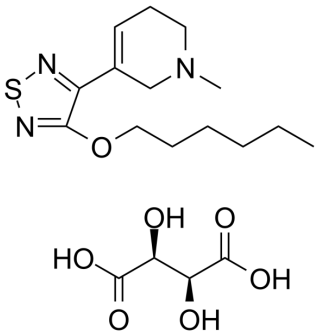
Xanomeline tartrate

Cat. No.:	HY-105182A
CAS No.:	152854-19-8
Molecular Formula:	$C_{18}H_{29}N_3O_7S$
Molecular Weight:	431.5
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (579.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3175 mL	11.5875 mL	23.1750 mL
	5 mM	0.4635 mL	2.3175 mL	4.6350 mL
	10 mM	0.2317 mL	1.1587 mL	2.3175 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 (4.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Xanomeline (LY 246708) is the potent agonist of muscarinic M1/M4 receptor with antipsychotic-like activity. Xanomeline (LY 246708) increases neuronal excitability. Xanomeline (LY 246708) can be used for the research of schizophrenia[1][2][3].

IC_{50} & Target

M1/M4[1]

In Vitro

Xanomeline (LY 246708) (0.1-10 μM; CNS4U) shows an overall increase in the mean firing rate. Xanomeline (LY 246708) shows the M1 receptor is functional in hiPSC derived neurons. Xanomeline (LY 246708) (⊠1 μM) has a prolonged engagement with the receptor and produces a persistent receptor activation leading to a sustained suppression of the M-current[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Xanomeline (LY 246708) (0.5-3 mg/kg; s.c.; 1-3 hours) induces salivation and vomiting in some monkeys[3].
Xanomeline (LY 246708) shows functional dopamine antagonism and an antipsychotic-like profile[3].
Xanomeline (LY 246708) inhibits D-amphetamine- and (−)-apomorphine-induced behavior and do not cause extrapyramidal side effects[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Cebus apella monkeys[3]
Dosage:	0.5-3 mg/kg
Administration:	s.c.; 1-3 hours
Result:	Induced salivation and vomiting in some monkeys.

REFERENCES

[1]. Kreir M, et al. Role of Kv7.2/Kv7.3 and M1 muscarinic receptors in the regulation of neuronal excitability in hiPSC-derived neurons. Eur J Pharmacol. 2019;858:172474.

[2]. Shekhar A, et al. Selective muscarinic receptor agonist xanomeline as a novel treatment approach for schizophrenia. Am J Psychiatry. 2008;165(8):1033-1039.

[3]. Andersen MB, et al. The muscarinic M1/M4 receptor agonist xanomeline exhibits antipsychotic-like activity in Cebus apella monkeys. Neuropsychopharmacology. 2003;28(6):1168-1175.

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