Small Molecules

GSK429286A

RHO/ROCK pathway inhibitor; Inhibits ROCK1 and ROCK2

Catalog # 73182

10 mg

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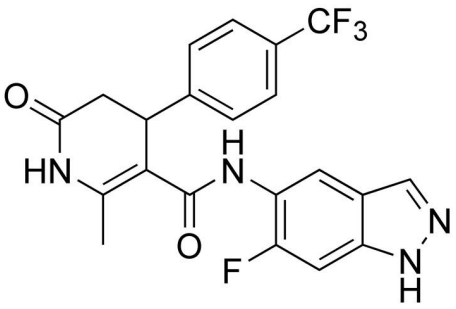
Product Description

GSK429286A is a cell-permeable inhibitor of Rho-associated kinases ROCK1 and ROCK2 with IC_{50} values of 14 and 63 nM, respectively. It has improved oral bioavailability compared to closely related inhibitors. It also shows some selectivity towards p90 and p70 ribosomal S6 kinases (RSK) and leucine-rich repeat protein kinase-2 (LRRK2), with IC_{50} values in the high nanomolar range (Goodman et al.; Nichols et al.).

Molecular Name:	GSK429286A
Alternative Names:	GSK 429286
CAS Number:	864082-47-3
Chemical Formula:	$C_{21}H_{16}F_4N_4O_2$
Molecular Weight:	432.4 g/mol
Purity:	≥ 98%
Chemical Name:	N-(6-fluoro-1H-indazol-5-yl)-6-methyl-2-oxo-4-[4-(trifluoromethyl)phenyl]-3,4-dihydro-1H-pyridine-5-carboxamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 20 mM · Absolute ethanol ≤ 2 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.31 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL
· Induces senescence-resistant proliferation of keratinocytes (Chapman et al.).
· ROCK inhibitors such as Y-27632 enhance the survival and cloning efficiency of human pluripotent stem cells when they are dissociated to single cells (Watanabe et al.)
DISEASE MODELING
· Reverses adrenalin-induced contraction of rat aortic tissue and decreases mean arterial pressure in spontaneously-hypertensive rats (Goodman et al.).

References

Chapman S et al. (2014) The effect of Rho kinase inhibition on long-term keratinocyte proliferation is rapid and conditional. Stem Cell Res Ther 5(2): 60.
Goodman KB et al. (2007) Development of dihydropyridone indazole amides as selective Rho-kinase inhibitors. J Med Chem 50(1): 6–9.
Nichols RJ et al. (2009) Substrate specificity and inhibitors of LRRK2, a protein kinase mutated in Parkinson's disease. Biochem J 424(1): 47–60.
Watanabe K et al. (2007) A ROCK inhibitor permits survival of dissociated human embryonic stem cells. Nat Biotechnol 25(6): 681–6.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).