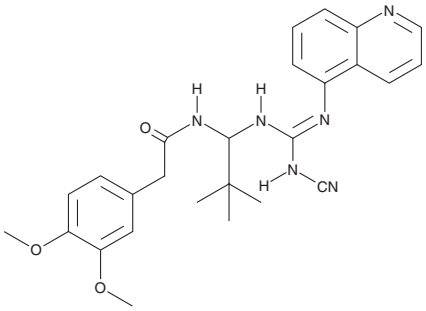
PRODUCT INFORMATION

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:	$C_{26}H_{30}N_6O_3$
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 $P2X_7$ receptor (IC_{50}s = 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 (IC_{50}s = 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 $P2X_7$ 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 $P2X_7$ 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 $P2X_7$ receptor-selective antagonists offers new insights into $P2X_7$ 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.* $P2X_7$ nucleotide receptor signaling potentiates the Wnt/β-catenin pathway in cells of the osteoblast lineage. *Purinergic Signal.* **12(3)**, 509-520 (2016).

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