

BRL-15572 (dihydrochloride)

Catalog No: tcsc0423



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

193611-72-2

Formula:

$C_{25}H_{29}Cl_3N_2O$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

5-HT Receptor;5-HT Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

BRL-15572

Observed Molecular Weight:

479.87

Product Description

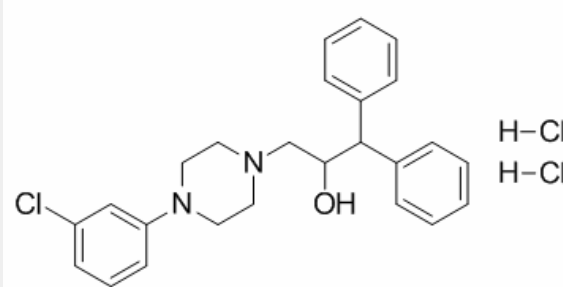
BRL-15572 2HCl is a 5-HT_{1D} receptor antagonist with pK_i of 7.9, also shows a considerable affinity at 5-HT_{1A} and 5-HT_{2B} receptors, exhibiting 60-fold selectivity over 5-HT_{1B} receptor.

IC₅₀ Value: 7.9(pK_i)

Target: 5-HT_{1D} Receptor

in vitro: BRL-15572 displays high affinity and selectivity for h5-HT_{1D} receptors. BRL-15572 has 60-fold higher affinity for h5-HT_{1D} than 5-HT_{1B} receptors. BRL-15572 binds to h5-HT_{1B} and h5-HT_{1D} receptors with pK_B of less than 6 and 7.1, respectively. BRL-15572 stimulates [³⁵S]GTP γ S binding in both cell lines, with potencies that correlated with their receptor binding affinities in both h5-HT_{1B} and h5-HT_{1D} receptor expressing cell lines. BRL-15572 reveals receptor binding affinities for 5-HT_{1A}, 5-HT_{1B}, 5-HT_{1E}, 5-HT_{1F}, 5-HT_{2A}, 5-HT_{2B}, 5-HT_{2C}, 5-HT₆ and 5-HT₇ with pK_i of 7.7, 6.1, 5.2, 6.0, 6.6, 7.4, 6.2, 5.9 and 6.3, respectively. In the h5-HT_{1D} cell line, both BRL-15572 (1 μM) shifts the 5-HT concentration response curve with pK_B of 7.1, respectively. BRL-15572 does have moderately high affinity at human 5-HT_{1A} and 5-HT_{2B} receptors.

in vivo: In diabetic pithed rats, administration of the selective 5-HT_{1D} receptor antagonist BRL-15572 (2 mg/kg) does not modify the decreased HR induced by vagal electrical stimulation. The effects of L-694,247 (50 μg/kg), a selective agonist for non-rodent 5-HT_{1B} and 5-HT_{1D} receptors, on the vagally induced bradycardia are not apparent after pretreatment with BRL-15572.



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