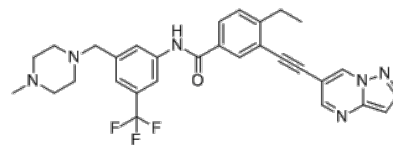


Product Name : DDR1 inhibitor 7rh
Cat. No. : PC-63518
CAS No. : 1429617-90-2
Molecular Formula : C₃₀H₂₉F₃N₆O
Molecular Weight : 546.598
Target : Discoidin Domain Receptor (DDR)
Solubility :



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); 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; 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; 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; 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

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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!

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