上海源叶生物科技有限公司
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产品名称：Dp44mT
CAS 号：152095-12-0
产品货号：S88042

生物活性：

Description	Dp44mT 是具有选择性抗癌活性的铁螯合剂 (iron chelator)。
IC50 & Target	Target: Iron chelator[1]

Cellular Effect

Cell Line	Type	Value	Description
A549	IC_{50}	0.02 μM	Antiproliferative activity at human A549 cells after 72 hrs by MTT assay
Capan-2	IC_{50}	0.001 μM	Cytotoxicity against human Capan2 cells incubated for 24 hrs by MTT assay
CFPAC-1	IC_{50}	0.2 μM	Cytotoxicity against human CFPAC-1 cells incubated for 24 hrs by MTT assay
DMS-53	IC_{50}	0.01 μM	Antiproliferative activity at human DMS53 cells after 72 hrs by MTT assay
HCT-116	IC_{50}	0.0011 μM	Antiproliferative activity against human HCT116 cells deficient in p53 incubated for 72 hrs by MTS assay
HCT-116	IC_{50}	0.00123 μM	Antiproliferative activity against human HCT116 cells expressing wild type p53 incubated for 72 hrs by MTS assay
HCT-116	IC_{50}	0.002 μM	Antiproliferative activity against human HCT116 cells expressing p53 after 72 hrs by MTT assay
HCT-116	IC_{50}	0.005 μM	Antiproliferative activity against p53-deficient human HCT116 cells after 72 hrs by MTT assay
HL-60	IC_{50}	0.01 μM	Antiproliferative activity against human HL60 cells after 72 hrs by MTT assay
Hs 683	IC_{50}	0.00396 μM	Antiproliferative activity against human Hs683 cells incubated for 72 hrs by MTS assay
K562	IC_{50}	3.43 μM	Antiproliferative activity against human K562 cells by MTT assay
K562/A02	IC_{50}	3.02 μM	Antiproliferative activity against human K562/A02 cells overexpressing P-gp by MTT assay
KB 3-1	IC_{50}	0.07 μM	Cytotoxicity against human KB-3-1 cells incubated for 72 hrs by MTT assay
MCF7	IC_{50}	0.00114 μM	Antiproliferative activity against human MCF7 cells incubated for 72 hrs by MTS assay
MIA PaCa-2	IC_{50}	0.001 μM	Cytotoxicity against human MIAPaCa2 cells incubated for 24 hrs by MTT assay
MRC5	IC_{50}	> 10 μM	Antiproliferative activity at human MRC5 cells after 72 hrs by MTT assay
NHDF	IC_{50}	15.38 μM	Antiproliferative activity against human NHDF cells after 72 hrs by MTT assay
NHDF	IC_{50}	18.88 μM	Antiproliferative activity against human NHDF cells incubated for 72 hrs by MTS assay

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	PANC-1	IC_{50}	0.004 μM	Cytotoxicity against human PANC1 cells incubated for 24 hrs by MTT assay
	SK-N-MC	IC_{50}	0.001 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.002 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.002 μM	Antiproliferative activity against human SK-N-MC cells by MTT assay
	SK-N-MC	IC_{50}	0.004 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTS assay
	SK-N-MC	IC_{50}	0.004 μM	Antiproliferative activity at human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.004 μM	Antiproliferative activity against human SK-N-MC cells measured after 72 hrs at 37 degC by MTT assay
	SK-N-MC	IC_{50}	0.007 μM	Cytotoxicity against human SK-N-MC cells assessed as growth inhibition after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.01 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.01 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.01 μM	Antiproliferative activity against human SK-N-MC cells after 96 hrs by MTT assay
	SK-N-MC	IC_{50}	0.01 μM	Toxicity in human SK-N-MC cells by MTT method
	SK-N-MC	IC_{50}	0.013 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.014 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SK-N-MC	IC_{50}	0.03 μM	Antiproliferative activity against human SK-N-MC cells after 72 hrs by MTT assay
	SW480	IC_{50}	0.06 μM	Cytotoxicity against human SW480 cells incubated for 72 hrs by MTT assay
	SW480	IC_{50}	0.2 μM	Cytotoxicity against triapine-resistant human SW480 cells incubated for 72 hrs by MTT assay
	U-251	IC_{50}	0.00114 μM	Antiproliferative activity against human U251 cells incubated for 72 hrs by MTS assay
	WI-38	IC_{50}	0.4 μM	Cytotoxicity against human WI38 cells incubated for 72 hrs by MTT assay

In Vitro

Dp44mT 对乳腺癌细胞具有细胞毒性，至少部分是由于其选择性抑制 top2α。与健康乳腺上皮细胞 (MCF-12A) 相比，单独使用 Dp44mT 即可选择性杀伤乳腺癌细胞系 MDA-MB-231。它在纳摩尔浓度下可诱导 G1 期细胞停滞并抑制癌细胞克隆形成。Dp44mT，而非铁螯合剂去铁胺，可诱导 DNA 双链断裂，其定量形式为 S139 磷酸化组蛋白焦点（γ-H2AX）和彗星尾，并可诱导 MDA-MB-23 1 细胞中诱导的彗星尾。低浓度 Dp44mT 可显著增强阿霉素诱导的细胞毒性和 DNA 损伤。通过体外 DNA 裂解试验和细胞拓扑异构酶-DNA 复合物形成测定，该螯合剂可选择性中毒 DNA 拓扑异构酶

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IIα (top2α)[1]。

Dp44mT 通过与铜结合来靶向溶酶体的完整性。铜结合对于 Dp44mT 强大的抗肿瘤活性至关重要，因为与无毒铜螯合剂共孵育可显著减弱其细胞毒性[2].

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：100 mg/mL (350.42 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5042 mL	17.5211 mL	35.0422 mL
	5 mM	0.7008 mL	3.5042 mL	7.0084 mL
	10 mM	0.3504 mL	1.7521 mL	3.5042 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, 2 years; -20°C, 1 year。-80°C 储存时，请在 2 年内使用， -20°C 储存时，请在 1 年内使用。

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: ≥ 2.5 mg/mL (8.76 mM); 澄清溶液

此方案可获得 ≥2.5 mg/mL（饱和度未知）的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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 ddH_2O 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: ≥ 2.5 mg/mL (8.76 mM); 澄清溶液

此方案可获得 ≥2.5 mg/mL（饱和度未知）的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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]. Rao VA, et al. The iron chelator Dp44mT causes DNA damage and selective inhibition of topoisomerase IIalpha in breast cancer cells. Cancer Res. 2009 Feb 1;69(3):948-57.

[2]. Lovejoy DB, et al. Antitumor activity of metal-chelating compound Dp44mT is mediated by formation of a redox-active copper complex that accumulates in lysosomes. Cancer Res. 2011 Sep 1;71(17):5871-80.

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

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效。

储备液的保存方式和期限：-80°C, 2 years; -20°C, 1 year。-80°C 储存时，请在 2 年内使用， -20°C 储存时，请在 1 年内使用。

可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	**1 mM**	3.5042 mL	17.5211 mL	35.0422 mL	87.6056 mL
	5 mM	0.7008 mL	3.5042 mL	7.0084 mL	17.5211 mL
	10 mM	0.3504 mL	1.7521 mL	3.5042 mL	8.7606 mL
	15 mM	0.2336 mL	1.1681 mL	2.3361 mL	5.8404 mL
	20 mM	0.1752 mL	0.8761 mL	1.7521 mL	4.3803 mL
	25 mM	0.1402 mL	0.7008 mL	1.4017 mL	3.5042 mL
	30 mM	0.1168 mL	0.5840 mL	1.1681 mL	2.9202 mL
	40 mM	0.0876 mL	0.4380 mL	0.8761 mL	2.1901 mL
	50 mM	0.0701 mL	0.3504 mL	0.7008 mL	1.7521 mL
	60 mM	0.0584 mL	0.2920 mL	0.5840 mL	1.4601 mL
	80 mM	0.0438 mL	0.2190 mL	0.4380 mL	1.0951 mL
	100 mM	0.0350 mL	0.1752 mL	0.3504 mL	0.8761 mL