Product Data Sheet

Product Name: BD 1008 dihydrobromide
Cat. No.: GC16595

Chemical Properties

Cas. No.	138356-09-9		
Chemical Name	N-(3,4-dichlorophenethyl)-N-methyl-2-(pyrrolidin-1-yl)ethanamine dihydrobromide		
SMILES	ClC1=CC(CCN(C)CCN2CCCC2)=CC=C1Cl.Br.Br		
Formula	$C_{15}H_{22}Cl_2N_2.2HBr$	M.Wt	463.08
Solubility	Water: 50mM	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	BD 1008 dihydrobromide		

Background

BD 1008 dihydrobromide is a potent and selective ligand for σ-receptor with Ki values of 2 and 8 nM for σ-1 receptor and σ-2 receptor, respectively [1].

σ-receptor is a type of opioid receptor. There are two subtypes of σ-receptor: σ-1 and σ-2 [2].

BD 1008 dihydrobromide is a potent and selective σ-receptor ligand. BD1008 showed high affinity to sites labeled by 4-[125I]PEMP with Ki value of 5.06 nM in guinea pig brain membranes. In MCF-7 breast cancer and melanoma (A375) cells, 4-[125I]PEMP inhibited the binding of BD1008 with Ki value of 11 nM in a dose-dependent way [2]. In Xenopus oocytes coexpressed N-methyl-D-aspartate (NMDA) receptor (NR) 1a with either NR2A, 2B or 2C, BD1008 inhibited NMDA-activated membrane current responses with IC50 values of 62, 18 and 120 μM for NR1a/2A, NR1a/2B and NR1a/2C respectively, which were due to direct effects on the receptor channel complex [3].

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In mice, BD1008 (1 mg/kg) inhibited cocaine-induced locomotor activity with ED50 value increased from 6.50 mg/kg to 11.19 mg/kg [1].

References:

[1]. McCracken KA, Bowen WD, Matsumoto RR. Novel sigma receptor ligands attenuate the locomotor stimulatory effects of cocaine. Eur J Pharmacol, 1999, 365(1): 35-38.
[2]. John CS, Gulden ME, Vilner BJ, et al. Synthesis, in vitro validation and in vivo pharmacokinetics of [125I]N-[2-(4-iodophenyl)ethyl]-N-methyl-2-(1-piperidinyl) ethylamine: a high-affinity ligand for imaging sigma receptor positive tumors. Nucl Med Biol, 1996, 23(6): 761-766.
[3]. Whittemore ER, Ilyin VI, Woodward RM. Antagonism of N-methyl-D-aspartate receptors by sigma site ligands: potency, subtype-selectivity and mechanisms of inhibition. J Pharmacol Exp Ther, 1997, 282(1): 326-338.

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