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# 产品名称：AZD9056 (hydrochloride)
# 产品别名：AZD9056 hydrochloride

## 生物活性：

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| **Description** | AZD9056 hydrochloride is a selective orally active inhibitor of P2X7 which plays a significant role in inflammation and pain-causing diseases. |
| **In Vitro** | The antagonist AZD9056 blocks P2X7 receptors with an IC50 of 11.2 nM in HEK-hP2X7 cell line, indicating a high selectivity of the antagonist for the P2X7 receptor. The P2X7-receptor antagonist AZD9056 has a clear inhibitory effect (IC50=1-3 μM) in mouse microglia BV2 cells[1]. AZD9056 is an inhibitor of BCRP and weakly inhibits BCRP-mediated transport of methotrexate (IC50=92 μM)[2]. |
| **In Vivo** | Treatment with AZD9056 exerts pain-relieving and anti-inflammatory effects. The upregulated expression of interleukin (IL)-1β, IL-6, tumor necrosis factor-α (TNF-α), matrix metalloproteinase-13 (MMP-13), substance P (SP) and prostaglandin E2 (PGE2) which is induced by MIA in cartilage tissues is reversed by AZD9056[3]. |
| **Solvent&Solubility** | ***In Vitro:***<br>**DMSO : ≥ 34 mg/mL (74.65 mM)**<br>* "≥" means soluble, but saturation unknown. |

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
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| | 1 mM | 2.1956 mL | 10.9779 mL | 21.9558 mL |
| | 5 mM | 0.4391 mL | 2.1956 mL | 4.3912 mL |
| | 10 mM | 0.2196 mL | 1.0978 mL | 2.1956 mL |

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

**References**

[1]. Seeland S, et al. ATP-induced cellular stress and mitochondrial toxicity in cells expressing purinergic P2X7 receptor. Pharmacol Res Perspect. 2015 Mar;3(2):e00123.

[2]. Elsby R, et al. In vitro risk assessment of AZD9056 perpetrating a transporter-mediated drug-drug interaction with methotrexate. Eur J Pharm Sci. 2011 May 18;43(1-2):41-9.

[3]. Hu H, et al. Blocking of the P2X7 receptor inhibits the activation of the MMP-13 and NF-κB pathways in the cartilage tissue of rats with osteoarthritis. Int J Mol Med. 2016 Dec;38(6):1922-1932.

## 实验参考：

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| **Cell Assay** | AZD9056 is used as a stock solution in DMSO. Final DMSO concentrations in experiments does not exceed 1.0% (v/v). The effect of agonists on cell viability is assessed in parental HEK293 cells and HEK–hP2X7 cells using the CellTiter-Blue assay. For inhibition experiments, AZD9056 is added to the cells at concentrations up to 10 μmol/L 5 min prior to the addition of ATP (2.5 mM) or BzATP (0.25 mM). After incubation for 30 min at 37°C, an aliquot (20 μL) of the prewarmed CellTiter-Blue reagent is added. Samples are incubated for 1 h at 37°C. Fluorescence signals are measured[1]. |

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| **Animal Administration** | Rats: To reveal the molecular mechanisms of action of P2X7R in articular cartilage in OA-induced pain and inflammation, the antagonist of P2X7R AZD9056 is used. Wistar rats are administered (by intra-articular injection) monosodium iodoacetate (MIA), and the rats with OA are then treated with the P2X7R antagonist, AZD9056[3]. |
| **References** | [1]. Seeland S, et al. ATP-induced cellular stress and mitochondrial toxicity in cells expressing purinergic P2X7 receptor. Pharmacol Res Perspect. 2015 Mar;3(2):e00123.<br>[2]. Elsby R, et al. In vitro risk assessment of AZD9056 perpetrating a transporter-mediated drug-drug interaction with methotrexate. Eur J Pharm Sci. 2011 May 18;43(1-2):41-9.<br>[3]. Hu H, et al. Blocking of the P2X7 receptor inhibits the activation of the MMP-13 and NF-κB pathways in the cartilage tissue of rats with osteoarthritis. Int J Mol Med. 2016 Dec;38(6):1922-1932. |