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产品名称：Xanomeline (oxalate)
产品别名：诺美林草酸盐；LY246708

生物活性：

Description

Xanomeline oxalate (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 (IC50 = 0.006 nM), low affinity for M2 receptors in guinea pig atria (EC50 = 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]

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (134.61 mM)

* "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

	Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.73 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.73 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.73 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.73 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀
References	[1]. Shannon HE, et al. Xanomeline: a novel muscarinic receptor agonist with functional selectivity for M1 receptors. J Pharmacol Exp Ther. 1994 Apr;269(1):271-81. [2]. Bymaster FP, et al. Neurochemical effects of the M1 muscarinic agonist xanomeline (LY246708/NNC11-0232).J Pharmacol Exp Ther. 1994 Apr;269(1):282-9.