



上海源叶生物科技有限公司
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产品名称: **Raltitrexed**
CAS 号: **112887-68-0**
产品货号: **S41084**

生物活性:

Description	Raltitrexed 是一种用于化疗的抗代谢活性分子, 通过抑制胸苷酸合成酶起作用.			
Cellular Effect	Cell Line	Type	Value	Description
	A-375	IC ₅₀	4.68 μ M	Cytotoxicity against human A-375 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
	A549	IC ₅₀	0.81 μ M	Cytotoxicity against human A549 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
	HCT-116	IC ₅₀	0.076 μ M	Cytotoxicity against human HCT-116 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
	HeLa	IC ₅₀	5.51 μ M	Cytotoxicity against human HeLa cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
	HepG2	IC ₅₀	1.3 μ M	Cytotoxicity against human HepG2 cells after 48 hrs by MTT assay
	HepG2	IC ₅₀	9.63 μ M	Cytotoxicity against human HepG2 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
	IGROV-1	IC ₅₀	12.6 nM	Antiproliferative activity against human IGROV1 cells expressing human RFC, FRalpha and PCFT assessed as reduction of viable cells after 96 hrs
	IGROV-1	IC ₅₀	20 nM	Antiproliferative activity against human IGROV1 cells expressing human RFC, FRalpha and PCFT assessed as reduction of viable cells after 96 hrs in the presence of 200 nM folic acid
	KB	IC ₅₀	22 nM	Antiproliferative activity against human KB cells expressing human RFC/FR-alpha/PCFT assessed as reduction in cell viability measured after 96 hrs in presence of folic acid by Cell-Titer Blue assay
	KB	IC ₅₀	22 nM	Growth inhibition of human KB cells expressing human RFC/FRalpha/PCFT after 96 hrs by CellTiter-blue assay in presence of folic acid
	KB	IC ₅₀	22 nM	Cytotoxicity against human KB cells assessed as cell growth inhibition incubated up to 96 hrs in presence of 200 nM folic acid by Celltiter-blue cell viability assay
	KB	IC ₅₀	22 nM	Antiproliferative activity against human KB cells expressing human RFC, FRalpha and PCFT assessed as



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			reduction of viable cells after 96 hrs in the presence of 200 nM folic acid
KB	IC ₅₀	5.9 nM	Antiproliferative activity against human KB cells expressing human RFC/FR-alpha/PCFT assessed as reduction in cell viability measured after 96 hrs by Cell-Titer Blue assay
KB	IC ₅₀	5.9 nM	Growth inhibition of human KB cells expressing human RFC/FRalpha/PCFT after 96 hrs by CellTiter-blue assay
KB	IC ₅₀	5.9 nM	Antiproliferative activity against human KB cells expressing human RFC, FRalpha and PCFT assessed as reduction of viable cells after 96 hrs
KB	IC ₅₀	5.9 nM	Cytotoxicity against human KB cells assessed as cell growth inhibition incubated up to 96 hrs by Celltiter-blue cell viability assay
MCF-10A	IC ₅₀	> 20 μM	Cytotoxicity against human MCF-10A cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
MCF7	IC ₅₀	10.9 μM	Cytotoxicity against human MCF7 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
MCF7	IC ₅₀	12.6 μM	Cytotoxicity against human MCF7 cells after 48 hrs by MTT assay
MDA-MB-231	IC ₅₀	> 20 μM	Cytotoxicity against human MDA-MB-231 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
MGC-803	IC ₅₀	9.52 μM	Cytotoxicity against human MGC-803 cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay
R2	IC ₅₀	> 1000 nM	Antiproliferative activity against chinese hamster R2 cells assessed as reduction of viable cells after 96 hrs
R2	IC ₅₀	> 1000 nM	Cytotoxicity against Chinese hamster R2 cells assessed as cell growth inhibition incubated up to 96 hrs by Celltiter-blue cell viability assay
R2	IC ₅₀	> 1000 nM	Cytotoxicity against Chinese hamster R2 cells expressing empty vector assessed as cell growth inhibition incubated up to 96 hrs by Celltiter-blue cell viability assay
R2	IC ₅₀	15 nM	Cytotoxicity in RFC-null Chinese hamster R2 cells assessed as reduction in cell viability measured after 96 hrs by Cell-Titer Blue assay
R2	IC ₅₀	15 nM	Cytotoxicity in RFC-null Chinese hamster R2 cells assessed as reduction in cell viability measured after 96 hrs by Cell-Titer Blue fluorescence analysis
R2	IC ₅₀	99.5 nM	Growth inhibition of Chinese hamster R2 cells



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				expressing human PCFT4 after 96 hrs by CellTiter-blue assay																	
	R2	IC ₅₀	99.5 nM	Cytotoxicity against chinese hamster R2 cells expressing human PCFT4 after 96 hrs by CellTitre-Blue fluorescence assay																	
	R2	IC ₅₀	99.5 nM	Antiproliferative activity against chinese hamster R2 cells expressing human PCFT assessed as reduction of viable cells after 96 hrs																	
	SGC-7901	IC ₅₀	8.7 μM	Cytotoxicity against human SGC7901 cells after 48 hrs by MTT assay																	
	U2OS	IC ₅₀	> 20 μM	Cytotoxicity against human U2OS cells assessed as reduction in cell viability incubated for 72 hrs by MTS assay																	
In Vitro	Raltitrexed 通过将细胞周期阻滞在 G0/G1 期来抑制 HepG2 增殖，而细胞周期的阻滞是通过下调细胞周期蛋白 A 和 CDK2 来介导的[1]。 Raltitrexed (0.1、0.5、2.5 μg/mL) 以剂量和时间依赖性方式降低 SGC7901 细胞的存活率。Raltitrexed (0.5 μg/mL) 在 SGC7901 细胞中表现出典型的凋亡形态，包括核收缩、碎裂、染色质凝聚和凋亡小体。Raltitrexed 将细胞周期阻滞在 G0/G1 期，降低线粒体膜电位。Raltitrexed 还会增加 ROS 水平，通过激活线粒体诱导 caspase-3 依赖性细胞凋亡，并增加 TS 蛋白和 mRNA 表达水平[3]。 Raltitrexed (1.5 nM) 可减少 GM00637 细胞数量，选择性诱导基因转换，但不影响 DSB 诱导的 HR 或 NHEJ[4]。																				
In Vivo	Raltitrexed (0、5、10、11.5、13.5、15 mg/kg b/w, 腹腔注射) 以剂量依赖性方式增加小鼠神经管缺陷模型的胚胎吸收率和生长迟缓。Raltitrexed (11.5 mg/kg b/w) 最大程度抑制胚胎组织中的胸苷酸合酶 (TS) 活性，降低 dTMP 的水平，同时提高 dUMP 水平[2]。																				
Solvent&Solubility	细胞实验: DMSO 中的溶解度：≥ 29 mg/mL (63.25 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 <table><tr><td rowspan="4">Preparing Stock Solutions</td><td> Solvent Concentration Mass </td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.1811 mL</td><td>10.9054 mL</td><td>21.8107 mL</td></tr><tr><td>5 mM</td><td>0.4362 mL</td><td>2.1811 mL</td><td>4.3621 mL</td></tr><tr><td>10 mM</td><td>0.2181 mL</td><td>1.0905 mL</td><td>2.1811 mL</td></tr></table> *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℃, 2 years; -20℃, 1 year。-80℃ 储存时，请在 2 年内使用，-20℃ 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				Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg	1 mM	2.1811 mL	10.9054 mL	21.8107 mL	5 mM	0.4362 mL	2.1811 mL	4.3621 mL	10 mM	0.2181 mL	1.0905 mL	2.1811 mL
Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg																	
	1 mM	2.1811 mL	10.9054 mL	21.8107 mL																	
	5 mM	0.4362 mL	2.1811 mL	4.3621 mL																	
	10 mM	0.2181 mL	1.0905 mL	2.1811 mL																	



	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 (5.45 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 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: ≥ 2.08 mg/mL (4.54 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 20.8 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。 方案 三 请依序添加每种溶剂: 10% DMSO → 90% Corn Oil Solubility: ≥ 2.08 mg/mL (4.54 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。 以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
参考文献	[1]. Zhao H, et al. Raltitrexed Inhibits HepG2 Cell Proliferation via G0/G1 Cell Cycle Arrest. Oncol Res. 2016;23(5):237-48 [2]. Dong Y, et al. Raltitrexed's effect on the development of neural tube defects in mice is associated with DNA damage, apoptosis, and proliferation. Mol Cell Biochem. 2015 Jan;398(1-2):223-31. [3]. Xue S, et al. Raltitrexed induces mitochondrial-mediated apoptosis in SGC7901 human gastric cancer cells. Mol Med Rep. 2014 Oct;10(4):1927-34. [4]. Waldman BC, et al. Induction of intrachromosomal homologous recombination in human cells by raltitrexed, an inhibitor of thymidylate synthase. DNA Repair (Amst). 2008 Oct 1;7(10):1624-35.

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, 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	2.1811 mL	10.9054 mL	21.8107 mL	54.5268 mL
	5 mM	0.4362 mL	2.1811 mL	4.3621 mL	10.9054 mL
	10 mM	0.2181 mL	1.0905 mL	2.1811 mL	5.4527 mL



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	15 mM	0.1454 mL	0.7270 mL	1.4540 mL	3.6351 mL
	20 mM	0.1091 mL	0.5453 mL	1.0905 mL	2.7263 mL
	25 mM	0.0872 mL	0.4362 mL	0.8724 mL	2.1811 mL
	30 mM	0.0727 mL	0.3635 mL	0.7270 mL	1.8176 mL
	40 mM	0.0545 mL	0.2726 mL	0.5453 mL	1.3632 mL
	50 mM	0.0436 mL	0.2181 mL	0.4362 mL	1.0905 mL
	60 mM	0.0364 mL	0.1818 mL	0.3635 mL	0.9088 mL



源叶