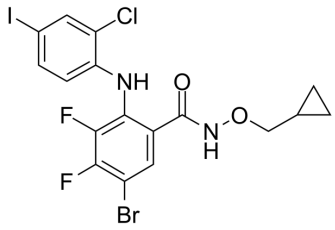
PD184161

Cat. No.:	HY-10174
CAS No.:	212631-67-9
Molecular Formula:	$C_{17}H_{13}BrClF_2IN_2O_2$
Molecular Weight:	557.56
Target:	MEK; Apoptosis
Pathway:	MAPK/ERK Pathway; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (179.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7935 mL	8.9676 mL	17.9353 mL
	5 mM	0.3587 mL	1.7935 mL	3.5871 mL
	10 mM	0.1794 mL	0.8968 mL	1.7935 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: 2.5 mg/mL (4.48 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD184161 is an orally active MEK inhibitor. PD184161 inhibits MEK activity (IC_{50}=10-100 nM) in a time- and concentration-dependent manner. PD184161 inhibits cell proliferation and induces apoptosis. PD184161 produces depressive-like behavior[1][2].

IC_{50} & Target

MEK
10-100 nM (IC_{50})

In Vitro

PD184161 (1-20 μM; 24, 48, or 72 hours) inhibits cell proliferation and induces apoptosis in a time and concentration dependent manner[1].
PD184161 (0.1 and 1.0 μM; 1 hour) inhibits ERK1,2 phosphorylation[1].
PD184161 (5 μM; 30 min) prevents the toxic effects of bicuculline[3].

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

Cell Proliferation Assay[1]

Cell Line:	HCC cell lines (HepG2, Hep3B, PLC, and SKHep)
Concentration:	1-20 μM
Incubation Time:	24, 48, or 72 hours
Result:	Inhibited cell proliferation.

Apoptosis Analysis[1]

Cell Line:	HCC cell lines (HepG2, Hep3B, PLC, and SKHep)
Concentration:	1-20 μM
Incubation Time:	48 hours
Result:	Induced cell apoptosis.

Western Blot Analysis[1]

Cell Line:	HCC cell lines (HepG2, Hep3B, PLC, and SKHep)
Concentration:	0.1 and 1.0 μM
Incubation Time:	1 hours
Result:	Inhibited ERK1,2 phosphorylation.

Cell Viability Assay[3]

Cell Line:	Primary mouse neurons
Concentration:	5 μM
Incubation Time:	30 min
Result:	Prevents the toxic effects of bicuculline.

In Vivo

PD184161 reduces tumor xenograft P-ERK levels in 3-12 hours after an oral dose[1].

PD184161 (300 mg/kg; orogastric gavage twice daily for 38 days) significantly suppresses tumor engraftment and initial growth[1].

PD184161 (30 mg/kg; i.p.; single injection) produces depressive-like behavior[2].

PD184161 (500 μg/kg; intravenous injection) prevents the progression of neurological deficits and brain damage after stroke[3].

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

Animal Model:	Hep3B tumor xenografts BALB/c athymic nude mice[1]
Dosage:	300 mg/kg
Administration:	Orogastric gavage twice daily for 38 days
Result:	Decreased the early tumor growth.

Animal Model:	Male, 6 weeks C57Bl/6 mice[2]
Dosage:	500 μg/kg
Administration:	intravenously in 30 min before MCAO or PTZ administration
Result:	Prevented the progression of neurological deficits and brain damage after stroke.

Animal Model:	C57Bl/6 mice[3]
Dosage:	30 mg/kg
Administration:	i.p., single injection
Result:	Produced depressive-like behavior.

REFERENCES

[1]. Klein PJ, et al. The effects of a novel MEK inhibitor PD184161 on MEK-ERK signaling and growth in human liver cancer. Neoplasia. 2006 Jan;8(1):1-8.

[2]. Gladbach A, et al. ERK inhibition with PD184161 mitigates brain damage in a mouse model of stroke. J Neural Transm (Vienna). 2014 May;121(5):543-7.

[3]. Duman CH, et al. A role for MAP kinase signaling in behavioral models of depression and antidepressant treatment. Biol Psychiatry. 2007 Mar 1;61(5):661-70.

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