# 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-

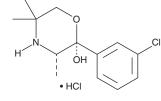
morpholinol, monohydrochloride

Synonyms: BUPOH, BW 306U, GW 353162A,

Radafaxine

MF: C<sub>13</sub>H<sub>18</sub>CINO<sub>2</sub> ◆ HCI

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  $(IC_{50}s = 0.63, 0.241, and >100 \mu M, respectively).<sup>1</sup> It is also an antagonist of <math>\alpha 3\beta 4$ -,  $\alpha 4\beta 2$ -,  $\alpha 4\beta 4$ -, and  $\alpha 1 \dot{\beta} 1$  subunit-containing nicotinic acetylcholine receptors (nAChRs; IC<sub>50</sub>s = 11, 3.3, 30, and 28  $\mu$ M, respectively). S,S-hydroxy Bupropion inhibits nicotine-induced analgesia in the tail-flick and hot plate tests, hyperlocomotion, and hypothermia in mice (ED<sub>50</sub>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<sub>50</sub> = 4.4 mg/kg).<sup>2</sup>

### 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).
- 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).
- 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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