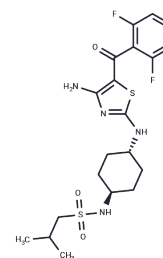


CRK12-IN-1

Chemical Properties

CAS No. :	1990479-14-5
Formula:	C ₂₀ H ₂₆ F ₂ N ₄ O ₃ S ₂
Molecular Weight:	472.57
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	CRK12-IN-1 is a potent CRK12 inhibitor with insecticidal activity and exhibits inhibitory effects on Trypanosoma brucei (T.b. brucei) and Trypanosoma congolense (T. congolense) with EC50s of 1.3 and 18 nM, respectively, rapidly killing the pathogenic cells.
Targets(IC50)	Antibiotic,Parasite
In vitro	CRK12-IN-1(compound 1) (10 mg/mL; 69 h) demonstrates exceptional potency against T.b. brucei, proving highly effective in animal models of T.b. brucei infection. Additionally, it serves as a potent inhibitor of T. congolense, with EC50 values of 1.3 and 18 nM, respectively.[1]
In vivo	CRK12-IN-1 (compound 1) demonstrates moderate blood clearance and a short half-life (~1.8 h) in male ruminating Holstein-Friesian cattle, penetrating tissues beyond the vasculature (5 mg free base/kg, i.m. or 2.5 mg free base/kg, i.v.; 0.25-120 h). It reduces parasitemia in T. congolense-infected calves but does not prevent re-emergence after treatment ends (5 mg/kg, s.c., twice 12 h apart for 4 days). In female NMRI mice, CRK12-IN-1 shows 74% bioavailability and a half-life of ~1 h (10 mg free base/kg, s.c., 0.08-8 h). It achieves sterile cure against T. congolense in mice (50 or 10 mg/kg, s.c., once daily for 4 days) and is slightly more efficacious against T. vivax-infected mice (30 or 3 mg/kg, s.c., daily for 4 days).

Solubility Information

Solubility	DMSO: 60 mg/mL (126.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1161 mL	10.5804 mL	21.1609 mL
5 mM	0.4232 mL	2.1161 mL	4.2322 mL
10 mM	0.2116 mL	1.058 mL	2.1161 mL
50 mM	0.0423 mL	0.2116 mL	0.4232 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Smith A, et al. Repositioning of a Diaminotiazole Series Confirmed to Target the Cyclin-Dependent Kinase CRK12 for Use in the Treatment of African Animal Trypanosomiasis. *J Med Chem.* 2022;65(7):5606-5624.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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