

MRK-016

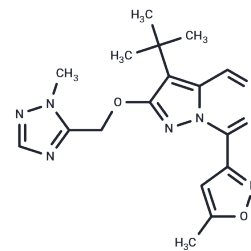
Chemical Properties

CAS No. : 342652-67-9

Formula: C17H20N8O2

Molecular Weight: 368.39

Storage: Store at low temperature
 Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	MRK-016 is a selective GABA α 5 receptor inverse agonist/ negative allosteric modulator, (IC ₅₀ =3 nM) with the advantages of being orally available and penetrating the blood-brain barrier. MRK-016 increases long time period potentiation (LTP) in mouse hippocampal slices, with memory-improvement, antidepressant, and pain-treating effects.
Targets(IC ₅₀)	GABA Receptor
In vitro	MRK-016 is a selective, orally bioavailable inverse MARKET α 5 receptor agonist.[1]
In vivo	MRK-016 (0.3, 1 or 3 mg/kg, oral) exhibits cognitive enhancement activity in the delayed matching place version of the Morris water maze. [2] MRK-016 (3 mg/kg, intraperitoneal) prevents LPS-induced learning/memory impairment in mice. [3]

Solubility Information

Solubility	DMSO: 40 mg/mL (108.58 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.43 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7145 mL	13.5726 mL	27.1451 mL
5 mM	0.5429 mL	2.7145 mL	5.429 mL
10 mM	0.2715 mL	1.3573 mL	2.7145 mL
50 mM	0.0543 mL	0.2715 mL	0.5429 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Chambers MS, et al. An orally bioavailable, functionally selective inverse agonist at the benzodiazepine site of GABAA alpha5 receptors with cognition enhancing properties. *J Med Chem.* 2004 Nov 18;47(24):5829-32.
- Atack JR, et al. In vitro and in vivo properties of 3-tert-butyl-7-(5-methylisoxazol-3-yl)-2-(1-methyl-1H-1,2,4-triazol-5-ylmethoxy)-pyrazolo[1,5-d]-[1,2,4]triazine (MRK-016), a GABAA receptor alpha5 subtype-selective inverse agonist. *J Pharmacol Exp Ther.* 2009 Nov;331(2):470-84.
- Eimerbrink MJ, et al. Administration of the inverse benzodiazepine agonist MRK-016 rescues acquisition and memory consolidation following peripheral administration of bacterial endotoxin. *Behav Brain Res.* 2015 Jul 15; 288:50-3.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481