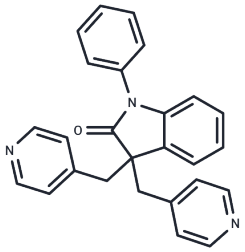
Data Sheet (Cat.No.T15758)

TargetMol

Linopirdine

Chemical Properties



CAS No. : 105431-72-9

Formula: C26H21N3O

Molecular Weight: 391.46

Appearance: no data available

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

Biological Description

Description	Linopirdine (DuP 996) is a TRPV1 agonist. Linopirdine is an orally active and selective M-type K+ current (IM; Kv7; KCNQ Channels) inhibitor (IC50: 2.4 μM). Linopirdine is a cognitive enhancer. It acts by stimulating release of acetylcholine and other neurotransmitters.Linopirdine is a putative cognition-enhancing drug that increases acetylcholine release in rat brain tissue.
Targets(IC50)	Potassium Channel,TRP/TRPV Channel
In vitro	Linopirdine is a well known blocker of voltage-gated potassium channels from the Kv7 (or KCNQ) family that generate the so called M current in mammalian neurons. Kv7 subunits are also expressed in pain-sensing neurons in dorsal root ganglia, in which they modulate neuronal excitability. Linopirdine acts as an agonist of TRPV1 (transient receptor potential vanilloid type 1), another ion channel expressed in nociceptors and involved in pain signaling. Linopirdine induces increases in intracellular calcium concentration in human embryonic kidney 293 (HEK293) cells expressing TRPV1, but not TRPA1 and TRPM8 or in wild-type HEK293 cells. Linopirdine also activates an inward current in TRPV1-expressing HEK293 cells that is almost completely blocked by the selective TRPV1 antagonist capsazepine. At low concentrations linopirdine sensitizes both recombinant and native TRPV1 channels to heat, in a manner that is not prevented by the Kv7-channel opener flupirtine. Linopirdine exerts an excitatory action on mammalian nociceptors not only through inhibition of the M current but also through activation of the capsaicin receptor TRPV1[4].
In vivo	Linopirdine (i.v.; 0.1-6 mg/kg; increasing doses) transiently (10-15 min) and dose-dependently enhances MAP by up to 15%[2].

Solubility Information

Solubility	DMSO: 110 mg/mL (281 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5545 mL	12.7727 mL	25.5454 mL
5 mM	0.5109 mL	2.5545 mL	5.1091 mL
10 mM	0.2555 mL	1.2773 mL	2.5545 mL
50 mM	0.0511 mL	0.2555 mL	0.5109 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

Schnee ME, et al. Selectivity of linopirdine (DuP 996), a neurotransmitter release enhancer, in blocking voltage-dependent and calcium-activated potassium currents in hippocampal neurons. J Pharmacol Exp Ther. 1998 Aug; 286(2):709-17.

Nassoiy SP, et al. Kv7 voltage-activated potassium channel inhibitors reduce fluid resuscitation requirements afterhemorrhagic shock in rats. J Biomed Sci. 2017 Jan 17;24(1):8.

Neacsu C, et al. The M-channel blocker linopirdine is an agonist of the capsaicin receptor TRPV1. J Pharmacol Sci. 2010;114(3):332-40.