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产品名称：MDL 105519
产品别名：MDL 105519

生物活性：

Description	MDL 105519 is a potent and selective antagonist of glycine binding to the NMDA receptor.
In Vitro	MDL 105519 is a potent and selective ligand for the glycine recognition site that completely inhibit the binding of [^{3}H]glycine to rat brain membranes with a K_i value of 10.9 nM. MDL 105519 is approximately 10,000-fold selective for the glycine recognition site relative to the other receptor types investigated. MDL 105519 inhibits NMDA-dependent responses, such as elevations of [^{3}H]TCP binding in brain membranes, cyclic GMP accumulation in brain slices, and alterations in cytosolic Ca^{2+} and Na^+-Ca^{2+} currents in cultured neurons. Inhibition is non-competitive with respect to NMDA and could be nullified with D-serine[1].
In Vivo	MDL 105519 is an NMDA receptor antagonist *in vivo*. Intravenously administration of MDL 105519 prevents harmaline-stimulated increases in cerebellar cyclic GMP content, providing biochemical evidence of NMDA receptor antagonism *in vivo*. This antagonism is associated with anticonvulsant activity in genetically based, chemically induced, and electrically mediated seizure models. Anxiolytic activity is observed in the rat separation-induced vocalization model, but muscle-relaxant activity is apparent at lower doses. Higher doses impair rotorod performance, but are without effect on mesolimbic dopamine turnover or prepulse inhibition of the startle reflex[1].
Solvent&Solubility	***In Vitro:*** **DMSO : 17 mg/mL (45.19 mM;** Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6582 mL	13.2912 mL	26.5823 mL
	5 mM	0.5316 mL	2.6582 mL	5.3165 mL
	10 mM	0.2658 mL	1.3291 mL	2.6582 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。

References	[1]. Baron BM, et al. Pharmacological characterization of MDL 105,519, an NMDA receptor glycine site antagonist. Eur J Pharmacol. 1997 Apr 4;323(2-3):181-92.

实验参考：

Animal Administration	Adult, male, CD rats are administered MK-801 (n=4, 2 mg/kg, i.p.) or MDL 105519 (n=4, 2 mg/kg, i.p.) and extracellular dopamine concentrations are measured using in vivo microdialysis[1]. Mice: Mice adult male CD-1 are injected with various doses of MDL 105519 (8, 16, 32, 64, 128 mg/kg) intraperitoneally and 30 min later are administered harmaline 50 mg/kg. Sixty minutes after the first injection, the mice are killed and cerebellar cGMP content is measured by radioimmunoassay[1].
References	[1]. Baron BM, et al. Pharmacological characterization of MDL 105,519, an NMDA receptor glycine site antagonist. Eur J Pharmacol. 1997 Apr 4;323(2-3):181-92.