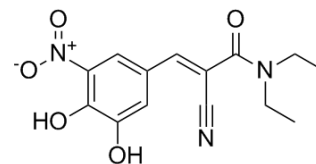


Entacapone

Cat. No.:	HY-14280		
CAS No.:	130929-57-6		
Molecular Formula:	C ₁₄ H ₁₅ N ₃ O ₅		
Molecular Weight:	305.29		
Target:	COMT		
Pathway:	Metabolic Enzyme/Protease; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (109.17 mM; Need ultrasonic)
 H₂O : 2 mg/mL (6.55 mM; ultrasonic and adjust pH to 10 with NaOH)

Concentration	Mass		
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: 2.5 mg/mL (8.19 mM); Clear solution; Need warming

BIOLOGICAL ACTIVITY

Description

Entacapone is a potent, reversible, peripherally acting and orally active catechol-O-methyltransferase (COMT) inhibitor. Entacapone inhibits COMT from rat brain, erythrocytes and liver with IC₅₀ values of 10 nM, 20 nM, and 160 nM, respectively. Entacapone is selective for COMT over other catecholamine metabolizing enzymes, including MAO-A, MAO-B, phenolsulphotransferase M (PST-M) and PST-P (IC₅₀>50 μM). Entacapone can be used for the research of Parkinson's disease^[1]. Entacapone serves as a inhibitor of FTO demethylation activity with an IC₅₀ of 3.5 μM and can be used for the research of metabolic disorders^[2].

IC₅₀ & Target	IC50: 10 nM (rat brain COMT); 20 nM (rat erythrocyte COMT); 160 nM (rat liver COMT) ^[1]								
In Vitro	<p>Entacapone (50 μM, 48 hours) enhances the amount of m6A on mRNA in Hep-G2 cells. It does not show any inhibitory effect on the enzymatic activity of the RNA m6A demethylase AlkB homolog 5 (ALKBH5) or the ten-eleven translocation methylcytosine dioxygenase 1 (TET1), nor does it alter the DNA methylation or histone methylation patterns in entacapone-treated Hep-G2 cells^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Entacapone (oral administration; 600 mg/kg per day; 3-9 weeks) results in a dose-response effect dose-response effect. After 3 weeks, mouse body weight are decreased by 10.1% compared to controls, and shows similar food intake fat mass and fat mass ratio reduced after entacapone treatment. Entacapone also increases the energy expenditure of mice: reductions in total cholesterol (17.6%), low-density lipoprotein cholesterol (31.0%), and triglycerides (10.2%) in mice^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>High-fat diet-induced obese (DIO) mouse model^[2]</td> </tr> <tr> <td>Dosage:</td> <td>600 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral administration; 600 mg/kg per day; 3-9 weeks</td> </tr> <tr> <td>Result:</td> <td>Regulated the metabolic disorders in DIO mouse.</td> </tr> </table>	Animal Model:	High-fat diet-induced obese (DIO) mouse model ^[2]	Dosage:	600 mg/kg	Administration:	Oral administration; 600 mg/kg per day; 3-9 weeks	Result:	Regulated the metabolic disorders in DIO mouse.
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Result:	Regulated the metabolic disorders in DIO mouse.								

REFERENCES

[1]. E Nissinen, et al. Biochemical and pharmacological properties of a peripherally acting catechol-O-methyltransferase inhibitor entacapone. Naunyn Schmiedebergs Arch Pharmacol. 1992 Sep;346(3):262-6.

[2]. Shiming Peng, et al. Identification of entacapone as a chemical inhibitor of FTO mediating metabolic regulation through FOXO1. Sci Transl Med

Caution: Product has not been fully validated for medical applications. For research use only.

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