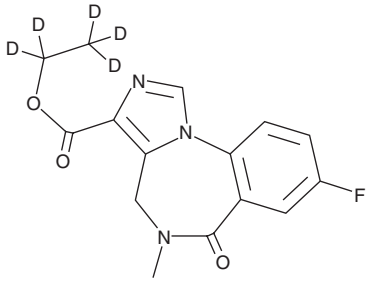
PRODUCT INFORMATION

Flumazenil-d_5

Item No. 28529

CAS Registry No.:	2700278-14-2
Formal Name:	8-fluoro-5,6-dihydro-5-methyl-6-oxo-4H-imidazo[1,5-a][1,4]benzodiazepine-3-carboxylic acid, ethyl-d_5 ester
MF:	$C_{15}H_9D_5FN_3O_3$
FW:	308.3
Chemical Purity:	≥98% (Flumazenil)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Flumazenil-d_5 is intended for use as an internal standard for the quantification of flumazenil (Item No. 14252) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Flumazenil-d_5 is supplied as a solid. A stock solution may be made by dissolving the flumazenil-d_5 in the solvent of choice, which should be purged with an inert gas. Flumazenil-d_5 is soluble in the organic solvent DMSO.

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).

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