	16.1088 mL
	10 mM	0.3222 mL	1.6109 mL	3.2218 mL	8.0544 mL

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	15 mM	0.2148 mL	1.0739 mL	2.1478 mL	5.3696 mL
	20 mM	0.1611 mL	0.8054 mL	1.6109 mL	4.0272 mL
	25 mM	0.1289 mL	0.6444 mL	1.2887 mL	3.2218 mL
	30 mM	0.1074 mL	0.5370 mL	1.0739 mL	2.6848 mL
	40 mM	0.0805 mL	0.4027 mL	0.8054 mL	2.0136 mL
	50 mM	0.0644 mL	0.3222 mL	0.6444 mL	1.6109 mL
	60 mM	0.0537 mL	0.2685 mL	0.5370 mL	1.3424 mL
	80 mM	0.0403 mL	0.2014 mL	0.4027 mL	1.0068 mL
	100 mM	0.0322 mL	0.1611 mL	0.3222 mL	0.8054 mL