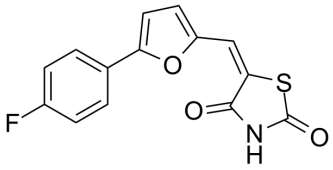
CAY10505

Cat. No.:	HY-13530
CAS No.:	1218777-13-9
Molecular Formula:	$C_{14}H_8FNO_3S$
Molecular Weight:	289.28
Target:	PI3K; Apoptosis
Pathway:	PI3K/Akt/mTOR; Apoptosis
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 : ≥ 34 mg/mL (117.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4569 mL	17.2843 mL	34.5686 mL
5 mM	0.6914 mL	3.4569 mL	6.9137 mL
10 mM	0.3457 mL	1.7284 mL	3.4569 mL

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

BIOLOGICAL ACTIVITY

Description

CAY10505 is a potent and selective PI3Kγ inhibitor with an IC_{50} of 30 nM in neurons.

IC_{50} & Target

PI3Kγ

30 nM (IC_{50}, Neurons)

In Vitro

A class IB PI3Kγ isoform inhibitor CAY10505 at 200 nM (IC_{50}=30 nM) partially reduces the baicalein-induced Akt phosphorylation in neurons[1]. The pharmacological PI3K inhibitor CAY10505 (PIK3CG) is tested on an extended panel of multiple myeloma (MM) cell lines and freshly isolated primary MM samples. MM cells are CAY10505-treated for 3 d (MM cell lines) or 5 d (primary MM cells) respectively, and survival is analysed by flow cytometry (annexin V-FITC/PI staining). Treatment of bone marrow stromal cells (BMSCs)-co-cultured primary MM samples with the PIK3CA inhibitor CAY10505 results in anti-survival effects (mean survival relative to DMSO-treated controls: CAY10505: 84±14%, tested at 10 μM)[2].

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

In Vivo

Administration of CAY10505 (0.6 mg/kg, p.o.), Losartan (25 mg/kg, p.o.), or Atorvastatin (30 mg/kg, p.o.) significantly

	increases serum nitrite and (or) nitrate concentrations in hypertensive rats. Acetylcholine (ACh) and Sodium nitroprusside (SNP) produce endothelium-dependent and-independent relaxation in isolated rat aortic ring precontracted with Phenylephrine (3 μM), in a dose dependent manner. Administration of CAY10505 (0.6 mg/kg,p.o.), Losartan (25 mg/kg, p.o.), or Atorvastatin (30 mg/kg, p.o.) significantly prevents hypertension-induced attenuation of ACh-induced endothelium-dependent relaxation. Deoxycorticosterone acetate salt (DOCA, 40 mg/kg, s.c.) induced hypertension markedly attenuates acetylcholine-induced endothelium-dependent relaxation, but does not affect SNP-induced endotheliumindependent relaxation[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Up to 5×10^4 multiple myeloma (MM) cells/100 μL of medium per well are seeded in 96-well-plates. Primary MM cells are always seeded into wells containing primary bone marrow stromal cells. Drugs (e.g., CAY10505) are dissolved in either DMSO or acidified ethanol (in the case of melphalan) and kept as frozen stock solutions of 10-50 mM. Working dilutions in fullmedium are always freshly prepared. In the case of Bortezomib small volumes of single-thaw stock solution aliquots are prepared. Drug dilutions (e.g., CAY10505, 2.5, 5, 7.5 and 10 μM) are added to MM cells as 100 μL of 2× the final concentration per well for single-drug treatments, and in appropriate volumes of higher concentrations for drug combinations. Solvent controls are always included[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Rats[3] Wistar albino rats (180-240 g) of either sex are employed in the present study. For each group, the number of rats used (n) is 6. Group I (normal control): Rats are maintained on a diet of normal chow with drinking water. Group II (hypertensive control): Rats are unilaterally nephrectomized (uninephrectomised) and DOCA (40 mg/kg) is administered by subcutaneous injection (s.c.) twice weekly for 6 weeks, and then the untreated drinking water is replaced with a 1% NaCl solution. Group III (hypertensive rats): Rats are treated with CAY10505 (0.6 mg/kg, per os (p.o)) for 1 week after 5 weeks of treatment with DOCA. Group IV (hypertensive rats): Rats are treated with Losartan (25 mg/kg, p.o.) for 1 week after 5 weeks of treatment with DOCA. Group V (hypertensive rats): Rats are treated with Atorvastatin (30 mg/kg, p.o.) for 1 week after 5 weeks of treatment with DOCA. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2020 Jul 3;11(7):500.
- Commun Biol. 2022 Apr 8;5(1):339.
- Molecules. 2020 Apr 23;25(8):1980.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Sun YY, Lin SH et al. Cell type-specific dependency on the PI3K/Akt signaling pathway for the endogenous Epo and VEGF induction by baicalein in neurons versus astrocytes. 2013 Jul 19;8(7):e69019.

[2]. Hofmann C, et al. PI3K-dependent multiple myeloma cell survival is mediated by the PIK3CA isoform. Br J Haematol. 2014 Aug;166(4):529-39.

[3]. Tyagi S. Effect of phosphatidylinositol 3-kinase-γ inhibitor CAY10505 in hypertension, and its associated vascular endothelium dysfunction in rats. Can J Physiol Pharmacol. 2012 Jul, 90(7), 881-5.

[4]. Jingyi Wang, et al. MiR-1976 Knockdown Promotes Epithelial-Mesenchymal Transition and Cancer Stem Cell Properties Inducing Triple-Negative Breast Cancer Metastasis. Cell Death Dis. 2020 Jul 3;11(7):500.

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