TTNPB

Cat. No.: HY-15682

CAS No.: 71441-28-6

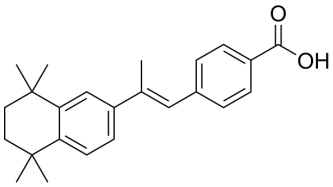
Molecular Formula: $C_{24}H_{28}O_2$

Molecular Weight: 348.48

Target: RAR/RXR; Autophagy; Apoptosis

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Autophagy; 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 : 8.33 mg/mL (23.90 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8696 mL	14.3480 mL	28.6961 mL
	5 mM	0.5739 mL	2.8696 mL	5.7392 mL
	10 mM	0.2870 mL	1.4348 mL	2.8696 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (3.59 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TTNPB is a highly potent RAR agonist. Competitive binding assays using human RARs yield IC_{50}s of α=5.1 nM, β= 4.5 nM, and γ=9.3 nM, respectively.

IC_{50} & Target

IC50: 5.1 nM (RARα), 4.5 nM (RARβ), 9.3 nM (RARγ)[1]

In Vitro

TTNPB inhibits binding of [^{3}H]tRA with IC_{50}s of 3.8 nM, 4 nM, and 4.5 nM for human RARα, β, and γ, respectively. TTNPB competes for [^{3}H]tRA binding to CRABPI with IC_{50}s of 1800 nM[1].

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

PROTOCOL

Kinase Assay [1]

Labeled and unlabeled retinoids are added to nucleosol or cytosolic fractions in ethanol so that the total amount of ethanol added is constant in all tubes and did not exceed 2% of the incubation volume. The receptor preparations are incubated with retinoids at 47°C for 4-6 hr. Sephadex PD-10 desalting columns are used to separate bound radioligand from free radioligand after equilibrium is achieved. For competitive binding assays, varying concentrations of unlabeled competing ligand are incubated with the appropriate nucleosol or cytosol in the presence of a fixed concentration of [^{3}H]tRA (sp act. 49.3 Ci/mmol) or [^{3}H]9-cis RA (sp. act. 24.0 Ci/mmol). Final concentrations of [^{3}H] tRA and [^{3}H]9-cis RA for nuclear receptor binding assays are 5nM. Final concentrations of [^{3}H]tRA for CRABP binding assays is 30 nM. The IC_{50}s are calculated. For saturation kinetics, increasing concentrations of radiolabeled ligand ([^{3}H]tRA sp. act. 49.3 Ci/mmol, [^{3}H]TTNPB sp. act. 5.5 Ci/mmol) are added to the nucleosol of the appropriate receptor subtype in the presence (nonspecific binding) or absence (total binding) of a 100-fold molar excess of the corresponding unlabeled retinoid. Specific binding is defined as the total binding minus nonspecific binding. Saturation kinetics are calculated[1].

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

CUSTOMER VALIDATION

- Biomaterials. 2018 Dec 6;193:30-46.
- Biomedicines. 2020 Nov 9;8(11):485.
- Patent. US20180263995A1.

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REFERENCES

[1]. Pignatello MA, et al. Multiple factors contribute to the toxicity of the aromatic retinoid, TTNPB (Ro 13-7410): binding affinities and disposition. Toxicol Appl Pharmacol. 1997 Feb;142(2):319-27.

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