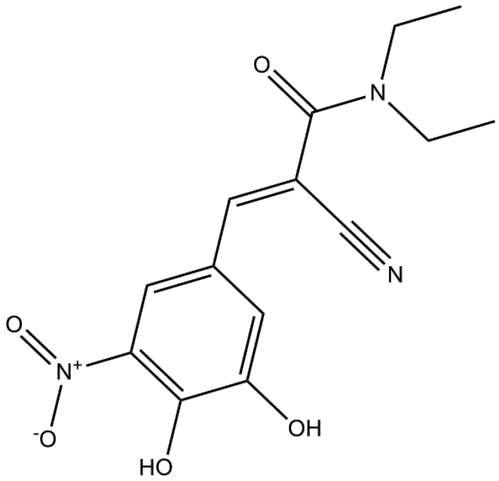
Product Data Sheet

Product Name: Entacapone
Cat. No.: GC17334

Chemical Properties

Cas. No.	130929-57-6		
Chemical Name	(E)-2-cyano-3-(3,4-dihydroxy-5-nitrophenyl)-N,N-diethylprop-2-enamide		
SMILES	CCN(CC)C(=O)C(=CC1=CC(=C(C(=C1)O)O)[N+](=O)[O-])C#N		
Formula	$C_{14}H_{15}N_3O_5$	M.Wt	305.29
Solubility	60mg/mL in DMSO, ≥ 12.65 mg/mL in EtOH with ultrasonic	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

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Cell lines	KYSE-30 and YM-1 of esophageal squamous cancer cell (ESCC) lines
Preparation Method	Cells were seeded into 96-well plates at a density of 10^4 cells/well, the culture medium was removed and then cells were treated with different concentrations (25, 50, 75, 100, 125, and 150μM) of Entacapone with a final volume of 200μl. As vehicle control cells were treated with DMSO (0.3%) with same condition. After 48h treatment, cell viability was measured using the MTT assay.
Reaction Conditions	25-150μM; 48h
Applications	Entacapone was cytotoxic to both esophageal cancer cell lines in a dose-dependent manner.

Animal experiment [2]:

Animal models	C57BL/6J mice
Preparation Method	Mice (n=10 per group) were randomly divided into four groups: the vehicle-treated control group and the groups treated with 10, 50, or 200mg/kg Entacapone. Vehicle or Entacapone was orally administered to the mice once a day using a feeding needle and ANA-12 was injected into the hippocampus at a rate of 0.5μL/min for 5min. Administered Entacapone for 21 days. Immunohistochemical staining was used to analyze the number of Ki67-positive proliferating cells, doublecortin-positive immature neurons and phosphorylated cAMP response element binding protein (pCREB)-positive cells in the dentate gyrus of the hippocampus of mice.

Caution: Product has not been fully validated for medical applications. For research use only.
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Dosage form	10, 50, 200mg/kg/day for 21 days; p.o.
Applications	After Entacapone treatment, the numbers of Ki67-positive proliferating cells, doublecortin-positive immature neurons, and phosphorylated cAMP response element-binding protein (pCREB)-positive cells were significantly increased.

References:

[1]Ramedani F, Jafari S M, Saghaeian Jazi M, et al. Anti-cancer effect of entacaponeon esophageal cancer cells via apoptosis induction and cell cycle modulation[J]. Cancer Reports, 2023, 6(3): e1759.

[2]Yoo D Y, Jung H Y, Kim W, et al. Entacapone promotes hippocampal neurogenesis in mice[J]. Neural Regeneration Research, 2021, 16(6): 1005-1010.

Background

Entacapone is a potent, reversible, peripherally acting and orally active inhibitor of catechol-O-methyltransferase (COMT), which effectively inhibits rat liver total COMT with IC_{50} and K_i values of 20.1nM and 10.7nM, respectively[1]. Entacapone has IC_{50} values of 14.3nM and 73.3nM for rat liver soluble COMT (S-COMT) and rat liver membrane-bound COMT (MB-COMT), respectively[1]. Entacapone is a weak uncoupler of oxidative phosphorylation[2]. Entacapone is commonly used in combination with levodopa (L-DOPA) to treat Parkinson's disease[3]. Entacapone is a ferroptosis inhibitor that can enhance antioxidant capacity[4].

In vitro, treatment of esophageal cancer cell lines (KYSE-30 and YM-1 cells) with Entacapone (25-150μM) for 48h reduced cell viability in a dose-dependent manner, and induced apoptosis and altered the cell cycle at a concentration of 140μM[5]. Treatment of Hep-G2 cells with Entacapone (50μM) for 48h increased the amount of m6A on mRNA in cells[6].

In vivo, oral treatment of C57BL/6J mice with Entacapone (10, 50, 200mg/kg/day) for 21 days

significantly improved ANA-12-induced memory impairment and the reduction of hippocampal brain-derived neurotrophic factor (BDNF) and tyrosine kinase receptor B (TrkB) expression, and significantly increased the number of Ki67-positive proliferating cells, doublecortin-positive immature neurons, and phosphorylated cAMP response element binding protein (pCREB)-positive cells in the dentate gyrus of the hippocampus[7]. Oral treatment of C57BL/6J mice with Entacapone (50mg/kg) for 21 days induced changes in the expression of proteins involved in synaptic transmission, cellular processes, cell signaling, cytoskeletal structure regulation, energy metabolism, and various other cellular responses in the mouse hippocampus[8].

References:

[1] Forsberg M, Lehtonen M, Heikkinen M, et al. Pharmacokinetics and pharmacodynamics of entacapone and tolcapone after acute and repeated administration: a comparative study in the rat[J]. Journal of Pharmacology and Experimental Therapeutics, 2003, 304(2): 498-506.
[2] Nissinen E, Kaheinen P, Penttilä K E, et al. Entacapone, a novel catechol-O-methyltransferase inhibitor for Parkinson's disease, does not impair mitochondrial energy production[J]. European journal of pharmacology, 1997, 340(2-3): 287-294.
[3] Stocchi F, Rascol O, Kieburtz K, et al. Initiating levodopa/carbidopa therapy with and without entacapone in early Parkinson disease: the STRIDE-PD study[J]. Annals of neurology, 2010, 68(1): 18-27.
[4] Yang J, Sun X, Huang N, et al. Entacapone alleviates acute kidney injury by inhibiting ferroptosis[J]. The FASEB Journal, 2022, 36(7): e22399.
[5] Ramedani F, Jafari S M, Saghaeian Jazi M, et al. Anti-cancer effect of entacaponeon esophageal cancer cells via apoptosis induction and cell cycle modulation[J]. Cancer Reports, 2023, 6(3): e1759.
[6] Peng S, Xiao W, Ju D, et al. Identification of entacapone as a chemical inhibitor of FTO mediating metabolic regulation through FOXO1[J]. Science Translational Medicine, 2019, 11(488): eaau7116.
[7] Yoo D Y, Jung H Y, Kim W, et al. Entacapone promotes hippocampal neurogenesis in mice[J]. Neural Regeneration Research, 2021, 16(6): 1005-1010.
[8] Yoo D Y, Jung H Y, Kim W, et al. Entacapone treatment modulates hippocampal proteins related to synaptic vehicle trafficking[J]. Cells, 2020, 9(12): 2712.