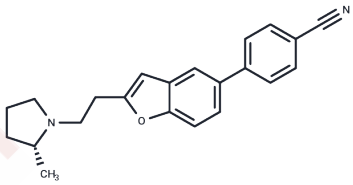
Data Sheet (Cat.No.T14087)

TargetMol

ABT-239

Chemical Properties



CAS No. : 460746-46-7

Formula: C22H22N2O

Molecular Weight: 330.42

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

Description	ABT-239 is a novel, highly efficacious non-imidazole class histamine H3 receptor (H3R) antagonist and also acts as a transient receptor potential vanilloid type 1 (TRPV1) antagonist.
Targets(IC50)	Histamine Receptor,TRP/TRPV Channel
In vitro	Perfusing the tuberomammillary nucleus (TMN) with ABT-239 selectively activates c-Fos in the nucleus basalis of Meynert (NBM) and cortex. Moreover, when the TMN is perfused with ABT-239 at a concentration of 10 μM, there is an increase in histamine release from the TMN, NBM, and cortex; however, this effect is not observed in either the striatum or the nucleus accumbens (NAcc).
In vivo	ABT-239, administered intraperitoneally (i.p.) at a dosage of 3 mg/kg, markedly delays seizure onset, diminishes behavioral seizures triggered by KA, and lowers the occurrence of head bobbing and forelimb clonus in mice. Additionally, at this dosage, ABT-239 enhances memory by converting a short-term learning event into a long-lasting memory in wild type (WT) mice, albeit not in those lacking histamine. The compound is also found to significantly reduce all stages of neuronal damage. In conjunction, ABT-239 and TDZD-8 (10 mg/kg, i.p.) notably decrease the quantity of pyknotic neurons in the hippocampi of mice. When ABT-239 (1 mg/kg, i.p.) is combined with a sub-therapeutic dose of SVP (150 mg/kg, i.p.), there is a marked decrease in behaviors associated with distress such as immobility, head bobbing, and forelimb clonus. A higher dose combination of ABT-239 and TDZD-8 exhibits the most significant enhancement of Bcl-2 expression and reduction in Bax levels, indicating improved neuronal survival. Additionally, combined administration of ABT-239 (at doses of 1 and 3 mg/kg, i.p.) with nicotine enhances nicotine's beneficial effects on memory acquisition and consolidation, with further improvements observed at lower concentrations of ABT-239 (0.1 mg/kg, i.p.) and nicotine.

Solubility Information

Solubility	DMSO: 90 mg/mL (272.38 mM),Sonication is recommended. H2O: insoluble (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (9.99 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0265 mL	15.1323 mL	30.2645 mL
5 mM	0.6053 mL	3.0265 mL	6.0529 mL
10 mM	0.3026 mL	1.5132 mL	3.0265 mL
50 mM	0.0605 mL	0.3026 mL	0.6053 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

Bhowmik M, et al. Histamine H3 receptor antagonism by ABT-239 attenuates kainic acid induced excitotoxicity in mice. Brain Res. 2014 Sep 18;1581:129-40.

Provensi G, et al. Donepezil, an acetylcholine esterase inhibitor, and ABT-239, a histamine H3 receptor antagonist/inverse agonist, require the integrity of brain histamine system to exert biochemical and procognitive effects in the mouse. Neuropharmacolo

Kruk M, e tal. Effects of the histamine H2 receptor antagonist ABT-239 on cognition and nicotine-induced memory enhancement in mice. Pharmacol Rep. 2012;64(6):1316-25.

Munari L, et al. Selective brain region activation by histamine H2 receptor antagonist/inverse agonist ABT-239 enhances acetylcholine and histamine release and increases c-Fos expression. Neuropharmacology. 2013 Jul;70: 131-40.