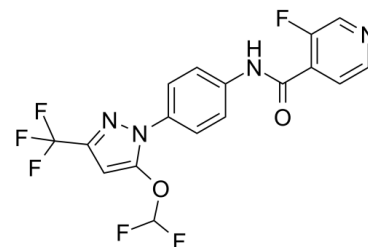


Data Sheet

Product Name:	NFAT Transcription Factor Regulator-1
Cat. No.:	CS-0063874
CAS No.:	245747-71-1
Molecular Formula:	C ₁₇ H ₁₀ F ₆ N ₄ O ₂
Molecular Weight:	416.28
Target:	Interleukin Related
Pathway:	Immunology/Inflammation
Solubility:	DMSO : ≥ 150 mg/mL (360.33 mM)



BIOLOGICAL ACTIVITY:

NFAT Transcription Factor Regulator-1 is an **IL-2 synthesis** inhibitor with an **IC₅₀** of 182 nM. IC₅₀ & Target: IC₅₀: 182 nM (IL-2 synthesis)^[1] **In Vitro:** NFAT Transcription Factor Regulator-1 (compound example 19) inhibits IL-2 synthesis with an IC₅₀ of 182 nM. NFAT Transcription Factor Regulator-1 inhibits human and rat PBMC proliferation with IC₅₀s 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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 (1 μg/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].

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.

CAIndexNames:

4-Pyridinecarboxamide, N-[4-[5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-fluoro-

SMILES:

O=C(C1=C(F)C=NC=C1)NC2=CC=C(N3N=C(C(F)(F)F)C=C3OC(F)F)C=C2

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

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