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产品名称：N-[4-[[[[5-(叔丁基)-3-异恶唑基]氨基]羰基]氨基]苯基]-5-[(1-乙基-2,2,6,6-四甲基-4-哌啶基)氧基]-2-吡啶甲酰胺
产品别名：AC710

生物活性：

Description

AC710 is a potent PDGFR inhibitor with K_ds of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRα and PDGFRβ, respectively.

IC_{50} & Target

PDGFRα	PDGFRβ	c-Kit	FLT3	CSF1R
1.3 nM (Kd)	1 nM (Kd)	1 nM (Kd)	0.6 nM (Kd)	1.57 nM (Kd)

In Vivo

At 0.3 mg/kg of AC710, tumor growth is temporally inhibited, and growth resumes quickly thereafter. At 3 and 30 mg/kg of AC710, tumors regress completely, and the tumor volume stays suppressed for an extended period after dosing is halted. No body weight loss is observed in animals treated with AC710 at all doses, indicating that it is well tolerated in mice at efficacious doses. AC710 exhibits a significant impact on disease in a dose-dependent fashion in a mouse collagen-induced arthritis (CIA) model, at a dose as low as 3 mg/ kg for 15 days (day 0-14). At 10 and 30 mg/kg, AC710 demonstrates equivalent or slightly better efficacy in reducing the joint swelling and inflammation than dexomethasone administered at a safe dose. AC710 is well tolerated at the tested doses[1].

Solvent&Solubility

In Vitro:

DMSO : 14 mg/mL (24.88 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7771 mL	8.8857 mL	17.7715 mL
	5 mM	0.3554 mL	1.7771 mL	3.5543 mL
	10 mM	0.1777 mL	0.8886 mL	1.7771 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 (0.89 mM); Clear solution

此方案可获得 ≥ 0.5 mg/mL (0.89 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 (0.89 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (0.89 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 (0.89 mM); Clear solution 此方案可获得 ≥ 0.5 mg/mL (0.89 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 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]. Liu G, et al. Discovery of AC710, a Globally Selective Inhibitor of Platelet-Derived Growth Factor Receptor-Family Kinases. ACS Med Chem Lett. 2012 Sep 24;3(12):997-1002.

实验参考：

Animal Administration	Mice: The antitumor efficacy of AC710 is assessed in a subcutaneous flank-tumor xenograft model in athymic nude mice using the MV4-11cell line. AC710 is dosed at 0.3, 3, and 30 mg/kg for 2 weeks. Tumor growth and body weight is monitored[1].
References	[1]. Liu G, et al. Discovery of AC710, a Globally Selective Inhibitor of Platelet-Derived Growth Factor Receptor-Family Kinases. ACS Med Chem Lett. 2012 Sep 24;3(12):997-1002.