

Product Data Sheet

Inhibitors

Screening Libraries

Proteins

LE 300

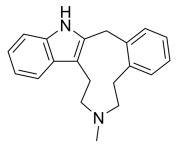
Molecular Weight:

Target: Dopamine Receptor; 5-HT Receptor
Pathway: GPCR/G Protein; Neuronal Signaling

290.4

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.



BIOLOGICAL ACTIVITY

Description	LE 300 is a potent and selective dopamine D1-like receptor antagonist with K_i s of 1.9 nM and 7.5 nM in CHO cell membranes expressing human dopamine D1 and D5 receptors, respectively. LE 300 is an antagonist of the 5-HT _{2A} receptor with a pA2 of 8.32 in a rat tail artery assay ^{[1][2]} .		
IC ₅₀ & Target	Human D ₁ Receptor	Human D ₅ Receptor	Rat 5-HT _{2A}
	1.9 nM (Ki)	7.5 nM (Ki)	8.32 (pA2)

REFERENCES

[1]. Kassack MU, et al. Pharmacological characterization of the benz[d]indolo[2,3-g]azecine LE300, a novel type of a nanomolar dopamine receptor antagonist. Naunyn Schmiedebergs Arch Pharmacol. 2002 Dec;366(6):543-50.

[2]. Rostom SA. Novel fused pyrrole heterocyclic ring systems as structure analogs of LE 300: Synthesis and pharmacological evaluation as serotonin 5-HT(2A), dopamine and histamine H(1) receptor ligands. Arch Pharm (Weinheim). 2010 Feb;343(2):73-80.

Caution: Product has not been fully validated for medical applications. For research use only.

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