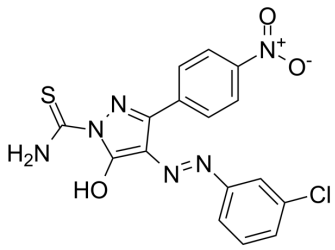
Hck-IN-1

Cat. No.:	HY-125028
CAS No.:	1473404-51-1
Molecular Formula:	$C_{16}H_{11}ClN_6O_3S$
Molecular Weight:	402.81
Target:	Src; HIV
Pathway:	Protein Tyrosine Kinase/RTK; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (31.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4826 mL	12.4128 mL	24.8256 mL
	5 mM	0.4965 mL	2.4826 mL	4.9651 mL
	10 mM	0.2483 mL	1.2413 mL	2.4826 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Hck-IN-1 (compound B9), a diphenylpyrazolo compound, is a selective Nef-dependent Hck inhibitor with IC_{50}s of 2.8 μM, >20 μM for Nef:Hck complex and Hck, respectively. Hck-IN-1 is a direct and wide HIV-1 Nef antagonists with an IC_{50} of 100-300 nM for wild-type HIV-1 replication. Hck-IN-1 binds pocket residue Asn126 and has anti-retroviral activity[1].

IC_{50} & Target

HIV-1 Nef
100-300 nM (IC_{50})

In Vitro

Hck-IN-1 (compound B9) shows weak activity against other Src-family members in vitro, with IC_{50} values >20 μM for c-Src, Lck and Lyn[1].
B9 (1 μM; 8 days) completely inhibits Nef-dependent SFK activation at a concentration of 1.0 μM[1].
Hck-IN-1 (0.1, 0.3, 1, 3 μM) also inhibits Nef-mediated enhancement of HIV-1 infectivity in a concentration-dependent manner in the reporter cell line, TZM-bl[1].
Hck-IN-1 inhibits the replication of all eleven HIV-1 Nef chimeras with IC_{50} values of ~ 300 nM in CEM-T4 cells, demonstrating that the compound is broadly active against HIV replication supported by a wide range of HIV-1 Nef proteins[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	CEM-T4 cells
Concentration:	1 μM
Incubation Time:	8 days
Result:	Completely inhibited Nef-dependent SFK activation at a concentration of 1.0 μM.

REFERENCES

[1]. Emert-Sedlak LA, et al. Effector kinase coupling enables high-throughput screens for direct HIV-1 Nef antagonists with antiretroviral activity. Chem Biol. 2013 Jan 24;20(1):82-91.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA