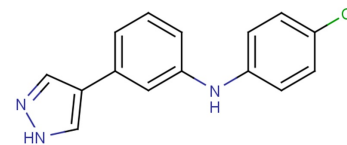


GKI-1

**Chemical Properties**

|                   |  |
|-------------------|--|
| CAS No.:          | T11402   |
| Formula:          | C <sub>15</sub> H <sub>12</sub> ClN <sub>3</sub>                       |
| Molecular Weight: | 269.73   |
| Appearance:       | N/A  |
| Storage:          | 0-4°C for short term (days to weeks), or -20°C for long term (months). |

**Biological Description**

|                            |  |
|----------------------------|--|
| Description                | GKI-1 robustly inhibits ROCK1 with an IC <sub>50</sub> of 11 $\mu$ M, but only weakly affected PKA. GKI-1 is a Greatwall (GWL) kinase inhibitor with IC <sub>50</sub> s of 4.9 and 2.5 $\mu$ M against hGWLFL and hGWL-KinDom, respectively.                                     |
| Targets(IC <sub>50</sub> ) | hGWLFL: 4.9 $\mu$ M<br>hGWL-KinDom: 4.9 $\mu$ M  |
| In vitro                   | Treatment of HeLa cells (25 and 50 $\mu$ M, 0-8.5 hours) with GKI-1 reduces ENSA/ARPP19 phosphorylation levels, resulting in a decrease in mitotic events, mitotic arrest/cell death and cytokinesis failure. GKI-1 inhibits full-length human GWL, and shows cellular efficacy. |

**Solubility Information**

|            |   |
|------------|---|
| Solubility | DMSO: 200 mg/mL (741.48 mM)<br>( < 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|---|

## Preparing Stock Solutions

|       | 1mg      | 5mg       | 10mg      |
|-------|----------|-----------|-----------|
| 1 mM  | 3.707 mL | 18.537 mL | 37.074 mL |
| 5 mM  | 0.741 mL | 3.707 mL  | 7.415 mL  |
| 10 mM | 0.371 mL | 1.854 mL  | 3.707 mL  |
| 50 mM | 0.074 mL | 0.371 mL  | 0.741 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

## Reference

1. Ocasio CA, et al. A first generation inhibitor of human Greatwall kinase, enabled by structural and functional characterisation of a minimal kinase domain construct. Oncotarget. 2016 Nov 1;7(44):71182-71197.

Inhibitors · Natural Compounds · Compound Libraries

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use.

Tel:781-999-4286

E-mail:[info@targetmol.com](mailto:info@targetmol.com)

Address:36 Washington Street,Wellesley Hills,MA 02481