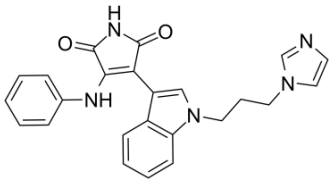
PKCβ inhibitor 1

Cat. No.:	HY-13335
CAS No.:	257879-35-9
Molecular Formula:	$C_{24}H_{21}N_5O_2$
Molecular Weight:	411.46
Target:	PKC; Apoptosis
Pathway:	Epigenetics; TGF-beta/Smad; Apoptosis
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 : 250 mg/mL (607.59 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4304 mL	12.1518 mL	24.3037 mL
5 mM	0.4861 mL	2.4304 mL	4.8607 mL
10 mM	0.2430 mL	1.2152 mL	2.4304 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: ≥ 6.25 mg/mL (15.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.25 mg/mL (15.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PKCβ inhibitor 1 is a potent, ATP-competitive, and selective PKCβ inhibitor with IC_{50}s of 21 and 5 nM for human PKCβ1 and PKCβ2, respectively. PKCβ inhibitor 1 exhibits selectivity of more than 60-fold in favor of PKCβ2 relative to other PKC isozymes (PKCα, PKCγ, and PKCε)[1][2].

IC_{50} & Target

human PKCβ1	human PKCβ2	PKCα
21 nM (IC_{50})	5 nM (IC_{50})	331 nM (IC_{50})

In Vitro

PKCβ inhibitor 1 (0-30 μM; 48 hours) suppresses tumor cell proliferation in a time- and dose-dependent manner[2].
PKCβ inhibitor 1 (14 μM; 2-48 hours) induces apoptosis in 2F7 cells[2].
PKCβ inhibitor 1 (15 μM; 2-48 hours) inhibits cell cycle progression in 2F7 and BCBL-1 cells[2].

PKCβ (15 or 14 μM, respectively; 2-48 hours) inhibitor 1 reduces the expression of phospho-PKCβ in BCBL-1 and 2F7 cells[2]. PKCβ inhibitor 1(0-48 hours) suppresses GSK3β, mTOR, and S6 phosphorylation[2].

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

Cell Proliferation Assay[2]

Cell Line:	2F7, BCBL-1 cells
Concentration:	0, 5, 10, 20, and 30 μM
Incubation Time:	48 hours
Result:	A dose-dependent reduction in viability of the 2F7 and BCBL-1 cells starting at 5 μM and increasing with elevated inhibitor concentration.

Apoptosis Analysis[2]

Cell Line:	2F7 cells
Concentration:	14 μM
Incubation Time:	2-48 hours
Result:	Apoptotic induction in 2.1% of the 2F7 cells above background after 2 hours of treatment, increasing through 48 hours of treatment.

Cell Cycle Analysis[2]

Cell Line:	BCBL-1 Cells
Concentration:	15 μM (the IC_{50})
Incubation Time:	2-48 hours
Result:	Inhibits cell cycle progression in 2F7 and BCBL-1 cells.

Western Blot Analysis[2]

Cell Line:	BCBL-1 and 2F7 cell lines
Concentration:	15 or 14 μM (at the IC_{50} respectively)
Incubation Time:	2-48 hours
Result:	The expression of phospho-PKCβ in BCBL-1 and 2F7 cells reduced.

REFERENCES

[1]. Tanaka M, et al. Synthesis of anilino-monoindolylmaleimides as potent and selective PKCbeta inhibitors. Bioorg Med Chem Lett. 2004 Oct 18;14(20):5171-4.

[2]. Saba NS, et al. Protein kinase C-beta inhibition induces apoptosis and inhibits cell cycle progression in acquired immunodeficiency syndrome-related non-hodgkin lymphoma cells. J Investig Med. 2012 Jan;60(1):29-38.

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

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