



上海源叶生物科技有限公司
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产品名称: **CS-2656; (1E)-CFI-400437 dihydrochloride**

CAS 号: **1247000-76-5**

产品货号: **S87886**

生物活性:																				
Description	(1E)-CFI-400437 dihydrochloride 是一种有效的 PLK4 (IC50= 0.6 nM)，并对 PLK 家族的其他成员有选择性 (>10 μM)。(1E)-CFI-400437 dihydrochloride 抑制 Aurora A、Aurora B、KDR 和 FLT-3, IC50 分别为 0.37、0.21、0.48 和 0.18 μM。具有抗增殖活性。																			
IC50 & Target[1]	PLK4 0.6 nM (IC50)																			
In Vivo	(1E)-CFI-400437 (25 mg/kg; intraperitoneal injection; daily for 21 days) dihydrochloride shows effective in a mouse xenograft model of tumor growth[1]. The plasma levels of (1E)-CFI-400437 (50 mg/kg; IP; mice) dihydrochloride shows a Cmax of 92 ng/mL and AUC of 190 ng h/mL, respectively. The mouse plasma protein binding measurement for (1E)-CFI-400437 is 99%, i.e., unbound compound in plasma is 1%[1]。 <table><tr><td>Animal Model:</td><td colspan="3">6-8 week old female CB-17 SCID mice (MDA-MB-468 mouse xenograft model)[1]</td></tr><tr><td>Dosage:</td><td colspan="3">25 mg/kg</td></tr><tr><td>Administration:</td><td colspan="3">Intraperitoneal injection; daily for 21 days</td></tr><tr><td>Result:</td><td colspan="3">Effective in a mouse xenograft model of tumor growth. In this study, (1E)-CFI-400437 is weighed and suspended in PEG400:water (30:70) and sonicated at rt for 30 min. The compound is dispensed in aliquots and stored at -20 C° for the duration of the study, and each aliquot was thawed at room temperature before each dose.</td></tr></table>				Animal Model:	6-8 week old female CB-17 SCID mice (MDA-MB-468 mouse xenograft model)[1]			Dosage:	25 mg/kg			Administration:	Intraperitoneal injection; daily for 21 days			Result:	Effective in a mouse xenograft model of tumor growth. In this study, (1E)-CFI-400437 is weighed and suspended in PEG400:water (30:70) and sonicated at rt for 30 min. The compound is dispensed in aliquots and stored at -20 C° for the duration of the study, and each aliquot was thawed at room temperature before each dose.		
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Solvent&Solubility	细胞实验:																			
	DMSO 中的溶解度 : 12.5 mg/mL (22.10 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)																			
	H2O 中的溶解度 : 1 mg/mL (1.77 mM; 超声助溶 (<60°C))																			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg															
		1 mM	1.7684 mL	8.8419 mL	17.6838 mL															
5 mM		0.3537 mL	1.7684 mL	3.5368 mL																
10 mM		0.1768 mL	0.8842 mL	1.7684 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 (sealed storage, away from moisture and light)。-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。																				
* 备注: 如您选择水作为储备液, 请稀释至工作液后, 再用 0.22 μm 的滤膜过滤除菌后使用。																				
参考文献	[1]. Laufer R, et al. The discovery of PLK4 inhibitors: (E)-3-((1H-Indazol-6-yl)methylene)indolin-2-ones as novel antiproliferative agents. J Med Chem. 2013;56(15):6069-6087.																			
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。																				
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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
	浓度				
H2O /DMSO	1 mM	1.7684 mL	8.8419 mL	17.6838 mL	44.2094 mL
DMSO	5 mM	0.3537 mL	1.7684 mL	3.5368 mL	8.8419 mL
	10 mM	0.1768 mL	0.8842 mL	1.7684 mL	4.4209 mL
	15 mM	0.1179 mL	0.5895 mL	1.1789 mL	2.9473 mL
	20 mM	0.0884 mL	0.4421 mL	0.8842 mL	2.2105 mL

备注: 如您选择水作为储备液, 请稀释至工作液后, 再用 0.22 μ m 的滤膜过滤除菌后使用。



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