Product Datasheet

Tracazolate

Catalog No. **BP-02206** · CAS **41094-88-6** · Form **free base** · Physical state **solid** · Version **1.0** · Revision **2026-09-07**

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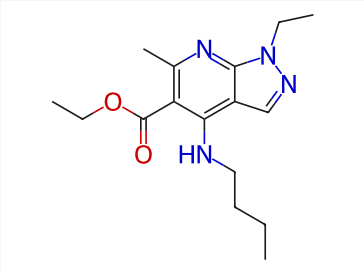
Target	Research area
GABA Receptor	**Neurological Disease**

Molecular formula	$C_{16}H_{24}N_4O_2$
Molecular weight	**304.3874**

STRUCTURE



DESCRIPTION

Tracazolate (ICI 136753) is an orally active non-benzodiazepine anxiolytic. Tracazolate significantly enhances the binding of the radioligand 3H-flunitrazepam (3H-FLU) to brain tissue benzodiazepine receptors. Tracazolate enhances the binding of γ-aminobutyric acid (GABA) to its receptors. Tracazolate exhibits anticonvulsant activity. Tracazolate can be used in anxiety-related research[1][2].

BIOLOGICAL ACTIVITY

In Vitro

Tracazolate enhances the binding of [3H]flunitrazepam to benzodiazepine receptor sites in mammalian brain tissue.

Tracazolate enhances the binding of [3H]GABA to its receptor sites in mammalian brain tissue.

Tracazolate enhances the binding of [3H]flunitrazepam to brain tissue.

Tracazolate enhances GABA binding to rat brain membrane fractions.

In Vivo

Tracazolate (10-80 mg/kg; i.p.; p.o.; single administration) exhibits dose-dependent anxiolytic activity in rat shock-induced drinking suppression tests, with a relative potency of 1/4 to 1/2 that of Chlordiazepoxide.

At all tested doses, Tracazolate (5-40 mg/kg; i.p.; p.o.; single administration) produces no statistically significant anxiolytic activity in the rat Geller conflict test.

Tracazolate (40-80 mg/kg; p.o.; once daily; for 12 consecutive days) shows no tolerance to its anxiolytic activity after intragastric administration at 40 or 80 mg/kg once daily for 12 consecutive days in rats.

Tracazolate (25-200 mg/kg; oral administration; single dose) exhibits dose-dependent anxiolytic activity in the mouse exploratory conflict test, with a minimum effective dose of 25 mg/kg p.o.

Tracazolate (i.p.; single administration) acts as a weak antagonist against Metrazol- and Bicuculline (HY-N0219)-induced convulsions in mice, with an i.p. ED50 of 27.7 mg/kg and 22.5 mg/kg, respectively.

Tracazolate (oral administration; single dose) impairs the rotarod performance of mice at high doses, with an oral ED50 of 117.1 mg/kg

Tracazolate (oral administration; single dose) does not impair rotarod performance in rats when administered at doses up to 400 mg/kg via intragastric gavage.

Tracazolate (12.5-100 mg/kg; p.o.; single administration) does not significantly exacerbate ethanol-induced impairment in the rotarod test in mice, even at an intragastric dose as high as 100 mg/kg.

Tracazolate (12.5-50 mg/kg; p.o.; single administration) enhances ethanol-induced sleep duration in mice at oral doses of 25 mg/kg and above, and its minimum effective dose is comparable to that for anxiolytic effects.

Tracazolate (5-10 mg/kg; p.o.; single administration) potentiates the anxiolytic activity of Chlordiazepoxide in a rat model of shock-induced feeding inhibition.

Tracazolate (12.5 mg/kg; intraperitoneal injection; single administration) enhances the anticonvulsant activity of chlordiazepoxide in mice, reducing the ED50 of Chlordiazepoxide by approximately 6-fold.

Tracazolate (20-40 mg/kg; i.p.; single administration) does not increase water intake in non-water-deprived rats, nor does it do so at i.p. doses of 20 or 40 mg/kg, indicating that its anxiolytic activity is independent of drive.

REFERENCES

[1]. Patel JB, et al. Pharmacological properties of tracazolate: a new non-benzodiazepine anxiolytic agent. Eur J Pharmacol. 1982;78(3):323-333.

[2]. Patel JB, et al. Preclinical studies with pyrazolopyridine non-benzodiazepine anxiolytics: ICI 190,622. Pharmacol Biochem Behav. 1988;29(4):775-779.