上海源叶生物科技有限公司
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产品名称：SAR-020106
CAS 号：1184843-57-9
产品货号：S87999

生物活性：

Description

SAR-020106 是一种 ATP 竞争性的、选择性好的 CHK1 抑制剂，IC50 为 13.3 nM。SAR-020106 对 CHK2 具有良好的选择性。SAR-020106 在几种结肠肿瘤细胞系中以 p53 依赖性方式将 Gemcitabine 和 SN38 的细胞杀伤力提高了 3.0 到 29 倍。SAR-020106 可通过选择抗癌活性分子增强抗肿瘤活性。

IC50 & Target[1]

Chk1

13.3 nM (IC_{50})

Cellular Effect

Cell Line	Type	Value	Description
HT-29	IC_{50}	0.055 μM	Antimitotic activity in etoposide-induced human HT-29 cells assessed as induction of M-phase phosphoprotein 2 expression by ELISA
HT-29	GI_{50}	0.47 μM	Cytotoxicity against human HT-29 cells after 96 hrs by SRB assay
HT-29	IC_{50}	55 nM	Inhibition of CHK1 in human HT-29 cells assessed as etoposide-induced G2 check point arrest after 21 hrs by ELISA

In Vitro

SAR-020106 (0.1-1 μM; 23 hours) abrogates an Etoposide-induced S and G2 arrest[1].

SAR-020106 is capable of abrogating Etoposide-induced cell cycle arrest with an IC50 of 55 nM and 91 nM in HT29 and SW620 cells, respectively. SAR-020106 is relatively nontoxic with a GI50 of 0.48 μM in HT29 and 2 μM in SW620, resulting in an activity index of 8.7 and 22, respectively. SAR-020106 inhibits cytotoxic drug-induced autophosphorylation of CHK1 at S296 and blocks the phosphorylation of CDK1 at Y15 in a dose-dependent fashion[1].

In Vivo

SAR-020106 (40 mg/kg; i.p.; administered on days 0, 1, 7, 8, 14, and 15) in combination with Irinotecan potentiates the antitumor activity in SW620 xenografts[1].

Animal Model:	Nude mice bearing SW620 xenograft tumors[1]
Dosage:	40 mg/kg
Administration:	I.p.; administered on days 0, 1, 7, 8, 14, and 15
Result:	There was a clear decrease in tumor growth associated with the combination with tumors reaching 300% by 12.5 days.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 ：5 mg/mL (13.06 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	2.6120 mL	13.0599 mL	26.1199 mL
	5 mM	0.5224 mL	2.6120 mL	5.2240 mL
	10 mM	0.2612 mL	1.3060 mL	2.6120 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

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	储存时，请在 1 个月内使用。
参考文献	[1]. Walton MI, et al. The preclinical pharmacology and therapeutic activity of the novel CHK1 inhibitor SAR-020106. Mol Cancer Ther. 2010;9(1):89-100. [2]. Reader JC, et al. Structure-guided evolution of potent and selective CHK1 inhibitors through scaffold morphing. J Med Chem. 2011;54(24):8328-8342.

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**	2.6120 mL	13.0599 mL	26.1199 mL	65.2997 mL
	5 mM	0.5224 mL	2.6120 mL	5.2240 mL	13.0599 mL
	10 mM	0.2612 mL	1.3060 mL	2.6120 mL	6.5300 mL