PROTAC BTK Degrader-1

Cat. No.: HY-147943

CAS No.: 2801715-13-7

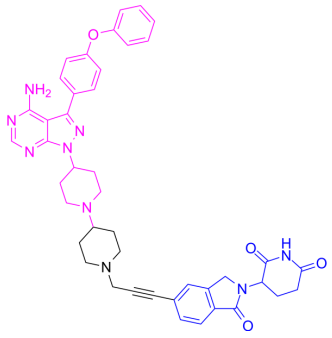
Molecular Formula: $C_{43}H_{43}N_9O_4$

Molecular Weight: 749.86

Target: PROTACs; Btk

Pathway: PROTAC; Protein Tyrosine Kinase/RTK

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



BIOLOGICAL ACTIVITY

Description

PROTAC BTK Degrader-1 is a potent, selective and orally active PROTAC BTK degrader with an IC_{50} value of 34.51 nM and 64.56 nM for BTK WT and BTK-481S, respectively. PROTAC BTK Degrader-1 effectively reduces BTK protein levels and suppresses tumor growth[1]. PROTAC BTK Degrader-1 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

IC_{50}: 34.51 nM (BTK WT), 64.56 nM (BTK-481S)[1]

In Vivo

PROTAC BTK Degrader-1 (compound C13) (10 and 30 mg/kg; PO, bid, for 17 days) inhibits tumor growth in the OCI-ly10 xenograft mouse model[1].

Pharmacokinetic Parameters of PROTAC BTK Degrader-1 in ICR mice[1].

	PO (100 mg/kg)	IV (2 mg/kg)
T_{max} (h)	1.00	
$T_{1/2}$ (h)	8.3	3.7
C_{max} (ng/mL)	3089	
AUC_{0-t} (ng/mL·h)	16,894	2827
$AUC_{0-\infty}$ (ng/mL·h)	17,070	2845
Vd_{SS} (L/kg)		3.1
CL (mL/min/kg)		11.7
MRT (h)		4.5

F (%)	12

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

Animal Model:	OCI-ly10 xenograft mouse model[1]
Dosage:	10 and 30 mg/kg
Administration:	PO, bid, for 17 days
Result:	Inhibited tumor growth by 50.9 and 96.9% at 10 and 30 mg/kg, respectively.

REFERENCES

[1]. Zhang J, et al. Structural Feature Analyzation Strategies toward Discovery of Orally Bioavailable PROTACs of Bruton's Tyrosine Kinase for the Treatment of Lymphoma. J Med Chem. 2022 Jun 7.

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