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产品名称：2(3H)-BENZOXAZOLONE, 7-(4-METHYL-1-PIPERAZINYL)-, MONOHYDROCHLORIDE

产品别名：盐酸帕多芦诺 ；Pardoprunox hydrochloride；SLV-308 hydrochloride; DU-126891 hydrochloride

生物活性：

Description

Pardoprunox hydrochloride is a novel partial dopamine D2 and D3 receptor agonist and serotonin 5-HT1A receptor agonist, D2 (pKi = 8.1) and D3 receptor (pKi = 8.6) partial agonist and 5-HT1A receptor (pKi = 8.5) full agonist. IC50 value: 8.1/8.6/8.5 (pKi, for D2/ D3/5-HT1A receptor) Target: dopamine D2 and D3 receptor, 5-HT1A receptor in vitro: Pardoprunox also binds to D4 (pKi = 7.8), α1-adrenergic (pKi = 7.8), α2-adrenergic (pKi = 7.4), and 5-HT7 receptors (pKi = 7.2) with lower affinity. Pardoprunox acts as a potent but partial D(2) receptor agonist (pEC50 = 8.0 and pA2 = 8.4) with an efficacy of 50% on forskolin stimulated cAMP accumulation. At human recombinant dopamine D3 receptors, Pardoprunox acts as a partial agonist in the induction of [35S]GTPgammaS binding (intrinsic activity of 67%; pEC(50) = 9.2) and antagonized the dopamine induction of [35S]GTPgammaS binding (pA2 = 9.0). Pardoprunox acts as a full 5-HT1A receptor agonist on forskolin induced cAMP accumulation at cloned human 5-HT1A receptors but with low potency (pEC50 = 6.3) [1]. in vivo: Pardoprunox induces contralateral turning behaviour in rats with unilateral 6-hydroxydopamine-induced lesions of the substantia nigra pars compacta (SNpc) (MED=0.03mg/kg; po). In MPTP-treated common marmosets, Pardoprunox dose-dependently increases locomotor activity (MED=0.03mg/kg; po) and decreases motor disability (MED=0.03mg/kg; po). In contrast Pardoprunox attenuated novelty-induced locomotor activity (MED=0.01mg/kg; po), (+)-amphetamine-induced hyperlocomotion (MED=0.3mg/kg; po) and apomorphine-induced climbing (MED=0.6mg/kg; po) in rodents. Pardoprunox also induces 5-HT1A receptor-mediated behaviours, including flat body posture and lower lip retraction (MED=0.3mg/kg; po). Collectively, these findings demonstrate that Pardoprunox possesses dopamine D2/3 partial agonist effects, 5-HT1A agonist effects and reduces parkinsonism in animal models. functional D2 receptor partial agonist activity and is effective in experimental models predictive of efficacy in PD.[2]

In Vitro:

DMSO : 150 mg/mL (**556.11 mM**; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7074 mL	18.5371 mL	37.0741 mL
5 mM	0.7415 mL	3.7074 mL	7.4148 mL
10 mM	0.3707 mL	1.8537 mL	3.7074 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

Solvent&Solubility	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: ≥ 7.5 mg/mL (27.81 mM); Clear solution 此方案可获得 ≥ 7.5 mg/mL (27.81 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 75.0 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: ≥ 7.5 mg/mL (27.81 mM); Clear solution 此方案可获得 ≥ 7.5 mg/mL (27.81 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 75.0 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: ≥ 7.5 mg/mL (27.81 mM); Clear solution 此方案可获得 ≥ 7.5 mg/mL (27.81 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 75.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Glennon JC, et al. In vitro characterization of SLV308 (7-[4-methyl-1-piperazinyl]-2(3H)-benzoxazolone, monohydrochloride): a novel partial dopamine D2 and D3 receptor agonist and serotonin 5-HT1A receptor agonist. Synapse. 2006 Dec 15;60(8):599-608. [2]. Jones CA, et al. An in vivo pharmacological evaluation of pardoprunox (SLV308)--a novel combined dopamine D(2)/D(3) receptor partial agonist and 5-HT(1A) receptor agonist with efficacy in experimental models of Parkinson's disease. Eur Neuropsychopharmacol. 2010 Aug;20(8):582-593.