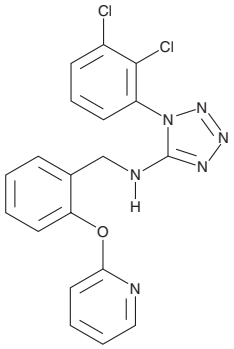
PRODUCT INFORMATION

A-839977

Item No. 30934



CAS Registry No.:	870061-27-1
Formal Name:	1-(2,3-dichlorophenyl)-N-[[2-(2-pyridinyloxy) phenyl]methyl]-1H-tetrazol-5-amine
MF:	$C_{19}H_{14}Cl_2N_6O$
FW:	413.3
Purity:	≥98%
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-839977 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-839977 in the solvent of choice, which should be purged with an inert gas. A-839977 is soluble in DMSO.

Description

A-389977 is an antagonist of the purinergic $P2X_7$ receptor.[1] It inhibits BzATP-evoked intracellular calcium influx in 1321N1 cells expressing human, rat, and mouse receptors (IC_{50}s = 20, 42, and 150 nM, respectively). A-839977 inhibits BzATP-induced YO-PRO dye uptake, a marker of membrane pore formation, and IL-1β release from THP-1 cells (IC_{50}s = 6.6 and 37 nM, respectively). *In vivo*, A-389977 (30, 100, and 300 µmol/kg, i.p.) reduces thermal hyperalgesia in rats. A-389977 (40 mg/kg) reduces thermal and mechanical hyperalgesia in a rat MRMT-1 mammary carcinoma model of cancer-induced bone pain.[2]

References

1. Honore, P., Donnelly-Roberts, D., Namovic, M., *et al.* The antihyperalgesic activity of a selective P2X7 receptor antagonist, A-839977, is lost in IL-1αβ knockout mice. *Behav. Brain Res.* **204(1)**, 77-81 (2009).
2. Falk, S., Schwab, S.D., Frøsig-Jørgensen, M., *et al.* P2X7 receptor-mediated analgesia in cancer-induced bone pain. *Neuroscience* **291**, 93-105 (2015).

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