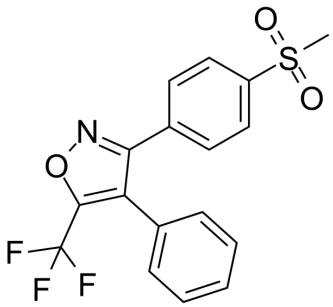
CAY10404

Cat. No.:	HY-121537
CAS No.:	340267-36-9
Molecular Formula:	$C_{17}H_{12}F_3NO_3S$
Molecular Weight:	367.34
Target:	COX; Akt; Apoptosis
Pathway:	Immunology/Inflammation; PI3K/Akt/mTOR; Apoptosis
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (272.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7223 mL	13.6114 mL	27.2227 mL
	5 mM	0.5445 mL	2.7223 mL	5.4445 mL
	10 mM	0.2722 mL	1.3611 mL	2.7223 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: 2.5 mg/mL (6.81 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.81 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CAY10404 is a potent and selective cyclooxygenase-2 (COX-2) inhibitor with an IC_{50} of 1 nM and a selectivity index (SI; COX-1 IC_{50}/COX-2 IC_{50}) of >500000. CAY10404 is a potent PKB/Akt and MAPK signaling pathways inhibitor and induces apoptosis in non-small cell lung cancer (NSCLC) cells. CAY10404, a diarylisoxazole, has good analgesic, anti-inflammatory, and anti-cancer activities[1][2][3].

IC_{50} & Target

COX-2	COX-1
1 nM (IC_{50})	>500 μM (IC_{50})

In Vitro

CAY10404 (compound 7) exhibits no inhibition of COX-1 (IC_{50}>500 μM)[1].

CAY10404 (10-100 μM; for 3 days) inhibits the growth of NSCLC cell lines in a concentration-dependent manner and has an average 50% inhibitory concentration (IC_{50}) of 60-100 μM[3].
CAY10404 (20-100 μM; for 3 days) induces apoptosis in NSCLC cells[3].
CAY10404 (80 μM; for 3 days) induces a concentration-dependent decrease in the level of the anti-apoptotic proteins (Bcl-2 and Bcl-X_L) and pAkt and pGSK-3β[3].
CAY10404 (20, 50, 80, 100 μM; for 14 days) compromises the ability of H460 cells to form colonies in anchorage-independent growth in a concentration-dependent manner[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[3]

Cell Line:	Non-small cell lung cancer (NSCLC) cells (H1703, H358, H460)
Concentration:	10-100 μM
Incubation Time:	For 3 days
Result:	Inhibited the growth of NSCLC cell lines in a concentration-dependent manner.

Apoptosis Analysis[3]

Cell Line:	H460 cells
Concentration:	20, 50, 100 μM
Incubation Time:	For 3 days
Result:	Induced apoptosis.

Western Blot Analysis[3]

Cell Line:	NSCLC cells (H358, H460)
Concentration:	80 μM
Incubation Time:	For 3 days
Result:	Induced a concentration-dependent decrease in the level of the anti-apoptotic proteins (Bcl-2 and Bcl-X_L) and pAkt and pGSK-3β, without changing the level of the pro-apoptotic protein (Bax) and total Akt and GSK-3β protein levels.

In Vivo

CAY10404 (50 mg/kg/day; ip; for 4 days) decreases lung inflammation in HTV mice and attenuates ventilator-induced lung injury[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male C57Bl/6J mice weighing 24-30 g[2]
Dosage:	50 mg/kg
Administration:	IP; daily; for 4 days
Result:	Attenuated cyclooxygenase activity, significantly decreasing BAL PGE2 and 6-keto PGF1α. Decreased lung inflammation in HTV mice (high tidal volume; 20 ml/kg; for 4 hours) and attenuates ventilator-induced lung injury.

REFERENCES

[1]. A G Habeeb, et al. Design and synthesis of 4,5-diphenyl-4-isoxazolines: novel inhibitors of cyclooxygenase-2 with analgesic and antiinflammatory activity. J Med Chem. 2001 Aug 30;44(18):2921-7.

[2]. Joshua A Robertson, et al. The role of cyclooxygenase-2 in mechanical ventilation-induced lung injury. Am J Respir Cell Mol Biol. 2012 Sep;47(3):387-94.

[3]. Yongseon Cho, et al. Effects of CAY10404 on the PKB/Akt and MAPK pathway and apoptosis in non-small cell lung cancer cells. Respirology. 2009 Aug;14(6):850-8.

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