Product Data Sheet

Product Name: Clocapramine (Clocarpramine)
Cat. No.: GC31037

Chemical Properties

Cas. No.	47739-98-0		
SMILES	O=C(C1(N2CCCCC2)CCN(CCCN3C4=CC(Cl)=CC=C4CCC5=CC=CC=C53)CC1)N		
Formula	$C_{28}H_{37}ClN_4O$	M.Wt	481.07
Solubility	Soluble in DMSO	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	Clocapramine (Clocarpramine)		

Protocol

Animal experiment:

Rats[2] Male Wistar rats (210 to 240 g) are housed in a temperature-controlled room with a 12-hour dark/light cycle (lights on at 8:30) and have free access to food and water. For competition studies, rats are pretreated with an intraperitoneal injection of varying doses of antipsychotic drugs or the same volume (0.21 to 0.24 mL) of the corresponding vehicle (DMSO), 10 minutes prior to the injection of [3H]-YM-09151-2 or [3H]-ketanserin.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

References:

[1]. Schotte A, et al. In vitro receptor binding and in vivo receptor occupancy in rat and guinea pig brain: risperidone compared with antipsychotics hitherto used. Jpn J Pharmacol. 1995 Dec;69(4):399-412.
[2]. Sumiyoshi T, et al. Atypicality of several antipsychotics on the basis of in vivo dopamine-D2 and serotonin-5HT2 receptor occupancy. Neuropsychopharmacology. 1995 Feb;12(1):57-64.

Background

Clocapramine is an antagonist of the D2, 5-HT2A receptors.

Clocapramine has a moderate affinity for D2-receptors in vitro. Clocapramine shows higher affinity for 5-HT2A than for D2-receptors in vitro[1].

Clocapramine shows the lowest potency for D2-occupancy in vivo[1]. An in vivo receptor binding technique is used to evaluate the binding profiles of typical and atypical antipsychotic drugs to striatal dopamine-D2 and frontal serotonin-5-HT2 receptors in a rat brain using more specific ligands. Clocapramine produces ratios of potency in occupying 5-HT2 versus D2 receptors that fall between these two groups (ED50 of 14.5 mg/kg for D2, 4.9 mg/kg for 5-HT2)[2].

[1]. Schotte A, et al. In vitro receptor binding and in vivo receptor occupancy in rat and guinea pig brain: risperidone compared with antipsychotics hitherto used. Jpn J Pharmacol. 1995 Dec;69(4):399-412. [2]. Sumiyoshi T, et al. Atypicality of several antipsychotics on the basis of in vivo dopamine-D2 and serotonin-5HT2 receptor

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