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DATASHEET

Perlapine

Product overview

Name	Perlapine
Cat No	HB4889
Alternative names	NSC291840
Biological action	Activator
Purity	>98%
Description	Effective agonist for muscarinic-based DREADDs in vitro and in vivo. Non-CNO chemogenetic actuator

Images

Biological Data

Biological description

Perlapine is a potent agonist at muscarinic based DREADDs such as the excitatory hM3Dq, hM1Dq and inhibitory hM4Di DREADDs (pEC_{50} values are 8.08, 8.38 and 7.27 at hM3Dq, hM1Dq and hM4Di respectively). Water soluble form also available.

Perlapine exhibits >10,000-fold selectivity for hM3Dq over wildtype hM3 and interacts with wildtype hM1 and hM4 receptors with relatively low affinity. Perlapine lacks agonist activity at wild type receptors.

It has been reported that perlapine does not undergo back metabolism to clozapine.

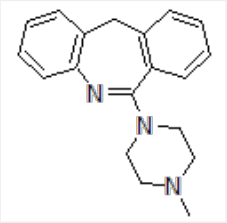
Perlapine also acts as a sleep inducing, hypnotic agent.

CNO dihydrochloride (water soluble), Clozapine N-oxide (CNO) freebase, Compound 21, Salvinorin B (SalB) and perlapine freebase also available.

Solubility & Handling

Storage instructions	Room temperature
Solubility overview	Soluble in DMSO (50 mM)
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

Chemical Data

Chemical name	6-(4-Methyl-1-piperazinyl)-11H-dibenz[b,e]azepine
Molecular Weight	291.4
Chemical structure	
Molecular Formula	$C_{19}H_{21}N_3$
CAS Number	1977-11-3
PubChem identifier	16106
SMILES	CN1CCN(CC1)C2=NC3=CC=CC=C3CC4=CC=CC=C42
Source	Synthetic
InChi	InChI=1S/C19H21N3/c1-21-10-12-22(13-11-21)19-17-8-4-2-6-15(17)14-16-7-3-5-9-18(16)20-19/h2-9H,10-14H2,1H3
InChiKey	PWRPUAKXMQAFCJ-UHFFFAOYSA-N
MDL number	MFCD00242700
Appearance	White solid

References

The first structure-activity relationship studies for designer receptors exclusively activated by designer drugs.

Chen et al (2015) ACS Chem Neurosci 6(3)
PubMedID 25587888

6-(4-Methyl-1-piperazinyl)morphanthridine (Perlapine), a new tricyclic compound with sedative and sleep-promoting properties. A pharmacological study.

Stille et al (1973) Psychopharmacologia 24(4)
PubMedID 4695567

DREADDs for Neuroscientists.

Roth Bl (2016) Neuron 89(4)
PubMedID 26889809

DREADD Agonist 21 Is an Effective Agonist for Muscarinic-Based DREADDs in Vitro and in Vivo

Thompson et al (2018) ACS Pharmacol. Transl. Sci. 10.1021

DREADDs: The Power of the Lock, the Weakness of the Key. Favoring the Pursuit of Specific Conditions Rather than Specific Ligands.

Goutaudier et al (2019) eNeuro 6
PubMedID 31562177