BGB-8035

Cat. No.: HY-149051

CAS No.: 2283349-24-4

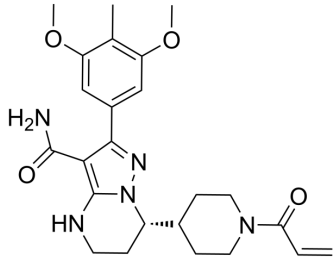
Molecular Formula: $C_{24}H_{31}N_5O_4$

Molecular Weight: 453.53

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BGB-8035 is an orally active, highly selective bruton's tyrosine kinase (BTK) inhibitor with IC_{50}s of 1.1 nM, 99 nM, 621 nM for BTK, TEC, EGFR, respectively. BGB-8035 has antitumor and anti-arthritis activity. BGB-8035 has the potential for B-cell malignancies and autoimmune diseases research[1].

IC_{50} & Target

IC50: 1.1 nM (BTK), 99 nM (TEC), and 621 nM (EGFR)[1]

In Vitro

BGB-8035 is nontoxicin HEK293 and Ramos cells (IC_{50} > 10 μM, both)[1].

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

In Vivo

BGB-8035 (7.5, 15, 30 mg/kg; PO; twice daily; 16 days) demonstrates dose-dependent antitumor activity[1].

BGB-8035 (1, 3, 10, 30 mg/kg; PO; BID; 13 days) inhibits arthritis as measured by clinical scores in a dose-dependent manner in the Lewis rats aged 8-9 weeks with CIA model and prevents the CIA model-associated body weight loss[1].

Pharmacokinetic Parameters of BGB-8035 in Rats and Dogs[1].

	Rats (IV; 1 mg/kg)	Rats (PO; 5 mg/kg)	Dogs (IV; 1 mg/kg)	Dogs (PO; 2.5 mg/kg)
$T_{1/2}$ (h)	1.0		2.5	
CL (mL/min/kg)	24.4		6.89	
V_{ss} (L/kg)	0.9		0.74	
T_{max} (h)		0.25		0.42
C_{max} (ng/mL)		667		1246
AUC_{inf} (h⊠ng/mL)		964		2645
F (%)		26.4		43

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

Animal Model:	Female NOD/SCID mice at 9 weeks of age with REC-1 MCL xenografts[1]
Dosage:	7.5, 15, 30 mg/kg
Administration:	PO; BID; 16 days
Result:	Demonstrated dose-dependent antitumor activity, with TGI values of 64.1, 73.6, and 79.9%, respectively.

REFERENCES

[1]. Yunhang Guo, et al. Discovery of BGB-8035, a Highly Selective Covalent Inhibitor of Bruton's Tyrosine Kinase for B-Cell Malignancies and Autoimmune Diseases. J Med Chem. 2023 Mar 23;66(6):4025-4044.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA