# Product Data Sheet

## Chemical Properties

- **Product Name:** A 438079
- **Cas No.:** 899507-36-9
- **M.Wt:** 306.15
- **Formula:** C13H9Cl2N5
- **Chemical Name:** 3-[[5-(2,3-dichlorophenyl)tetrazol-1-yl]methyl]pyridine;hydrochloride
- **Canonical SMILES:** C1=CC(=C(C(=C1)Cl)Cl)C2=NN=NN2CC3=CN=CC=C3.Cl
- **Solubility:** Soluble in DMSO
- **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.
- **Shopping Condition:** Evaluation sample solution: ship with blue ice
  All other available size: ship with RT, or blue ice upon request

## Biological Activity

**Targets:** Membrane Transporter/Ion Channel

**Pathways:** P2X purinergic receptor

**Description:**

A438079 is a selective small-molecule antagonist of human P2X7 receptor with IC50 value of 300 nM [1].

The nucleotide receptor P2X7 receptor is a subtype of the P2X receptor family. It is expressed in cells of both the central nervous system and the immune system. Since the activation of P2X7 receptor by ATP is associated with the release of glutamate and cytokines, P2X7 receptor is thought to be a target of the treatment for inflammation and neurodegeneration. The tetrazole-based compound A438079 works as a competitive antagonist of P2X7 receptor and
shows potent antinociceptive activity in rat model [2].
A 438079 was selective against P2X7 receptor and showed no significant activity against other P2X receptors including P2X2, P2X3 and P2X4 even at concentration up to 10 μM. For other cell-surface ion channels and receptors, A 438079 also showed no effect. In the FLIPR assay using human 1321N1 astrocytoma cells stably transfected with recombinant rat or human P2X7 receptors, pretreatment of A 438079 potently inhibited the calcium influx with IC50 values of 100 and 300 nM, respectively. In human THP-1 cells differentiated with IFNγ and LPS, A 438079 treatment inhibited interleukin-1β release stimulated by BzATP with pIC50 value of 6.7. Besides that, A 438079 was found to block the pore formation in human THP-1 cells [1 and 2].
In the rat model of neuropathic pain, administration of A 438079 dose-dependently reduced the mechanical allodynia with ED50 value of 76 μM/kg. In mice with status epilepticus, administration of A 438079 resulted in decreased total seizure power, amplitude and reduced seizure behavior. In addition, A 438079 was found to attenuate acetaminophen-induced liver injury in mice [2, 3 and 4].

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.