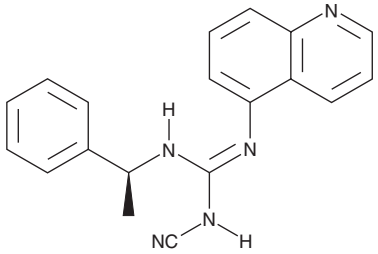
PRODUCT INFORMATION

A-804598

Item No. 20060

CAS Registry No.:	1125758-85-1
Formal Name:	N-cyano-N''-[(1S)-1-phenylethyl]-N'-5-quinolinyl-guanidine
MF:	$C_{19}H_{17}N_5$
FW:	315.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 304, 317 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-804598 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-804598 in the solvent of choice, which should be purged with an inert gas. A-804598 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of A-804598 in these solvents is approximately 30 mg/ml.

A-804598 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-804598 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. A-804598 has a solubility of approximately 0.05 mg/ml in a 1:20 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-804598 is a potent and selective competitive antagonist of the purinergic $P2X_7$ receptor, a ligand-gated ion channel, with IC_{50} values of 9.9 and 10.9 nM in 132N1 cells transfected with the rat or mouse receptor, respectively.[1] It inhibits IL-1β release in human THP-1 cells stimulated with LPS and IFN-γ (IC_{50} = 8.5 nM). A-804598 is selective for $P2X_7$ receptors over other P2X and P2Y receptors at concentrations up to 100 µM and over many G protein-coupled receptors, enzymes, transporters, and ion channels. A-804598 (25 mg/kg) prevents footshock-induced increases in IL-1β mRNA in the paraventricular nucleus of the rat hypothalamus.[2]

References

1. Donnelly-Roberts, D.L., Namovic, M.T., Surber, B., *et al.* [^{3}H]A-804598 ([^{3}H]2-cyano-1-[(1S)-1-phenylethyl]-3-quinolin-5-ylguanidine) is a novel, potent, and selective antagonist radioligand for $P2X_7$ receptors. *Neuropharmacology* **56(1)**, 223-229 (2009).
2. Cantazaro, J.M., Hueston, C.M., Deak, M.M., *et al.* The impact of the $P2X_7$ receptor antagonist A-804598 on neuroimmune and behavioral consequences of stress. *Behav. Pharmacol.* **25(5-6)**, 582-598 (2014).

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