

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.

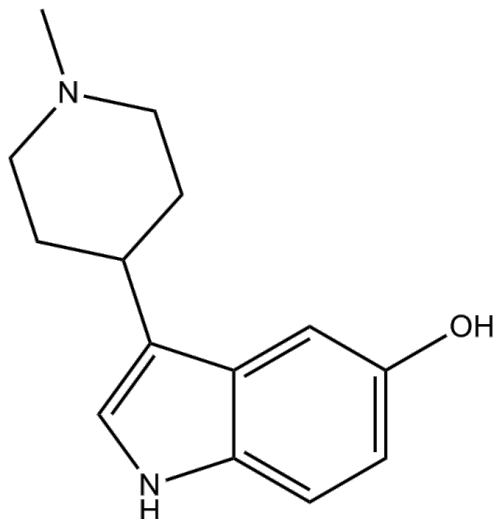
Caution: Product has not been fully validated for medical applications. For research use only.

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-HT_{1E} and 5HT_{1F} receptors (pEC₅₀ values= 8.5 and 8.6) with more than 30-fold selectivity against human cloned 5-HT_{1A} 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-HT_{2A} and 5-HT_{2C} 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]

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

References:

1. A.M. Brown, K. Avenell, T J. Young et al. BRL 54443, a potent agonist with selectivity for human cloned 5-HT_{1E} and 5-HT_{1F} 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-HT_{1E/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