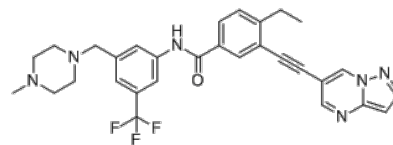


Product Name : DDR1 inhibitor 7rh
Cat. No. : PC-63518
CAS No. : 1429617-90-2
Molecular Formula : C₃₀H₂₉F₃N₆O
Molecular Weight : 546.598
Target : Discoidin Domain Receptor (DDR)
Solubility : 10 mM in DMSO



Biological Activity

DDR1 inhibitor 7rh is a potent, selective, ATP-competitive, orally available **Discoidin domain receptor 1 (DDR1)** inhibitor with IC₅₀ of 6.8 nM in cell-free kinase assays.

DDR1 inhibitor 7rh is significantly less potent in suppressing the kinase activities of DDR2 (IC₅₀=101 nM), Bcr-Abl (IC₅₀=355 nM), and c-Kit (IC₅₀>10 μM).

DDR1 inhibitor 7rh inhibits DDR1-mediated signaling induced by soluble collagen (50 μg/ml) in a concentration-dependent manner, inhibits activation of PYK2 and PEAK1, signaling proteins downstream of DDR1 in PANC-1 cells.

DDR1 inhibitor 7rh induces significant decrease of total protein levels of DDR1 and Bcl-xL, causes a significant reduction in the level of MMP-2 in NSCLS cells.

DDR1 inhibitor 7rh suppresses the growth of K562 human CML cells with IC₅₀ of 38 nM, A549, NCI-H23 and NCI-H460 human NSCLC cells with IC₅₀ of 2.7, 2.1 and 3.0 μM, respectively.

DDR1 inhibitor 7rh abrogates collagen-induced DDR1 signaling in pancreatic tumor cells and consequently reduces colony formation and migration, exhibits striking efficacy in combination with chemotherapy in orthotopic xenografts and autochthonous pancreatic tumors.

References

Aguilera KY, et al. *Mol Cancer Ther.* 2017 Nov;16(11):2473-2485.

Gao M, et al. *J Med Chem.* 2013 Apr 25;56(8):3281-95.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com