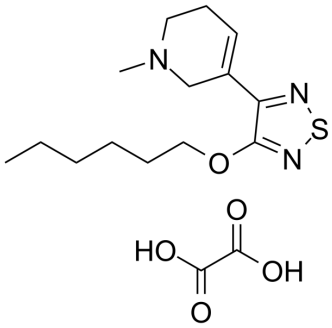
Xanomeline oxalate

Cat. No.:	HY-13410
CAS No.:	141064-23-5
Molecular Formula:	$C_{16}H_{25}N_3O_5S$
Molecular Weight:	371.45
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 : 50 mg/mL (134.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6922 mL	13.4608 mL	26.9215 mL
	5 mM	0.5384 mL	2.6922 mL	5.3843 mL
	10 mM	0.2692 mL	1.3461 mL	2.6922 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 (6.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Xanomeline oxalate (LY246708 oxalate) is a potent and selective muscarinic receptor agonist (SMRA) and stimulates phosphoinositide hydrolysis in vivo. Xanomeline oxalate can be used for the research of Alzheimer's disease[1].

IC_{50} & Target

muscarinic receptor[1]

In Vitro

Xanomeline stimulates phosphoinositide (PI) hydrolysis in the A9 L m1 cells[1].
Xanomeline inhibits [^{3}H]-pirenzepine ([^{3}H]-PZ) and [^{3}H]-oxotremorine-M ([^{3}H]-OXO-M) binding to rat brain with K_is of 7 and 3 nM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Xanomeline robustly stimulates in vivo PI hydrolysis and the effect is blocked by muscarinic antagonists, demonstrating mediation by muscarinic receptors. In mice the ED_{100} for Xanomeline-induced stimulation of [^{3}H]-IP accumulation is 54 μ mole/kg in hippocampus. And in rats the ED_{100} for Xanomeline-induced stimulation of [^{3}H]-IP accumulation is 8.1 μmole/kg in hippocampus[1].

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

Animal Model:	Male CF1 mice weighing 18-20 g are injected [^{3}H]-myoinositol[1]
Dosage:	8.1-81 μmole/kg
Administration:	S.c. injections; 1 h prior to killing and 1 h after the administration
Result:	Increased accumulation in a dose-related manner up to 130%, 75%, 60% above lithium levels in hippocampus, cortex and neostriatum, respectively. And did not increase accumulation of [^{3}H]-IP in the brain stem.Induced salivation, tremor and hypothermia in mice with the ED_{50} of 13.7±0.8 μmole/kg.

Animal Model:	Rats are injected [^{3}H]-myoinositol[1]
Dosage:	2.7-81 μmole/kg
Administration:	S.c. injections; 1 h prior to killing and 1 h after the administration
Result:	Increased [^{3}H]-IP formation dose dependently in hippocampus up to 221% above lithium control.

REFERENCES

[1]. F P Bymaster, et al. Xanomeline Compared to Other Muscarinic Agents on Stimulation of Phosphoinositide Hydrolysis in Vivo and Other Cholinomimetic Effects. Brain Res. 1998 Jun 8; 795(1-2):179-90.

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