

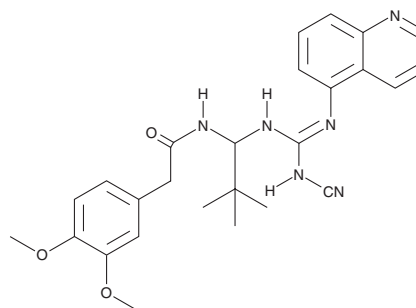
PRODUCT INFORMATION



A-740003

Item No. 21256

CAS Registry No.: 861393-28-4
Formal Name: N-[1-[[[(cyanoamino)(5-quinolinylimino)methyl]amino]-2,2-dimethylpropyl]-3,4-dimethoxy-benzeneacetamide
MF: C₂₆H₃₀N₆O₃
FW: 474.6
Purity: ≥98%
UV/Vis.: λ_{max}: 226, 282 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-740003 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-740003 in the solvent of choice, which should be purged with an inert gas. A-740003 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of A-740003 in these solvents is approximately 1 mg/ml. A-740003 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-740003 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. A-740003 has a solubility of approximately 0.2 mg/ml in a 1:4 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-740003 is a competitive antagonist of the ATP-gated ionotropic P2X₇ receptor (IC₅₀s = 40 and 18 nM for human and rat receptors, respectively).¹ It shows weak or no activity at other P2 receptors and other receptors and ion channels. A-740003 blocks agonist-induced IL-1β release and pore formation in differentiated THP-1 cells (IC₅₀s = 156 and 92 nM, respectively).¹ It is effective *in vivo* when administered intraperitoneally, reducing pain in several animal models.¹ A-740003 is used in cell and animal studies to elucidate P2X₇ roles and signaling pathways.²⁻⁴

References

1. Honore, P., Donnelly-Roberts, D., Namovic, M.T., *et al.* A-740003 [N-(1-[[[(cyanoimino)(5-quinolinylamino)methyl]amino]-2,2-dimethylpropyl]-2-(3,4-dimethoxyphenyl)acetamide], a novel and selective P2X₇ receptor antagonist, dose-dependently reduces neuropathic pain in the rat. *J. Pharmacol. Exp. Ther.* **319**(3), 1376-1385 (2006).
2. Donnelly-Roberts, D.L. and Jarvis, M.F. Discovery of P2X₇ receptor-selective antagonists offers new insights into P2X₇ receptor function and indicates a role in chronic pain states. *Br. J. Pharmacol.* **151**(5), 571-579 (2007).
3. Gicquel, T., Robert, S., Loyer, P., *et al.* IL-1β production is dependent on the activation of purinergic receptors and NLRP3 pathway in human macrophages. *FASEB J.* **29**(10), 4162-4173 (2015).
4. Grol, M.W., Brooks, P.J., Pereverzev, A., *et al.* P2X₇ nucleotide receptor signaling potentiates the Wnt/β-catenin pathway in cells of the osteoblast lineage. *Purinergic Signal.* **12**(3), 509-520 (2016).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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