产品名称：Pexidartinib
产品别名：Pexidartinib(PLX3397)

生物活性：

Description	Pexidartinib (PLX-3397) is a potent, orally active, selective, and ATP-competitive colony stimulating factor 1 receptor (CSF1R or M-CSFR) and c-Kit inhibitor, with IC_{50}s of 20 and 10 nM, respectively. Pexidartinib (PLX-3397) exhibits 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases. Anti-tumor activity[1].
IC_{50} & Target	IC_{50}: 10 nM (c-Kit), 20 nM (cFMS), 160 nM (FLT3), 350 nM (KDR), 860 nM (LCK), 880 nM (FLT1), 890 nM (NTRK3)[1]
In Vitro	Pexidartinib (PLX3397; 0.25, 1 mg/kg, twice daily for 8 days) inhibits the proliferation of microglia and BrdU-positive cells in neonatal mice[2]. Pexidartinib (1 mg/kg, twice daily for 8 day) shows no obvious effect on the cleaved caspase-3-positive cells in mice[2].
In Vivo	Pexidartinib (PLX3397; 0.25, 1 mg/kg, twice daily for 8 days) inhibits the proliferation of microglia and BrdU-positive cells in neonatal mice[2]. Pexidartinib (1 mg/kg, twice daily for 8 day) shows no obvious effect on the cleaved caspase-3-positive cells in mice[2].

Animal Model:	Neonatal mice[2]
Dosage:	0.25, 1 mg/kg
Administration:	I.P. twice daily for 8 days
Result:	Decreased the number of microglia and BrdU-positive proliferative cells, but did not change the cleaved caspase-3-positive cells.

Solvent&Solubility

In Vitro:

DMSO : 5 mg/mL (**11.97 mM**; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3934 mL	11.9672 mL	23.9343 mL
	5 mM	0.4787 mL	2.3934 mL	4.7869 mL
	10 mM	0.2393 mL	1.1967 mL	2.3934 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: ≥ 0.5 mg/mL (1.20 mM); Clear solution

此方案可获得 ≥ 0.5 mg/mL (1.20 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 5.0 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% (20% SBE-β-CD in saline) Solubility: ≥ 0.5 mg/mL (1.20 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (1.20 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 0.5 mg/mL (1.20 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (1.20 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 5.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. DeNardo DG, et al. Leukocyte complexity predicts breast cancer survival and functionally regulates response to chemotherapy. Cancer Discov. 2011 Jun;1(1):54-67. [2]. Kuse Y, et al. Microglia increases the proliferation of retinal precursor cells during postnatal development. Mol Vis. 2018 Jul 30;24:536-545. eCollection 2018. [3]. Lee JH, et al. A phase I study of pexidartinib, a colony-stimulating factor 1 receptor inhibitor, in Asian patients with advanced solid tumors. Invest New Drugs. 2019 Mar 2.

源叶生物