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产品名称：PCNA-I1
CAS 号：444930-42-1
产品货号：T80474

生物活性：

Description

PCNA-I1 is a selective small molecule inhibitor targeting proliferating cell nuclear antigen (PCNA) with anticancer activity. PCNA-I1 can stabilize the PCNA trimer structure (Kd=0.14-0.41μM), reduce its binding to chromatin, induce tumor cell cycle arrest, inhibit DNA replication and repair, and enhance the anti-tumor effect of DNA damaging agents. PCNA-I1 can be used in the study of targeted therapy for prostate cancer, lung cancer and other tumors[1][2][3].

In Vitro

PCNA-I1 (0.05-10 μM；72 h) 呈浓度依赖性抑制人前列腺癌 (PC-3、LNCaP)、乳腺癌 (MCF-7)、黑色素瘤 (A375) 等细胞系的细胞活力，平均 IC50 为 0.17 μM，显著低于正常细胞[1]。

PCNA-I1 (1 μM；24-48 h) 诱导 PC-3 细胞的细胞周期在 S 和 G2/M 期阻滞，增加细胞凋亡[1]。

PCNA-I1 (1 μM；48 h) 减少 PC-3 细胞中 PCNA 与染色质结合 (NP-R 片段降低 50%)，并伴随核内 p21 聚集[1]。

PCNA-I1 (1 μM；24 h) 增加 PC-3 细胞中 γH2AX (DNA 损伤标志物) 表达[2]。

Cell Line: PC-3, LNCaP (prostate cancer); MCF-7 (breast cancer); A375 (melanoma)

Concentration: 0.05, 0.1, 0.5, 1, 5, 10 μM

Incubation Time: 72 h

Result: Dose-dependent inhibited cell growth with IC50 values: PC-3 (0.24 μM), LNCaP (0.14 μM), MCF-7 (0.15 μM), A375 (0.16 μM), while exhibited IC50 >1 μM against normal cells (e.g., HUVEC, mesenchymal stem cells).

In Vivo

PCNA-I1 (10 mg/kg；静脉注射；每周 5 次；2 周) 显著抑制人前列腺癌 LNCaP 细胞裸鼠异种移植模型的肿瘤生长，不引起体重明显下降等毒性反应[3]。

Animal Model: Male BALB/c nude mice (8-10 weeks old) bearing LNCaP prostate cancer xenografts[3]

Dosage: 10 mg/kg

Administration: Intravenous injection, 5 days/week for 2 consecutive weeks

Result: Significantly retarded tumor growth, with tumor weight in treated mice being 28% of that in vehicle controls. No significant changes in body weight or hematology profiles (leukocytes, erythrocytes, thrombocytes) were observed, indicating minimal systemic toxicity.

Solvent&Solubility

细胞实验:

DMSO 中的溶解度 : 100 mg/mL (322.20 mM; 超声助溶 (<60°C); 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.2220 mL	16.1098 mL	32.2196 mL
	5 mM	0.6444 mL	3.2220 mL	6.4439 mL
	10 mM	0.3222 mL	1.6110 mL	3.2220 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。

参考文献

[1]. Tan Z, et al. Small-molecule targeting of proliferating cell nuclear antigen chromatin association inhibits tumor cell growth. Mol Pharmacol. 2012 Jun;81(6):811-9.

[2]. Lu S, et al. Additive effects of a small molecular PCNA inhibitor PCNA-I1S and DNA damaging agents

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on growth inhibition and DNA damage in prostate and lung cancer cells. PLoS One. 2019 Oct 10;14(10):e0223894.

[3]. Dillehay KL, et al. Antitumor effects of a novel small molecule targeting PCNA chromatin association in prostate cancer. Mol Cancer Ther. 2014 Dec;13(12):2817-26.

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**	3.2220 mL	16.1098 mL	32.2196 mL	80.5490 mL
	5 mM	0.6444 mL	3.2220 mL	6.4439 mL	16.1098 mL
	10 mM	0.3222 mL	1.6110 mL	3.2220 mL	8.0549 mL
	15 mM	0.2148 mL	1.0740 mL	2.1480 mL	5.3699 mL
	20 mM	0.1611 mL	0.8055 mL	1.6110 mL	4.0275 mL
	25 mM	0.1289 mL	0.6444 mL	1.2888 mL	3.2220 mL
	30 mM	0.1074 mL	0.5370 mL	1.0740 mL	2.6850 mL
	40 mM	0.0805 mL	0.4027 mL	0.8055 mL	2.0137 mL
	50 mM	0.0644 mL	0.3222 mL	0.6444 mL	1.6110 mL
	60 mM	0.0537 mL	0.2685 mL	0.5370 mL	1.3425 mL
	80 mM	0.0403 mL	0.2014 mL	0.4027 mL	1.0069 mL
	100 mM	0.0322 mL	0.1611 mL	0.3222 mL	0.8055 mL