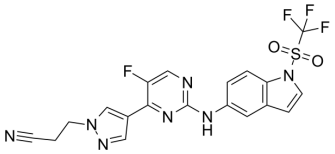
JAK2/TYK2-IN-1

Cat. No.:	HY-143884
CAS No.:	2613434-12-9
Molecular Formula:	$C_{19}H_{13}F_4N_7O_2S$
Molecular Weight:	479.41
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

JAK2/TYK2-IN-2 is a potent and selective TYK2 inhibitor with IC_{50} values of 9 and 157 nM for TYK2 and JAK2, respectively. JAK2/TYK2-IN-2 has anti-inflammatory activity[1].

IC_{50} & Target

Tyk2	JAK2
9 nM (IC_{50})	157 nM (IC_{50})

In Vitro

JAK2/TYK2-IN-2 (compound 14l; 0-10000 nM) inhibits the phosphorylation of STAT in vitro[1].

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

Western Blot Analysis[1]

Cell Line:	TF-1, H9, TF-1, THP-1 cells
Concentration:	0-10000 nM
Incubation Time:	
Result:	After stimulation with IL-6 and IFN-α at a concentration of 100 to 5000 nM, JAK2/TYK2-IN-2 reduced JAK1/JAK2/TYK2 and JAK1/TYK2-dependent signal transduction in a dose-dependent manner and remarkably reduced IFN-α-induced phosphorylation at 10 000 nM.

In Vivo

JAK2/TYK2-IN-2 (10 and 20 mg/kg; oral administration; twice a day for 6 consecutive days) exhibits anti-inflammatory activity in a dose-dependent manner[1].

JAK2/TYK2-IN-2 (5, 20 mg/kg; oral administration; twice a day for 12 days) leads to a low oral bioavailability[1].

Pharmacokinetic Parameters of JAK1/TYK2-IN-2 in Male Sprague-Dawley rats[1]

PK parameters	iv	PK parameters	p.o.
$AUC_{(0-t)}$ (μg/L*h)	29.00	$AUC_{(0-t)}$ (μg/L*h)	13.89
$MRT_{(0-t)}$ (h)	4.98	$MRT_{(0-t)}$ (h)	2.76

$t_{1/2}$ (h)	5.95	$t_{1/2}$ (h)	3.64
Cl (L/min/kg)	1.58	Cl (L/min/kg)	20.55
V_{ss} (L/kg)	985.41	V_{ss} (L/kg)	6564.28
C_{max} (μg/L)	48.55	C_{max} (μg/L)	8.00
		T_{max} (h)	1.00
		F	11.96%

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Animal Model:	Six-eight week old male C57BL/6 mice, 20-22 g (acute mice colitis model)[1]
Dosage:	10, 20 mg/kg (dissolved in 5% EtOH, 1% Propylene glycol, 0.5% Tween 80 and 92.5% physiological saline)
Administration:	Oral administration, twice a day; 6 consecutive days
Result:	Exhibited anti-inflammatory activity and have a good therapeutic effect on inflammatory bowel disease (IBD) in a dose-dependent manner.

CUSTOMER VALIDATION

- Neural Regen Res. 2023 May;18(5):1132-1138.

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REFERENCES

[1]. Zhang C, et al. Discovery of 3-(4-(2-((1H-Indol-5-yl) amino)-5-fluoropyrimidin-4-yl)-1H-pyrazol-1-yl) propanenitrile Derivatives as Selective TYK2 Inhibitors for the Treatment of Inflammatory Bowel Disease. J Med Chem. 2021; 64(4):1966-1988.

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