Product Data Sheet

Product Name: A 841720
Cat. No.: GC16068

Chemical Properties

Cas. No.	869802-58-4		
Chemical Name	3-(azepan-1-yl)-9-(dimethylamino)pyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4(3H)-one		
SMILES	O=C(C(SC1=NC=CC(N(C)C)=C21)=C2N=C3)N3N4CCCCCC4		
Formula	$C_{17}H_{21}N_5OS$	M.Wt	343.45
Solubility	DMF: 16 mg/ml,DMSO: 25 mg/ml,DMSO:PBS(pH 7.2) (1:3): 0.25 mg/ml,Ethanol: 3 mg/ml	Storage	Store at RT
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	A 841720		

Background

A 841720 is a novel non-competitive antagonist of metabotropic glutamate receptor 1 (mGluR1), with an IC50 value of 10.7 nM to 10 μM L-glutamate-induced calcium release at human mGluR1 receptors [1].

Glutamate as the major excitatory neurotransmitter in the central nervous system functions through two types of receptors, ionotropic glutamate receptors and metabotropic glutamate receptors (mGluRs). MGluRs includes group I mGluRs (mGluR1 and mGluR5 receptors), group II (mGluR2 and mGluR3 receptors) and group III (mGluR4, 6, 7, 8 receptors) [1].

In cells, agonist-induced calcium release was concentration-dependently inhibited by A 841720 in a human mGluR5 receptor FLIPR functional assay. But the IC50 value was just 343 nM. In cells expressing recombinant mGluR5 receptors, A 841720 did not block agonist-induced response. In the human mGluR1 receptor FLIPR assay, the log

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concentration-response curve was shifted by A 841720 at 10 nM to the right. A 841720 at increasing concentrations profoundly reduced the amplitude of L-quisqualate-evoked calcium release. A 841720 at 30 nM reduced the maximal agonist-induced response by 38%. L-quisqualate-induced response was completely abolished by A 841720 at 100 nM [1].

In a water maze test, all rats gradually learned to locate the submerged platform. Treatment with A 841720 significantly slowered rats to find the platform than vehicle control rats. Rats treated with A 841720 at both 30 and 100 μmol/kg doses not only significantly traveled longer distance to find the hidden platform, but also significantly spent longer time to reach the platform [1].

Reference:
[1]. El-Kouhen O, Lehto SG, Pan JB, et al. Blockade of mGluR1 receptor results in analgesia and disruption of motor and cognitive performances: effects of A-841720, a novel non-competitive mGluR1 receptor antagonist. British journal of pharmacology, 2006, 149(6): 761-774.