产品名称：NVP-BAW2881
产品别名：BAW2881

生物活性：

Description	VP-BAW2881 (BAW2881) is a potent and selective VEGFR2 inhibitor with an IC_{50} of 4 nM.		
IC_{50} & Target	VEGFR1	VEGFR2	VEGFR3
	820 nM (IC_{50})	9 nM (IC_{50})	420 nM (IC_{50})
In Vitro	The VEGF-driven cellular receptor autophosphorylation in CHO cells of BAW2881 is inhibited with an IC50 of 4 nM. BAW2881 inhibits a limited number of kinases including c-RAF, B-RAF, RET, ABL, and TIE-2 at sub-μM IC50s[1]. NVP-BAW2881 is highly selective for VEGFR, although it also demonstrates activity against Tie2 (IC50=650 nM) and RET (IC50=410 nM). The IC50 values of NVP-BAW2881 toward a wide panel of other kinases are >10 μM. NVP-BAW2881 inhibits VEGF-A-induced phosphorylation of VEGFR-2 in HUVECs and in VEGFR-2-transfected Chinese hamster ovary cells, with IC50 values of 2.9 and 4.2 nM, respectively[2].		
In Vivo	In a transgenic mouse model of psoriasis, NVP-BAW2881 reduces the number of blood and lymphatic vessels and infiltrating leukocytes in the skin, and normalized the epidermal architecture. NVP-BAW2881 also displays strong anti-inflammatory effects in models of acute inflammation; pretreatment with topical NVP-BAW2881 significantly inhibits VEGF-A-induced vascular permeability in the skin of pigs and mice[2].		

Solvent&Solubility

In Vitro:

DMSO : ≥ 33 mg/mL (77.76 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3564 mL	11.7819 mL	23.5638 mL
	5 mM	0.4713 mL	2.3564 mL	4.7128 mL
	10 mM	0.2356 mL	1.1782 mL	2.3564 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。

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]. Bold G, et al. A Novel Potent Oral Series of VEGFR2 Inhibitors Abrogate Tumor Growth by Inhibiting Angiogenesis. J Med Chem. 2016 Jan 14;59(1):132-46. [2]. Halin C, et al. Inhibition of chronic and acute skin inflammation by treatment with a vascular endothelial growth factor receptor tyrosine kinase inhibitor. Am J Pathol. 2008 Jul;173(1):265-77.

实验参考：

Cell Assay	HUVECs or LECs (1200) are seeded into fibronectin-coated 96-well plates. After 24 hours, the cells are transferred into LEC medium containing 2% fetal bovine serum and incubated for an additional 24 hours. Cells (eight wells/condition) are incubated with medium alone (control), 20 ng/mL VEGF-A, or a combination of 20 ng/mL VEGF-A and 1 nM to 1 μM NVP-BAW2881. Proliferation is also assayed in LECs incubated with 500 ng/mL VEGF-C. The DMSO is adjusted to 0.1% in all wells. After 72 hours, cells are incubated with 5-methylumbelliferylheptanoate for subsequent fluorescent quantification of viable cells, using a electron microscope[2].
Animal Administration	Mice: A contact hypersensitivity response is induced in the ear skin of 8-week-old female K14/VEGF-A TG mice. Five days after sensitization (day 0), the right ear is challenged by topical application of 10 μL oxazolone (1%) on each side. Starting on day 7, once-daily oral doses of 25 mg/kg NVP-BAW2881 or twice-daily topical doses of 0.5% NVP-BAW2881 are administered for 14 days. Control groups are given vehicles alone. The ear thickness is measured every other day using calipers. On day 21, mice are sacrificed and the weight of each ear and of its draining retro-auricular lymph node (LN) is determined[2]
References	[1]. Bold G, et al. A Novel Potent Oral Series of VEGFR2 Inhibitors Abrogate Tumor Growth by Inhibiting Angiogenesis. J Med Chem. 2016 Jan 14;59(1):132-46. [2]. Halin C, et al. Inhibition of chronic and acute skin inflammation by treatment with a vascular endothelial growth factor receptor tyrosine kinase inhibitor. Am J Pathol. 2008 Jul;173(1):265-77.