

Product Data Sheet

Product Name: A 839977

Cat. No.: GC15434

Chemical Properties

Cas. No. 870061-27-1

Chemical Name (E)-N-(1-(2,3-dichlorophenyl)-1H-tetrazol-5(2H)-ylidene)-1-(2-(pyridin-2-yloxy)phenyl)methanamine

SMILES C1C=C(Cl)C(N2/C(N=NN2)=N\CC3=CC=CC=C3OC4=CC=CC=N4)=CC=C1

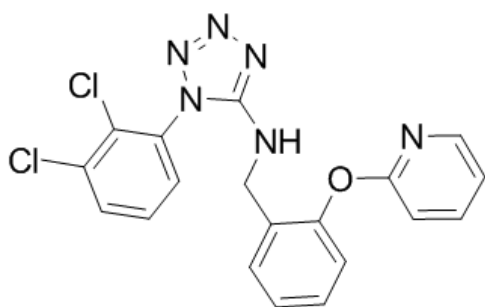
Formula $C_{19}H_{14}Cl_2N_6O$ M.Wt 413.26

Solubility DMSO : 100 mg/mL (241.98 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

A839977 is a novel and selective antagonist of P2X7 receptor with the IC₅₀ values of 20 nM, 42nM and 150nM for human, rat and mouse, respectively [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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A839977 has been reported to block BzATP-evoked changes in intracellular calcium concentrations in 132N1 cells stable expressing P2X7 receptors with the IC₅₀ values of 20 nM, 42nM and 150nM for human, rat and mouse, respectively. In addition, A839977 has also revealed to inhibit BzATP-stimulated IL-1 β release and YO-PRO uptake with the IC₅₀ of 37nM and 7nM, respectively, in differentiated human THP-1 cells. Besides, A839977 has been noted to produce parallel rightward shifts in BzATP concentration-effect calcium influx curves with the pA α value of 8.1. Apart from these, A839977 has been exhibited to reduce CFA-induced thermal hyperalgesia in a dose-dependent fashion with the ED₅₀ value of 100 μ mol/kg(i.p.) [1].

References:

[1] Honore P1, Donnelly-Roberts D, Namovic M, Zhong C, Wade C, Chandran P, Zhu C, Carroll W, Perez-Medrano A, Iwakura Y, Jarvis MF. The antihyperalgesic activity of a selective P2X7 receptor antagonist, A-839977, is lost in IL-1 α knockout mice. Behav Brain Res. 2009 Dec 1;204(1):77-81.

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