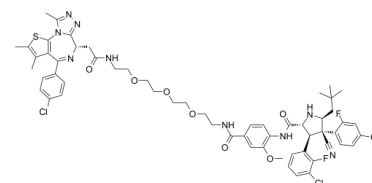


Data Sheet

Product Name:	A1874
Cat. No.:	CS-0082007
CAS No.:	2064292-12-0
Molecular Formula:	C ₅₈ H ₆₂ Cl ₃ F ₂ N ₉ O ₇ S
Molecular Weight:	1173.59
Target:	Epigenetic Reader Domain; PROTAC
Pathway:	Epigenetics; PROTAC
Solubility:	H ₂ O : < 0.1 mg/mL (insoluble); DMSO : 150 mg/mL (127.81 mM); Need ultrasonic)



BIOLOGICAL ACTIVITY:

A1874 is a nutlin-based and **BRD4-degrading PROTAC** with a **DC₅₀** of 32 nM (induce BRD4 degradation in cells). Effective in inhibiting many cancer cell lines proliferation^[1]. **IC₅₀ & Target:** DC₅₀: 32 nM (induce BRD4 degradation in cells)^[1]. **In Vitro:** Treatment of HCT116 cells of A1874 (0-10 μM, 24 hours) induces a dose-dependent knockdown of BRD4 levels, with near-maximum knockdown by 100 nmol/L and a maximum degradation (Dmax) of BRD4 of 98% of the levels in control (0.1% DMSO-treated) cells^[1]. Treatment of HCT116 cells of A1874 (0-10 μM, 24 hours) increases p53 levels in the HCT116 cells and showed dose-dependent p53 stabilization^[1].

References:

[1]. Hines J, et al. MDM2-Recruiting PROTAC Offers Superior, Synergistic Antiproliferative Activity via Simultaneous Degradation of BRD4 and Stabilization of p53. Cancer Res. 2019 Jan 1;79(1):251-262.

CAIndexNames:

6H-Thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetamide, N-[1-[4-[[[(2R,3S,4R,5S)-3-(3-chloro-2-fluorophenyl)-4-(4-chloro-2-fluorophenyl)-4-cyano-5-(2,2-dimethylpropyl)-2-pyrrolidinyl]carbonyl]amino]-3-methoxyphenyl]-1-oxo-5,8,11-trioxa-2-azatridec-13-yl]-4-(4-chlorophenyl)-2,3,9-trimethyl-, (6S)-

SMILES:

ClC(C=C1)=CC=C1C2=N[C@H](C3=NN=C(C)N3C4=C2C(C)=C(C)S4)CC(NCCOCCOCCOCCNC(C5=CC(OC)=C(NC([C@H]6[C@H](C7=C(F)C(Cl)=CC=C7)[C@](C8=CC=C(C(Cl)C=C8F)(C#N)[C@H](CC(C)(C)N6)=O)C=C5)=O)=O

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

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