

PROTAC BTK Degradar-5

Chemical Properties

CAS No. :

Formula: C52H57ClFN9O6

Molecular Weight: 958.52

Keep away from direct sunlight

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	PROTAC BTK Degradar-5 (Compound 3e) is a selective Bruton's tyrosine kinase (BTK) degrader with a DC50 of 7.0 nM in JeKo-1 cells, demonstrating specificity by not affecting CRBN neosubstrates. It also exhibits antiproliferative effects on various lymphoma cell lines, underscoring its potential application in chronic lymphoid malignancies research.
Targets(IC50)	BTK,PROTACs
In vitro	PROTAC BTK Degradar-5 (Compound 3e) induces BTK degradation in JeKo-1 cells at 1.6-1000 nM over 24 hours (DC50 = 7.0 nM) [1] and exhibits metabolic stability at 100 and 1000 nM (half-life = 145 minutes) [1]. At 100 nM, BTK degradation over 12 hours is inhibited by MG-132 (5.0 μM) [1]. It does not degrade IKZF1 and GSPT1 but shows some activity against IKZF3 at 1.6-1000 nM over 24 hours [1]. PROTAC BTK Degradar-5 demonstrates antiproliferative effects after 72 hours on OCI-ly10 (IC50 = 2.3 nM), TMD8 (4.5 nM), JeKo-1 (38.1 nM), and BTK C481S Ba/F3 cells (86.0 nM), outperforming Ibrutinib (IC50 = 4.5, 4.7, 79.8, and 1546.0 nM, respectively) [1].
In vivo	PROTAC BTK Degradar-5, administered at a single dose of 2 mg/kg via intravenous injection, exhibits stable metabolism in mice [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0433 mL	5.2164 mL	10.4328 mL
5 mM	0.2087 mL	1.0433 mL	2.0866 mL
10 mM	0.1043 mL	0.5216 mL	1.0433 mL
50 mM	0.0209 mL	0.1043 mL	0.2087 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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