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产品名称：6 - 氯-2,3 - 二氢- 5 -甲基- N - [6 - [(2 - 甲基-3 - 吡啶基)氧] -3 - 吡啶基] - 1H -吲哚- 1 - 酰胺盐酸盐
产品别名：SB 242084

生物活性：

Description

SB 242084 is a 5-HT2C receptor antagonist(pKi=9.0) that displays 158- and 100-fold selectivity over 5-HT2A and 5-HT2B receptors respectively. IC50 value: 9.0(pKi) [1] Target: 5-HT2C antagonist in vitro: SB 242084 had over 100-fold selectivity over a range of other 5-HT, dopamine and adrenergic receptors. In studies of 5-HT-stimulated phosphatidylinositol hydrolysis using SH-SY5Y cells stably expressing the cloned human 5-HT2C receptor, SB 242084 acted as an antagonist with a pKb of 9.3, which closely resembled its corresponding receptor binding affinity [1]. in vivo: SB 242084 potently inhibited m-chlorophenylpiperazine (mCPP, 7 mgkg i.p. 20 min pre-test)-induced hypolocomotion in rats, a model of in vivo central 5-HT2C receptor function, with an ID50 of 0.11 mg/kg i.p., and 2.0 mg/kg p.o. SB 242084 (0.1-1 mg/kg i.p.) exhibited an anxiolytic-like profile in the rat social interaction test, increasing time spent in social interaction, but having no effect on locomotion. SB 242084 (0.1-1 mg/kg i.p.) also markedly increased punished responding in a rat Geller-Seifter conflict test of anxiety, but had no consistent effect on unpunished responding [1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 44 mg/mL (111.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5326 mL	12.6630 mL	25.3261 mL
5 mM	0.5065 mL	2.5326 mL	5.0652 mL
10 mM	0.2533 mL	1.2663 mL	2.5326 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 (6.33 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.33 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 (6.33 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.33 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]. Kennett GA, et al. SB 242084, a selective and brain penetrant 5-HT2C receptor antagonist. Neuropharmacology. 1997 Apr-May;36(4-5):609-20. [2]. Bromidge SM, et al. 6-Chloro-5-methyl-1-[[2-[(2-methyl-3-pyridyl)oxy]-5-pyridyl]carbamoyl]- indoline (SB-242084): the first selective and brain penetrant 5-HT2C receptor antagonist. J Med Chem. 1997 Oct 24;40(22):3494-6. [3]. Dalton GL, et al. Serotonin 1B and 2C receptor interactions in the modulation of feeding behaviour in the mouse. Psychopharmacology (Berl). 2006 Mar;185(1):45-57.