

Product Data Sheet

Product Name: Venlafaxine hydrochloride

Cat. No.: GC10911

Chemical Properties

Cas. No. 99300-78-4

Chemical Name 1-[2-(dimethylamino)-1-(4-methoxyphenyl)ethyl]cyclohexan-1-ol;hydrochloride

SMILES CN(C)CC(C1=CC=C(C=C1)OC)C2(CCCCC2)O.Cl

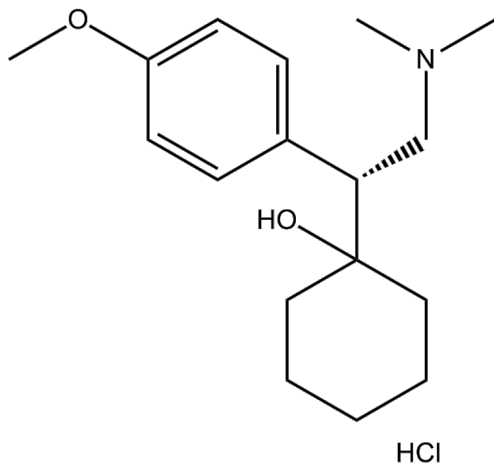
Formula $C_{17}H_{27}NO_2 \cdot HCl$ M.Wt 313.86

Solubility $\geq 15.693 \text{ mg/mL}$ in DMSO, $\geq 13.47 \text{ mg/mL}$ in EtOH, $\geq 79 \text{ mg/mL}$ in Water
Storage Store at -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

Cell experiment [1]:

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Cell lines BV-2

Preparation Method The immortalized mouse microglial cell line BV-2 was cultured in DMEM, supplemented with 10% FBS, and 1% P/S (100U/ml penicillin, 100µg/ml streptomycin) and maintained in 5% CO₂ at 37°C. Cells were used for 10 passages at maximum. The stock solution of Venlafaxine hydrochloride was prepared in distilled water. The inflammogen was added to the cells in the presence of the compound tested or of a vehicle.

Reaction
Conditions 0.01-1mM; 24h

Applications Venlafaxine hydrochloride caused mild survival impairment at high concentrations (1mM), while other concentrations showed no cytotoxicity and only slightly inhibited nitric oxide (NO) production in BV-2 cells stimulated by LPS (1µg/ml).

Animal experiment [2]:

Animal models SD rats

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Preparation Method	The animals were equally assigned to a normal control(NC)group, a depression modeled group and a venlafaxine-treatment group. Animals in NC group did not receive any stimulation, and the remaining animals received any one of the following stimulations randomly daily for 28 days: swimming in ice cold water (4°C) for 5min, swimming in hot water (48°C) for 5min, starvation for 48h, restraint from food and water for 24h, day-night reversal for 24h, clamping the tail and shaking for 2min, and electric shock of the sole at 1mA for 10s at a 1-min interval x 30. The same stimulation would not be used consecutively. Behaviors of the animals were evaluated at the end of stimulation everyday. Venlafaxine hydrochloride (2mg) was dissolved in 1ml normal saline (NS). After successful establishment of the depression model as described, Venlafaxine hydrochloride(1mg/100g; 2mg/ml) was injected intraperitoneally (i.p.) to animals in venlafaxine group 30min before initiation of the stimulation at 7:30. The same amount of NS was injected i.p. to animals in depression group, both for 28 days.
Dosage form	1mg/100g; 2mg/ml; 28 days; i.p.
Applications	Venlafaxine hydrochloride treatment of an SD rat depression model increased BDNF expression in the hippocampus by affecting the PI3k/PKB/eNOS pathway, repaired damaged hippocampal pyramidal cell layers, and effectively improved the behavioral abilities of depressed rats.

References:

- [1]. Dubovický M, Császár E, Melicherčíková K, et al. Modulation of microglial function by the antidepressant drug venlafaxine[J]. Interdisciplinary toxicology, 2014, 7(4): 201-207.
- [2]. Huang X, Mao Y S, Li C, et al. Venlafaxine inhibits apoptosis of hippocampal neurons by up-regulating brain-derived neurotrophic factor in a rat depression model[J]. Die Pharmazie-An International Journal of Pharmaceutical Sciences, 2014, 69(12): 909-916.

Background

Venlafaxine hydrochloride is an orally active serotonin-norepinephrine reuptake inhibitor (SNRIs) with

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K_i values of 2480nM and 82nM for ligand binding to human NE and 5-HT transporters, respectively^[1]. Venlafaxine hydrochloride has been used clinically as an antidepressant^[2-3].

In vitro, treatment of BV-2 cells with Venlafaxine hydrochloride (0.01-1mM) for 24 hours showed mild survival impairment only at high non-physiological concentrations (1mM), with no cytotoxicity at other concentrations, and only slightly inhibited nitric oxide (NO) production in BV-2 cells stimulated by LPS (1µg/ml)^[4]. Treatment of human colon cancer cells (HCT116 and SW480) with Venlafaxine hydrochloride (10µM) for 24-72 hours antagonized the effect of NE by inhibiting NET expression, thereby inhibiting the progression of colon cancer^[5].

In vivo, Venlafaxine hydrochloride (1mg/100g; 2mg/ml; 28 days; i.p.) in a SD rat depression model increased the expression level of BDNF in the rat hippocampus by affecting the PI3k/PKB/eNOS pathway, repaired the damaged pyramidal cell layer of the hippocampus, and effectively improved the behavioral ability of depressed rats^[6]. Venlafaxine hydrochloride (40-60mg/kg; 1-3h; i.p.) effectively relieved neuropathic pain induced by Oxaliplatin injection in mice by activating spinal cord α2-adrenergic receptors^[7].

References:

- [1]. Bymaster F P, Dreshfield-Ahmad L J, Threlkeld P G, et al. Comparative affinity of duloxetine and venlafaxine for serotonin and norepinephrine transporters in vitro and in vivo, human serotonin receptor subtypes, and other neuronal receptors[J]. Neuropsychopharmacology, 2001, 25(6): 871-880.
- [2]. Schweizer E, Thielen R J, Frazer A. Venlafaxine: a novel antidepressant compound[J]. Expert opinion on investigational drugs, 1997, 6(1): 65-78.
- [3]. Daiss J O, Burschka C, Mills J S, et al. Sila-venlafaxine, a sila-analogue of the serotonin/noradrenaline reuptake inhibitor venlafaxine: synthesis, crystal structure analysis, and pharmacological characterization[J]. Organometallics, 2006, 25(5): 1188-1198.
- [4]. Dubovický M, Császár E, Melicherčíková K, et al. Modulation of microglial function by the antidepressant drug venlafaxine[J]. Interdisciplinary toxicology, 2014, 7(4): 201-207.
- [5]. Zhang H, Han J, Zhang J, et al. Venlafaxine antagonizes the noradrenaline-promoted colon cancer progression by inhibiting the norepinephrine transporter[J]. Cell death discovery, 2023, 9(1): 152.
- [6]. Huang X, Mao Y S, Li C, et al. Venlafaxine inhibits apoptosis of hippocampal neurons by up-regulating brain-derived neurotrophic factor in a rat depression model[J]. Die Pharmazie-An

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[7]. Li D, Lee J H, Choi C W, et al. The analgesic effect of venlafaxine and its mechanism on oxaliplatin-induced neuropathic pain in mice[J]. International Journal of Molecular Sciences, 2019, 20(7): 1652.

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