产品名称：SB742457
产品别名：Intepirdine

生物活性：

Description	Intepirdine (SB742457) is a highly selective 5-HT6 receptor antagonist with pKi of 9.63; exhibits >100-fold selectivity over other receptors.
IC_{50} & Target	pKi: 9.63 (5-HT6 Receptor)[1].
In Vitro	Intepirdine (SB742457), a 5-HT6 receptor antagonist, which extends into Alzheimer disease (AD) sufferers further highlights the therapeutic promise of this mechanistic approach. Alzheimer's disease is a devastating neurological condition characterized by a progressive decline in cognitive performance accompanied by behavioral and psychological syndromes, such as depression and psychosis. With the subsequent development of selective 5-HT6 receptor antagonists, preclinical studies in rodents and primates have elucidated the function of this receptor subtype in more detail. It is increasingly clear that blockade of 5-HT6 receptors leads to an improvement of cognitive performance in a wide variety of learning and memory paradigms and also results in anxiolytic and antidepressant-like activity. Intepirdine (SB742457) is generally safe and well tolerated and may be efficacious in Alzheimer disease.
Solvent&Solubility	***In Vitro:*** **DMSO : 50 mg/mL** (**141.47 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8293 mL	14.1467 mL	28.2933 mL
	5 mM	0.5659 mL	2.8293 mL	5.6587 mL
	10 mM	0.2829 mL	1.4147 mL	2.8293 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 (7.07 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.07 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 (7.07 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.07 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 900 μL 20% 的 SBE-β-CD 生理

	盐水水溶液中，混合均匀。
References	[1]. Callaghan CK, Hok V, Della-Chiesa A, et al. Age-related declines in delayed non-match-to-sample performance (DNMS) are reversed by the novel 5HT6 receptor antagonist SB742457. Neuropharmacology. 2012 Oct;63(5):890-7. [2]. Codony X, Vela JM, Ramírez MJ. 5-HT(6) receptor and cognition. Curr Opin Pharmacol. 2011 Feb;11(1):94-100. [3]. Maher-Edwards G, Dixon R, Hunter J, et al. SB-742457 and donepezil in Alzheimer disease: a randomized, placebo-controlled study. Int J Geriatr Psychiatry. 2011 May;26(5):536-44. [4]. Maher-Edwards G, Zvartau-Hind M, Hunter AJ, et al. Double-blind, controlled phase II study of a 5-HT6 receptor antagonist, SB-742457, in Alzheimer's disease. Curr Alzheimer Res. 2010 Aug;7(5):374-85. [5]. Upton N, Chuang TT, Hunter AJ, Virley DJ. 5-HT6 receptor antagonists as novel cognitive enhancing agents for Alzheimer's disease. Neurotherapeutics. 2008 Jul;5(3):458-69. [6]. SB-742457