Product Data Sheet

Product Name: FPFT-2216
Cat. No.: GC64005

Chemical Properties

Cas. No.	2367619-87-0		
Formula	C12H12N4O3S	M.Wt	292.31
Solubility	DMSO : 100 mg/mL (342.10 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	FPFT-2216		

Background

FPFT-2216, a "molecular glue" compound, degrades phosphodiesterase 6D (PDE6D), zinc finger transcription factors Ikaros (IKZF1), Aiolos (IKZF3), and casein kinase 1α (CK1α). FPFT-2216 can be used for the research of cancer and inflammatory disease[1][2].

FPFT-2216 (1 μM; 5 hours) is able to degrade PDE6D, in addition to its known targets IKZF1, IKZF3, and CK1α in MOLT4 cells[1].FPFT-2216 (1 μM; 0 h, 2 h, 4 h, 6 h, 16 h, 24 h) shows complete degradation of PDE6D within 2 h, and the degradation of PDE6D persists for at least 24 h in MOLT4 cells[1].FPFT-2216 (0 nM, 1.6 nM, 8 nM, 40 nM, 200 nM, 1 μM; 4 h) exhibits over 50% degradation of PDE6D at a dose of 8 nM, while maximum degradation of PDE6D along with IKZF1, IKZF3, and CK1α at a dose of 200 nM in MOLT4 cells[1].FPFT-2216 does not impede the growth of KRASG12C-dependent MIA PaCa-2 cells[1].FPFT-2216 (10, 20, 40 μM; 14 or 24 h) highly up-regulates the production of IL-2 although it is less potent than that of Pomalidomide in Naive CD4+ T cells[2].FPFT-2216 (10 μM; 14 or 24 h) degrades IKZF1 and CK-1α among ubiquitin-proteasomal degradative substrates of immunomodulatory drugs (IMiDs) in Naive CD4+ T cells[2].

FPFT-2216 (30 mg/kg; p.o. or i.p.) induces significant degradation of CK-1α, and IKZF1 in CRBNI391V mice[2].

Caution: Product has not been fully validated for medical applications. For research use only.
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[1]. Teng M, et al. Development of PDE6D and CK1α Degraders through Chemical Derivatization of FPFT-2216. J Med Chem. 2022 Jan 13;65(1):747-756.
[2]. Gemechu Y, et al. Humanized cereblon mice revealed two distinct therapeutic pathways of immunomodulatory drugs. Proc Natl Acad Sci U S A. 2018;115(46):11802-11807.

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