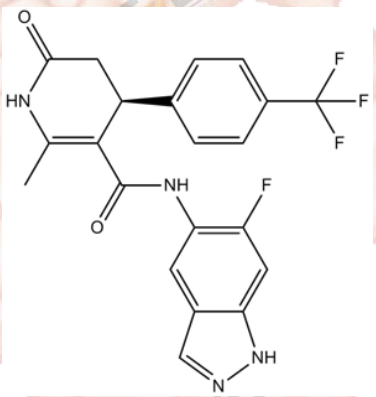
Product Data Sheet

GSK429286A

Cat. No.: A5611
CAS No.: 864082-47-3
Formula: C21H16F4N4O2
M.Wt: 432.37
Synonyms:
Target: TGF-β / Smad Signaling
Pathway: ROCK
Storage: Store at -20°C



Solvent & Solubility

In Vitro

⩾21.6 mg/mL in DMSO; insoluble in H2O; ⩾2.73 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.3128 mL	11.5642 mL	23.1283 mL
5 mM	0.4626 mL	2.3128 mL	4.6257 mL
10 mM	0.2313 mL	1.1564 mL	2.3128 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Selective ROCK1/ROCK2 inhibitor
IC_{50} & Target	14 nM (ROCK1), 63 nM (ROCK2)

In Vitro

Cell Viability Assay

Cell Line:	MDA-MB-231 (TRPM7 shRNA) cells and MCF7 (TRPM7 shRNA) cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM, 24 hours

	Applications:	GSK429286A caused Rho-kinase inhibition restored serum-induced transwell migration of TRPM7 knockdown cells without affecting MDA-MB-231 control cell migration. Likewise, gap-closure speed of MFC7 TRPM7 shRNA cells was rescued by Rho-kinase inhibition. In contrast to MDA- MB-231 cells, low concentrations of GSK429286A significantly increased gap-closure speed of MCF7 control cells.
In Vivo	**Animal experiment**	
	Animal models:	Male Sprague-Dawley rats
	Dosage form:	Oral gavage, 30 mg/kg
	Applications:	GSK429286A with 61% oral bioavailability, dramatically reduced mean arterial pressure in spontaneously hypertensive rats after oral administration dose-dependently. A maximum decrease of 50 mmHg was observed approximately 2 h after oral administration at 30 mg/kg.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Middelbeek J, Kuipers A J, Henneman L, et al. TRPM7 is required for breast tumor cell metastasis. Cancer research, 2012, 72(16): 4250-4261.

[2] Goodman K B, Cui H, Dowdell S E, et al. Development of dihydropyridone indazole amides as selective Rho-kinase inhibitors. Journal of medicinal chemistry, 2007, 50(1): 6-9.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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