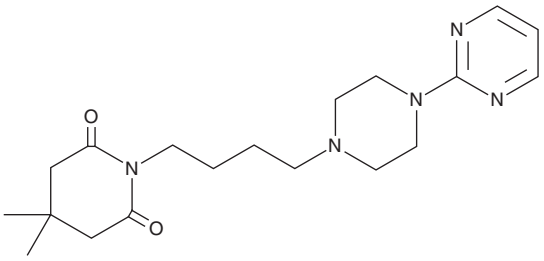
PRODUCT INFORMATION

Gepirone

Item No. 46176

CAS Registry No.:	83928-76-1
Formal Name:	4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-2,6-piperidinedione
Synonyms:	BMY 13805, MJ13805, Org 13011
MF:	$C_{19}H_{29}N_5O_2$
FW:	359.5
Purity:	≥98%
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

Gepirone is supplied as a solid. A stock solution may be made by dissolving the gepirone in the solvent of choice, which should be purged with an inert gas. Gepirone is slightly soluble (0.1-1 mg/ml) in ethanol and DMSO.

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 gepirone can be prepared by directly dissolving the solid in aqueous buffers. Gepirone is sparingly soluble (1-10 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

Gepirone is a partial agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A}.[1-3] It binds to the 5-HT_{1A} receptor with a K_i value of 31.8 nM.[1] Gepirone (5 mg/kg) reduces 5-HT release in rat ventral hippocampus *in vivo*.[4] It decreases depressive-like, aggressive, and anxiety-like behavior in mice.[2,3] Gepirone also prevents clonidine-induced slowing of gastrointestinal transit in rats (ED_{50} = 9.3 mg/kg).[5] Formulations containing gepirone have been used in the treatment of major depressive disorder (MDD).

References

1. Mokrosz, J.L., Pietrasiewicz, M., Duszyńska, B., *et al.* Structure-activity relationship studies of central nervous system agents. 5. Effect of the hydrocarbon chain on the affinity of 4-substituted 1-(3-chlorophenyl)piperazines for 5-HT_{1A} receptor site. *J. Med. Chem.* **35(13)**, 2369-2374 (2002).
2. Wieland, S. and Lucki, I. Antidepressant-like activity of 5-HT_{1A} agonists measured with the forced swim test. *Psychopharmacology (Berl.)* **101(4)**, 497-504 (1990).
3. Mendoza, D.L., Bravo, H.A., and Swanson, H.H. Antiaggresive and anxiolytic effects of gepirone in mice, and their attenuation by WAY 100635. *Pharmacol. Biochem. Behav*. **62(3)**, 499-509 (1999).
4. Sharp, T., Bramwell, S.R., and Grahame-Smith, D.G. 5-HT1 agonists reduce 5-hydroxytryptamine release in rat hippocampus in vivo as determined by brain microdialysis. *Br. J. Pharmacol.* **96(2)**, 283-290 (1989).
5. Bianchi, G., Caccia, S., Della Vedova, F., *et al.* The α_2-adrenoceptor antagonist activity of ipsapirone and gepirone is mediated by their common metabolite 1-(2-pyrimidinyl)-piperazine (PmP). *Eur. J. Pharmacol.* **151(3)**, 365-371 (1988).

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