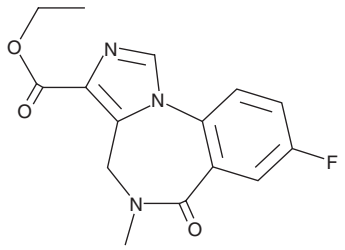
PRODUCT INFORMATION

Flumazenil

Item No. 14252

CAS Registry No.: 78755-81-4
Formal Name: 8-fluoro-5,6-dihydro-5-methyl-6-oxo-4H-imidazo[1,5-a][1,4]benzodiazepine-3-carboxylic acid, ethyl ester
Synonyms: Ro 1722, Ro 15-1788, Ro 41-8157
MF: $C_{15}H_{14}FN_3O_3$
FW: 303.3
Purity: ≥98%
UV/Vis.: λ_{max}: 244 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Flumazenil is supplied as a crystalline solid. A stock solution may be made by dissolving the flumazenil in the solvent of choice, which should be purged with an inert gas. Flumazenil is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of flumazenil in these solvents is approximately 1, 16, and 11 mg/ml, respectively.

Flumazenil is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, flumazenil should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Flumazenil has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Flumazenil is a $GABA_A$ receptor antagonist (IC_{50} = 2 nM in a radioligand binding assay using rat cortical synaptosomes).[1] Flumazenil also acts as a partial agonist of $GABA_A$ receptors, decreasing the amplitude of electrically stimulated population spikes in rat hippocampal CA1 pyramidal neurons.[2] It increases the number of entries into the open arms of the elevated plus maze in high-anxiety BALB/c, but not C57BL/6, mice when administered at doses ranging from 0.1 to 1,000 µg/kg.[3] Flumazenil (5 and 10 mg/kg) prevents a reduction in burying behavior induced by the $GABA_A$ receptor positive allosteric modulator allopregnanolone (Item No. 16930) in ovariectomized rats when administered at doses of 5 and 10 mg/kg.[4] Formulations containing flumazenil have been used to reverse sedation induced by benzodiazepines and in the treatment of benzodiazepine overdose or withdrawal.

References

1. Möhler, H., Burkard, W.P., Keller, H.H., *et al.* Benzodiazepine antagonist Ro 15-1788: Binding characteristics and interaction with drug-induced changes in dopamine turnover and cerebellar cGMP levels. *J. Neurochem.* **37(3)**, 714-722 (1981).
2. Buldalkova, S. and Weiss, M. Electrophysiological evidence for agonist properties of flumazenil, a benzodiazepine receptor antagonist, in rat hippocampus slices. *J. Neurol. Sci.* **149(2)**, 121-126 (1997).
3. Belzung, C., Le Guisquet, A.M., and Crestani, F. Flumazenil induces benzodiazepine partial agonist-like effects in BALB/c but not C57BL/6 mice. *Psychopharmacol. (Berl).* **148(1)**, 24-32 (2000).
4. Fernández-Guasti, A. and Picazo, O. Flumazenil blocks the anxiolytic action of allopregnanolone. *Eur. J. Pharmacol.* **281(1)**, 113-115 (1995).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM