产品名称：

(2Z,5Z)-5-(3-chloro-4-((R)-2,3-dihydroxypropoxy)benzylidene)-2-(propyliMino)-3-(o-tolyl)thiazolidin-4-one

产品别名：**Ponesimod；ACT-128800**

生物活性：

Description

Ponesimod(ACT-128800) is an orally active, selective sphingosine-1-phosphate receptor 1 (S1P1) immunomodulator. IC50 value: Target: S1P1 agonist in vitro: Ponesimod activated S1P(1)-mediated signal transduction with high potency (EC(50) of 5.7 nM) and selectivity [1]. in vivo: Oral administration of ponesimod to rats led to a dose-dependent decrease of blood lymphocyte count. After discontinuation of dosing, blood lymphocyte count returned to baseline within 48 h. Ponesimod prevented edema formation, inflammatory cell accumulation, and cytokine release in the skin of mice with delayed-type hypersensitivity [1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (216.93 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1693 mL	10.8467 mL	21.6934 mL
	5 mM	0.4339 mL	2.1693 mL	4.3387 mL
	10 mM	0.2169 mL	1.0847 mL	2.1693 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 (5.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.42 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 (5.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.42 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]. Piali L, et al. The selective sphingosine 1-phosphate receptor 1 agonist ponesimod protects against lymphocyte-mediated tissue inflammation. J Pharmacol Exp Ther. 2011 May;337(2):547-56. [2]. Bolli MH, et al. 2-imino-thiazolidin-4-one derivatives as potent, orally active S1P1 receptor agonists. J Med Chem. 2010 May 27;53(10):4198-211. [3]. Brossard P, et al. Pharmacokinetics and pharmacodynamics of ponesimod, a selective S1P1 receptor modulator, in the first-in-human study. Br J Clin Pharmacol. 2013 Dec;76(6):888-96.