Product Data Sheet

Product Name: RWJ-51204
Cat. No.: GC31049

Chemical Properties

Cas. No.	205701-85-5		
SMILES	O=C(C1=C2N(COCC)C3=CC(F)=CC=C3N2CCC1=O)NC4=CC=CC=C4F		
Formula	$C_{21}H_{19}F_2N_3O_3$	M.Wt	399.39
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	RWJ-51204		

Protocol

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

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Kinase experiment:

For each sample, a portion of the membrane fraction containing 0.1 to 0.2 mg of protein is incubated in 2 mL of a 3 mM phosphate-buffered solution containing 0.1 M NaCl and 0.01 to 0.03 µCi of a 3H-labeled ligand [3H]Ro15-4513, [3H]flumazenil. The receptor-ligand binding reaction is allowed to reach equilibrium at an ambient temperature of 21-23°C (30 min) and then the reaction is terminated by vacuum filtration to separate the incubation medium from the biological membranes. The membrane samples are washed to remove unbound ligand. The3H bound to each membrane sample is quantified using liquid scintillation spectrometry.

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Animal experiment:

Adult rats are deprived of water for 48 h and are deprived of food for at least 16 h before testing. After the first 24 h of water deprivation, they are placed in a sound-attenuating chamber for a training period, in which they are allowed 200 licks from a bottle containing tap water. The experiment is performed the next day. Vehicle or compounds are administered orally by gavage, and at specified times after dosing, rats are placed in the chamber and allowed access to tap water. The first lick at the stainless steel sipper tube of a water bottle initiates a 3-min test session in which every 20th lick is punished by a 0.2 s, 0.5 mA shock (root mean square, measured across the electrodes) delivered via the sipper tube. If rats fail to drink within 5 min, the experiment is terminated, and they are evaluated for signs of CNS depression. Rats are not reused in this experiment. The anxiolytic effectiveness of a compound in this assay is determined from the number of rats, at each dose, that receive a number of shocks that is equal to or greater than the calculated 90th percentile of the number of shocks received by approximately 600 vehicle-treated rats. This criterion is eight shocks when rats are tested 1 h after administration and 10 shocks when rats are tested 4 h after administration.

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References:

[1]. Dubinsky B, et al. 5-ethoxymethyl-7-fluoro-3-oxo-1,2,3,5-tetrahydrobenzo[4,5]imidazo[1,2a]pyridine-4-N-(2-fluorophenyl)carboxamide (RWJ-51204), a new nonbenzodiazepine anxiolytic. J Pharmacol Exp Ther. 2002 Nov;303(2):777-90.

Background

RWJ-51204 is a partial agonist of GABA(A) receptor, with Ki of 0.2-2 nM to the benzodiazepine site on GABA(A) receptors.

RWJ-51204 binds to receptors in the cerebral cortex, cerebellum, or medulla-spinal cord with Ki ranging from 0.2 to 0.6 nM.

RWJ-51204 is orally active in anxiolytic efficacy tests. WJ 51204 dose-relatedly antagonizes PTZ-induced clonic convulsions when administered orally (ED50 = 0.04 mg/kg). RWJ-51204 is effective in the conflict test in monkeys (ED50 of approximately 0.5 mg/kg p.o.). RWJ-51204 potently impairs rotarod performance in rats (ED50 = 0.12 mg/kg), and all rats given RWJ-51204 orally at 30 mg/kg exhibit sedation, reduced skeletal muscle tone, and impairment of rotarod performance.

[1]. Dubinsky B, et al. 5-ethoxymethyl-7-fluoro-3-oxo-1,2,3,5-tetrahydrobenzo[4,5]imidazo[1,2a]pyridine-4-N-(2-fluorophenyl)carboxamide (RWJ-51204), a new nonbenzodiazepine anxiolytic. J Pharmacol Exp Ther. 2002 Nov;303(2):777-90.

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