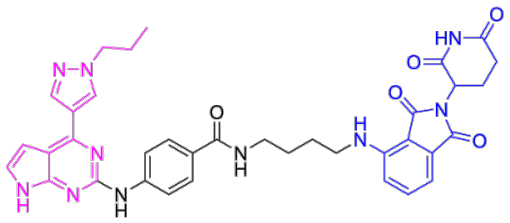
Product Data Sheet

Product Name: SJ988497
Cat. No.: GC73369

Chemical Properties

Cas. No.	2595365-41-4		
Formula	$C_{36}H_{36}N_{10}O_5$	M.Wt	688.74
Solubility	DMSO : 33.33 mg/mL (48.39 mM; ultrasonic and warming and heat to 80°C)	Storage	-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

SJ988497 is a PROTAC JAK2 degrader. SJ988497 potently inhibits CRLF2-rearranged (CRLF2r) cell proliferation and degrades the CRBN neosubstrate GSPT1. SJ988497 consists of a Ruxolitinib derivative, linker, and CRBN ligand Pomalidomide. SJ988497 can be used in the research of acute lymphoblastic leukemia (ALL).

SJ988497 (compound 7) increases cell permeability in the Caco-2 assay, with the apparent

permeability coefficient (Papp) of 19.12 nm/s[1].SJ988497 inhibits parental MHH-CALL-4 cells with an EC50 of 0.4 nM, inhibits CRBN-KD MHH–CALL-4 cells with an EC50 of 3456.2 nM, and can be blocked by 30 μM Lenalidomide [1].SJ988497 (1 h, 1 μM) results in comparable JAK inhibition in MHH–CALL-4 cells[1].

SJ988497 (compound 7) (30 mg/kg, i.p.) reduces spleen size and tumor burdens, and is well tolerated with no weight loss or perturbation in blood count[1].SJ988497 (10-100 mg/kg, i.p., twice daily) showed sustained in vivo exposure with the plasma concentration above the cellular 50% effective concentration value after 24 hour[1].

References:
[1]. Chang Y, et al. Degradation of Janus kinases in CRLF2-rearranged acute lymphoblastic leukemia. Blood. 2021 Dec 9;138(23):2313-2326.