



上海源叶生物科技有限公司
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产品名称: **DuP-697**
CAS 号: **88149-94-4**
产品货号: **T60727**

生物活性:

Description	DuP-697 is a member of the vicinal diaryl heterocycles and a potent, irreversible, selective and orally active COX-2 inhibitor (IC50 of 10 nM and 800 nM for human COX-2 and COX-1, respectively). DuP-697 exerts antiproliferative (IC50 of 42.8 nM), antiangiogenic and apoptotic effects on HT29 colorectal cancer cells. DuP-697 inhibits prostaglandin synthesis and has anti-inflammatory, anticancer and antipyretic effects[1][2][3].																	
IC50 & Target	hCOX-2 10 nM (IC50)	hCOX-1 800 nM (IC50)																
In Vitro	DuP-697 (0-100 nM; 24 hours; HT29 cells) treatment shows antiproliferative with an IC50 value of 42.8 nM[1]. DuP-697 (25-100 nM; 72 hours; HT29 cells) treatment causes concentration dependent apoptosis in HT29 cells. The percentage of UR (apoptosis portion) area increases gradually according to the concentration of DuP-697 from 7% in control group to 52% in 100 nM DuP-697[1]. DuP-697 in 100, 10 and 1 nM concentrations cause antiangiogenic effect. Antiangiogenic scores of DuP-697 are 1.2, 0.8 and 0.5, respectively[1]. Cell Proliferation Assay[1] <table><tr><td>Cell Line:</td><td>HT29 cells</td></tr><tr><td>Concentration:</td><td>0 nM, 12.5 nM, 25 nM, 50 nM, 100 nM</td></tr><tr><td>Incubation Time:</td><td>24 hours</td></tr><tr><td>Result:</td><td>Exhibited decreasing CI values in a concentration dependent manner. Showed statistically significant cytotoxic effect.</td></tr></table> Apoptosis Analysis[1] <table><tr><td>Cell Line:</td><td>HT29 cells</td></tr><tr><td>Concentration:</td><td>25 nM, 50 nM, 100 nM</td></tr><tr><td>Incubation Time:</td><td>72 hours</td></tr><tr><td>Result:</td><td>Caused concentration dependent apoptosis in HT29 cells.</td></tr></table>		Cell Line:	HT29 cells	Concentration:	0 nM, 12.5 nM, 25 nM, 50 nM, 100 nM	Incubation Time:	24 hours	Result:	Exhibited decreasing CI values in a concentration dependent manner. Showed statistically significant cytotoxic effect.	Cell Line:	HT29 cells	Concentration:	25 nM, 50 nM, 100 nM	Incubation Time:	72 hours	Result:	Caused concentration dependent apoptosis in HT29 cells.
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In Vivo	DuP-697 is a potent inhibitor of paw swelling in nonestablished and established adjuvant arthritis in rats (ED50 = 0.03 and 0.18 mg/kg/day, respectively). DuP-697 has no effect on phenylquinone writhing in rats (ED50 greater than 100 mg/kg), but is analgetic against inflammation-related pain in the Randall-Selitto assay (ED50 = 3.5 mg/kg) and is a very potent antipyretic agent (ED50 = 0.05 mg/kg). DuP-697 (5 mg/kg i.v.) does not alter renal blood flow or the renal vascular response to angiotensin II in furosemide-pretreated, volume-depleted rats[2]. DuP-697 is a moderate inhibitor of bull seminal vesicle prostaglandin (PG) synthesis (IC50 of 24 μM) and a potent inhibitor of rat brain PG synthesis (IC50 of 4.5 μM) but was ineffective against rat kidney PG synthesis (IC50 of 75 μM)[2].																	
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 100 mg/mL (243.13 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) DMF 中的溶解度 : ≥ 54 mg/mL (131.29 mM) Ethanol 中的溶解度 : ≥ 7 mg/mL (17.02 mM)																	



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	<table><tr><td rowspan="4">Preparing Stock Solutions</td><td>Solvent / Mass Concentration</td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>2.4313 mL</td><td>12.1563 mL</td><td>24.3126 mL</td></tr><tr><td>5 mM</td><td>0.4863 mL</td><td>2.4313 mL</td><td>4.8625 mL</td></tr><tr><td>10 mM</td><td>0.2431 mL</td><td>1.2156 mL</td><td>2.4313 mL</td></tr></table>	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg	1 mM	2.4313 mL	12.1563 mL	24.3126 mL	5 mM	0.4863 mL	2.4313 mL	4.8625 mL	10 mM	0.2431 mL	1.2156 mL	2.4313 mL
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* 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℃, 6 months; -20℃, 1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 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 → 90% Corn Oil Solubility: ≥ 2.5 mg/mL (6.08 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，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 25.0 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]. Altun A, et al. Anticancer effect of COX-2 inhibitor DuP-697 alone and in combination with tyrosine kinase inhibitor (E7080) on colon cancer cell lines. Asian Pac J Cancer Prev. 2014;15(7):3113-21. [2]. Gans KR, et al. Anti-inflammatory and safety profile of DuP 697, a novel orally effective prostaglandin synthesis inhibitor. J Pharmacol Exp Ther. 1990 Jul;254(1):180-7. [3]. Gierse JK, et al. Expression and selective inhibition of the constitutive and inducible forms of human cyclo-oxygenase. Biochem J. 1995 Jan 15;305 (Pt 2):479-84.																	
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℃, 6 months; -20℃, 1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 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													
Ethanol / DMF / DMSO	1 mM	2.4313 mL	12.1563 mL	24.3126 mL	60.7814 mL													
	5 mM	0.4863 mL	2.4313 mL	4.8625 mL	12.1563 mL													
	10 mM	0.2431 mL	1.2156 mL	2.4313 mL	6.0781 mL													
	15 mM	0.1621 mL	0.8104 mL	1.6208 mL	4.0521 mL													



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DMF / DMSO	20 mM	0.1216 mL	0.6078 mL	1.2156 mL	3.0391 mL
	25 mM	0.0973 mL	0.4863 mL	0.9725 mL	2.4313 mL
	30 mM	0.0810 mL	0.4052 mL	0.8104 mL	2.0260 mL
	40 mM	0.0608 mL	0.3039 mL	0.6078 mL	1.5195 mL
	50 mM	0.0486 mL	0.2431 mL	0.4863 mL	1.2156 mL
	60 mM	0.0405 mL	0.2026 mL	0.4052 mL	1.0130 mL
	80 mM	0.0304 mL	0.1520 mL	0.3039 mL	0.7598 mL
	100 mM	0.0243 mL	0.1216 mL	0.2431 mL	0.6078 mL



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