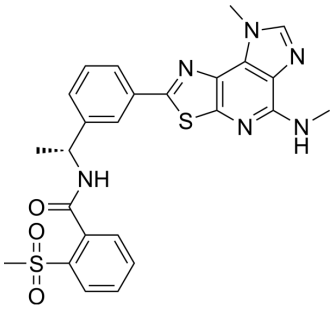
Tyk2-IN-3

Cat. No.:	HY-18709
CAS No.:	1779493-12-7
Molecular Formula:	$C_{25}H_{24}N_6O_3S_2$
Molecular Weight:	520.63
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tyk2-IN-3 is a Tyk2 pseudokinase inhibitor, with an IC_{50} of 485 nM.
IC_{50} & Target	Tyk2 485 nM (IC_{50})
In Vivo	Tyk2-IN-3 (compound 1) is very potent against the Tyk2 pseudokinase (99% inhibition at 1 μM) but lacks potency against all other kinases in the kinome assay panel except IKK (96% inhibition) and the JAK1 pseudokinase domain (99% inhibition at 1 μM). No evidence of binding to the catalytic domain of Tyk2 or any other JAK family kinase is evident at 1 μM, and subsequent enzymatic assays confirm the lack of activity against purified catalytic domains (IC_{50}>>2 μM). The pseudokinase domains of JAK2 and JAK3 are not part of the kinome screening panel. In the IL-23-stimulated kit225 T cell assay, Tyk2-IN-3 inhibits the stimulated response with an IC_{50} of 485±143 nM (n=3)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tokarski JS, et al. Tyrosine Kinase 2-mediated Signal Transduction in T Lymphocytes Is Blocked by Pharmacological Stabilization of Its Pseudokinase Domain. J Biol Chem. 2015 Apr 24;290(17):11061-74.

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