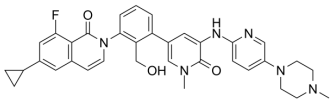
RN486

Cat. No.:	HY-18018
CAS No.:	1242156-23-5
Molecular Formula:	$C_{35}H_{35}FN_6O_3$
Molecular Weight:	606.69
Target:	Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 24 mg/mL (39.56 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.6483 mL	8.2414 mL	16.4829 mL
5 mM	0.3297 mL	1.6483 mL	3.2966 mL
10 mM	0.1648 mL	0.8241 mL	1.6483 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

RN486 is a potent, selective and orally active Btk inhibitor with an IC_{50} of 4.0 nM and a K_d of 0.31 nM. RN486 is less active for other kinases. RN486 can be used for rheumatoid arthritis and systemic lupus erythematosus research[1][2][3].

IC_{50} & Target

IC50: 4.0 nM (Btk)[1]
Kd: 0.31 nM (Btk)[1]

In Vitro

RN486 blocks Fcε receptor cross-linking-induced degranulation in mast cells (IC_{50} = 2.9 nM), Fcγ receptor engagement-mediated tumor necrosis factor α production in monocytes (IC_{50} = 7 nM), and B cell antigen receptor-induced expression of an activation marker, CD69, in B cells in whole blood (IC_{50} = 21 nM)[1].
In a co-culture system consisting of human primary synovial FLS and activated human platelets, convulxin stimulation resulted in elevated production of pro-inflammatory cytokines, IL-6 and IL-8, an effect which is dose-dependently blocked by RN486[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

RN486 produces robust anti-inflammatory and bone-protective effects in mouse CIA and rat adjuvant-induced arthritis (AIA)

models. In the AIA model, RN486 (1-30 mg/kg) inhibits both joint and systemic inflammation, reducing both paw swelling and inflammatory markers in the blood[1].

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

CUSTOMER VALIDATION

- Leukemia. 2021 Feb 1.
- Blood Adv. 2020 Jun 9;4(11):2439-2450.
- J Biomol Screen. 2015 Aug;20(7):876-86.

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REFERENCES

[1]. Xu D, et al. RN486, a selective Bruton's tyrosine kinase inhibitor, abrogates immune hypersensitivity responses and arthritis in rodents. J Pharmacol Exp Ther. 2012 Apr;341(1):90-103.

[2]. Hsu J, et al. Bruton's Tyrosine Kinase mediates platelet receptor-induced generation of microparticles: a potential mechanism for amplification of inflammatory responses in rheumatoid arthritis synovial joints. Immunol Lett. 2013 Feb;150(1-2):97-104.

[3]. Mina-Osorio P, et al. Suppression of glomerulonephritis in lupus-prone NZB × NZW mice by RN486, a selective inhibitor of Bruton's tyrosine kinase. Arthritis Rheum. 2013 Sep;65(9):2380-91.

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