Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

GSK429286A

Catalog No: tcsc0095

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
864082-47-3

Formula:
$C_{21}H_{16}F_4N_4O_2$

Pathway:
TGF-beta/Smad;Stem Cell/Wnt;Cell Cycle/DNA Damage

Target:
ROCK;ROCK;ROCK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 51 mg/mL (117.95 mM)

Observed Molecular Weight:
432.37

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Product Description

GSK429286A is a selective inhibitor of **ROCK1** with an **IC_{50}** value of 14 nM.

IC50 & Target: IC50: 14 nM (ROCK1)[1].

In Vitro: GSK429286A at 1 μM reduces ROCK2 activity over 20-fold, under conditions in which the only other kinase tested that is significantly inhibited is MSK1 whose activity is reduced ~5-fold. GSK429286A is a more selective ROCK2 inhibitor than the widely utilized ROCK inhibitor Y-27632 as assessed on kinase-specificity panel, and does not significantly inhibit LRRK2 even at doses as high as 30 μM (500-fold higher than IC_{50} of inhibition of ROCK2). GSK429286A slightly inhibits RSK and p70S6K with IC_{50} of 0.78 μM and 1.94 μM, respectively. GSK429286A significantly inhibits rat aortic ring dilation with IC_{50} of 190 nM[2].

In Vivo: GSK429286A has 61% oral bioavailability in male Sprague-Dawley rats. Oral administration of GSK429286A at single doses of 3-30 mg/kg dramatically reduces mean arterial pressure in the spontaneously hypertensive rats (SHRs) in a dose-dependent manner, with a maximum decrease of 50 mmHg after approximately 2 hours treatment at dose of 30 mg/kg[1].