NFAT Transcription Factor Regulator-1

Cat. No.: HY-112778

CAS No.: 245747-71-1

Molecular Formula: $C_{17}H_{10}F_6N_4O_2$

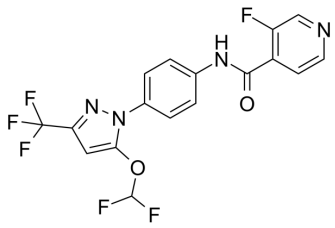
Molecular Weight: 416.28

Target: Interleukin Related

Pathway: Immunology/Inflammation

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 : 100 mg/mL (240.22 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4022 mL	12.0111 mL	24.0223 mL
	5 mM	0.4804 mL	2.4022 mL	4.8045 mL
	10 mM	0.2402 mL	1.2011 mL	2.4022 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (12.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (12.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NFAT Transcription Factor Regulator-1 is an IL-2 synthesis inhibitor with an IC_{50} of 182 nM.

IC_{50} & Target

IL-2
182 nM (IC_{50})

In Vitro

NFAT Transcription Factor Regulator-1 (compound example 19) inhibits IL-2 synthesis with an IC_{50} of 182 nM. NFAT Transcription Factor Regulator-1 inhibits human and rat PBMC proliferation with IC_{50}s of 82 and 146 nM, respectively. NFAT Transcription Factor Regulator-1 is able to inhibit IL-4 and IL-5 production in human T-cell lines with similar potency to its effects on IL-2 release[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	NFAT Transcription Factor Regulator-1 is found to have an inhibitory potency approximately 10-fold better than that of cyclosporine. Comparable inhibitory effects on T-cell IL-2 production are obtained with NFAT Transcription Factor Regulator-1 and cyclosporine at doses of 3.0 and 30 mg/kg, po, respectively. The efficacies achieved in monkeys in vivo for blocking T-cell cytokine production suggest that NFAT Transcription Factor Regulator-1 has potential similar to that of cyclosporine for use in transplantation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Monkey[1] Cynomolgus monkeys are bled to obtain heparinized baseline samples for measuring predrug cytokine production and then briefly intubated for intragastric dosing. Cyclosporine is administered in the Neoral formulation. Compound 19 is given. Postdrug blood samples are similarly obtained 2 h later. The samples are stimulated by spiking undiluted blood with PMA (50 ng/mL) and ionomycin (1μg/mL) and incubating for 24 h. Plasma samples are collected by centrifugation, and IL-2 concentrations are determined by ELISA using recombinant human IL-2 as standard[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Djuric SW, et al. 3,5-Bis(trifluoromethyl)pyrazoles: a novel class of NFAT transcription factor regulator. J Med Chem. 2000 Aug 10;43(16):2975-81.

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