
Product Data Sheet

Product Name: BRL 52537 hydrochloride

Cat. No.: GC15313

Chemical Properties

Cas. No. 112282-24-3

Chemical Name 2-(3,4-dichlorophenyl)-1-(2-(pyrrolidin-1-ylmethyl)piperidin-1-yl)ethanone hydrochloride

SMILES C1C=C(Cl)C=C(CC(N2CCCCC2CN3CCCC3)=O)C=C1.Cl

Formula $C_{18}H_{24}Cl_2N_2O.HCl$ M.Wt 391.77

Solubility <1.96mg/ml in Water Storage Store at RT

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

Background

BRL 52537 hydrochloride is a potent and selective agonist of κ/μ -opioid receptor with K_i value of 0.24 nM for κ -opioid receptor [1].

The κ -opioid receptor (KOR) is a type of opioid receptor for opioid peptide dynorphin and controls addiction. Also, KOR plays an important role in stress, anxiety, anhedonia, depression and increased drug-seeking behavior.

BRL 52537 hydrochloride is a potent and selective κ/μ -opioid receptor agonist. In the mouse tail flick model, BRL 52537 showed antinociception with ED50 value of 0.05 mg/kg, which was 25 times more potent than morphine [1]. In WT male mice with middle cerebral artery occlusion, BRL 52537 significantly decreased infarct volumes at 72 h of reperfusion. However, BRL 52537 had no effect in neuronal NO synthase null mutants (nNOS^{-/-}) mice or in the WT female mice. These results suggested that BRL 52537 exhibited neuroprotection through inhibition of ischemia-evoked NO production and nNOS activity [2]. In adult rat dorsal root ganglia (DRGs), BRL 52537 inhibited

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tetrodotoxin-resistant (TTX-r) sodium currents in an opioid receptor-independent way, which also contributed to the antinociceptive effects [3]. In rats with cerebral ischemia/reperfusion (I/R) injury, BRL52537 inhibited neuronal apoptosis and brain damage. Also, BRL52537 increased the level of phosphorylated STAT3 and reduced caspase-3 expression [4].

References:

- [1]. Vecchiotti V, Giordani A, Giardina G, et al. (2S)-1-(arylacetyl)-2-(aminomethyl)piperidine derivatives: novel, highly selective kappa opioid analgesics. *J Med Chem*, 1991, 34(1): 397-403.
- [2]. Zeynalov E, Nemoto M, Hurn PD, et al. Neuroprotective effect of selective kappa opioid receptor agonist is gender specific and linked to reduced neuronal nitric oxide. *J Cereb Blood Flow Metab*, 2006, 26(3): 414-420.
- [3]. Su X, Castle NA, Antonio B, et al. The effect of kappa-opioid receptor agonists on tetrodotoxin-resistant sodium channels in primary sensory neurons. *Anesth Analg*, 2009, 109(2): 632-640.
- [4]. Fang S, Xu H, Lu J, et al. Neuroprotection by the kappa-opioid receptor agonist, BRL52537, is mediated via up-regulating phosphorylated signal transducer and activator of transcription-3 in cerebral ischemia/reperfusion injury in rats. *Neurochem Res*, 2013, 38(11): 2305-2312.

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