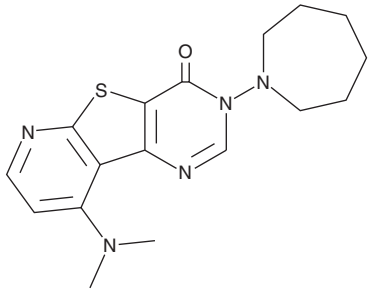
PRODUCT INFORMATION

A-841720

Item No. 21807

CAS Registry No.:	869802-58-4
Formal Name:	9-(dimethylamino)-3-(hexahydro-1H-azepin-1-yl)-pyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4(3H)-one
MF:	$C_{17}H_{21}N_5OS$
FW:	343.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 246, 256, 363 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

A-841720 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-841720 in the solvent of choice, which should be purged with an inert gas. A-841720 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of A-841720 in these solvents is approximately 3, 25, and 16 mg/ml, respectively.

A-841720 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-841720 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. A-841720 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

A-841720 is a noncompetitive antagonist of the group 1 metabotropic glutamate receptor mGluR1 with an IC_{50} value of 10.7 nM for inhibition of L-glutamate-induced calcium release in 1321 N1 cells.[1] It is selective for mGluR1 over mGluR5 (IC_{50} = 343 nM) as well as mGluR2, mGluR4, and mGluR7, where it does not inhibit agonist-induced calcium release in HEK293 cells. It is also selective for mGluR1 over a panel of other G-coupled protein receptors as well as ligand- and voltage-gated ion channels at a concentration of 10 µM. A-841720 dose-dependently inhibits complete Freund's adjuvant-induced inflammatory pain (ED_{50} = 23 µmol/kg) and sciatic nerve injury-induced mechanical allodynia (ED_{50} = 28 µmol/kg) in rats. It also enhances cocaine seeking in mice after a prolonged abstinence following a single conditioning session when administered at a dose of 10 mg/kg per day.[2]

References

1. El-Kouhen, O., Lehto, S.G., Pan, J.B., *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. *Br. J. Pharmacol.* **149(6)**, 761-774 (2006).
2. Halbout, B., Bernardi, R.E., Hansson, A.C., *et al.* Incubation of cocaine seeking following brief cocaine experience in mice is enhanced by mGluR1 blockade. *J. Neurosci.* **34(5)**, 1781-1790 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM