

Xanomeline (oxalate)

Catalog No: tcsc2124



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

141064-23-5

Formula:

$C_{16}H_{25}N_3O_5S$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

mAChR;mAChR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

LY246708

Observed Molecular Weight:

371.45

Product Description

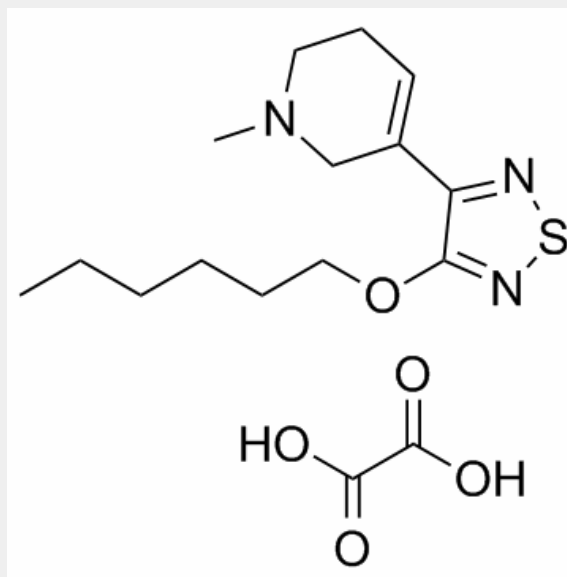
Xanomeline(LY246708) is a selective M1 muscarinic receptor agonist.

IC50 value:

Target: M1 muscarinic receptor

in vitro: Xanomeline had high affinity for muscarinic receptors in brain homogenates, but had substantially less or no affinity for a number of other neurotransmitter receptors and uptake sites. In cells stably expressing genetic m1 receptors, xanomeline increased phospholipid hydrolysis in CHO, BHK and A9 L cells to 100, 72 and 55% of the nonselective agonist carbachol. In isolated tissues, xanomeline had high affinity for M1 receptors in the rabbit vas deferens ($IC_{50} = 0.006$ nM), low affinity for M2 receptors in guinea pig atria ($EC_{50} = 3$ microM), was a weak partial agonist in guinea pig ileum and was neither an agonist nor antagonist in guinea pig bladder [1]. Xanomeline produced small increases in striatal acetylcholine levels and did not antagonize the large increases in acetylcholine produced by the nonselective muscarinic agonist oxotremorine, indicating that xanomeline did not block M2 autoreceptors [2].

in vivo: Xanomeline increased striatal levels of dopamine metabolites, presumably by acting at M1 heteroreceptors on dopamine neurons to increase dopamine release. In contrast, xanomeline had only a relatively small effect on acetylcholine levels in brain, indicating that it is devoid of actions at muscarinic autoreceptors [1]. The effects of xanomeline on ex vivo binding and DOPAC levels lasted for about 3 hr and were evident after oral administration. An analog of xanomeline with similar in vivo effects did not inhibit acetylcholinesterase or choline acetyltransferase and inhibited choline uptake only at concentrations much higher than those required to inhibit binding. These data indicate xanomeline is selective agonist for M1 over M2 and M3 receptors in vivo in rat [2].



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