

Fezolamine

Chemical Properties

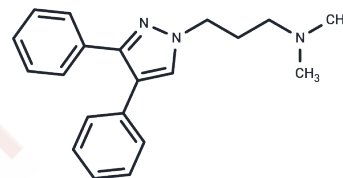
CAS No. : 80410-36-2

Formula: C₂₀H₂₃N₃

Molecular Weight: 305.42

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Fezolamine is a novel orally available non-tricyclic compound with antidepressant activity. It is three to two times more selective in blocking synaptosomal uptake of [3H] norepinephrine than uptake of [4H]3-hydroxytryptamine or [3H]dopamine in vitro. It blocked the inhibitory effects of rifampicin and tetraphenyl in classical behavioral tests using monoamine-depleted animals.
Targets(IC50)	Others,5-HT Receptor,Adrenergic Receptor,Norepinephrine,Dopamine Receptor
In vivo	Fezolamine (100-450 mg/day; oral; 6 weeks; 42 patients) significant improvement relative to the patient's prestudy state was observed after 2 weeks in both patient and physician-rating scales. Fifty-five percent of patients improved their Hamilton Psychiatric Rating Scale for Depression (HAM-D) scores by more than 50%. The median dose associated with a clinically significant response was 245 mg/day. Five of the 6 patients who dropped out did so because of gastrointestinal adverse effects. The most common adverse effects were nausea (36%), headache (29%), constipation (26%), and dry mouth (24%).[1]

Solubility Information

Solubility	DMSO: 55 mg/mL (180.08 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2742 mL	16.3709 mL	32.7418 mL
5 mM	0.6548 mL	3.2742 mL	6.5484 mL
10 mM	0.3274 mL	1.6371 mL	3.2742 mL
50 mM	0.0655 mL	0.3274 mL	0.6548 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Zisook S, et al. Efficacy and safety of fezolamine in depressed patients. *Neuropsychobiology*. 1987;17(3):133-138.
- McCoy L, et al. Determination of fezolamine and its desmethyl metabolite in human plasma and urine by high-performance liquid chromatography. *Intravenous pharmacokinetics in the beagle hound. J Chromatogr*. 1985;344: 211-220.
- Baizman ER, et al. Pharmacologic profile of fezolamine fumarate: a nontricyclic antidepressant in animal models. *J Pharmacol Exp Ther*. 1987;243(1):40-54.

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