Flumazenil

Cat. No.: HY-B0009

CAS No.: 78755-81-4

Molecular Formula: $C_{15}H_{14}FN_3O_3$

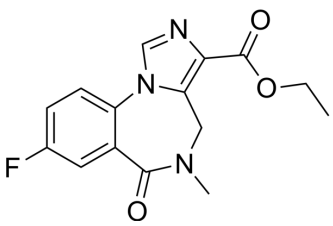
Molecular Weight: 303.29

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (65.94 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (6.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (6.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (6.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Flumazenil is a competitive GABAA receptor antagonist, used in the treatment of benzodiazepine overdoses.

In Vivo

Flumazenil interacts at the central benzodiazepine receptor to antagonize or reverse the behavioral, neurologic, and electrophysiologic effects of benzodiazepine agonists and inverse agonists. Flumazenil is of some benefit in hepatic encephalopathy, but until well-designed clinical trials are conducted, hepatic encephalopathy must be considered an investigational indication for flumazenil. Flumazenil has been shown to reverse sedation caused by intoxication with benzodiazepines alone or benzodiazepines in combination with other agents, but it should not be used when cyclic

antidepressant intoxication is suspected[1]. Flumazenil (1 mg/kg) induces a strong anxiolytic effect in BALB/c mice tested in the elevated plus maze and light/dark test[2]. Flumazenil (10 mg/kg) effectively prevents the reduction produced by allopregnanolone in rats[3]. Flumazenil (5-20 mg/kg) antagonizes the anticonvulsant and adverse effects of diazepam but not GYKI 52466 in mice. Flumazenil slightly reduces the anticonvulsant activity of NBQX in the MES model but not in the PTZ test[4]. Flumazenil (3.0 mg/kg) blocks the changes withdrawal from chronic ethanol treatment, which leads to a decrease in open arm time and percent open arm entries[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]

Flumazenil is administered intraperitoneally in a volume of 10 mL/kg body weight 20 min before experimental testing. Two polyvinylchloride boxes (20×20×14 cm) covered with Plexiglas are connected by an opaque plastic tunnel (5×7×10 cm). One of these boxes is darkened. A light from a 100-W desk lamp 40 cm above the other box provided the only room illumination. This light level (300 lux) is chosen in order to avoid strain differences to be detected on time in the lit box (a measure of anxiety behaviour) in control animals. Indeed, in previous experiments, the BALB/c mice differ from C57BL/6 only in the high light condition. The subjects are individually tested in 5-min sessions between 1400 and 1700 hours. Mice are placed in the lit box to start the test session. The time spent in the lit box and the number of transitions from the dark box to the lit one are recorded after the first entry in the tunnel.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomed Pharmacother. 2023 Jul 31;165:115259.
- Biopharm Drug Dispos. 2022 Oct 4.
- University of Sydney. Faculty of Medicine and Health. 2021 Mar.

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REFERENCES

[1]. Hoffman EJ, et al. Flumazenil: a benzodiazepine antagonist. Clin Pharm. 1993 Sep;12(9):641-56; quiz 699-701

[2]. Belzung C, et al. Flumazenil induces benzodiazepine partial agonist-like effects in BALB/c but not C57BL/6 mice. Psychopharmacology (Berl). 2000 Jan;148(1):24-32.

[3]. Fernandez-Guasti A, et al. Flumazenil blocks the anxiolytic action of allopregnanolone. Eur J Pharmacol. 1995 Jul 25;281(1):113-5.

[4]. Loscher W, et al. Effects of the non-NMDA antagonists NBQX and the 2,3-benzodiazepine GYKI 52466 on different seizure types in mice: comparison with diazepam and interactions with flumazenil. Br J Pharmacol. 1994 Dec;113(4):1349-57.

[5]. Moy SS, et al. Flumazenil blockade of anxiety following ethanol withdrawal in rats. Psychopharmacology (Berl). 1997 Jun;131(4):354-60.

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