

Product Data Sheet

Product Name: Zapnometinib

Cat. No.: GC63264

Chemical Properties

Cas. No. 303175-44-2

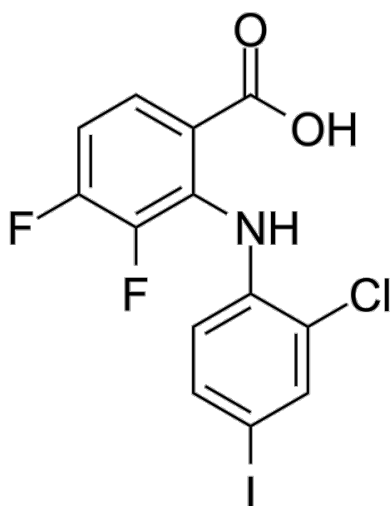
Formula $C_{13}H_7ClF_2INO_2$ M.Wt 409.55

Solubility DMSO : 62.5 mg/mL (152.61 mM; Storage 4°C, protect from light
Need ultrasonic)

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

Zapnometinib (PD0184264), an active metabolite of CI-1040, is a MEK inhibitor, with an IC₅₀ of 5.7 nM. Zapnometinib exhibits antiviral activity against influenza virus and antibacterial activities[1][2][3].

Zapnometinib (0.1 nM-1 μM) inhibits MEK, with IC₅₀s of 30.96 nM, 357 nM, and 15 nM in cell free kinase assay, A549, MDCK cells and human PBMCs[1]. Zapnometinib (100 μM; 4 h) inhibits the

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

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Ionomycin (PMA/I)-induced phosphorylation of ERK1/2 in human PBMCs[1]. Zapnometinib (1-100 μ M) reduces the viral titers of the IV H1N1pdm09, H3N2[1].

Zapnometinib (8.4-75 mg/kg/day; three times a day p.o.) reduces the lung virus titers and enhances survival of mice after lethal H1N1pdm09 infection[1]. Zapnometinib (150 mg/kg) exhibits AUC values of 860.02 and 1953.68 μ g•h/mL in mice by i.v. or oral route, respectively[1].

[1]. Laure M, et, al. Antiviral efficacy against influenza virus and pharmacokinetic analysis of a novel MEK-inhibitor, ATR-002, in cell culture and in the mouse model. Antiviral Res. 2020 Jun;178:104806.

[2]. Hamza H, et, al. Improved in vitro Efficacy of Baloxavir Marboxil Against Influenza A Virus Infection by Combination Treatment With the MEK Inhibitor ATR-002. Front Microbiol. 2021 Feb 12;12:611958.

[3]. Bruchhagen C, et, al. Metabolic conversion of CI-1040 turns a cellular MEK-inhibitor into an antibacterial compound. Sci Rep. 2018 Jun 14;8(1):9114.

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