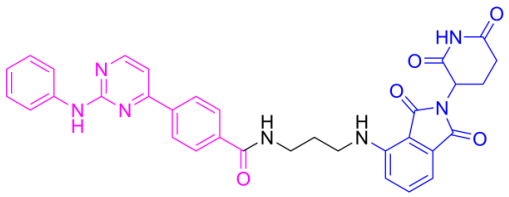
Product Data Sheet

Product Name: PROTAC JAK1/2 degrader-1
Cat. No.: GC80946

Chemical Properties

Cas. No.			
Formula	$C_{33}H_{29}N_7O_5$	M.Wt	603.63
Solubility	DMSO: 100 mg/mL (165.66 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

PROTAC JAK1/2 degrader-1 is an orally active JAK1 / JAK2 PROTAC degrader, with DC_{50} values of 1.4 μM and 0.92 μM against JAK1 and JAK2, respectively. PROTAC JAK1/2 degrader-1 triggers degradation via a CRBN - and proteasome-dependent pathway. PROTAC JAK1/2 degrader-1 inhibits the secretion of tumor necrosis factor-α (TNF-α) and interleukin-6 (IL-6). PROTAC JAK1/2 degrader-1 alleviates inflammatory responses and colon injury by inhibiting the JAK / STAT3 signaling pathway. PROTAC JAK1/2 degrader-1 can be used for the research of inflammatory bowel

disease [1]. (Pink: JAK1 and JAK2 ligand; Blue: Cereblon ligand; Black: linker).

In Vivo, PROTAC JAK1/2 degrader-1 (compound A8) (10-40 mg/kg; p.o.; daily; 7 days) alleviates DSS-induced colitis in C57BL/6 mice via downregulation of the JAK/STAT3 signaling pathway[1].

In Vitro, PROTAC JAK1/2 degrader-1 (compound A8) (3 μM; 1 h followed by 6 h LPS stimulation) degrades JAK1 protein in LPS-stimulated Raw 264.7 cells[1]. PROTAC JAK1/2 degrader-1 (0.469-60 μM; 24 h) shows no cytotoxicity in Raw 264.7 cells[1]. PROTAC JAK1/2 degrader-1 (0.47-60 μM) induces dose-dependent degradation of JAK1 in LPS-stimulated Raw 264.7 cells with a DC_{50} of 1.40 μM[1]. PROTAC JAK1/2 degrader-1 (1.5 μM; 3 μM MG132) mediates JAK1 degradation in Raw 264.7 cells via a proteasome-dependent pathway, as degradation is inhibited by MG132, and the separate warhead and E3 ligase ligand components do not induce degradation[1]. PROTAC JAK1/2 degrader-1 (0.47-60 μM) is a selective JAK1/2 degrader, dose-dependently degrading JAK2 with a DC_{50} of 0.92 μM while not affecting JAK3 or TYK2 levels at concentrations up to 60 μM in Raw 264.7 cells[1]. PROTAC JAK1/2 degrader-1 (0.469-60 μM; 24 h) suppresses NO production in LPS-stimulated Raw 264.7 cells in a dose-dependent manner, with significant inhibition starting at 0.469 μM[1]. PROTAC JAK1/2 degrader-1 (0.05-60 μM; 24 h) suppresses IL-6 and TNF-α secretion in LPS-stimulated Raw 264.7 cells with IC_{50} values of 12.89 μM and 17.17 μM, respectively [1].

References:
[1]. Li G, et al. Development of potent JAK1/2 PROTAC degraders for the treatment of inflammatory bowel diseases. European journal of medicinal chemistry. 2026 Jan 15;302(Pt 3):118374.

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