

# **Certificate of Analysis**

Catalog Number	BP13244
Product Name	GSK269962A

### **Physical and Chemical Properties**

Synonyms	GSK 269962, GSK269962B, GSK269962A HCl
CAS No.	850664-21-0
Chemical Formula	C29H30N8O5
Molecular Weight	570.61
Solubility	Ethanol: 6 mg/mL (9.88 mM) DMSO: 57.1 mg/mL(100 mM); H2O: <1 mg/mL
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	H <sub>2</sub> P <sub>2</sub> P <sub>2</sub> P <sub>3</sub>

### **Product Information**

Description	GSK269962 is a selective ROCK(Rho-associated protein kinase) inhibitor with IC50 values of 1.6 and 4 nM for ROCK1 and ROCK2, respectively.
Targets&IC50	ROCK1:1.6 nM, ROCK2:4 nM
In vitro	GSK269962A completely abolished the actin stress fiber formation induced by angiotensin II in human smooth muscles. Such suppressive effect on actin fiber formation was observed beginning at around 1 $\mu M$ GSK269962A. GSK269962A induced vasorelaxation in preconstricted rat aorta(tissue baths) with an IC50 of 35 nM. the relaxation induced by GSK269962A is reversible. GSK269962A suppressed IL-6 mRNA transcription and reduced LPS-induced IL-6 and TNF- $\alpha$ protein production in macrophages
In vivo	Oral administration of GSK269962A produced a profound dose-dependent reduction of systemic blood pressure in spontaneously hypertensive rats. The reduction of blood pressure was acute and substantial. The maximal effect on blood pressure was observed approximately 2 h after oral gavages. The reduction of blood pressure was accompanied by an acute, dose-dependent increase in heart rate, presumably due to the activation of baroreflex mechanism. ROCK inhibition with the use of GSK 269962 in the 10 mg/kg dose, in turn, triggered an increase in VV(voided volume), PVR(post-void residual), VT(volume threshold), VE(voiding efficiency), ICI(intercontraction interval), BC(bladder compliance), and VTNVC(volume threshold to elicit NVC).

## **Analytical Data**

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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