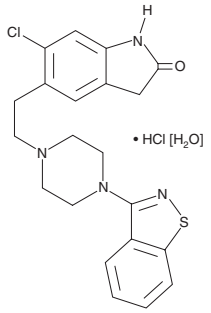
PRODUCT INFORMATION

Ziprasidone (hydrochloride hydrate)

Item No. 15031

CAS Registry No.: 138982-67-9
Formal Name: 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one, monohydrochloride, monohydrate
Synonym: CP-88,059
MF: $C_{21}H_{21}ClN_4OS \bullet HCl\ [H_2O]$
FW: 467.4
Purity: ≥98%
UV/Vis.: λ_{max}: 211, 314 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ziprasidone (hydrochloride hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the ziprasidone (hydrochloride hydrate) in the solvent of choice, which should be purged with an inert gas. Ziprasidone (hydrochloride hydrate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ziprasidone (hydrochloride hydrate) in these solvents is approximately 1.2 and 0.16 mg/ml, respectively.

Ziprasidone (hydrochloride hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ziprasidone (hydrochloride hydrate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ziprasidone (hydrochloride hydrate) has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ziprasidone is an atypical antipsychotic.[1] It is an agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A} (EC_{50} = 36.31 nM for inhibition of forskolin-induced adenylate cyclase activity in HeLa cells expressing human receptors), as well as an inverse agonist of 5-HT_{1D} receptors (IC_{50} = 2.69 nM) and a partial agonist of 5-HT_{1B} receptors (EC_{50} = 6.17 nM) in [^{35}S]GTPγS binding assays.[2,3] Ziprasidone is an antagonist at 5-HT_{2A} and dopamine D_2 receptors (K_is = 1.15 and 1.29 nM, respectively) in cell-based assays.[4] It inhibits d-amphetamine-induced hyperactivity and apomorphine-induced stereotypy in rats (ID_{50}s = 1.53 and 2.43 mg/kg, respectively). Formulations containing ziprasidone have been used in the treatment of schizophrenia and bipolar I disorder.

References

1. Seeman, P. Atypical antipsychotics: Mechanism of action. *Can. J. Psychiatry* **47(1)**, 27-38 (2002).
2. Schmidt, A.W., Lebel, L.A., Howard, H.R., Jr., *et al.* Ziprasidone: A novel antipsychotic agent with a unique human receptor binding profile. *Eur. J. Pharmacol.* **425(3)**, 197-201 (2001).
3. Audinot, V., Newman-Tancredi, A., Cussac, D., *et al.* Inverse agonist properties of antipsychotic agents at cloned, human (h) serotonin (5-HT)$_{1B}$ and h5-HT$_{1D}$ receptors. *Neuropsychopharmacology* **25(3)**, 410-422 (2001).
4. Seeger, T.F., Seymour, P.A., Schmidt, A.W., *et al.* Ziprasidone (CP-88,059): A new antipsychotic with combined dopamine and serotonin receptor antagonist activity. *J. Pharmacol. Exp. Ther.* **275(1)**, 101-113 (1995).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM