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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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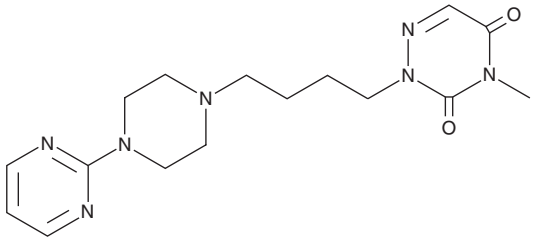
PRODUCT INFORMATION

Eptapirone

Item No. 23449

CAS Registry No.:	179756-58-2
Formal Name:	4-methyl-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-1,2,4-triazine-3,5(2H,4H)-dione
Synonym:	F 11440
MF:	$C_{16}H_{23}N_7O_2$
FW:	345.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 204, 243 nm
Supplied as:	A crystalline 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

Eptapirone is supplied as a crystalline solid. A stock solution may be made by dissolving the eptapirone in the solvent of choice, which should be purged with an inert gas. Eptapirone is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of eptapirone in these solvents is approximately 20 and 10 mg/ml, respectively. Eptapirone is also slightly soluble in ethanol.

Eptapirone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, eptapirone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Eptapirone has a solubility of approximately 0.025 mg/ml in a 1:40 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Eptapirone is a potent agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A} (K_i = 4.8 nM in a radioligand binding assay).[1] It is selective for 5-HT_{1A} over other 5-HT receptor subtypes, dopamine D_2 receptors, α_1-adrenergic receptors, and histamine H_1 receptors (K_is = <10,000, 1,770, 691.8, and <10,000 nM, respectively). Eptapirone inhibits cAMP stimulation induced by forskolin (Item No. 11018) in HA7 cells transfected with human 5-HT_{1A} receptors (EC_{50} = 158 nM). *In vivo*, eptapirone increases extracellular 5-HT (Item No. 14332) in the ventral hippocampus of rats (ED_{50} = 0.049 mg/kg) and serum corticosterone (Item No. 16063) levels when administered p.o. or i.p. (ED_{50}s = 0.16 and 0.057 mg/kg, respectively). It decreases immobility in rats in a forced swim test and increases punished responding in a pigeon conflict procedure, demonstrating antidepressant-like and anxiolytic activity. Eptapirone (2.5 mg/kg, p.o.) also attenuates hypertension and tachycardia induced by sibutramine (Item No. 14476) in rats with no effect on sibutramine-induced hypophagia.[2]

References

1. Koek, W., Patoiseau, J.-F., Assie, M.-B., *et al.* F 11440, a potent, selective, high efficacy 5-HT_{1A} receptor agonist with marked anxiolytic and antidepressant potential. *J. Pharmacol. Exp. Ther.* **287(1)**, 266-283 (1998).
2. Thomas, G.H., Babbs, A.J., Chatfield, R.E., *et al.* 5-HT_{1A} activation counteracts cardiovascular but not hypophagic effects of sibutramine in rats. *Obesity (Silver Spring)* **17(3)**, 467-473 (2009).

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