

WWW.PROBECHEM.COM

## **Data Sheet**

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	RKI 1447 dihydrochloride
Cat. No.	:	PC-38731
CAS No.	:	1782109-09-4
Molecular Formula	:	C <sub>16</sub> H <sub>16</sub> Cl <sub>2</sub> N <sub>4</sub> O <sub>2</sub> S
Molecular Weight	:	399.290
Target	:	ROCK
Solubility	:	10 mM in DMSO

## **Biological Activity**

RKI-1447 is a potent small molecule inhibitor of **ROCK1** and **ROCK2** with IC50 of 14.5 nM and 6.2 nM, respectively, suppresses phosphorylation of the ROCK substrates MLC-2 and MYPT-1 in human cancer cells.

RKI-1447 binds the ATP binding site through interactions with the hinge region and the DFG motif.

RKI-1447 shows no effect on the phosphorylation levels of the AKT, MEK, and S6 kinase.

RKI-1447 inhibited migration, invasion and anchorage-independent tumor growth of breast cancer cells (MDA-MB-231 cells, IC50=709 nM).

RKI-1447 is highly effective at inhibiting the outgrowth of mammary tumors in a transgenic mouse model.

## References

Patel RA, et al. Cancer Res. 2012 Oct 1;72(19):5025-34.

Pireddu R, et al. *Med Chem Commun*. 2012;3(6):699–709.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com