



上海源叶生物科技有限公司
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产品名称: **BRD4 Inhibitor-20**

CAS 号: **2490311-14-1**

产品货号: **S89877**

生物活性:

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Description	BRD4 Inhibitor-20 is a potent orally active bromodomain protein 4 (BRD4) inhibitor. BRD4 Inhibitor-20 has inhibitory activity for BRD4 (BD1) and BRD4 (BD2) with IC50 values of 19 nM and 28 nM, respectively. BRD4 Inhibitor-20 also has anti-proliferation activities in cancer cell lines. BRD4 Inhibitor-20 can be used for the research of kinds of cancer, such as colon cancer[1].			
IC50 & Target	BRD4 BD1 19 nM (IC50)	BRD4 BD2 28 nM (IC50)	BRD2 (BD1) 24 nM (IC50)	BRD2 (BD2) 18 nM (IC50)
In Vitro	BRD4 Inhibitor-20 (compound 12j) exhibits excellent BRD4 inhibitory activities (BD1, IC50=19 nM; BD2, IC50=28 nM) and inhibitory activities against BRD2 (BD1, IC50=24 nM; BD2, IC50=18 nM)[1].			
	BRD4 Inhibitor-20 (0.5, 2.5, 5.0 μM; 24 h) reduces the expression of c-Myc[1].			
	BRD4 Inhibitor-20 (72 h) has anti-proliferation potency with IC50 values of 4.75 μM, 1.35 μM and 44.07 μM in HT-29, HL-60 and WI-38 cells, respectively[1].			
	BRD4 Inhibitor-20 (2.5, 5.0, 10.0 μM; 24 h) can arrest the cell-cycle progression of HT-29 cells into the G1 phase[1].			
	Western Blot Analysis[1]			
	Cell Line:		HT-29 cells	
	Concentration:		0.5, 2.5, 5.0 μM	
	Incubation Time:		24 h	
	Result:		Displayed profound inhibitory effects on c-Myc protein expression.	
	Cell Proliferation Assay[1]			
	Cell Line:		HT-29, HL-60 and WI-38 cells	
	Concentration:			
	Incubation Time:		72 h	
	Result:		Possessed strong anti-proliferative activity and weak toxicity.	
Cell Cycle Analysis[1]				
Cell Line:		HT-29 cell lines		
Concentration:		2.5, 5.0, 10.0 μM		
Incubation Time:		24 h		
Result:		Arrested the cell-cycle progression of the cell line into the G1 phases and the percentage of cells in G1 phase after treatment under concentrations of 2.5, 5.0 and 10.0 μM were 85.98%, 86.49% and 86.05%, respectively.		
In Vivo	BRD4 Inhibitor-20 (compound 12j) (i.v., 5 mg/kg; p.o, 15mg/kg) exhibits favorable oral pharmacokinetic propertie[1].			
	Animal Model:		rats[1]	
	Dosage:		5 mg/kg, 15 mg/kg	
	Administration:		intravenous dosing (iv) or oral dosing (po)	
	Result:		PK Parameters	iv (5 mg/kg)



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	$C_{\max}(\mu\text{g/L})$		-	2175	
	$T_{\max}(\text{h})$		-	1	
	$t_{1/2}(\text{h})$		1.56	3.59	
	$CL_z/F(\text{L/h/kg})$		0.68	1.03	
	$AUC_{0-t}(\mu\text{g/L}\cdot\text{h})$		7296	14384	
	$AUC_{0-\infty}(\mu\text{g/L}\cdot\text{h})$		7340	14600	
	$F(\%)$		-	66%	
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 125 mg/mL (348.76 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)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.7901 mL	13.9505 mL	27.9010 mL
		5 mM	0.5580 mL	2.7901 mL	5.5802 mL
		10 mM	0.2790 mL	1.3951 mL	2.7901 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 (protect from 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。					
参考文献	[1]. Yu Xu, et al. Design, synthesis and biological evaluation of indole-2-one derivatives as potent BRD4 inhibitors. Eur J Med Chem. 2020 Dec 15;208:112780.				
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 (protect from 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。					
可选溶剂	质量 / 溶剂体积 / 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	2.7901 mL	13.9505 mL	27.9010 mL	69.7525 mL
	5 mM	0.5580 mL	2.7901 mL	5.5802 mL	13.9505 mL
	10 mM	0.2790 mL	1.3951 mL	2.7901 mL	6.9753 mL
	15 mM	0.1860 mL	0.9300 mL	1.8601 mL	4.6502 mL
	20 mM	0.1395 mL	0.6975 mL	1.3951 mL	3.4876 mL
	25 mM	0.1116 mL	0.5580 mL	1.1160 mL	2.7901 mL
	30 mM	0.0930 mL	0.4650 mL	0.9300 mL	2.3251 mL
	40 mM	0.0698 mL	0.3488 mL	0.6975 mL	1.7438 mL
	50 mM	0.0558 mL	0.2790 mL	0.5580 mL	1.3951 mL



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	60 mM	0.0465 mL	0.2325 mL	0.4650 mL	1.1625 mL
	80 mM	0.0349 mL	0.1744 mL	0.3488 mL	0.8719 mL
	100 mM	0.0279 mL	0.1395 mL	0.2790 mL	0.6975 mL



源叶