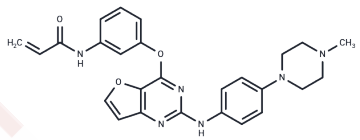
Data Sheet (Cat.No.T4413)

TargetMol

Poseltinib

Chemical Properties



CAS No. : 1353552-97-2

Formula: C26H26N6O3

Molecular Weight: 470.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Poseltinib is an orally active, selective, and irreversible inhibitor of Bruton's tyrosine kinase (BTK), with an IC50 value of 1.95 nM. Poseltinib demonstrates 0.3-, 2.3-, and 2.4-fold higher selectivity for BTK over BMX, TEC, and TXK, respectively. Poseltinib covalently binds to cysteine 481 in BTK's active site, thereby inhibiting BCR-, FcR-, and TLR-mediated signaling pathways.
Targets(IC50)	Others,BTK,TLR
In vitro	**Methods**: Ramos B lymphoma cells of Homo sapiens were treated with Poseltinib (0.1-100 nM, 30 min), and the expression of related proteins was analyzed by Western Blot. **Results**: Poseltinib simultaneously blocked the autophosphorylation of BTK and the phosphorylation of its physiological substrate PLCγ2, with IC50 values both less than 10 nM. [1]
In vivo	**Methods**: Poseltinib (3-30 mg/kg, daily) was orally administered to MRL/lpr and NZB/W F1 mouse models to evaluate the therapeutic effects of Poseltinib on systemic lupus erythematosus (SLE)-like disease characteristics in mice. **Results**: By inhibiting BTK, Poseltinib effectively reduced the overactivation of B cells and the production of autoantibodies, significantly alleviating the progression of SLE and lupus nephritis (LN) in mice. Poseltinib demonstrated notable improvements in skin lesions, renal function, kidney injury, and inflammation, while also increasing survival rates. [1]

Solubility Information

Solubility	DMSO: 80 mg/mL (170.02 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0425 mL	0.2125 mL	0.4251 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

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.