

Product Data Sheet

Product Name: ZXH-3-26

Cat. No.: GC65269

Chemical Properties

Cas. No. 2243076-67-5

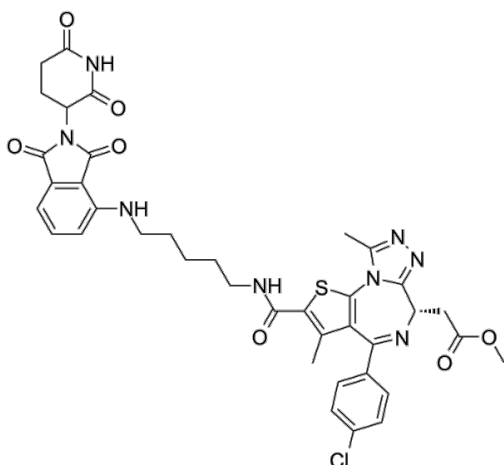
Formula C₃₈H₃₇ClN₈O₇S M.Wt 785.27

Solubility DMSO : 200 mg/mL (254.69 mM; Storage Store at -20°C, stored under nitrogen
Need ultrasonic)

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



Protocol

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

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BRD4

Cereblon

5nM(DC₅₀,5hoursoftreatment)

Background

ZXH-3-26 is a PROTAC connected by ligands for Cereblon and BRD4 with a DC₅₀/5h of 5 nM. The DC₅₀/5h refers to half-maximal degradation after 5 hours of treatment of ~ 5 nM[1].

ZXH-3-26 represents the first small molecule to allow pharmacologic targeting of BRD4 without significant inhibition or degradation of BRD2/3[1]. ZXH-3-26 shows activity exclusively on the first bromodomain of BRD4, and spares degradation of BRD2/3 at concentrations 10 μ M. Immunoblot analysis confirms that ZXH-3-26 degrades endogenous BRD4 with comparable efficacy compared to the best pan-BET degrader dBET6, while being inactive on BRD2/3[1]. ZXH-3-26 engages CRBN in a conformation distinct[1].

[1]. Nowak RP, et al. Plasticity in binding confers selectivity in ligand-induced protein degradation. Nat Chem Biol. 2018 Jul;14(7):706-714.

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