

Product Data Sheet

Product Name: SIM1
Cat. No.: GC63776

Chemical Properties

Cas. No. 2719051-84-8

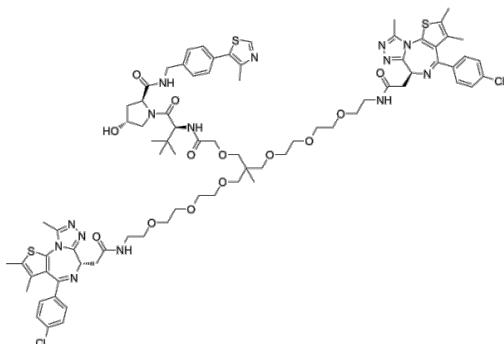
Formula $C_{79}H_{98}Cl_2N_{14}O_{13}S_3$ M.Wt 1618.81

Solubility Storage Store at $-20^{\circ}C$, protect from light, stored under nitrogen

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ 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

SIM1 is a potent von Hippel-Lindau (VHL)-based trivalent PROTAC capable of degradation for all BET family members, with preference for BRD2 degradation ($IC_{50}=1.1$ nM; $K_d=186$ nM). SIM1 shows sustained anti-cancer activity[1].

SIM1 (1 nM; 30 hours; MV4-11 cells) results in measurable cellular death after 6 hours[1]. SIM1 (1 μ M; 4 hours; HEK293 cells) degrades BET proteins[1]. SIM1 induces conformational changes upon binding to the BET protein to simultaneously engage with high avidity both its bromodomains in a cis

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

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intramolecular fashion. SIM1 engages BD1 and BD2 intramolecularly and forms a 1:1:1 ternary complex with VHL and BRD4[1].

[1]. Satomi Imaide, et al. Trivalent PROTACs enhance protein degradation through cooperativity and avidity. Biological and Medicinal Chemistry.

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