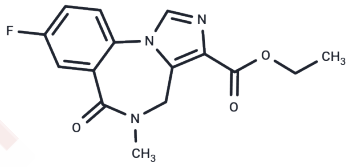
Data Sheet (Cat.No.T1240)

TargetMol

Flumazenil

Chemical Properties



CAS No. : 78755-81-4

Formula: C15H14FN3O3

Molecular Weight: 303.29

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	Flumazenil (Ro 15-1788) antagonizes the benzodiazepine binding site of the gamma-aminobutyric acid (GABA)/benzodiazepine receptor complex in the central nervous system (CNS), thereby preventing the chloride channel opening events and inhibiting neuronal hyperpolarization. As a result, flumazenil reverses benzodiazepine-induced effects including sedation, psychomotor deficits, amnesia, and hypoventilation in a dose-dependent manner. Flumazenil is an imidazobenzodiazepine derivative, effective in reversing benzodiazepine-induced activities.
Targets(IC50)	GABA Receptor
In vitro	Flumazenil (1 mg/kg) demonstrated significant anxiolytic effects in BALB/c mice during elevated plus-maze and light/dark box tests. At a dose of 3 mg/kg, Flumazenil prevented changes induced by chronic ethanol withdrawal in mice, observed as decreased open arm time and the percentage of open arm entries. In rats, Flumazenil (10 mg/kg) effectively counteracted the sedative action produced by tetrahydroprogesterone. Additionally, Flumazenil antagonized the effects of diazepam in mice at doses ranging from 5-20 mg/kg, yet showed no effect on the anticonvulsant and adverse reactions associated with GYKI52466. While minimally decreasing the anticonvulsant activity of NBQX in the MES model and not in the PTZ test, Flumazenil binds to central benzodiazepine (BZD) receptors, thus antagonizing or reversing the neuropsychological and electrophysiological effects of BZD inverse agonists and agonists. Flumazenil can reverse sedative toxic reactions caused by the combined use of BZDs and other drugs, but it is ineffective in the case of cyclic antidepressant overdose.

Solubility Information

Solubility	DMSO: 20.625 mg/mL (68 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 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 (6.59 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2972 mL	16.4859 mL	32.9717 mL
5 mM	0.6594 mL	3.2972 mL	6.5943 mL
10 mM	0.3297 mL	1.6486 mL	3.2972 mL
50 mM	0.0659 mL	0.3297 mL	0.6594 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

Hoffman EJ, et al. Clin Pharm, 1993, 12(9), 641-656.
Ekiciler A, Chen W L K, Bo Y, et al.Quantitative Cytochrome P450 3A4 Induction Risk Assessment Using Human Hepatocytes Complemented with Pregnane X Receptor-Activating Profiles.Drug Metabolism and Disposition.2023, 51(3): 276-284.
Belzung C, et al. Psychopharmacology (Berl), 2000, 148(1), 24-32.
Fernández-Guasti A, et al. Eur J Pharmacol. 1995 Jul 25;281(1):113-5.
Löscher W,et al. Br J Pharmacol. 1994 Dec;113(4):1349-57.
Moy SS, et al. Psychopharmacology (Berl), 1997, 131(4), 354-360.

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