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

GSK269962A

Catalog No: tcsc2790

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
850664-21-0

Formula:
$C_{29}H_{30}N_8O_5$

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

Target:
ROCK;ROCK;ROCK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 30 mg/mL (52.58 mM)

Alternative Names:
GSK 269962

Observed Molecular Weight:
570.6

Product Description

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GSK269962A is a potent **ROCK** inhibitor with **IC_{50}**s of 1.6 and 4 nM for recombinant human **ROCK1** and **ROCK2** respectively.

IC50 & Target: IC50: 1.6 nM (ROCK1), 4 nM (ROCK2)[1]

In Vitro: GSK269962A IC_{50} values of 1.6 nM toward recombinant human ROCK1. GSK269962A also exhibits more than 30-fold selectivity against a panel of serine/threonine kinases. GSK269962A induces vasorelaxation in preconstricted rat aorta with an IC_{50} of 35 nM. Both are highly potent toward human ROCK1 with IC_{50} of 1.6 nM for GSK269962A. On the other hand, GSK269962A has a significantly improved kinase selectivity profile with at least >30-fold selectivity against the panel of protein kinase tested[1].

In Vivo: Oral administration of GSK269965A (0.3, 1, and 3 mg/kg) induces a dose-dependent reduction in blood pressure in spontaneously hypertensive rat (SHR). The reduction of blood pressure is acute and substantial. The maximal effect on blood pressure is observed approximately 2 h after oral gavages for both compounds. Under a similar setting, oral administration of Y-27632 (10 and 30 mg/kg) also induced a dose-dependent decrease of blood pressure. For all three Rho kinase inhibitors, the reduction of blood pressure is accompanied by an acute, dose-dependent increase in heart rate, presumably due to the activation of baroreflex mechanism[1].