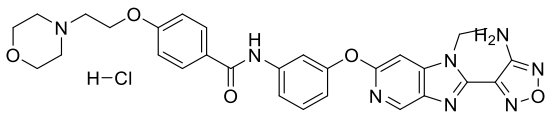
Product data sheet

MedKoo Cat#: 555430 Name: GSK269962 HCl CAS: 2095432-71-4 (HCl) Chemical Formula: $C_{29}H_{31}ClN_8O_5$ Molecular Weight: 607.068	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

GSK269962 is a selective ROCK inhibitor with IC50 values of 1.6 and 6 nM for ROCK-I and ROCK-II, respectively. GSK 269962 could reverse corticosterone-induced depressive-like behaviour and changes in cystometric parameters associated with detrusor overactivity, as well as undo the alterations of several biomarkers related to both disorders (i.e., pro-inflammatory/anti-inflammatory cytokines and neurotrophins) in serum, urinary bladder, and different brain structures.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100.0	164.73
Ethanol	28.0	46.12

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.65 mL	8.24 mL	16.47 mL
5 mM	0.33 mL	1.65 mL	3.29 mL
10 mM	0.16 mL	0.82 mL	1.65 mL
50 mM	0.03 mL	0.16 mL	0.33 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Doe C, Bentley R, Behm DJ, Lafferty R, Stavenger R, Jung D, Bamford M, Panchal T, Grygielko E, Wright LL, Smith GK, Chen Z, Webb C, Khandekar S, Yi T, Kirkpatrick R, Dul E, Jolivette L, Marino JP Jr, Willette R, Lee D, Hu E. Novel Rho kinase inhibitors with anti-inflammatory and vasodilatory activities. J Pharmacol Exp Ther. 2007 Jan;320(1):89-98. doi: 10.1124/jpet.106.110635. Epub 2006 Oct 3. PMID: 17018693.

In vivo study

1. Wróbel A, Doboszewska U, Rechberger E, Rojek K, Serefko A, Poleszak E, Skalicka-Woźniak K, Dudka J, Wlaź P. Rho kinase inhibition ameliorates cyclophosphamide-induced cystitis in rats. Naunyn Schmiedebergs Arch Pharmacol. 2017 Jun;390(6):613-619. doi: 10.1007/s00210-017-1361-8. Epub 2017 Feb 21. PMID: 28220212; PMCID: PMC5411406.

7. Bioactivity

Biological target:

GSK269962A hydrochloride (GSK 269962 hydrochloride) is a potent ROCK inhibitor with IC_{50}s of 1.6 and 4 nM for recombinant human ROCK1 and ROCK2 respectively.

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In vitro activity

In this article, this study characterized two aminofurazan-based inhibitors, GSK269962A [N-(3-{[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-1H-imidazo[4, 5-c]pyridin-6-yl]oxy}phenyl)-4-{[2-(4-morpholinyl)ethyl]-oxy}benzamide] and SB-7720770-B [4-(7-{[(3S)-3-amino-1-pyrrolidinyl]carbonyl}-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-1,2,5-oxadiazol-3-amine], as members of a novel class of compounds that potently inhibit ROCK enzymatic activity. GSK269962A and SB-772077-B have IC50 values of 1.6 and 5.6 nM toward recombinant human ROCK1, respectively. GSK269962A also exhibited more than 30-fold selectivity against a panel of serine/threonine kinases.

Reference: J Pharmacol Exp Ther. 2007 Jan;320(1):89-98. https://pubmed.ncbi.nlm.nih.gov/17018693/

In vivo activity

CYP injection resulted in a significant increase in cystometric parameters: basal pressure, threshold pressure, bladder contraction duration, relaxation time, detrusor overactivity index, non-voiding contractions amplitude, and non-voiding contractions frequency as well as increased Evans Blue extravasation into bladder tissue, whereas micturition voiding pressure, voided volume, post-void residual, volume threshold, intercontraction interval, bladder compliance, and volume threshold to elicit non-voiding contractions as well as urothelium thickness were significantly decreased in CYP-injected rats. Administration of GSK 269962 normalized the abovementioned CYP injection-induced changes. Inhibition of ROCK was found to ameliorate CYP-induced detrusor overactivity and bladder inflammation.

Reference: Naunyn Schmiedebergs Arch Pharmacol. 2017 Jun;390(6):613-619. https://pubmed.ncbi.nlm.nih.gov/28220212/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.