

Product Data Sheet

Product Name: PROTAC CDK2/4/6 Degradar-2

Cat. No.: GC80886

Chemical Properties

Cas. No. 2541626-49-5

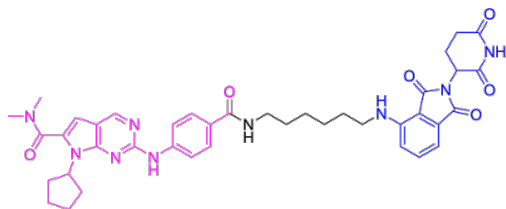
Formula $C_{40}H_{45}N_9O_6$ M.Wt 747.84

Solubility DMSO: 200 mg/mL (267.44 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

PROTAC CDK2/4/6 Degradar-2 is a CDK2/4/6 PROTAC degrader. PROTAC CDK2/4/6 Degradar-2. PROTAC CDK2/4/6 Degradar-2 can be converted into the prodrug PROTAC CDK2/4/6 Degradar-1

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

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through a one-step reaction with chloromethyl pivalate. PROTAC CDK2/4/6 Degradator-2 degrades CDK2/4/6 and their complex in malignant melanomas cells. PROTAC CDK2/4/6 Degradator-2 induces cell cycle arrest and cell apoptosis in various cancer cells, in particular for melanomas. PROTAC CDK2/4/6 Degradator-2 can be used for malignant melanomas research ^[1]. (Pink: CDK2 and CDK4 and CDK6 ligand; Blue: Cereblon ligand; Black: linker).

In Vitro, PROTAC CDK2/4/6 Degradator-2 (compound 3) (72 h) exhibits prominent anti-proliferative activity against B16F10 and A375, with IC₅₀s of 0.09861 and 0.1659 μ M, respectively^[1]. PROTAC CDK2/4/6 Degradator-2 (0-2000 nM, 0-12 h) mediates CDK2/4/6 protein degradation and impairs downstream Rb signaling activation by hijacking the E3 ubiquitin ligase CRBN in B16F10 and A375 cells^[1]. PROTAC CDK2/4/6 Degradator-2 (50-500 nM, 7 days) exerts significant anti-proliferative activity in B16F10 and A375 cells^[1]. PROTAC CDK2/4/6 Degradator-2 (125-1000 nM, 48 h) significantly induces apoptosis of A375 and B16F10 cells in a dose-dependent manner in B16F10 and A375 cells^[1]. PROTAC CDK2/4/6 Degradator-2 (0-2000 nM, 48 h) induces caspase-dependent apoptosis via the common P53/Bcl-2/Bax apoptotic pathway in B16F10 and A375 cells^[1]. PROTAC CDK2/4/6 Degradator-2 (25-1000 nM, 48 h) induces cell cycle arrest via the degradation of cell cycle-related proteins CDK2/4/6 in A375 and B16F10 cells^[1].

References:

[1]. Wei M, et al. First orally bioavailable prodrug of proteolysis targeting chimera (PROTAC) degrades cyclin-dependent kinases 2/4/6 in vivo. Eur J Med Chem. 2021 Jan 1;209:112903.

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