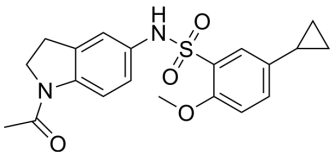
TRIM24/BRPF1-IN-2

Cat. No.:	HY-143332
Molecular Formula:	$C_{20}H_{22}N_2O_4S$
Molecular Weight:	386.46
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TRIM24/BRPF1-IN-2 (compound 20l) is a potent TRIM24/BRPF1 dual inhibitor, with IC_{50} values of 0.98 and 1.16 μM, respectively. TRIM24/BRPF1-IN-2 shows TRIM24/BRPF1 bromodomain binding affinity. TRIM24/BRPF1-IN-2 can be used for prostate cancer research[1].

IC_{50} & Target

BRPF1
1.16 μM (IC_{50})

In Vitro

TRIM24/BRPF1-IN-2 (compound 20l) (0-10 μM, 48 h) suppresses the growth of the prostate cell lines (C4-2B, LNCaP, and 22Rv1), with IC_{50} values of 0.78±0.15, 1.07±0.47, and 0.82±0.26 μM, respectively[1].
TRIM24/BRPF1-IN-2 inhibits gene and protein expression in prostate cancer cells[1].
TRIM24/BRPF1-IN-2 displays reasonable Caco-2 permeability[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	22Rv1 cell, LNCaP cells
Concentration:	0.4, 2, 5, and 10 μM
Incubation Time:	48 h
Result:	Decreased the mRNA expression of full-length AR, AR-V7 and AR-regulated genes PSA, KLK2 and TMPRSS2. inhibited the mRNA level of C-MYC.

In Vivo

TRIM24/BRPF1-IN-2 (compound 20l) (50 mg/kg, IP, once daily for 21 days) suppresses tumor growth (TGI = 53%) without exhibiting noticeable toxicity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice (n = 5-7 per dose group, Four-week-old, male, non-obese diabetic server combined immune-deficiency (NOD SCID), 22Rv1 xenograft model)[1]
Dosage:	50 mg/kg
Administration:	IP, once daily for 21 days

Result:	Inhibited the progression of the tumors significantly (53% tumor growth inhibition) without body weight loss.

REFERENCES

[1]. Xiang Q, et al. Discovery, optimization and evaluation of 1-(indolin-1-yl)ethan-1-ones as novel selective TRIM24/BRPF1 bromodomain inhibitors. Eur J Med Chem. 2022 Jun 5;236:114311.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA