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产品名称：Entacapone
产品别名：恩他卡朋

生物活性：

Description

Entacapone is a specific, potent, peripherally acting catechol-O-methyltransferase (COMT) inhibitor with IC50 of 151 nM for PD treatment. IC50 Value: 151 nM Target: COMT in vitro: Entacapone inhibits catechol-O-methyltransferase(COMT) with similar IC50 in different tissues including live, duodenum, kidney and lung, but entacapone is more active than tolcapone in those tissues. Entacapone (< 100 μM) is a potent inhibitor of α-syn and β-amyloid (Aβ) oligomerization and fibrillogenesis, and also protects against extracellular toxicity induced by the aggregation of both proteins in PC12 cells. in vivo: Levodopa/carbidopa/entacapone has been shown to improve the pharmacokinetic profile of levodopa and provide superior symptomatic control compared with conventional levodopa/dopa decarboxylase inhibitor therapy. We report four case histories describing clinical experience of using levodopa/carbidopa/entacapone 200/50/200 mg, one of the latest doses of this formulation, in a range of patients with Parkinson's disease. These cases illustrate that levodopa/carbidopa/entacapone 200/50/200 mg provides improvements in symptomatic control. Clinical trial: The combination product carbidopa/levodopa/entacapone (CLE) was approved in 2003 for the treatment of PD patients.

Solvent&Solubility

In Vitro:

DMSO : 33.33 mg/mL (**109.17 mM;** Need ultrasonic)

H_2O : 2 mg/mL (**6.55 mM;** ultrasonic and adjust pH to 10 with NaOH)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2756 mL	16.3779 mL	32.7557 mL
5 mM	0.6551 mL	3.2756 mL	6.5511 mL
10 mM	0.3276 mL	1.6378 mL	3.2756 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 (8.19 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (8.19 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 (8.19 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.19 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 (8.19 mM); Clear solution; Need warming 此方案可获得 2.5 mg/mL (8.19 mM)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]. Piccini P, Brooks DJ, Korpela K, The catechol-O-methyltransferase(COMT) inhibitor entacapone enhances the pharmacokinetic and clinical response to Sinemet CR in Parkinson's disease. J Neurol Neurosurg Psychiatry. 2000 May;68(5):589-94. [2]. Di Giovanni S, Eleuteri S, Paleologou KE, Entacapone and tolcapone, two catechol O-methyltransferase inhibitors, block fibril formation of alpha-synuclein and beta-amyloid and protect against amyloid-induced toxicity. J Biol Chem. 2010 May 14;285(20):14941-54. [3]. Sethi KD, Hauser RA, Isaacson SH, Levodopa/carbidopa/entacapone 200/50/200 mg (Stalevo 200) in the treatment of Parkinson's disease: a case series. Cases J. 2009 Jul 30;2:7134. [4]. Poulopoulos M, Waters C. Carbidopa/levodopa/entacapone: the evidence for its place in the treatment of Parkinson's disease. Core Evid. 2010 Jul 27;5:1-10.