Bisindolylmaleimide VIII acetate

Cat. No.: HY-129624A

CAS No.: 138516-31-1

Molecular Formula: $C_{26}H_{26}N_4O_4$

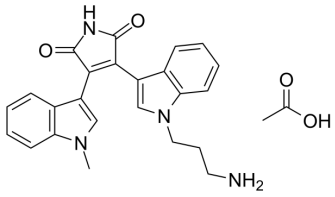
Molecular Weight: 458.51

Target: PKC; Apoptosis

Pathway: Epigenetics; TGF-beta/Smad; Apoptosis

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (545.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1810 mL	10.9049 mL	21.8098 mL
	5 mM	0.4362 mL	2.1810 mL	4.3620 mL
	10 mM	0.2181 mL	1.0905 mL	2.1810 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 (4.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bisindolylmaleimide VIII acetate (Ro 31-7549 acetate) is a potent and selective protein kinase C (PKC) inhibitor with an IC_{50} of 158 nM for rat brain PKC. Bisindolylmaleimide VIII acetate has IC_{50}s of 53, 195, 163, 213, and 175 nM for PKC-α, PKC-β_I, PKC-β_{II}, PKC-γ, PKC-ε, respectively[1]. Bisindolylmaleimide VIII acetate facilitates Fas-mediated apoptosis and inhibits T cell-mediated autoimmune diseases[2].

IC_{50} & Target

Rat Brain PKC 158 nM (IC_{50})	PKC-α 53 nM (IC_{50})	PKC-βI 195 nM (IC_{50})	PKC-βII 163 nM (IC_{50})
PKC-γ 213 nM (IC_{50})	PKC-ε 175 nM (IC_{50})		

In Vitro

Bisindolylmaleimide VIII acetate (Ro 31-7549 acetate; 5 μM; 8, 12 hours) dramatically increases TRA-8-induced cell death in time-dependent and TRA-8 dose-dependent manners[2].
Bisindolylmaleimide VIII acetate (5 μM; 6 hours) significantly decreases procaspase-8 at 4 h and completely disappeares at 6 h after the combined treatment with TRA-8[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	1321N1 cells
Concentration:	5 μM
Incubation Time:	8, 12 hours
Result:	Dramatically increased TRA-8-induced cell death in time-dependent and TRA-8 dose-dependent manners.

Western Blot Analysis[2]

Cell Line:	1321N1 cells
Concentration:	5 μM
Incubation Time:	6 hours
Result:	Significantly decreased procaspase-8 at 4 h and completely disappeared at 6 h.

In Vivo

Bisindolylmaleimide VIII acetate (Ro 31-7549 acetate; 100 μg; IP; every other day for three doses) results in nearly complete tumor regression combined toTRA-8. The treatment with Bisindolylmaleimide VIII acetate alone does not induce significant tumor regression[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8-week-old female NOD/SCID mice[2].
Dosage:	100 μg
Administration:	IP; every other day for three doses
Result:	Resulted in nearly complete tumor regression combined toTRA-8.

REFERENCES

[1]. Wilkinson SE, et al. Isoenzyme specificity of bisindolylmaleimides, selective inhibitors of protein kinase C. Biochem J. 1993 Sep 1;294 (Pt 2):335-7.

[2]. Ohtsuka T, et al. Bisindolylmaleimide VIII enhances DR5-mediated apoptosis through the MKK4/JNK/p38 kinase and the mitochondrial pathways. J Biol Chem. 2002 Aug 9;277(32):29294-303.

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