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产品名称：WAY-100635
产品别名：WAY-100635 maleate salt

生物活性：

Description	WAY-100635 maleate salt is a potent and selective 5-hydroxytryptamine 1A (5-HT1A) receptor antagonist with an IC_{50} value of 0.91 nM and K_i value of 0.39 nM. WAY-100635 maleate salt has pIC_{50} values for 5-HT1A and α1-adrenergic receptors of 8.9 and 6.6, respectively. WAY-100635 maleate salt is also a potent dopamine D_4 receptoragonist[1][2][3].

IC_{50} & Target		
	5-HT_{1A} Receptor	5-HT_{1A} Receptor
	0.91 nM (IC_{50})	0.39 nM (Ki)

In Vitro	The functional properties and binding affinities of WAY-100635 are evaluated in HEK 293 cells stably expressing dopamine D_{2L} or $D_{4.4}$ receptors[1]. WAY-100635 displays 940, 370, and 16 nM binding affinities at D_{2L}, D_3, and $D_{4.2}$ receptors, respectively. Saturation analyses demonstrat that the K_d of [^{3}H]WAY-100635 at $D_{4.2}$ receptors is 2.4 nM. WAY-100635 is potent agonist in HEK-$D_{4.4}$ cells with EC_{50} of 9.7 nM. WAY-100635 possesses high affinity for $D_{4.4}$ receptor (3.3 nM) [1].

In Vivo		
	WAY-100635 (1 mg/kg; subcutaneous injection; male Sprague-Dawley rats) treatment abolishes the reduction of the severity of abstinence signs induced by Rhodiola rosea administration in nicotine-dependent rat[2].	
	Animal Model:	Male Sprague-Dawley rats (220-240 g)[2]
	Dosage:	1 mg/kg
	Administration:	Subcutaneous injection (Pharmacokinetic study)
	Result:	Reduced total abstinence score, increased immobility time and the burying behavior was increased.

Solvent&Solubility

In Vitro:

DMSO : ≥ 34 mg/mL (63.12 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	1 mM	1.8565 mL	9.2826 mL	18.5653 mL
	5 mM	0.3713 mL	1.8565 mL	3.7131 mL
	10 mM	0.1857 mL	0.9283 mL	1.8565 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 (4.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.64 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 (4.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.64 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 (4.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.64 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]. Chemel BR, et al. WAY-100635 is a potent dopamine D4 receptor agonist. Psychopharmacology (Berl). 2006 Oct;188(2):244-51. [2]. Mannucci C, et al. Serotonin involvement in Rhodiola rosea attenuation of nicotine withdrawal signs in rats. Phytomedicine. 2012 Sep 15;19(12):1117-24. [3]. Al Hussainy R, et al. Design, synthesis, radiolabeling, and in vitro and in vivo evaluation of bridgehead iodinatedanalogues of N-{2-[4-(2-methoxyphenyl)piperazin-1-yl]ethyl}-N-(pyridin-2-yl)cyclohexanecarboxamide (WAY-100635) as potential SPECT ligands for the 5-HT1A receptor. J Med Chem. 2011 May 26;54(10):3480-91.