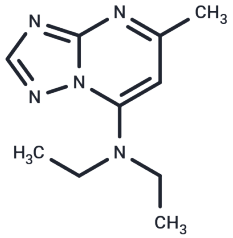
Data Sheet (Cat.No.T0080)

TargetMol

Trapidil

Chemical Properties



CAS No. : 15421-84-8

Formula: C10H15N5

Molecular Weight: 205.26

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Trapidil (Avantrin) is a coronary vasodilator agent. It was originally developed as a vasodilator and anti-platelet agent and has been used to treat patients with ischemic coronary heart, liver, and kidney disease.
Targets(IC50)	PDE,PDGFR
In vitro	Trapidil interrupts the autocrine loop at the PDGF and PDGF-receptor level.Trapidil has proved to possess a significant antiproliferative activity[1]. The addition of 100 to 400 μg/ml trapidil significantly reduced cell proliferation induced by different growth factors (FCS, PDGF-BB, bFGF, EGF), the highest inhibitory effect being on PDGF-BB stimulated Mesangial cell(MC) growth. The effect of the drug was dose-dependent and seemingly specific. Trapidil is an anti-platelet drug active against various aggregating agents, such as collagen, ADP, arachidonic acid, PAF and calcium ionophore. It exerts its action by blocking the biosynthesis of thromboxane A2 and antagonizing its effect at the receptor level, and by stimulating the synthesis and release of prostacyclin[2]. Trapidil strongly inhibited osteoclast formation in co-cultures of bone marrow cells and osteoblasts without affecting receptor activator of NF-κB ligand (RANKL) or osteoprotegerin expression in osteoblasts. In addition, trapidil suppressed RANKL-induced osteoclast formation from osteoclast precursors. Trapidil reduced RANKL-induced expression of nuclear factor of activated T cells, cytoplasmic 1 (NFATc1), a master transcription factor for osteoclastogenesis, without affecting the expression of c-Fos that functions as a key upstream activator of NFATc1 during osteoclastogenesis. Trapidil has also been reported to inhibit phosphodiesterase, thromboxane A2, and CD40 signaling and activate protein kinase A[3].
In vivo	Trapidil is an antiplatelet drug with specific platelet-derived growth factor antagonism and antiproliferative effects in the rat and rabbit models after balloon angioplasty[1]. Trapidil had a potent inhibitory effect on osteoclast formation and bone resorption induced by interleukin-1 in an animal model. No abnormal symptoms, such as changes in body weight, diarrhea, high fever, and convulsion, were observed after intraperitoneal injections of trapidil[3].
Cell Research	Cell viability was determined by Trypan blue dye exclusion test and LDH assay. Supernatants, collected from cells seeded in serum-free medium and exposed to the different mitogens and drugs tested, were centrifuged and LDH concentration determined. Supernatants obtained from sonicated cells were used as a positive control. Furthermore, to definitely exclude a cytotoxic effect of Trapidil on human MC,

Cell Research	incubated for four days with and without the drug were challenged with fresh medium containing 10% FBS, and cell proliferation evaluated. (Only for Reference)

Solubility Information

Solubility	H2O: 38 mg/mL (185.13 mM),Sonication is recommended. Ethanol: 39 mg/mL (190 mM),Sonication is recommended. DMSO: 39 mg/mL (190 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.8719 mL	24.3593 mL	48.7187 mL
5 mM	0.9744 mL	4.8719 mL	9.7437 mL
10 mM	0.4872 mL	2.4359 mL	4.8719 mL
50 mM	0.0974 mL	0.4872 mL	0.9744 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Maresta A, et al. Circulation. 1994, 90(6):2710-5.
Gesualdo L, et al. Kidney Int. 1994, 46(4):1002-9.
Kim SD, et al. Biochem Pharmacol. 2013, 86(6):782-90.