

MK-0343

Chemical Properties

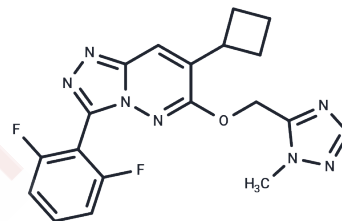
CAS No. : 233275-76-8

Formula: C₁₉H₁₇F₂N₇O

Molecular Weight: 397.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

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|---------------|--|
| Description | MK-0343, also known as MRK-409, is a GABA _A receptor subtype-selective partial agonist that exhibits non-sedating anxiolytic activity in preclinical species but induces sedation in humans, binding with high affinity to α 1-, α 2-, α 3-, and α 5-containing human recombinant GABA(A) receptors while demonstrating greater agonist efficacy at α 3 relative to α 1 subtypes, thereby providing mechanistic insight into subtype-specific modulation of inhibitory neurotransmission. |
| Targets(IC50) | GABA Receptor |
| In vitro | MK-0343 exhibits affinity for recombinant rat GABA _A receptors containing α 1, α 2, α 3, and α 5 subunits, with K _i values of 0.22 nM, 0.4 nM, 0.21 nM, and 0.23 nM, respectively [1]. |
| In vivo | In male Sprague-Dawley rats, oral administration of MK-0343 results in brain penetration and the occupation of benzodiazepine binding sites on GABA _A receptors. The dose required to achieve 50% receptor occupancy (Occ ₅₀) is 2.2 mg/kg (p.o.), which corresponds to a plasma concentration (EC ₅₀) of 115 ng/mL. Preclinical models using these animals indicate that the compound behaves as an anxiolytic without inducing significant sedation at concentrations sufficient for receptor modulation [1]. |

Solubility Information

| | |
|------------|---|
| Solubility | DMSO: 200 mg/mL (503.3 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|---|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 1 mM | 2.5165 mL | 12.5824 mL | 25.1648 mL |
| 5 mM | 0.5033 mL | 2.5165 mL | 5.033 mL |
| 10 mM | 0.2516 mL | 1.2582 mL | 2.5165 mL |
| 50 mM | 0.0503 mL | 0.2516 mL | 0.5033 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

Atack JR, et al. MRK-409 (MK-0343), a GABAA receptor subtype-selective partial agonist, is a non-sedating anxiolytic in preclinical species but causes sedation in humans. *J Psychopharmacol.* 2011 Mar;25(3):314-28.

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