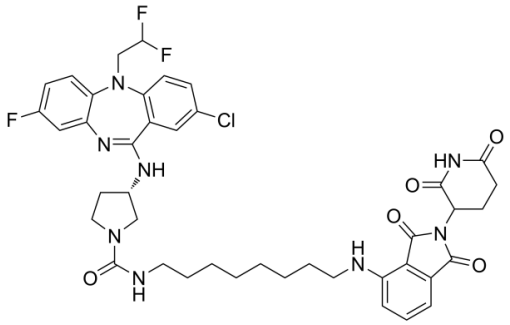
Product Data Sheet

Product Name: BJG-05-039
Cat. No.: GC79017

Chemical Properties

Cas. No.	3009849-06-0		
Formula	$C_{41}H_{44}ClF_3N_8O_5$	M.Wt	821.29
Solubility	DMSO: 100 mg/mL (121.76 mM; Need ultrasonic)	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

BJG-05-039 is an inhibitor targeting PAK1, with an IC_{50} of 233 nM against human PAK1. BJG-05-039 induces the selective degradation of PAK1 and inhibits its kinase activity by conjugating NVS-PAK1-1 with Lenalidomide to recruit Cereblon. BJG-05-039 can be used in research related to breast cancer and ovarian cancer [1] [2].

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vitro, BJG-05-039 (10-dose 3-fold serial dilution starting at 1 μM; 60 minutes) potently and selectively inhibits recombinant human PAK1 kinase activity with an IC_{50} of 233 nM, and shows no measurable inhibition of recombinant human PAK2 at concentrations up to 10,000 nM[1]. BJG-05-039 (10 μM) exhibits a selective kinase binding profile, closely matching the selectivity of NVS-PAK1-1 across a panel of 468 human kinases[1]. BJG-05-039 (1 μM; 5 h) induces strong downregulation of Lenalidomide off-target proteins RNF166, IKZF1, and IKZF3, but does not produce detectable PAK1 downregulation via global proteomic analysis[1]. BJG-05-039 (10 min) potently inhibits purified phosphorylated PAK1 with a Ki of 233 nM and shows minimal activity against purified phosphorylated PAK2 with a Ki of >10,000 nM[2]. BJG-05-039 inhibits MEK1 phosphorylation in cells with an EC50 of 10 nM[2]. BJG-05-039 (1 nM-10 μM; 4-24 h) induces selective, time-dependent, ubiquitin-proteasome system-dependent degradation of PAK1 (but not PAK2) in MCF7 and OVCAR3 cells, with maximal degradation at 10 nM, and achieves ~70% reduction of Nluc-PAK1 expression at 10 nM in HEK293 cells[1]. BJG-05-039 (10 nM) potently inhibits PAK1-dependent proliferative signaling (phospho-MEK1 S298 and phospho-ERK) in MCF7 and OVCAR3 cells, while 10 nM NVS-PAK1-1 has no measurable effect on these signaling readouts[1]. BJG-05-039 (72 h) potently reduces proliferation in PAK1-dependent MCF7 (EC50 = 0.086 μM) and OVCAR3 (EC50 = 0.1 μM) cells[1].

References:

[1]. Chow HY, et al. Development and Utility of a PAK1-Selective Degrader. Journal of medicinal chemistry. 2022 Dec 08;65(23):15627-15641.
[2]. Johns DM, et al. Identification of a p21-activated kinase 1 (PAK1) inhibitor with 10-fold selectivity against PAK2. Bioorganic & medicinal chemistry letters. 2025 Nov 01;127:130307.

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