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- Expressversand

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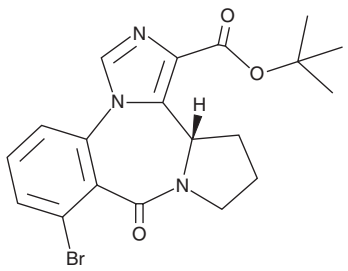
PRODUCT INFORMATION

Bretazenil

Item No. 28411

CAS Registry No.:	84379-13-5
Formal Name:	(13aS)-8-bromo-11,12,13,13a-tetrahydro-9-oxo-9H-imidazo[1,5-a]pyrrolo[2,1-c][1,4]benzodiazepine-1-carboxylic acid, 1,1-dimethylethyl ester
Synonym:	Ro 16-6028
MF:	$C_{19}H_{20}BrN_3O_3$
FW:	418.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 217 nm
Supplied as:	A 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

Bretazenil is supplied as a solid. A stock solution may be made by dissolving the bretazenil in the solvent of choice, which should be purged with an inert gas. Bretazenil is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of bretazenil in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of bretazenil can be prepared by directly dissolving the solid in aqueous buffers. Bretazenil is slightly soluble in PBS, pH 7.2. We do not recommend storing the aqueous solution for more than one day.

Description

Bretazenil is a positive allosteric modulator of $GABA_A$ receptors with anticonvulsant and anxiolytic activity.[1] It potentiates GABA-gated chloride currents in rat cortical neurons and in HEK293 cells expressing $\alpha_1\beta_1\gamma_2$ subunit-containing $GABA_A$ receptors (EC_{50}s = 60 and 10 nM, respectively).[1] Bretazenil inhibits binding of the benzodiazepine diazepam to rat cerebral cortex homogenates (IC_{50} = 2.2 nM).[2] It inhibits tonic convulsions induced by pentylenetetrazol (PTZ; Item No. 18682) and maximal electroshock (MES) in rats (ED_{50}s = 0.07 and 0.48 mg/kg, respectively). Bretazenil (5-30 mg/kg) increases the number of open arm entries and percentage of time spent in the open arms of the elevated plus maze in mice, indicating anxiolytic-like activity.[3]

References

1. Puia, G., Dučič, I., Vicini, S., *et al.* Molecular mechanisms of the partial allosteric modulatory effects of bretazenil at γ-aminobutyric acid type A receptor. *Proc. Natl. Acad. Sci. USA* **89(8)**, 3620-3624 (1992).
2. Martin, J.R., Pieri, L., Bonetti, E.P., *et al.* Ro 16-6028: A novel anxiolytic acting as a partial agonist at the benzodiazepine receptor. *Pharmacopsychiatry* **21(6)**, 360-362 (1988).
3. Cole, J.C. and Rodgers, R.J. An ethological analysis of the effects of chlordiazepoxide and bretazenil (Ro 16-6028) in the murine elevated plus-maze. *Behav. Pharmacol.* **4(6)**, 573-580 (1993).

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