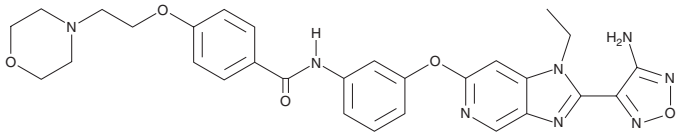
PRODUCT INFORMATION

GSK269962

Item No. 19180

CAS Registry No.:	850664-21-0
Formal Name:	N-[3-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-6-yl]oxy]phenyl]-4-[2-(4-morpholinyl)ethoxy]-benzamide
Synonyms:	GSK269962A, GSK269962B
MF:	$C_{29}H_{30}N_8O_5$
FW:	570.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 275 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

GSK269962 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK269962 in the solvent of choice, which should be purged with an inert gas. GSK269962 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of GSK269962 in these solvents is approximately 14 and 16 mg/ml, respectively.

GSK269962 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK269962 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK269962 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Two Rho-associated kinases (ROCKS), ROCK1 and ROCK2, act downstream of the G protein Rho to regulate cytoskeletal stability. The ROCKs play important roles in diverse cellular functions including cell adhesion and proliferation, smooth muscle contraction, and stem cell renewal. GSK269962 is a selective ROCK inhibitor with IC_{50} values of 1.6 and 6 nM for ROCK1 and ROCK2, respectively.[1] It displays greater than 30-fold selectivity for ROCK against a panel of serine/threonine kinases.[1] GSK269962 has been shown to block the generation of inflammatory cytokines in lipopolysaccharide-stimulated monocytes and to induce vasorelaxation in preconstricted rat aorta (IC_{50} = 35 nM).[1] Oral administration of 1-30 mg/kg GSK269962 can dose-dependently lower blood pressure in spontaneously hypertensive rats.[1]

Reference

1. Doe, C., Bentley, R., Behm, D.J., *et al.* Novel Rho kinase inhibitors with anti-inflammatory and vasodilatory activities. *J. Pharmacol. Exp. Ther.* **320(1)**, 89-98 (2007).

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