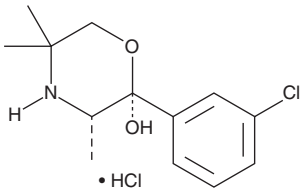
PRODUCT INFORMATION

S,S-hydroxy Bupropion (hydrochloride)

Item No. 35718

CAS Registry No.: 106083-71-0
Formal Name: (2S,3S)-2-(3-chlorophenyl)-3,5,5-trimethyl-2-morpholinol, monohydrochloride
Synonyms: BUPOH, BW 306U, GW 353162A, Radafaxine
MF: $C_{13}H_{18}ClNO_2 \bullet HCl$
FW: 292.2
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

S,S-hydroxy Bupropion (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the S,S-hydroxy bupropion (hydrochloride) in the solvent of choice, which should be purged with an inert gas. S,S-Hydroxy bupropion (hydrochloride) is soluble in DMSO.

Description

S,S-hydroxy Bupropion is an active metabolite of the antidepressant bupropion.[1,2] It is formed from bupropion by the cytochrome P450 (CYP) isoform CYP2B6.[3] S,S-hydroxy Bupropion inhibits dopamine and norepinephrine but not serotonin (5-HT) reuptake in HEK293 cells expressing the human transporters (IC_{50}s = 0.63, 0.241, and >100 µM, respectively).[1] It is also an antagonist of α3β4-, α4β2-, α4β4-, and α1β1 subunit-containing nicotinic acetylcholine receptors (nAChRs; IC_{50}s = 11, 3.3, 30, and 28 µM, respectively). S,S-hydroxy Bupropion inhibits nicotine-induced analgesia in the tail-flick and hot plate tests, hyperlocomotion, and hypothermia in mice (ED_{50}s = 0.2, 1, 0.9, and 1.5 mg/kg, respectively). It substitutes for (+)-amphetamine in rats in a two-lever drug discrimination test (ED_{50} = 4.4 mg/kg).[2]

References

1. Lukas, R.J., Muresan, A.Z., Damaj, M.I., *et al.* Synthesis and characterization of *in vitro* and *in vivo* profiles of hydroxybupropion analogues: Aids to smoking cessation. *J. Med. Chem.* **53(12)**, 4731-4748 (2010).
2. Bondarev, M.L., Bondareva, T.S., Young, R., *et al.* Behavioral and biochemical investigations of bupropion metabolites. *Eur. J. Pharmacol.* **474(1)**, 85-93 (2003).
3. Coles, R. and Kharasch, E.D. Stereoselective metabolism of bupropion by cytochrome P4502B6 (CYP2B6) and human liver microsomes. *Pharm. Res.* **25(6)**, 1405-1411 (2008).

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