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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
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Linopirdine

Cat. No.: HY-W020468

CAS No.: 105431-72-9

Molecular Formula: $C_{26}H_{21}N_3O$

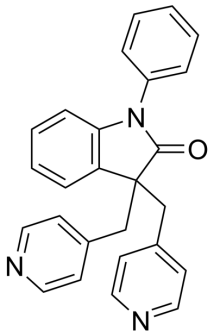
Molecular Weight: 391.46

Target: Potassium Channel; TRP Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (319.32 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.31 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Linopirdine (DuP 996) is an orally active, selective M-type K^+ current (IM; Kv7; KCNQ Channels) inhibitor with an IC_{50} of 2.4 μM. Linopirdine is a TRPV1 agonist. Linopirdine, a putative cognition enhancing agent, increases acetylcholine release in rat brain tissue[1][2][3].

IC_{50} & Target

IC50: 2.4 μM (M-type K^+ current)[1]

In Vitro

Linopirdine (DuP 996) inhibits IC (measured as a medium afterhyperpolarization tail current, ImAHP) with an IC_{50} of 16.3 μM.

	Linopirdine (100 μM) weakly inhibits the K^+ leak current, IL, the transient outward current, IA, the delayed rectifier, IK, and the slow component of IAHP, by 28, 37, 36 and 52 percent, respectively. The mixed Na^+/K^+ inward rectifying current, IQ, is essentially unaffected by Linopirdine (IC_{50}>300 μM)[1]. Linopirdine acts as an agonist of TRPV1 (transient receptor potential vanilloid type 1)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Linopirdine (DuP 996; i.v.; 0.1-6 mg/kg; increasing doses) transiently (10-15 min) and dose-dependently increases MAP by up to 15%[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (300-350 g)[2]
Dosage:	0.1, 0.5, 1, 3, 6 mg/kg
Administration:	5 intravenous bolus injections of increasing doses
Result:	Transiently and dose-dependently increases MAP by up to 15%.

CUSTOMER VALIDATION

- Curr Biol. 2022 Jul 13;S0960-9822(22)01079-X.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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