MSU-42011

Cat. No.: HY-153832

CAS No.: 2456434-36-7

Molecular Formula: $C_{24}H_{34}N_2O_2$

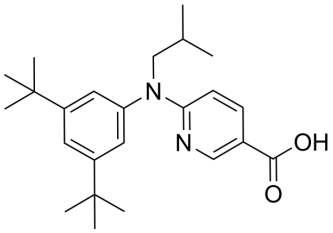
Molecular Weight: 382.54

Target: RAR/RXR; NO Synthase

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

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 : 125 mg/mL (326.76 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6141 mL	13.0705 mL	26.1411 mL
	5 mM	0.5228 mL	2.6141 mL	5.2282 mL
	10 mM	0.2614 mL	1.3071 mL	2.6141 mL

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

BIOLOGICAL ACTIVITY

Description

MSU-42011 is an orally active retinoid X receptor (RXR) agonist. MSU-42011 inhibits the iNOS activity and reduces the expression of p-ERK protein. MSU-42011 has immunomodulatory and antitumor activity[1].

In Vitro

MSU-42011 (0-1 μM) inhibits iNOS with an IC_{50} of 158 nM in RAW264.7 macrophage-like cells[1].

MSU-42011 (300 nM; 8 h) shows a low induction effect on SREBP in HepG2 cells[1].

MSU-42011 (0-5000 nM; 24 h) can activate RXRα in HepG2 cells[1].

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

RT-PCR[1]

Cell Line:	HepG2 liver cancer cells
Concentration:	300 nM
Incubation Time:	8 h

Result:	SREBP expression ranged from no change to a 1.49-fold induction compared to the vehicle control

In Vivo

MSU-42011 (25 mg/kg, PO, for 12 weeks) significantly reduces the number, size and overall tumor burden of tumors in an A/J mouse lung cancer model.
Fewer cells actively proliferated and showed a significant [1] reduction in p-ERK compared to controls.
MSU-42011 (25 mg/kg; PO; 1 week later, intraperitoneal injection of Carboplatin (HY-17393) (50 mg/kg) and paclitaxel (HY-B0015) (15 mg/kg) every other week 6 times; treatment for 12 weeks) is most effective in reducing tumor number, tumor size, and overall tumor burden when combined with C/P in the A/J mouse lung cancer model.
Decreased macrophages in the lung and increases CD8+ T cell activation markers[1].
MSU42011 (100 mg/kg; PO; 2 weeks later, intraperitoneal injection 50mg/mouse of anti-PD1 and anti-PDL1 antibodies, twice a week, a total of 22 times) reduces tumor burden in a mouse lung tumor model[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A/J mice (Intraperitoneal injected with the carcinogen ethyl carbamate (0.32 mg/injection) for 8 weeks)[1]
Dosage:	25 mg/kg
Administration:	Oral administration; One week after, i.p. every other week for a total of 6 injections with Carboplatin (HY-17393) (50 mg/kg) and paclitaxel (15 mg/kg); for 12 weeks
Result:	The number and size of detected lung surface tumors increased not in the treatment group Combines with C/P was most effective in reducing tumor number (67% vs. control), tumor size (76% vs. control), and overall tumor burden (92% vs. control).

Animal Model:	A/J lung cancer model (Intraperitoneal injected with the carcinogen ethyl carbamate (0.32 mg/injection) for 8 weeks)[2]
Dosage:	100 mg/kg
Administration:	Oral administration; After 2 weeks, each mouse was intraperitoneally injected with anti-PD1 and anti-PDL1 antibodies at a rate of 50 μg/mouse, twice a week for a total of 22 times
Result:	Showed that an increase in the ratio of anti-tumor CD8 T cells to CD4, CD25 T cells resulted in a significant reduction in tumor volume compared to MSU42011 or anti-PD(L)1 antibody alone.

REFERENCES

[1]. Moerland JA, et al. The novel rexinoid MSU-42011 is effective for the treatment of preclinical Kras-driven lung cancer. Sci Rep. 2020 Dec 17;10(1):22244.

[2]. Ana S Leal, et al. The RXR Agonist MSU42011 Is Effective for the Treatment of Preclinical HER2+ Breast Cancer and Kras-Driven Lung Cancer. Cancers (Basel). 2021 Oct 6;13(19):5004.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA