# Zapnometinib

**Cat. No.:** HY-139558

**CAS No.:** 303175-44-2

**Molecular Formula:** $C_{13}H_7ClF_2INO_2$

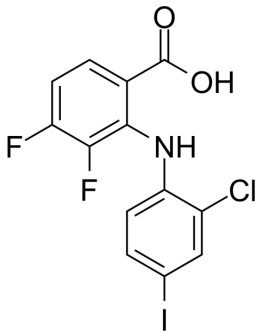
**Molecular Weight:** 409.55

**Target:** MEK; Influenza Virus; Bacterial

**Pathway:** MAPK/ERK Pathway; Anti-infection

**Storage:** 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 62.5 mg/mL (152.61 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.4417 mL | 12.2085 mL | 24.4170 mL |
| | 5 mM | 0.4883 mL | 2.4417 mL | 4.8834 mL |
| | 10 mM | 0.2442 mL | 1.2209 mL | 2.4417 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.08 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Zapnometinib (PD0184264), an active metabolite of CI-1040, is a MEK inhibitor, with an $IC_{50}$ of 5.7 nM. Zapnometinib exhibits antiviral activity against influenza virus and antibacterial activities[1][2][3].

**$IC_{50}$ & Target**

MEK
5.7 nM ($IC_{50}$)

**In Vitro**

Zapnometinib (0.1 nM-1 μM) inhibits MEK, with $IC_{50}$s 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 Ionomycin (PMA/I)-induced phosphorylation of ERK1/2 in human PBMCs[1].
Zapnometinib (1-100 μM) reduces the viral titers of the IV H1N1pdm09, H3N2[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | human PBMCs |
| Concentration: | 100 μM |
| Incubation Time: | 4 h |
| Result: | Inhibited the Ionomycin (PMA/I)-increased pERK1/2. |

**In Vivo**

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 μg•h/mL in mice by i.v. or oral route, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Female C57BL/6 mice (8 weeks; 21-24 g) were infected with H1N1pdm09[1] |
| Dosage: | 8.4, 25, 75 mg/kg/day (2.8, 8.4, 25 mg/kg) |
| Administration: | P.o. three times a day |
| Result: | Significantly reduced the virus titer at the dose of either 75 mg/kg/day or 25 mg/kg/day. |

## REFERENCES


[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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