Deschloroclozapine

Cat. No.: HY-42110

CAS No.: 1977-07-7

Molecular Formula: $C_{18}H_{20}N_4$

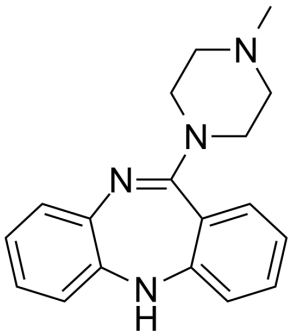
Molecular Weight: 292.38

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (342.02 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4202 mL	17.1010 mL	34.2021 mL
	5 mM	0.6840 mL	3.4202 mL	6.8404 mL
	10 mM	0.3420 mL	1.7101 mL	3.4202 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: ≥ 2.5 mg/mL (8.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Deschloroclozapine, a metabolite of Clozapine, is a highly potent muscarinic DREADDs agonist. Deschloroclozapine binds to DREADD receptor subtypes hM3Dq and hM4Di with K_i of 6.3 and 4.2 nM, respectively. [^{11}C]-Deschloroclozapine is developed as a promising PET tracer for DREADD imaging[1][2][3].

IC_{50} & Target	Ki: 6.3 nM (hM_3Dq), 4.2 nM (hM_4Di)[2]
In Vitro	Designer Receptors Exclusively Activated by Designer Drugs (DREADD) are a chemogenetic approach for remote manipulation of neuronal activity in freely-moving animals. DREADDs comprise mutated G protein-coupled receptors (GPCRs) that do not respond to their endogenous neurotransmitter, but do respond to an otherwise “inert” exogenous substance[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Deschloroclozapine (0.3 mg/kg; intramuscularly) impairs working memory function in male rhesus macaques (aged between 5 and 6 years and weighing 5.5-7.9 kg)[3]. Deschloroclozapine (0.1 mg/kg; i.m) is effective at activating DREADD receptors in vivo and reversibly inducing behavioral effects in monkeys[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Neurosci. 2020 Sep;23(9):1157-1167.
- Neuron. 2022 Jan 28;S0896-6273(22)00047-2.
- Neuron. 2021 Oct 20;109(20):3312-3322.e5.
- Nat Commun. 2022 Apr 25;13(1):2233.
- Neuropsychopharmacology. 2020 Oct;45(11):1793-1798.

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REFERENCES

[1]. Maggs JL, et al. The metabolic formation of reactive intermediates from clozapine, a drug associated with agranulocytosis in man. J Pharmacol Exp Ther. 1995;275(3):1463-1475.

[2]. Hu F, et al. ^{18}F-labeled radiotracers for in vivo imaging of DREADD with positron emission tomography. Eur J Med Chem. 2021;213:113047.

[3]. Upright NA, et al. Effect of chemogenetic actuator drugs on prefrontal cortex-dependent working memory in nonhuman primates. Neuropsychopharmacology. 2020;45(11):1793-1798.

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