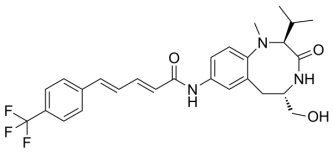
TPPB

Cat. No.:	HY-12359
CAS No.:	497259-23-1
Molecular Formula:	$C_{27}H_{30}F_3N_3O_3$
Molecular Weight:	501.54
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (249.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9939 mL	9.9693 mL	19.9386 mL
	5 mM	0.3988 mL	1.9939 mL	3.9877 mL
	10 mM	0.1994 mL	0.9969 mL	1.9939 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: ≥ 2.25 mg/mL (4.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (4.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TPPB is a cell-permeable benzolactam-derived protein kinase C (PKC) activator with a K_i of 11.9 nM.

IC_{50} & Target

PKC
11.9 nM (Ki)

In Vitro

By use of a cell line derived from an Alzheimer's disease patient, significant enhancement of sAPPα secretion is achieved at 1 μM concentration for TPPB (Compound 5e)[1]. TPPB has a role against $Aβ_{25-35}$-induced neurotoxicity in PC12 cells. TPPB at concentration of 1 μM could antagonize $Aβ_{25-35}$ induced cell damage. TPPB could increase the phosphorylation of Akt, PKC, MARCKS and MAPK, which are inhibited by $Aβ_{25-35}$ treatment. TPPB inhibits the activation of caspase-3 induced by $Aβ_{25-35}$[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TPPB is evaluated for induction of hyperplasia after topical application to the shaved backs of outbred Sencar mice and shows a modest response at 300 μg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	24 h after plating 2×10^4 pheochromocytoma PC12 cells in each well of a 96 well plate, cells are incubated with TPPB at a series of concentration (0.1, 0.5, 1, 5, 10, 20 μM). Twelve to 24 h later, the original media is replaced with media containing MTT at a final concentration of 0.5 g/L for 4 h. Cell viability is evaluated with MTT assays[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Mice are 7 weeks old at the beginning of the treatments and are in the resting phase of the hair cycle. TPPB is applied once or else are applied twice weekly for a total of four applications. Two animals are treated at each dose of compound, and 72 h after the last application, the animals are euthanized. Two portions of treated skin are removed from each animal, fixed in neutral buffered formalin, and stained with hematoxylin and eosin for histological analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Viruses. 2020 Jun 3;12(6):609.

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REFERENCES

[1]. Kozikowski AP, et al. New amide-bearing benzolactam-based protein kinase C modulators induce enhanced secretion of the amyloid precursor protein metabolite sAPPalpha. J Med Chem. 2003 Jan 30;46(3):364-73.

[2]. Yang HQ, et al. Neuroprotective effects of new protein kinase C activator TPPB against Aβ25-35 induced neurotoxicity in PC12 cells. Neurochem Res. 2012 Oct;37(10):2213-21.

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