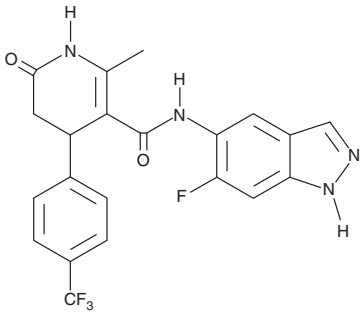
PRODUCT INFORMATION

GSK429286A

Item No. 15262

CAS Registry No.: 864082-47-3
Formal Name: N-(6-fluoro-1H-indazol-5-yl)-1,4,5,6-tetrahydro-2-methyl-6-oxo-4-[4-(trifluoromethyl)phenyl]-3-pyridinecarboxamide
MF: $C_{21}H_{16}F_4N_4O_2$
FW: 432.4
Purity: ≥98%
UV/Vis.: λ_{max}: 282, 362 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

GSK429286A is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK429286A in the solvent of choice. GSK429286A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of GSK429286A in ethanol is approximately 1 mg/ml and approximately 10 mg/ml in DMSO and DMF.

GSK429286A is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK429286A should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK429286A has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK429286A is a cell-permeable inhibitor of Rho-associated kinase (IC_{50} = 14 nM).[1] It less potently inhibits p90 and p70 ribosomal S6 kinases (IC_{50}s = 780 and 1,940 nM, respectively) and is ineffective against leucine-rich repeat protein kinase-2.[1,2] GSK429286A reverses adrenalin-induced contraction of rat aortic rings (IC_{50} = 190 nM) and produces a dose-dependent decrease in mean arterial pressure in spontaneously-hypertensive rats.[1]

References

1. Goodman, K.B., Cui, H., Dowdell, S.E., *et al*. Development of dihydropyridone indazole amides as selective Rho-kinase inhibitors. *J. Med. Chem.* **50(1)**, 6-9 (2007).
2. Nichols, R.J., Dzamko, N., Hutti, J.E., *et al*. Substrate specificity and inhibitors of LRRK2, a protein kinase mutated in Parkinson's disease. *Biochem. J.* **424(1)**, 47-60 (2009).

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