产品名称：马来酸盐

产品别名：**Asenapine maleate**；阿塞那平马来酸盐；**Org 5222 maleate**

生物活性：

Description

Asenapine maleate is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and D2 antagonist with K_i values of 0.03-4.0 nM, 1.3nM, respectively, and an antipsychotic.

IC_{50} & Target

sPLA2	5-HT_{2A} Receptor	5-HT_{2C} Receptor	5-HT_7 Receptor	D2 Receptor
2.5 nM (Ki)	0.06 nM (Ki)	0.03 nM (Ki)	0.13 nM (Ki)	1.3 nM (Ki)
D_3 Receptor	D_4 Receptor			
0.42 nM (Ki)	1.1 nM (Ki)			

In Vitro

Relative to its D2 receptor affinity, asenapine has a higher affinity for 5-HT_{2C}, 5-HT_{2A}, 5-HT_{2B}, 5-HT_7, 5-HT_6, $\alpha_{2B}$and D3 receptors, suggesting stronger engagement of these targets at therapeutic doses. Asenapine behaves as a potent antagonist (pK_B) at 5-HT1A (7.4), 5-HT1B (8.1), 5-HT_{2A} (9.0), 5-HT_{2B} (9.3), 5-HT_{2C} (9.0), 5-HT_6 (8.0), 5-HT_7(8.5), D2 (9.1), D3 (9.1), α_{2A} (7.3), α_{2B} (8.3), α_{2C} (6.8) and H1 (8.4) receptors[2].

In Vivo

Asenapine is an atypical antipsychotic that is currently available for the treatment of schizophrenia and bipolar I disorder. Asenapine may have superior therapeutic effect on anxiety symptoms than other agents in rats[3]. Asenapine has anxiolytic-like effects in the EPM and the defensive marble burying tests in mice[4].

Solvent&Solubility

In Vitro:

DMSO : 25 mg/mL (62.21 mM; Need ultrasonic)

H_2O : 6.25 mg/mL (15.55 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4886 mL	12.4428 mL	24.8855 mL
5 mM	0.4977 mL	2.4886 mL	4.9771 mL
10 mM	0.2489 mL	1.2443 mL	2.4886 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 (6.22 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.22 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 (6.22 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.22 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.22 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]. Stoner SC, et al. Asenapine: a clinical review of a second-generation antipsychotic. Clin Ther. 2012 May;34(5):1023-40. [2]. Shahid M, et al. Asenapine: a novel psychopharmacologic agent with a unique human receptor signature. J Psychopharmacol. 2009 Jan;23(1):65-73. [3]. Ohyama M,et al. Asenapine reduces anxiety-related behaviours in rat conditioned fear stress model. Acta Neuropsychiatr. 2016 Dec;28(6):327-336. [4]. Ene HM, et al. Effects of repeated asenapine in a battery of tests for anxiety-like behaviours in mice. Acta Neuropsychiatr. 2016 Apr;28(2):85-91.

实验参考：

Animal Administration	Rats: Asenapine maleate is suspended in 10% hydroxypropyl-β-cyclodextrin and administered in a volume of 1 mL/kg body weight . Rats are individually fear conditioned using electrical foot shock in a Skinner box. Animals are injected intraperitoneally (i.p.) with asenapine, clozapine, olanzapine, buspirone, or SB242084 at 30 min before freezing behaviour assessment[3]. Mice: Male ICR mice are repeatedly treated with 0.1 or 0.3mg/kg injections of asenapine and then tested in a battery of behavioural tests related to anxiety including the open-field test, elevated plus-maze (EPM), defensive marble burying and hyponeophagia tests[4].
References	[1]. Stoner SC, et al. Asenapine: a clinical review of a second-generation antipsychotic. Clin Ther. 2012 May;34(5):1023-40. [2]. Shahid M, et al. Asenapine: a novel psychopharmacologic agent with a unique human receptor signature. J Psychopharmacol. 2009 Jan;23(1):65-73. [3]. Ohyama M,et al. Asenapine reduces anxiety-related behaviours in rat conditioned fear stress model. Acta Neuropsychiatr. 2016 Dec;28(6):327-336. [4]. Ene HM, et al. Effects of repeated asenapine in a battery of tests for anxiety-like behaviours in mice. Acta Neuropsychiatr. 2016 Apr;28(2):85-91.