Product Data Sheet

Product Name: AP521
Cat. No.: GC31134

Chemical Properties

Cas. No.	151227-08-6		
SMILES	O=C([C@H]1CC(C2=CC=CC=C2S3)=C3CN1)NCC4=CC=C(OCO5)C5=C4.[H]Cl		
Formula	$C_{20}H_{19}ClN_2O_3S$	M.Wt	402.89
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	AP521		

Protocol

Cell experiment:

in vitro studies, AP521 is dissolved with DMSO.--> Membranes of neurotransmitter receptors are prepared from the tissues of rat, mouse, and guinea pig or from recombinant cells. These membranes are incubated in assay buffers containing selective radioligand for each receptor and AP521. After the incubation, the mixture is vacuum filtered through a glass membrane filter and washed by cold reaction buffer. Afterward, the radioactivity of the filters is counted[1].

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

Product Data Sheet

Animal experiment:

Male Sprague-Dawley rats weighing 250 to 300 g are anesthetized with pentobarbital sodium (50 mg/kg, i.p.) and placed on a stereotaxic apparatus. Dialysis probes with an outer diameter of 0.105 mm are inserted into the guide cannulae so that 3.0 mm of the probes are exposed to the tissue of the medial prefrontal cortex. Rats are housed individually after these operations. On the following day, perfusion is started in the home cage using artificial cerebrospinal fluid (145 mM NaCl, 3.0 mM KCl, 1.3 mM CaCl2, 1.0 mM MgCl2) at a flow rate of 2 mL/min. AP521 (3, 10 mg/kg), tandospirone (10 mg/kg) or vehicle are administered subcutaneously 60 min after sample collection started. The dialysate samples are collected every 30 min for 180 min and extracellular levels of 5-HT are determined[1].

References:

[1]. Kasahara K, et al. The effects of AP521, a novel anxiolytic drug, in three anxiety models and on serotonergic neural transmission in rats. J Pharmacol Sci. 2015 Jan;127(1):109-16.

Background

AP521 is an agonist of human 5-HT1A receptor with an IC50 of 94 nM.

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

Product Data Sheet

AP521 is an agonist of human 5-HT1A receptor with IC50s of 135, 94, 254, 5530, 418, 422 and 198 nM for 5-HT1A (rat), 5-HT1A (human), 5-HT1B (rat), 5-HT1B (human), 5-HT1D (human), 5-HT5a (human) and 5-HT7 (rat), respectively. AP521 also decreases the forskolin-induced cAMP accumulation from 10 nM to 10 μM[1].

AP521 significantly increases the number of shock acceptances [$F(5,105)=4.46$, $P<0.01$] at doses between 0.5 to 10 mg/kg. Oral administration of AP521 at 3 and 10 mg/kg significantly decreases freezing time [$F(3,60)=2.89$, $P<0.05$]. AP521 significantly increases the time spent on the open arms by approximately 2-fold as compare to the vehicle treated group [$F(3, 36)=4.21$, $P<0.05$ for AP521]. The anxiolytic-like effect of AP521 appears to be dose-related. AP521 significantly increases the extracellular 5-HT level of the medial prefrontal cortex (mPFC) at 10 mg/kg from 0.5 to 1 h after administration. AP521 at 3 mg/kg tends to increase the extracellular 5-HT level, however, this increase is not significant[1].

[1]. Kasahara K, et al. The effects of AP521, a novel anxiolytic drug, in three anxiety models and on serotonergic neural transmission in rats. J Pharmacol Sci. 2015 Jan;127(1):109-16.

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