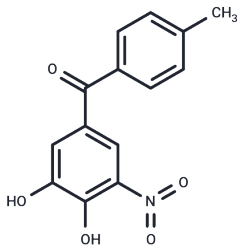
Data Sheet (Cat.No.T6708)

TargetMol

Tolcapone

Chemical Properties



CAS No. : 134308-13-7

Formula: C14H11NO5

Molecular Weight: 273.24

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Tolcapone (Ro 40-7592) is a catechol-O-methyltransferase inhibitor employed as an adjunctive therapy with levodopa and carbidopa in the treatment of Parkinson's disease.
Targets(IC50)	Apoptosis,Beta Amyloid,Transferase
In vitro	Tolcapone functions as a selective peripheral and central COMT inhibitor, exerting no effect on adrenergic, serotonergic, or cholinergic receptors or other enzymes involved in synthesis or catabolism of catecholamines. [1] Tolcapone produces a concentration-dependent decrease in COMT activity in liver homogenates of developing (3 days-old) and adult (60 days-old) rats with Vmax, Km and IC50 of 5.3 nM/mg/h, 3.3 μM, 41 nM, and 2.9 nM/mg/h, 13.1 μM, 720 nM, respectively. Tolcapone produces a concentration-dependent decrease in COMT activity in kidney of developing (3 days-old) and adult (60 days-old) rats with Vmax, Km and IC50 of 2.6 nM/mg/h, 2.7 μM, 8 nM, and 3.5 nM/mg/h, 24 μM, 177 nM, respectively. [2]
In vivo	Tolcapone orally administrated is able to crosses the blood-brain barrier. Acute administration of Tolcapone increases basal levels of L-DOPA and dihydroxyphenylacetic acid (DOPAC) and decreases basal homovanillic acid (HVA) levels, but does not affect basal dopamine levels. [3] Tolcapone (30 mg/kg p.o.) combined with benserazide (15 mg/kg p.o.) and a low dose of L-dopa (10 mg/kg p.o.) almost completely blockes (for about 6 h) the formation of 3-O-methyldopa (3-OMD) in brain and plasma, producing a long-lasting increase of L-DOPA in plasma and a parallel marked increase of L-DOPA and dopamine in the brain. [4] Tolcapone displays behavioural and neurochemical benefits on animals. Tolcapone (30 mg/kg p.o.) increases the effect of L-DOPA (plus benserazide) on locomotor activity, reserpine-induced hypothermia, and catalepsy induced by pimozide, haloperidol and fluphenazine. Tolcapone also increases locomotor hyperactivity induced by amphetamine or nomifensine, as well as stereotypy induced by amphetamine (but not apomorphine). [5]
Kinase Assay	Enzyme assay and binding assay: Protein kinase C is assayed in a reaction mixture (0.25 mL) containing 5 μmol of Tris/HCl, pH 7.5, 2.5 μmol of magnesium acetate, 50 μg of histone II S, 20 μg of phosphatidylserine, 0.88 μg of diolein, 125 nmol of CaCl2, 1.25 nmol of [γ-32]ATP (5-10 × 104 cpm/nmol) and 5 μg of partially purified enzyme. The binding of [3H]PDBu to protein kinase C is determined: Reaction mixture (200 μL contained 4 μmo1 of Tris/malate, pH 6.8, 20 μmol of KCl, 30 nmol of CaC12, 20 μg of

	phosphatidylserine, 5 μg of partially purified protein kinase C, 0.5% (final concentration) of DMSO,10 pmol of [3H]PDBu (l-3 × 104 cpm/pmol) and 10 μL of various amounts of Staurosporine.

Solubility Information

Solubility	Ethanol: 27.3 mg/mL (99.91 mM),Sonication is recommended. DMSO: 40 mg/mL (146.39 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6598 mL	18.2989 mL	36.5979 mL
5 mM	0.732 mL	3.6598 mL	7.3196 mL
10 mM	0.366 mL	1.8299 mL	3.6598 mL
50 mM	0.0732 mL	0.366 mL	0.732 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Zürcher G, et al. Adv Neurol, 1990, 53:497-50
Qiu M, Li Z, Chen Y, et al. Tolcapone Potently Inhibits Seminal Amyloid Fibrils Formation and Blocks Entry of Ebola Pseudoviruses. Frontiers in Microbiology. 2020, 11: 504
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Brannan T, et al. Neurology, 1992, 42(3 Pt 1), 683-685.
Zürcher G, et al. J Neural Transm Suppl, 1990, 32, 375-38
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Qiu M, Li Z, Chen Y, et al. Tolcapone Potently Inhibits Seminal Amyloid Fibrils Formation and Blocks Entry of Ebola Pseudoviruses. Frontiers in Microbiology. 2020, 11: 504.