AGN 194310

Cat. No.: HY-16681

CAS No.: 229961-45-9

Molecular Formula: $C_{28}H_{24}O_2S$

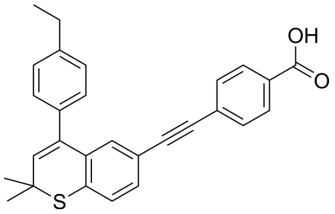
Molecular Weight: 424.55

Target: RAR/RXR; Autophagy

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Autophagy

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 : 50 mg/mL (117.77 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3554 mL	11.7772 mL	23.5544 mL
	5 mM	0.4711 mL	2.3554 mL	4.7109 mL
	10 mM	0.2355 mL	1.1777 mL	2.3554 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 (2.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AGN 194310 (VTP-194310) is a high affinity, potent and selective retinioic acid receptors (RARs) pan-antagonist with K_d values of 3 nM, 2 nM, 5 nM for RARα, RARβ, RARγ, respectively[1][2]. AGN 194310 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

In Vitro

AGN194310 potently inhibits colony formation by all three lines, with IC_{50} values of 16 nM for LNCaP cells; 18 nM for PC3 cells; and 34 nM for DU-145 cells[2].

AGN 194310 (50 nM, 100 nM; LNCaP, PC-3 and DU-145 cells) inhibits colony formation at concentrations of 50 nM and 100 nM alone and in combination with TTNPB[2].

AGN 194310 (1 μM; 72 hours; LNCaP cells) treatment results in 80% apoptosis[2].

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

Cell Viability Assay[2]

Cell Line:	LNCaP, PC-3 and DU-145 cells.
Concentration:	50 nM, 100 nM
Incubation Time:	
Result:	When used together with 100 nM TTNPB, there was almost complete reversal of the growth inhibitory effect of 50 nM and partial reversal of the effect of 100 nM.

Apoptosis Analysis[2]

Cell Line:	LNCaP cells
Concentration:	1 μM
Incubation Time:	72 hours
Result:	Induced apoptosis in LNCaP cells.

In Vivo

AGN 194310 (0.5 mg/kg/day; oral gavage; every day; for 10 days; female C57Bl/6J mice) treatment increases the number of granulocytes across haemopoietic compartments. A significant increase in the frequency of granulocyte-progenitor cells is observed in the bone marrow of mice after treatment with AGN194310[3].

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

Animal Model:	Female C57Bl/6J mice (Five-week-old (34-37 days))[3]
Dosage:	0.5 mg/kg/day
Administration:	Oral gavage; every day; for 10 days
Result:	The number of granulocytes was significantly increased across haemopoietic compartments. Progenitor cells containing granulocytes also increased significantly.

CUSTOMER VALIDATION

- Nat Commun. 2022 Feb 17;13(1):931.
- Cell Rep. 2020 Dec 1;33(9):108465.
- J Ethnopharmacol. 2023 Jul 12;116909.
- J Am Heart Assoc. 2020 Jun 16;9(12):e015686.
- Biol Open. 2019 Jun 17;8(6):bio044974.

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REFERENCES

[1]. Johnson AT, et al. Synthesis and biological activity of high-affinity retinoic acid receptor antagonists. Bioorg Med Chem. 1999 Jul;7(7):1321-38.

[2]. Hammond LA, et al. Antagonists of retinoic acid receptors (RARs) are potent growth inhibitors of prostate carcinoma cells. Br J Cancer. 2001 Aug 3;85(3):453-62.

[3]. Walkley CR, et al. Retinoic acid receptor antagonism in vivo expands the numbers of precursor cells during granulopoiesis. Leukemia. 2002 Sep;16(9):1763-72.

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