Product Datasheet

BLKR201

Catalog No. **BP-08761** · CAS **3066134-43-5** · Form **free base** · Physical state **solid** · Version **1.0** · Revision **2026-11-13**

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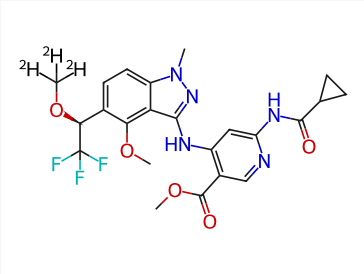
Target	Research area	Pathway
TYK2 (specifically JH2/pseudokinase domain)	**Autoimmune diseases, Inflammatory disorders, Dermatology (Psoriasis), Neurology (MS/Alzheimer's)**	**JAK/STAT Signaling Pathway**

Molecular formula	$C_{23}H_{24}F_3N_5O_5$
Molecular weight	**510.4873**

STRUCTURE



DESCRIPTION

A novel, highly potent, and selective N-heterocyclic inhibitor targeting Tyrosine Kinase 2 (TYK2), specifically binding to its pseudokinase (JH2) domain. The compound is disclosed under patents WO2024255164A1 and WO2024255885A1. By targeting the regulatory JH2 domain rather than the conserved JH1 catalytic domain, it achieves an exceptional selectivity profile (Selectivity Index: 162.94), avoiding the typical off-target toxicities associated with broader JAK1/JAK2/JAK3 inhibition. Preliminary ADME evaluation in human (Homo sapiens) and canine (Canis lupus familiaris) models demonstrates favorable pharmacokinetic properties, characterized by a human plasma half-life (t1/2) of 2.11 hours and a metabolic clearance rate (CLint) of 1.095 x 10^4 mL/min/kg.

BIOLOGICAL ACTIVITY

1. Enzymatic & Cellular Potency (IC50)

• TYK2 (JH2 Domain) Inhibition: Exhibits sub-nanomolar to nanomolar enzymatic potency, with an IC_{50} value reaching 0.000177 μM (1.77×10^{-4} μM).

• JAK1 Cross-Reactivity: Demonstrates weak/moderate inhibition against JAK1 (IC_{50} = 0.02884 μM), showcasing strong choice selectivity for TYK2 over other JAK family members (JAK2 IC_{50} > 5 μM).

• Downstream Signaling Blockade: Efficiently blocks the downstream STAT1 (alpha/beta) signaling pathway with cellular IC_{50} values ranging from 0.00373 μM to 0.05649 μM.

• Cellular Functional Assay (BaF3): Inhibits cell proliferation in BaF3 cell lines with an IC_{50} of 0.00285 μM.

2. Therapeutic Indicators & Applications

Based on its bioactivity footprint, the compound shows strong efficacy indications as a therapeutic agent across multiple disease areas:

• Inflammatory & Autoimmune Diseases: Highly indicated for Psoriasis (Antipsoriatic), Rheumatoid Arthritis (Antirheumatic), and general anti-inflammatory pathologies.

• Nervous System Disorders: Potential applications as an anti-Alzheimer, anti-multiple sclerosis (MS), and

antiparkinsonian agent.

• Respiratory & Fibrotic Diseases: Indicated for Asthma (Antiasthmatics) and antifibrotic treatments.

• Oncology & Metabolism: Shows secondary potential as an antitumor agent and in treating metabolic diseases (e.g., Antidiabetic).

REFERENCES

[1]. Li, X. (2024). Preparation of N-Heterocycles as TYK2 inhibitors. World Intellectual Property Organization. Patent No. WO2024255164 A1.

[2]. Li, X. (2024). Preparation of N-heterocycles as TYK2 inhibitors. World Intellectual Property Organization. Patent No. WO2024255885 A1.