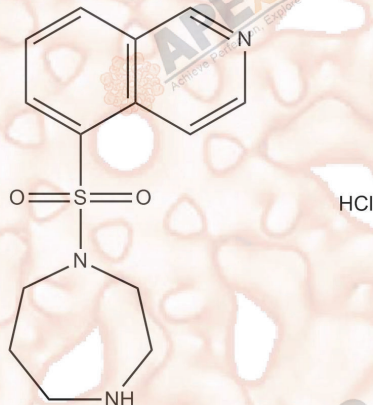


## Fasudil (HA-1077) HCl

<b>Cat. No.:</b>	A5734
<b>CAS No.:</b>	105628-07-7
<b>Formula:</b>	C <sub>14</sub> H <sub>17</sub> N <sub>3</sub> O <sub>2</sub> S·HCl
<b>M.Wt:</b>	327.83
<b>Synonyms:</b>	
<b>Target:</b>	TGF-β / Smad Signaling
<b>Pathway:</b>	ROCK
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

≥ 16.4mg/mL in DMSO, ≥ 4.81 mg/mL in EtOH with ultrasonic, ≥ 50 mg/mL in H<sub>2</sub>O

In Vitro

Preparing Stock Solutions	Solvent Concentration	Mass		
		1mg	5mg	10mg
	1 mM	3.0504 mL	15.2518 mL	30.5036 mL
	5 mM	0.6101 mL	3.0504 mL	6.1007 mL
	10 mM	0.3050 mL	1.5252 mL	3.0504 mL

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

### Biological Activity

Shortsummary

Protein kinase inhibitor

IC<sub>50</sub> & Target

In Vitro

#### Cell Viability Assay

Cell Line:	5637, UM-UC-3 and SCC-4 cell lines
Preparation method:	The solubility of this compound in DMSO is >16.4 mg/ml. 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:	0-30 μM
Applications:	Lysophosphatidic acid and geranylgeraniol induced an increase of cell

proliferation and migration in association with promotion of RhoA activity and upregulation of ROCK expression. Fasudil (HA-1077) could suppress cell proliferation and migration, and also induce apoptosis in a dose-dependent manner. Fasudil (HA-1077) also dramatically suppressed the expression of ROCK-I and ROCK-II, but did not affect RhoA activity.

#### Animal experiment

Animal models: Cbl/Cbl-b deficiency-driven murine model of myeloproliferative disorders

Dosage form: 100 mg/kg daily by oral gavage

Applications: In the Cbl/Cbl-b deficiency-driven murine model of myeloproliferative disorders, by 2 weeks of treatment, total white cell and monocyte counts were significantly lower in mice treated with fasudil. A trend towards improved survival in fasudil-treated mice that did not reach statistical significance was also observed. Notably, prolonged survival beyond 27 weeks was seen in two fasudil-treated mice, nearly twice the 16-week average life-span in the Cbl/Cbl-b DKO MPD model.

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.

In Vivo

## Product Citations

1. Deng X, Ji Z, et al. "Suppressing the Na(+)/H(+) exchanger 1: a new sight to treat depression." Cell Death Dis. 2019 May 8;10(5):370.PMID:31068571
2. Hu, Haiyan, et al. "Fasudil prevents calcium oxalate crystal deposit and renal fibrogenesis in glycoxylate-induced nephrolithic mice." Experimental and Molecular Pathology (2015).PMID:25697583

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## References

- [1] Abe, H., et al., The Rho-kinase inhibitor HA-1077 suppresses proliferation/migration and induces apoptosis of urothelial cancer cells. BMC Cancer, 2014. 14: p. 412.
- [2] William, B.M., et al., Fasudil, a clinically safe ROCK inhibitor, decreases disease burden in a Cbl/Cbl-b deficiency-driven murine model of myeloproliferative disorders. Hematology, 2015.

## 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 APEX BIO 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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