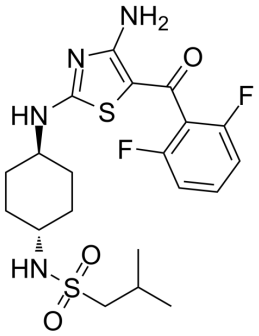
CRK12-IN-1

Cat. No.:	HY-145812
CAS No.:	1990479-14-5
Molecular Formula:	$C_{20}H_{26}F_2N_4O_3S_2$
Molecular Weight:	472.57
Target:	Parasite
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CRK12-IN-1 is a potent CRK12 inhibitor. CRK12-IN-1 is extremely potent against T.b. brucei and rapidly cytocidal, as well as equally potent against T. congolense and T. vivax (EC_{50} of 1.3 and 18 nM, respectively)[1].
IC_{50} & Target	EC_{50}: 1.3 nM (T. congolense), 18 nM (T. vivax)[1]
In Vitro	CRK12-IN-1 (compound 1) (10 mg/mL; 69 hours) is extremely potent against T.b. brucei and in animal models of T.b. brucei infection, as well as a potent inhibitor of T. congolensei (EC_{50} of 1.3 and 18 nM, respectively)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CRK12-IN-1 (compound 1) (50 or 10 mg/kg; s.c.; once daily for 4 days) can elicit sterile cure in against T. congolense infected mice, also has the same effect but marginally more efficacious (30 or 3 mg/kg; s.c.; daily, for 4 days) in against T. vivax infected mice[1]. CRK12-IN-1 (5 mg/kg, s.c., twice 12 h apart for 4 days) can suppress parasitaemia below the level of detection in T. congolense infected calves, but parasitaemia quickly re-emerges following the cessation of treatment[1]. CRK12-IN-1 (10 mg free base/kg; s.c.; for 0.08-8 hours) has 74% of bioavailability with a half-life of about 1 hour in female NMRI mice[1]. CRK12-IN-1 (i.m. at 5 mg free base/kg or i.v. at 2.5 mg free base/kg; 0.25-120 hours) has a moderate clearance from the blood and a relatively short half-life (about1.8 h) in male ruminating Holstein-Friesian, and penetrate tissues beyond the vasculature[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Smith A, et al. Repositioning of a Diaminothiazole Series Confirmed to Target the Cyclin-Dependent Kinase CRK12 for Use in the Treatment of African Animal Trypanosomiasis [published online ahead of print, 2022 Mar 18]. J Med Chem. 2022;10.1021/acs.jmedchem.1c02104.

Caution: Product has not been fully validated for medical applications. For research use only.

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