Ingenol Mebutate

MedChemExpress

Cat. No.:	HY-B0719		
CAS No.:	75567-37-2		
Molecular Formula:	C ₂₅ H ₃₄ O ₆		
Molecular Weight:	430.53		
Target:	РКС		
Pathway:	Epigenetics	; TGF-bet	a/Smad
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro	DMSO : ≥ 100 mg/mL (232.27 mM) * "≥" means soluble, but saturation unknown.					
Preparing Stock Solutions	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
		1 mM	2.3227 mL	11.6136 mL	23.2272 mL	
	5 mM	0.4645 mL	2.3227 mL	4.6454 mL		
		10 mM	0.2323 mL	1.1614 mL	2.3227 mL	
	Please refer to the solubility information to select the appropriate solvent.					
In Vivo	 Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) 					
	 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.81 mM); Clear solution 					

Ingenol Mebutate is an active ingredient in Euphorbia peplus, acts as a potent PKC modulator, with K_is of 0.3, 0.105, 0.162, 0.376, and 0.171 nM for PKC- α , PKC- β , PKC- γ , PKC- δ , and PKC- ϵ , respectively, and has antiinflammatory and antitumor

ΡΚϹ-ε

0.171 nM (Ki)

ΡΚC-γ

0.162 nM (Ki)



BIOLOGICAL ACTIVITY

activity.

ΡΚϹ-β

0.105 nM (Ki)

Description

IC₅₀ & Target

ΡΚC-α

0.3 nM (Ki)

Product Data Sheet

	PKC-δ 0.376 nM (Ki)
In Vitro	Ingenol Mebutate (Ingenol 3-angelate) is an active ingredient in Euphorbia peplus, acting as a potent PKC activator, with K _i s of 0.3, 0.105, 0.162, 0.376, and 0.171 nM for PKC-α, PKC-β, PKC-γ, PKC-δ, and PKC-ε, respectively. Ingenol Mebutate also EC ₅₀ s of 13 ± 2.4 nM (PKC-α), 4.37 ± 0.4 nM (PKC-βl), 10.5 ± 2.2 nM (PKC-βl), 38.6 ± 2.9 nM (PKC-δ), 1.08 ± 0.01 nM (PKC-ε), 0.9 ± 0.13 nM (PKC-μ) in WEHI-231 cells, 198 ± 12.5 nM (PKC-α), 69.1 ± 8.2 nM (PKC-βl), 4.6 ± 0.4 nM (PKC-ε) and 1 nM (PKC-μ) in HOP-92 cells, 635 ± 245 nM (PKC-α), 146 ± 35 nM (PKC-βl), 4.7 ± 0.7 nM (PKC-δ), 1.1 ± 0.5 nM (PKC-ε), and 30 nM (PKC-μ) in Colo-205 cells. Ingenol Mebutate sensitizes WEHI-231 cells, HOP-92 and Colo-205 cells, with IC ₅₀ s of 1.41 ± 0.255 nM, 3.24 ± 2.01 nM, and 11.9 ± 1.307 nM, respectively ^[1] . Ingenol Mebutate (PEP005; 20 nM) actions are PKC-δ dependent, induces apoptosis in primary AML marrow blasts but not in normal myeloblasts ^[2] . Ingenol Mebutate (PEP005) activates PKCδ and inhibits PKCα. Colo205-R cells (IC ₅₀ : >10 μM) are >300-fold more resistant to Ingenol Mebutate than parental Colo205-S cells ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL	
Cell Assay ^[2]	KG1a cells are transiently transfected with EGFP-tagged mouse PKC-δ subcloned into pEGFP-N1 plasmid using an Amaxa nucleofection apparatus. Cells are treated with Ingenol Mebutate (0.2 μM-20 μM) 24 hours after transfection. Cell viability in EGFP-positive cells is assessed and loss of viability confirmed in the total cell culture by MTT assay after 3 days. Briefly, 24 hours after transfection, 2 × 10 ⁴ cells are plated in 5 wells in 96-well plates and exposed to 0, 0.2, 2, and 20 μM Ingenol Mebutate. At 72 hours, 20 μL MTT substrate at 5 mg/mL is added and plates are incubated at 37°C. After 3 hours, 150 μL media is removed and replaced with 200 μL dimethyl sulfoxide (DMSO). Absorbance at an optical density (OD) of 550 nm is read on a plate reader and corrected for absorbance obtained from blank media controls ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

• bioRxiv. 2023 Apr 9.

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REFERENCES

[1]. Kedei N, et al. Characterization of the interaction of ingenol 3-angelate with protein kinase C. Cancer Res. 2004 May 1;64(9):3243-55.

[2]. Hampson P, et al. PEP005, a selective small-molecule activator of protein kinase C, has potent antileukemic activity mediated via the delta isoform of PKC. Blood. 2005 Aug 15;106(4):1362-8.

[3]. Ghoul A, et al. Epithelial-to-mesenchymal transition and resistance to ingenol 3-angelate, a novel protein kinase C modulator, in colon cancer cells. Cancer Res. 2009 May 15;69(10):4260-9.

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

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