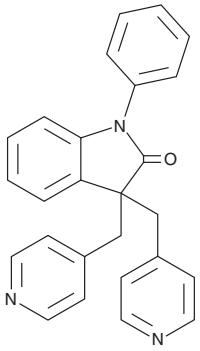
PRODUCT INFORMATION

Linopirdine

Item No. 19547



CAS Registry No.:	105431-72-9
Formal Name:	1,3-dihydro-1-phenyl-3,3-*bis*(4-pyridinylmethyl)-2H-indol-2-one
Synonym:	DuP-996
MF:	$C_{26}H_{21}N_3O$
FW:	391.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 248 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Linopirdine is supplied as a crystalline solid. A stock solution may be made by dissolving the linopirdine in the solvent of choice, which should be purged with an inert gas. Linopirdine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of linopirdine in ethanol and DMF is approximately 30 mg/ml and approximately 25 mg/ml in DMSO.

Linopirdine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, linopirdine should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Linopirdine has a solubility of approximately 0.03 mg/ml in a 1:30 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Linopirdine is an enhancer of the stimulus-evoked but not basal release of several neurotransmitters, including acetylcholine, dopamine, serotonin, and glutamate. It increases acetylcholine release in rat hippocampal CA1 neurons by blocking voltage-gated, calcium-activated and leak (M-type; K_V7.2/7.3; KCNQ2/3) K^+ current with an IC_{50} value of 2.4 µM.[1,2] Inhibition of M-channels is reported to result in the depolarization of CA3 pyramidal neurons and activated presynaptic voltage-gated P/Q- and N-type calcium channels, which leads to Ca^{2+} influx and increased neurotransmitter release.[3] Linopirdine has been shown to produce a number of effects including EEG patterns of enhanced vigilance, induction of c-fos expression in cerebral cortex, reduction of the increase of cerebral glucose utilization induced by hypoxia, and improved performance in animal models of learning and memory.[4] Linopirdine has also been identified as an agonist of transient receptor potential vanilloid type 1 (EC_{50} = 115 µM in HEK293 cells voltage clamped at -60 mV).[4]

References

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3. Sun, J. and Kapur, J. *J. Physiol.* **590(16)**, 3953-3964 (2012).
4. Tam, S.W. and Zaczek, R. *Adv. Exp. Med. Biol.* ***363***, 47-56 (1995).
5. Neacsu, C. and Babes, A. *J. Pharmacol. Sci.* **114(3)**, 332-340 (2010).

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