



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: ITI214
产品别名: ITI214

生物活性:

Description

ITI-214 is a picomolar PDE1 inhibitor with excellent selectivity against other PDE family members and against a panel of enzymes, receptors, transporters, and ion channels, exhibits potent PDE1 inhibitory activity (Ki = 58 pM). IC50 value: 58 pM (Ki) Target: PDE1 in vitro: ITI-214 exhibits picomolar inhibitory potency for PDE1, demonstrates excellent selectivity against all other PDE families. ITI214 exhibits excellent selectivity over other PDE family members. For instance, the Ki values of ITI214 against recombinant full-length human PDE1A, PDE1B, and PDE1C are 33 pM, 380 pM, and 35 pM, respectively. ITI214 is profiled in a panel of enzymes, receptors, transporters, and ion channels from Caliper at 10 μM, which is over 170000 times higher than its Ki for PDE1, and demonstrates good selectivity. [1] in vivo: ITI214 possesses a good overall profile with balanced physicochemical properties, excellent potency and selectivity, and good pharmacokinetics. ITI214 is found to significantly enhance memory performance in the test with a minimum effective dose of 3 mg/kg. [1]

In Vitro:

DMSO : ≥ 30 mg/mL (49.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
	1 mM	1.6514 mL	8.2568 mL	16.5136 mL	
	5 mM	0.3303 mL	1.6514 mL	3.3027 mL	
10 mM	0.1651 mL	0.8257 mL	1.6514 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 (4.13 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.13 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% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution



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	<p>此方案可获得 ≥ 2.5 mg/mL (4.13 mM, 饱和度未知) 的澄清溶液。</p> <p>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 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.13 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。</p> <p>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。</p>
References	<p>[1]. Lawrence Wennogle. Novel uses. From PCT Int. Appl. (2014), WO 2014145617 A2 20140918.</p> <p>[2]. Peng Li , et al. Salt crystals. From PCT Int. Appl. (2013), WO 2013192556 A2 20131227.</p> <p>[3]. Allen A. Fienberg, et al. Organic compounds. From PCT Int. Appl. (2010), WO 2010132127 A1 20101118.</p> <p>[4]. Li P, et al. Discovery of Potent and Selective Inhibitors of Phosphodiesterase 1 for the Treatment of Cognitive Impairment Associated with Neurodegenerative and Neuropsychiatric Diseases. J Med Chem. 2016 Feb 11;59(3):1149-64.</p>

源叶生物