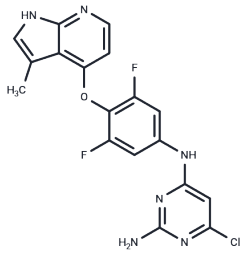
# Data Sheet (Cat.No.TQ0110)

TargetMol

## ROCK-IN-2

### Chemical Properties



CAS No. : 867017-68-3

Formula: C18H13ClF2N6O

Molecular Weight: 402.79

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

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| Description | ROCK-IN-2 (Azaindole 1) is a selective and ATP-competitive ROCK inhibitor with IC50 of 0.6 and 1.1 nM for human ROCK-1 and ROCK-2. |
| Targets(IC50) | ROCK |
| In vitro | Azaindole 1 a highly potent inhibitor of human ROCK-1 and ROCK-2 (IC50s: 0.6 and 1.1?nM) and also inhibits murine ROCK-2 or rat ROCK-2 (IC50s: 2.4 and 0.8?nM). Azaindole 1 also inhibits receptor tyrosine kinases TRK and FLT3, with IC50s of 252 and 303?nM, respectively, but shows slight inhibition of MLCK and ZIP-kinase with IC50s of 7.4?μM and 4.1?μM, respectively. Azaindole 1 induces vasorelaxation in vitro and suppresses the phenylephrine-induced contraction of the rabbit saphenous artery in a concentration-dependent manner (IC50: 65?nM). |
| In vivo | Azaindole 1 (0.03, 0.1, 0.3?mg/kg, i.v.) results in a dose-dependent and long-lasting decrease in blood pressure in anaesthetized normotensive rats. Azaindole 1 (3 and 10?mg/kg, p.o.) decreases blood pressure dose-dependently and persistently both in normotensive and hypertensive rats and shows such effects even at 1?mg/kg in hypertensive rats. Azaindole 1 (0.1 and 0.3?mg/kg, i.v. bolus injections) causes decreased mean arterial blood pressure in a dose-related manner and only leads to a moderate and dose-independent increase in heart rate of anesthetized dogs. |
| Animal Research | Male Wistar rats (300-350?g) are anesthetized with thiopental 100?mg/kg intraperitoneally (i.p.). A tracheotomy is performed and catheters are inserted into the femoral artery for blood pressure and heart rate measurements and into the femoral vein for test drug administration. The animals are ventilated with room air and their body temperature is controlled. Azaindole 1 is administered intravenously (i.v.) in doses of 0.03-0.1?mg/kg. The vehicle Transcutol/Cremophor EL/physiological saline (19/10/80 = v/v/v) without test drug is used as control. The volume administered is 1?mL/kg. Six animals are treated per group. |

### Solubility Information

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| Solubility | H2O: Insoluble,<br>DMSO: 30 mg/mL (74.48 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

| | |
|---|---|
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2 mg/mL (4.97 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.4827 mL | 12.4134 mL | 24.8268 mL |
| 5 mM | 0.4965 mL | 2.4827 mL | 4.9654 mL |
| 10 mM | 0.2483 mL | 1.2413 mL | 2.4827 mL |
| 50 mM | 0.0497 mL | 0.2483 mL | 0.4965 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kast R, et al. Cardiovascular effects of a novel potent and highly selective azaindole-based inhibitor of Rho-kinase. Br J Pharmacol. 2007 Dec;152(7):1070-80. Epub 2007 Oct 15.