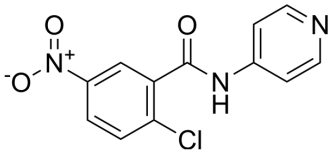
T0070907

Cat. No.:	HY-13202
CAS No.:	313516-66-4
Molecular Formula:	$C_{12}H_8ClN_3O_3$
Molecular Weight:	277.66
Target:	PPAR
Pathway:	Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor
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 : 62.5 mg/mL (225.10 mM; ultrasonic and warming and heat to 60°C)

H_2O : 1.1 mg/mL (3.96 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6015 mL	18.0076 mL	36.0153 mL
	5 mM	0.7203 mL	3.6015 mL	7.2031 mL
	10 mM	0.3602 mL	1.8008 mL	3.6015 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: ≥ 1 mg/mL (3.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (3.60 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (3.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	T0070907 is a potent PPARγ antagonist with a K_i of 1 nM.		
IC_{50} & Target	PPARγ 1 nM (Ki)	PPARδ 1.8 μM (Ki)	PPARα 0.85 μM (Ki)
In Vitro	T0070907 (50 μM) pre-treatment impairs repair of IR-induced DNA DSBs in both ME-180 and SiHa cells treated with irradiated		

(4 Gy). T0070907 (0-50 μM) significantly decreases the levels of DNA-PKcs and RAD51 proteins in ME-180 and SiHa cells[1]. T0070907 (50 μM) treatment reduces the levels of α- and β-tubulin protein in a time-dependent manner, decreases the synthesis of DNA, and prevents the radiation-induced alterations in the cell cycle regulatory proteins of ME180 and SiHa cells [2]. T0070907 (10 μM) has cytotoxicity in an adipocyte-specific and PPARγ-independent manner. T0070907 increases oxidative stress in immature adipocytes[3]. T0070907 (1 μM) blocks the induction of adipogenesis by various treatments of the adipogenic cell line 3T3-L1. T0070907 covalently modifies PPAR on cysteine 313 in helix 3 of human PPAR 2[4].

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

PROTOCOL

Kinase Assay [4]

To determine the binding affinity of T0070907 to the PPARs, scintillation proximity assay (SPA) is performed with the following modifications. A 90 μL reaction contains SPA buffer (10 mM K_2HPO_4, 10 mM KH_2PO_4, 2 mM EDTA, 50 mM NaCl, 1 mM dithiothreitol, 2 mM CHAPS, 10% (v/v) glycerol, pH 7.1), 50 ng of GST-PPAR (or 150 ng of GST-PPAR), 5 nM ^{3}H-labeled radioligands, and 5 μL of T0070907 in Me_2SO. After incubation for 1 h at room temperature, 10 μL of polylysine-coated SPA beads (at 20 mg/mL in SPA buffer) are added, and the mixture is incubated for 1 h before reading in Packard Topcount. [^{3}H]Rosiglitazone is used for PPAR, and [^{3}H]GW2433 is used for PPAR and PPAR.

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

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2996-3005.
- Theranostics. 2021 Jan 1;11(3):1192-1206.
- Cell Chem Biol. 2023 May 22;S2451-9456(23)00126-5.
- J Med Chem. 2021 Jan 10.
- Neurobiol Dis. 2018 Sep;117:114-124.

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REFERENCES

[1]. An Z, et al. T0070907 inhibits repair of radiation-induced DNA damage by targeting RAD51. Toxicol In Vitro. 2016 Dec;37:1-8

[2]. An Z, et al. T0070907, a PPAR γ inhibitor, induced G2/M arrest enhances the effect of radiation in human cervical cancer cells through mitotic catastrophe. Reprod Sci. 2014 Nov;21(11):1352-61.

[3]. Kawahara A, et al. Peroxisome proliferator-activated receptor γ (PPARγ)-independent specific cytotoxicity against immature adipocytes induced by PPARγ antagonist T0070907. Biol Pharm Bull. 2013;36(9):1428-34

[4]. Lee G, et al. T0070907, a selective ligand for peroxisome proliferator-activated receptor gamma, functions as an antagonist of biochemical and cellular activities. J Biol Chem. 2002 May 31;277(22):19649-57. Epub 2002 Mar 4

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