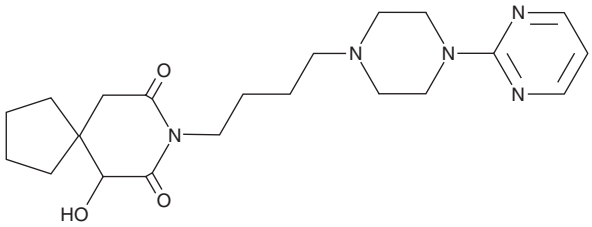
PRODUCT INFORMATION

6-hydroxy Buspirone

Item No. 39807

CAS Registry No.: 125481-61-0
Formal Name: 6-hydroxy-8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-8-azaspiro[4.5]decane-7,9-dione
Synonyms: BMS-52821, BMY 28674
MF: $C_{21}H_{31}N_5O_3$
FW: 401.5
Purity: ≥95%
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

6-hydroxy Buspirone is supplied as a solid. A stock solution may be made by dissolving the 6-hydroxy buspirone in the solvent of choice, which should be purged with an inert gas. 6-hydroxy Buspirone is soluble in methanol and DMSO.

Description

6-hydroxy Buspirone is an active metabolite of the anxiolytic buspirone.[1-3] It is formed from buspirone by the cytochrome P450 (CYP) isoform CYP3A4.[4] 6-hydroxy Buspirone binds to the serotonin (5-HT) receptor subtype 5-HT_{1A} in rat hippocampus and dorsal raphe (EC_{50}s = 4 and 1 µM, respectively) and is a dopamine D_2, D_3, and D_4 receptor antagonist (IC_{50}s = 3.1, 4.9, and 0.85 µM, respectively).[1,2] It also inhibits organic cation transporter 1 (OCT1), OCT2, and OCT3 in S2 proximal tubule cells expressing the human transporters in a concentration-dependent manner.[3]

References

1. Wong, H., Dockens, R.C., Pajor, L., *et al.* 6-Hydroxybuspirone is a major active metabolite of buspirone: Assessment of pharmacokinetics and 5-hydroxytryptamine$_{1A}$ receptor occupancy in rats. *Drug Metab. Dispos.* **35(8)**, 1387-1392 (2007).
2. Bergman, J., Roof, R.A., Furman, C.A., *et al.* Modification of cocaine self-administration by buspirone (Buspar®): Potential involvement of D_3 and D_4 dopamine receptors. *Int. J. Neuropsychopharmacol.* **16(2)**, 445-458 (2013).
3. Jinakote, M., Jutabha, P., Anzai, N., *et al.* Interaction of buspirone and its major metabolites with human organic cation transporters. *Fundam. Clin. Pharmacol.* **37(4)**, 833-842 (2023).
4. Zhu, M., Zhao, W., Jimenez, H., *et al.* Cytochrome P450 3A-mediated metabolism of buspirone in human liver microsomes. *Drug Metab. Dispos.* **33(4)**, 500-507 (2005).

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