Product Data Sheet

Product Name: BRL-54443
Cat. No.: GC17130

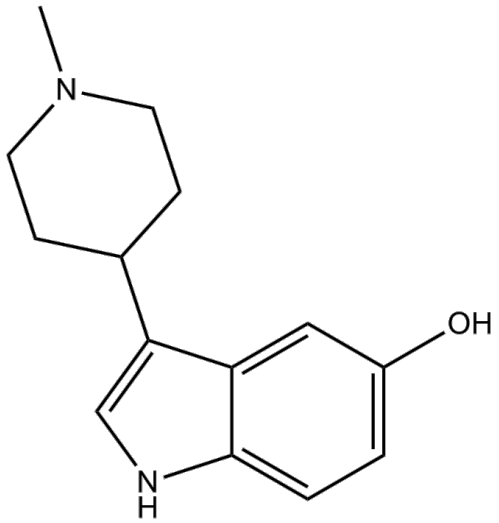
Chemical Properties

Cas. No.	57477-39-1		
Chemical Name	3-(1-methylpiperidin-4-yl)-1H-indol-5-ol		
SMILES	CN1CCC(CC1)C2=CNC3=C2C=C(C=C3)O		
Formula	C14H18N2O	M.Wt	230.31
Solubility	DMF: 12.5 mg/mL,DMSO: 30 mg/mL,DMSO:PBS(pH 7.2) (1:4): 0.2 mg/mL,Ethanol: 1.4 mg/mL	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.		

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Structure



Background

BRL-54443 is a potent agonist for 5-HT1E and 5HT1F receptors (pEC50 values= 8.5 and 8.6) with more than 30-fold selectivity against human cloned 5-HT1A and more than 50-fold selectivity against a range of other 5-HT and dopamine receptors. [1]

5-HT receptor is a G-protein coupled receptor for 5-hydroxytryptamine (serotonin) that found in nerve systems. It also functions as receptor for various alkaloids and psychoactive substances.

In studies using rat brain cortical membranes, BRL-54443 causes biphasic inhibition of total [3H]-5-HT. [1] In C57BL/6J mouse aortae, BRL 54443 leads to a contraction in the presence of Ketanserin (an antagonist of 5-HT2A and 5-HT2C receptors).[3]

In Sprague Dawley rats, automated locomotor activity is reduced by BRL- 54443 administration at doses of 3 and 5 mg/kg. [2] BRL-4443 also stimulates sniffing behavior in rats. [2] In a barostat study, the intragastric volume was monitored in sedated cats at constant pressure, BRL-54443 induces a dose-dependent intragastric volume increase. [4]

Product Data Sheet

References:

1. A.M. Brown, K. Avenell, T J. Young et al. BRL 54443, a potent agonist with selectivity for human cloned 5-HT1E and 5-HT1F receptors. 1998. Br.J.Pharmacol. 123 233P.
2. S. Lightowler, T. Stean, N. Upton et al. Effect of BRL 54443 (3-(1-methylpiperidin-4-yl)-1H-indol-5-ol), a 5-HT1E/1F receptor agonist, on general behaviour and maximal electroshock seizure threshold in the rat. 1998. Br.J.Pharmacol. 123 237P.
3. McKune CM, Watts SW. Characterization of the serotonin receptor mediating contraction in the mouse thoracic aorta and signal pathway coupling. J Pharmacol Exp Ther. 2001 Apr;297(1):88-95.
4. Janssen P, Tack J, Sifrim D et al. Influence of 5-HT1 receptor agonists on feline stomach relaxation. Eur J Pharmacol. 2004 May 25;492(2-3):259-67.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA