Data Sheet

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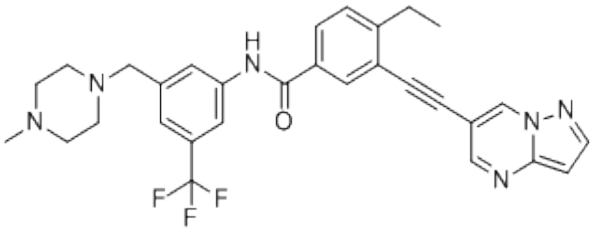
Product Name	:DDR1 inhibitor 7rh
Cat.No.	:URK-V2348
CAS No.	:1429617-90-2
Molecular Formula	:$C_{30}H_{29}F_3N_6O$
Molecular Weight	:546.598
Target	:$C_{30}H_{29}F_3N_6O$
Solubility	:



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 uM); inhibits DDR1-mediated signaling induced by soluble collagen (50 μg/ml) in a concentration-dependent manner, inhibits activation c 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

1. Aguilera KY, et al. Mol Cancer Ther. 2017 Nov;16(11):2473-2485.
2. Gao M, et al. J Med Chem. 2013 Apr 25;56(8):3281-95.

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