上海源叶生物科技有限公司
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产品名称：YUM70
CAS 号：423145-35-1
产品货号：S89179

生物活性：

Description

YUM70 是一种有效和选择性的葡萄糖调节蛋白 78 (GRP78) 抑制剂，抑制全长蛋白的 GRP78 ATPase 活性的 IC50 值为 1.5 μM。YUM70 可诱导内质网 (ER) 应激介导的胰腺癌细胞凋亡。YUM70 在胰腺癌异种移植模型中也具有体内功效。

IC50 & Target

IC50: 1.5 μM (glucose-regulated protein 78)[1]

Cellular Effect

Cell Line	Type	Value	Description
A549	IC_{50}	2.8 μM	Antiproliferative activity against human A549 cells assessed as cell growth inhibition measured after 72 hrs by MTT assay
BXPC-3	IC_{50}	9.6 μM	Cytotoxicity against human BXPC-3 cells assessed as inhibition of cell proliferation incubated for 4 hrs by MTS assay
MCF7	IC_{50}	2.8 μM	Antiproliferative activity against human MCF7 cells assessed as reduction in cell growth measured after 72 hrs by MTT assay
MIA PaCa-2	IC_{50}	2.8 μM	Cytotoxicity against human MIA PaCa-2 cells assessed as inhibition of cell growth measured after 72 hrs by Celltiter-Glo assay
NCI-H1299	IC_{50}	2.8 μM	Antiproliferative activity against human NCI-H1299 cells assessed as inhibition of cell growth incubated for 72 hrs by CCK-8 assay
OVCAR-3	IC_{50}	2.8 μM	Antiproliferative activity against human OVCAR-3 cells assessed as reduction in cell growth measured after 72 hrs by MTT assay
OVCAR-8	IC_{50}	2.8 μM	Antiproliferative activity against human OVCAR-8 cells assessed as reduction in cell growth measured after 72 hrs by MTT assay
PANC-1	IC_{50}	4.5 μM	Cytotoxicity against human PANC-1 cells assessed as inhibition of cell growth measured after 72 hrs by Celltiter-Glo assay
SH-SY5Y	IC_{50}	2.8 μM	Antiproliferative activity against human SH-SY5Y cells assessed as reduction in cell growth measured after 72 hrs by MTT assay
SK-OV-3	IC_{50}	2.8 μM	Antiproliferative activity against human SK-OV-3 cells assessed as reduction in cell growth measured after 72 hrs by MTT assay

In Vitro

YUM70 对 MIA PaCa-2、PANC-1、BxPC-3 细胞表现出选择性细胞毒性 (IC50=2.8、4.5 和 9.6 μM) 超过正常胰腺组织衍生的 HPNE 细胞 (IC50>30 μM)[1]。

YUM70 (5 μM；24 h) 诱导内质网 (ER) 应激介导的 MIA PaCa-2 细胞凋亡[1].

Western Blot Analysis[1]

Cell Line:	MIA PaCa-2, PANC-1 cells
Concentration:	0.1, 1, 2.5, 5, 10 μM
Incubation Time:	2, 4, 8, 24, 48 hours
Result:	Increased the protein levels of FAM129A, DDIT3, CHAC-1, DDIT4, UPP1, and GRP78 in a dose- and time-dependent manner.

In Vivo

YUM70 (30 mg/kg；腹腔注射，每周 5 天，持续 7 周) 抑制 MIA PaCa-2 异种移植模型中的肿瘤生长[1]。

YUM70 (15 mg/kg；静脉注射) 在小鼠中表现出 t1/2 (1.40 h)、CL (724.04 mL/h/kg) 和 Vss

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(1162.73 mL/kg)[1]。

YUM70 (30 mg/kg；口服) 在小鼠中表现出低生物利用度 (6.71%)、t1/2 (2.74 h) 和 CL (9230.15 mL/h/kg)[1]。

Animal Model:	8-week old female NCr nude mice were injected with MIA PaCa-2 cells[1]
Dosage:	30 mg/kg
Administration:	I.p. 5 days a week for 7 weeks
Result:	Observed a significant tumor growth delay with no significant change in body weight during the course of treatment.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：100 mg/mL (250.73 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	2.5073 mL	12.5364 mL	25.0727 mL
	5 mM	0.5015 mL	2.5073 mL	5.0145 mL
	10 mM	0.2507 mL	1.2536 mL	2.5073 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。

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 (6.27 mM); 悬浊液; 超声助溶

此方案可获得 2.5 mg/mL 的均匀悬浊液，悬浊液可用于口服和腹腔注射。

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。

参考文献

[1]. Samanta S, et, al. The hydroxyquinoline analog YUM70 inhibits GRP78 to induce ER stress-mediated apoptosis in pancreatic cancer. Cancer Res. 2021 Feb 2;canres.1540.2020.

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

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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**	2.5073 mL	12.5364 mL	25.0727 mL	62.6818 mL
	5 mM	0.5015 mL	2.5073 mL	5.0145 mL	12.5364 mL
	10 mM	0.2507 mL	1.2536 mL	2.5073 mL	6.2682 mL
	15 mM	0.1672 mL	0.8358 mL	1.6715 mL	4.1788 mL
	20 mM	0.1254 mL	0.6268 mL	1.2536 mL	3.1341 mL
	25 mM	0.1003 mL	0.5015 mL	1.0029 mL	2.5073 mL
	30 mM	0.0836 mL	0.4179 mL	0.8358 mL	2.0894 mL
	40 mM	0.0627 mL	0.3134 mL	0.6268 mL	1.5670 mL
	50 mM	0.0501 mL	0.2507 mL	0.5015 mL	1.2536 mL
	60 mM	0.0418 mL	0.2089 mL	0.4179 mL	1.0447 mL
	80 mM	0.0313 mL	0.1567 mL	0.3134 mL	0.7835 mL
	100 mM	0.0251 mL	0.1254 mL	0.2507 mL	0.6268 mL