
Product Data Sheet

Product Name: PROTAC BRAF-V600E degrader-1

Cat. No.: GC68289

Chemical Properties

Cas. No. 2417296-84-3

Formula $C_{48}H_{54}F_2N_{10}O_{10}S$

M.Wt

1001.07

Solubility

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**

PROTAC BRAF-V600E degrader-1 is a potent **PROTAC BRAF-V600E** degrader with **K_d** value of 2.4 nM and 2 nM for BRAF and BRAF-V600E, respectively. PROTAC BRAF-V600E degrader-1 degrades BRAF-V600E via the ubiquitin-proteasome system (UPS). PROTAC BRAF-V600E degrader-1 can inhibit melanoma cell growth^[1].

PROTAC BRAF-V600E degrader-1 (compound 23) (1 nM-10 μM; 72 h) inhibits the cell viability of A375 and HT-29^[1].

PROTAC BRAF-V600E degrader-1 (1-1000 nM; 16 h or 0-24 h) inhibits p-ERK and BRAF-V600E protein in A375 cells^[1].

Cell Viability Assay^[1]

Cell Line: A375 and HT-29

Concentration: 1 nM-10 μM

Incubation Time: 72 h

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

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Result: Inhibited the cell viability of A375 and HT-29 with IC₅₀s of 46.5 nM and 51 nM.

Western Blot Analysis^[1]

Cell Line: A375

Concentration: 1, 4, 12, 37, 111, 333 and 1000 nM

Incubation Time: 16 h or 0-24 h

Result: Induced the reduction in the phosphorylation of ERK. Inhibited p-ERK and BRAF-V600E in a dose- and time-dependent manner.

[1]. Han XR, et al. Discovery of Selective Small Molecule Degraders of BRAF-V600E. J Med Chem. 2020 Apr 23;63(8):4069-4080.

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