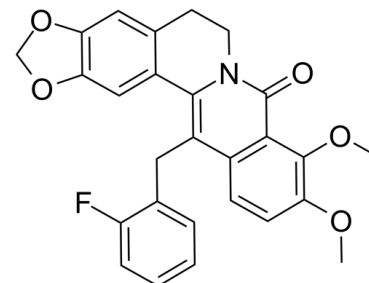


Data Sheet

Product Name:	KRN5
Cat. No.:	CS-0043390
CAS No.:	1800465-47-7
Molecular Formula:	C ₂₇ H ₂₂ FNO ₅
Molecular Weight:	459.47
Target:	Others
Pathway:	Others
Solubility:	H ₂ O : < 0.1 mg/mL (insoluble); DMSO : 6 mg/mL (13.06 mM); Need ultrasonic)



BIOLOGICAL ACTIVITY:

KRN5, a derivative of KRN2, is an oral active **Nuclear factor of activated T cells 5 (NFAT5)** suppressor, with an **IC₅₀** of 750 nM. KRN5 has potential to treat NFAT5-mediated Chronic Arthritis^[1]. **IC₅₀ & Target:** IC₅₀: 750 nM (NFAT5)^[1]. **In Vitro:** KRN5 is less toxic than BBR as determined by a cytotoxicity assay, hERG K⁺ channel assay, cytochrome inhibition assay, and liver microsomal metabolic stability test, which makes it a potential drug candidate^[1].

KRN5 at a concentration of 1 μM inhibits the expressions of NFAT5, IL-6, MCP-1, and GM-CSF, which are NFAT5 target molecules, in RAW264.7 macrophages stimulated with LPS^[1].

In Vivo: Oral feeding of KRN5 (15 mg/kg and 60 mg/kg) every other day for 3 weeks from day 21 dose-dependently mitigates arthritis severity^[1].

The concentration of serum anti-type II collagen IgG also significantly decreases in the sera of KRN5-treated mice. TNF-α and IL-6 production by LPS-stimulated splenocytes are significantly lower in KRN5-treated CIA mice than in vehicle-treated mice^[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: ^[1]Mice^[1]

To induce adjuvant induced arthritis (AIA) in **mice**, 2 mg of complete Freund's adjuvant is injected intradermally to 8-week-old C57BL/6 mice. KRN2 (3 mg/kg) is given to AIA mice via daily peritoneal injection, and swelling in the ankle and footpad is measured for 2 weeks. To induce Collagen induced arthritis (CIA) in mice, 8-week-old DBA/1J mice are immunized with bovine type II collagen emulsified in CFA on day 0. On day 14, a booster injection of CII in incomplete Freund's adjuvant is given in the left footpad. After one week, KRN2 (3 mg/kg) is injected daily into the CIA mice. Other CII-immunized mice receive **KRN5 orally (15 mg/kg and 60 mg/kg)** on alternate days. Paws and ankles are harvested on day 40, and the degrees of inflammation, synovial proliferation, and bone destruction are evaluated^[1].

References:

[1]. Han EJ, et al. Suppression of NFAT5-mediated Inflammation and Chronic Arthritis by Novel κB-binding Inhibitors. EBioMedicine. 2017 Apr;18:261-273.

CAIndexNames:

8H-Benzo[g]-1,3-benzodioxolo[5,6-a]quinolizin-8-one, 13-[(2-fluorophenyl)methyl]-5,6-dihydro-9,10-dimethoxy-

SMILES:

COC1=C(OC)C2=C(C=C1)C(CC3=CC=CC=C3F)=C4N(C2=O)CCC(C4=C5)=CC6=C5OCO6

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA