

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

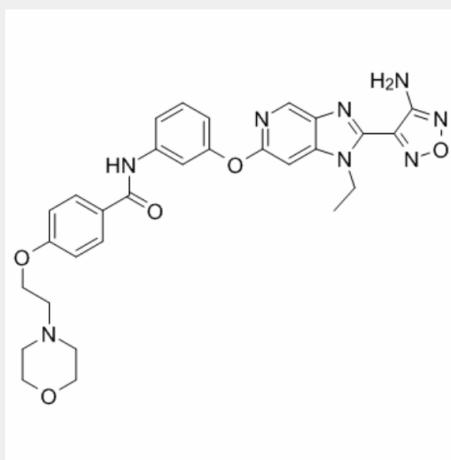
Product Description

GSK269962A is a potent **ROCK** inhibitor with **IC₅₀**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 **IC₅₀** 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 precontracted rat aorta with an **IC₅₀** of 35 nM. Both are highly potent toward human ROCK1 with **IC₅₀** 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].



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