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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Poseltinib

Cat. No.: HY-109010

CAS No.: 1353552-97-2

Molecular Formula: $C_{26}H_{26}N_6O_3$

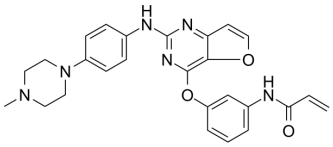
Molecular Weight: 470.52

Target: Btk; BMX Kinase; Toll-like Receptor (TLR)

Pathway: Protein Tyrosine Kinase/RTK; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (212.53 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1253 mL	10.6265 mL	21.2531 mL
5 mM	0.4251 mL	2.1253 mL	4.2506 mL
10 mM	0.2125 mL	1.0627 mL	2.1253 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 7.5 mg/mL (15.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (15.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Poseltinib (HM71224) is an orally active, selective, irreversible small molecule Bruton tyrosine kinase (BTK) inhibitor. With an IC_{50} of 1.95 nM. Poseltinib effectively inhibits the signaling mediated by B cell receptors (BCR), Fc receptors (FcR), and Toll-like receptors (TLR). Poseltinib has anti-inflammatory activity and can be used in the research of rheumatoid arthritis[1][2][3].

IC_{50} & Target

TLRs

In Vitro

Poseltinib (0.1-100 nM, 30 min) inhibits BCR and FcR signaling in B cells[1].
Poseltinib (1-1000 nM, 1 h) inhibits the phosphorylation of Btk and its downstream molecules such as PLCγ2, in activated Ramos B lymphoma cells in a dose-dependent manner.
Inhibits the production of tumor necrosis factor (TNF)-α, interleukin (IL)-6, and IL-1β by human monocytes, and osteoclast

formation by human monocytes in primary human B cells [2].
[3].

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

Western Blot Analysis[1]

Cell Line:	B cells
Concentration:	0.1-100 nM
Incubation Time:	30 min
Result:	Blocked both autophosphorylation of BTK and phosphorylation of PLCγ2 with IC_{50} values of less than 10 nM. Decreased the production of both TNF-α and IL-6 in a dose-dependent manner.

In Vivo

Poseltinib (3,-30 mg/kg, p.o, once a day, from 18 to 40 weeks) reduces the overactivity of B cells by inhibiting BTK, alleviating the development of systemic lupus erythematosus (SLE) and lupus nephritis (LN)[1].
Poseltinib (1-30 mg/kg p.o, once a day for 2 weeks) improves experimental arthritis in mice [2].

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

Animal Model:	MRL/lpr mice and NZB/W F1 mice models[1]
Dosage:	3-30 mg/kg
Administration:	p.o
Result:	Decreased the spleen weights and prevented skin lesion progression. Ameliorated renal injury and inflammation and improved the survival rate.

Animal Model:	Collagen-induced arthritis (CIA) mouse model[2]
Dosage:	1-30 mg/kg
Administration:	p.o
Result:	Reduced the serum IL-6, circulating anti-collagen antibodies and total IgG levels. Reduced erosive bone changes and prevented bone loss.

REFERENCES

[1]. Park JK, et al. HM71224, a novel Bruton's tyrosine kinase inhibitor, suppresses B cell and monocyte activation and ameliorates arthritis in a mouse model: a potential drug for rheumatoid arthritis. Arthritis Res Ther. 2016 Apr 18;18:91.

[2]. Byun JY, et al. Target modulation and pharmacokinetics/pharmacodynamics translation of the BTK inhibitor poseltinib for model-informed phase II dose selection. Sci Rep. 2021 Sep 21;11(1):18671.

[3]. Kim YY, et al. HM71224, a selective Bruton's tyrosine kinase inhibitor, attenuates the development of murine lupus. Arthritis Res Ther. 2017 Sep 26;19(1):211.

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

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