

Product Data Sheet

Product Name: KZ-02
Cat. No.: GC79477

Chemical Properties

Cas. No. 1801756-14-8

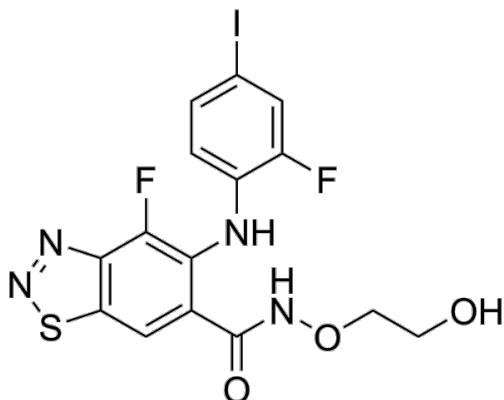
Formula $C_{15}H_{11}F_2IN_4O_3S$ M.Wt 492.24

Solubility Storage Store at -20°C, sealed storage, away from moisture and light

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

KZ-02 is an orally active dual MEK1 / Pim-1 kinase inhibitor, with an IC_{50} of 1.07 nM against MEK1 and an IC_{50} of 1.34 μ M against Pim-1. KZ-02 reduces the phosphorylation levels of ERK and Cdc25C, and promotes the proteasomal degradation of Pim-1 protein. KZ-02 inhibits cancer cell growth and exerts anti-tumor effects. KZ-02 can be used in the research of colorectal cancer [1].

In Vivo, KZ-02 (1 mg/kg; p.o.; once daily; 20 days) inhibits Colo205 colorectal cancer xenograft growth by 56.6% when administered orally at 1 mg/kg once daily for 20 days, with no detectable body

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

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weight loss^[1].

In Vitro, KZ-02 (0.01-1000 nmol/L; 24-72 h) potently inhibits Colo205 human colorectal cancer cell proliferation with an IC₅₀ of 0.015 nmol/L at 48 h, which is 1033-fold more potent than AZD6244 ^[1]. KZ-02 (1-1000 nmol/L; 2 h, unspecified) inhibits MEK and Pim-1 activity in Colo205 and HT29 human colorectal cancer cells by decreasing ERK and Cdc25C phosphorylation, reducing Pim-1 protein levels, and inhibiting phosphorylation of Pim-1 downstream targets P21Cip1/Waf1, P27Kip1, and Bad^[1]. KZ-02 (10 nmol/L; 2 h) increases Pim-1 mRNA levels in Colo205 and HT29 human colorectal cancer cells following 2 h of treatment at 10 nmol/L^[1]. KZ-02 (10 nmol/L; 2 h) decreases Pim-1 protein levels in Colo205 and HT29 human colorectal cancer cells by promoting proteasome-dependent degradation^[1].

References:

[1]. Li Y, et al. A New Compound with Increased Antitumor Activity by Cotargeting MEK and Pim-1. iScience. 2020;23(7):101254.

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