

Product Data Sheet

Product Name: BRD4 degrader AT1

Cat. No.: GC33017

Chemical Properties

Cas. No. 2098836-45-2

SMILES CC1=C(C)SC2=C1C(C3=CC=C(Cl)C=C3)=N[C@@H](CC(NCCCCCSC(C)(C)[C@H](NC(C)=O)C(N4[C@@H](C[C@@H](O)C4)C(NCC5=CC=C(C6=C(C)N=CS6)C=C5)=O)=O)C7=NN=C(C)N27

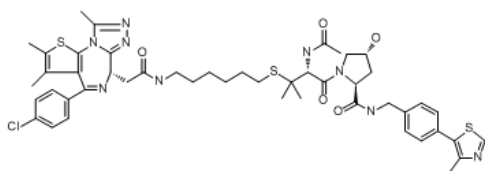
Formula $C_{48}H_{58}ClN_9O_5S_3$ M.Wt 972.68

Solubility DMSO : 100 mg/mL (102.81 mM) 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

BRD4 degrader AT1 is a highly selective Brd4 degrader based on PROTAC technology, with a Kd of

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

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44 nM for Brd4BD2 in cells.

BRD4 degrader AT1 is a highly selective Brd4 degrader, with a K_d of 44 nM, for Brd4BD2 in cells. BRD4 degrader AT1 also shows K_ds of 38.8 nM for a mutant Brd4BD2 (QVK), 111 ± 14 nM for Brd2BD1, 94 ± 9 nM for Brd2BD2, 35 ± 3 nM for Brd3BD1, 39 ± 8 nM for Brd3BD2, 75 ± 23 nM for Brd4BD1 and 35 ± 4 nM for a Brd2BD1 mutant (KEA). BRD4 degrader AT1 (1-3 µM) causes remarkable Brd4-selective depletion and has no or little activity against Brd2 and Brd3[1].

[1]. Gadd MS, et al. Structural basis of PROTAC cooperative recognition for selective protein degradation. Nat Chem Biol. 2017 May;13(5):514-521.

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