上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：AGN 194310
产品别名：VTP-194310

生物活性：

Description	AGN 194310 (VTP-194310) is a high affinity, potent and selective retinioic acid receptors (RARs) pan-antagonist with Kd values of 3 nM, 2 nM, 5 nM for RARα, RARβ, RARγ, respectively[1][2].		
IC_{50} & Target	RARα	RARβ	RARγ
	3 nM (Kd)	2 nM (Kd)	5 nM (Kd)

In Vitro

AGN194310 potently inhibits colony formation by all three lines, with IC50 values of 16 nM for LNCaP cells; 18 nM for PC3 cells; and 34 nM for DU-145 cells[2].

AGN 194310 (50 nM, 100 nM; LNCaP, PC-3 and DU-145 cells) inhibits colony formation at concentrations of 50 nM and 100 nM alone and in combination with TTNPB[2].

AGN 194310 (1 μM; 72 hours; LNCaP cells) treatment results in 80% apoptosis[2].

Cell Viability Assay[2]

Cell Line:	LNCaP, PC-3 and DU-145 cells.
Concentration:	50 nM, 100 nM
Incubation Time:	
Result:	When used together with 100 nM TTNPB, there was almost complete reversal of the growth inhibitory effect of 50 nM and partial reversal of the effect of 100 nM.

Apoptosis Analysis[2]

Cell Line:	LNCaP cells
Concentration:	1 μM
Incubation Time:	72 hours
Result:	Induced apoptosis in LNCaP cells.

In Vivo

AGN 194310 (0.5 mg/kg/day; oral gavage; every day; for 10 days; female C57Bl/6J mice) treatment increases the number of granulocytes across haemopoietic compartments. A significant increase in the frequency of granulocyte-progenitor cells is observed in the bone marrow of mice after treatment with AGN194310[3].

Animal Model:	Female C57Bl/6J mice (Five-week-old (34-37 days))[3]
Dosage:	0.5 mg/kg/day
Administration:	Oral gavage; every day; for 10 days
Result:	The number of granulocytes was significantly increased across haemopoietic compartments. Progenitor cells containing granulocytes also increased significantly.

In Vitro:

DMSO : 50 mg/mL (**117.77 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3554 mL	11.7772 mL	23.5544 mL
5 mM	0.4711 mL	2.3554 mL	4.7109 mL
10 mM	0.2355 mL	1.1777 mL	2.3554 mL

Solvent&Solubility	*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。 ***In Vivo:*** 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 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.89 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.89 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.89 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 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。
References	[1]. Johnson AT, et al. Synthesis and biological activity of high-affinity retinoic acid receptor antagonists. Bioorg Med Chem. 1999 Jul;7(7):1321-38. [2]. Hammond LA, et al. Antagonists of retinoic acid receptors (RARs) are potent growth inhibitors of prostate carcinoma cells. Br J Cancer. 2001 Aug 3;85(3):453-62. [3]. Walkley CR, et al. Retinoic acid receptor antagonism in vivo expands the numbers of precursor cells during granulopoiesis. Leukemia. 2002 Sep;16(9):1763-72.