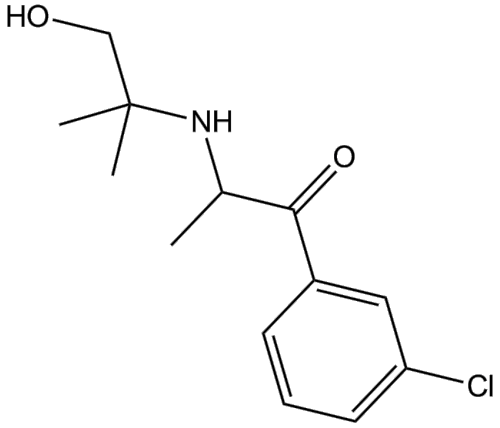
Product Data Sheet

Product Name: Hydroxybupropion
Cat. No.: GC20057

Chemical Properties

Cas. No.	92264-81-8		
Formula	$C_{13}H_{18}ClNO_2$	M.Wt	255.74
Solubility	DMSO : 100 mg/mL (391.02 mM; Need ultrasonic)	Storage	-20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Animal experiment [1]:

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Animal models	Male C57BL/6J mice
Preparation Method	Male C57BL/6J mice were housed in a 21°C humidity-controlled facility with food and water available ad libitum. The rooms were on a 12-h light/dark cycle (lights on at 7:00 AM). Mice were anesthetized with sodium pentobarbital (45mg/kg; i.p.) and implanted with osmotic minipumps filled with nicotine or saline solution. For withdrawal studies, mice received 36mg/kg/day for 14 days. Minipumps were removed on the evening of day 14, and testing was initiated on day 15, approximately 18 to 24h after minipump removal. Mice were then injected subcutaneously with a single dose of vehicle, bupropion, and Hydroxybupropion (10mg/kg) for 30min. The mice were evaluated for 5min in the plus maze test for anxiety-related behavior, followed by a 20-min observation of somatic signs.
Dosage form	10mg/kg for once; s.c.
Applications	Hydroxybupropion treatment reversed affective and somatic withdrawal signs in nicotine-dependent mice.

References:

[1] Damaj M I, Grabus S D, Navarro H A, et al. Effects of hydroxymetabolites of bupropion on nicotine dependence behavior in mice[J]. The Journal of pharmacology and experimental therapeutics, 2010, 334(3): 1087-1095.

Background

Hydroxybupropion, a major metabolite of bupropion, inhibits norepinephrine (NE) uptake, with an IC_{50} value of 1.7μM[1]. Hydroxybupropion blocks the development of nicotine-induced conditioned place preference[2]. Hydroxybupropion has been widely used as a model compound for the development of novel quantitative assays to identify related compounds in plasma[3].

In vivo, Hydroxybupropion treatment via subcutaneous injection at a single dose of 10mg/kg for

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30min inhibited the acquisition of nicotine reward and reversed affective and somatic withdrawal signs in nicotine-dependent mice[4].

References:

[1] Damaj M I, Carroll F I, Eaton J B, et al. Enantioselective effects of hydroxy metabolites of bupropion on behavior and on function of monoamine transporters and nicotinic receptors[J]. Molecular pharmacology, 2004, 66(3): 675-682.
[2] Zhu A Z X, Cox L S, Nollen N, et al. CYP2B6 and bupropion's smoking-cessation pharmacology: the role of hydroxybupropion[J]. Clinical Pharmacology & Therapeutics, 2012, 92(6): 771-777.
[3] Masters A R, McCoy M, Jones D R, et al. Stereoselective method to quantify bupropion and its three major metabolites, hydroxybupropion, erythro-dihydrobupropion, and threo-dihydrobupropion using HPLC–MS/MS[J]. Journal of Chromatography B, 2016, 1015: 201-208.
[4] Damaj M I, Grabus S D, Navarro H A, et al. Effects of hydroxymetabolites of bupropion on nicotine dependence behavior in mice[J]. The Journal of pharmacology and experimental therapeutics, 2010, 334(3): 1087-1095.

Caution: Product has not been fully validated for medical applications. For research use only.
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