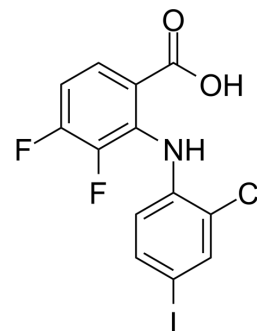


## Zapnometinib

Cat. No.:	HY-139558
CAS No.:	303175-44-2
Molecular Formula:	C <sub>13</sub> H <sub>7</sub> ClF <sub>2</sub> INO <sub>2</sub>
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 Concentration	Mass	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 <sub>50</sub> of 5.7 nM. Zapnometinib exhibits antiviral activity against influenza virus and antibacterial activities <sup>[1][2][3]</sup> .
IC <sub>50</sub> & Target	MEK 5.7 nM (IC <sub>50</sub> )
In Vitro	Zapnometinib (0.1 nM-1 μM) inhibits MEK, with IC <sub>50</sub> s of 30.96 nM, 357 nM, and 15 nM in cell free kinase assay, A549, MDCK cells and human PBMCs <sup>[1]</sup> . Zapnometinib (100 μM; 4 h) inhibits the Ionomycin (PMA/I)-induced phosphorylation of ERK1/2 in human PBMCs <sup>[1]</sup> . Zapnometinib (1-100 μM) reduces the viral titers of the IV H1N1pdm09, H3N2 <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

	Western Blot Analysis <sup>[1]</sup>
Cell Line:	human PBMCs
Concentration:	100 $\mu$ M
Incubation Time:	4 h
Result:	Inhibited the Ionomycin (PMA/I)-increased pERK1/2.
<b>In Vivo</b>	<p>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<sup>[1]</sup>.</p> <p>Zapnometinib (150 mg/kg) exhibits AUC values of 860.02 and 1953.68 <math>\mu</math>g·h/mL in mice by i.v. or oral route, respectively<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Model:	Female C57BL/6 mice (8 weeks; 21-24 g) were infected with H1N1pdm09 <sup>[1]</sup>
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.

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

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