产品名称：CAY10505
产品别名：CAY10505

生物活性：

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 (IC50=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].
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].
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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]. 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.

[3]. 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.

实验参考：	
Cell Assay	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].
Animal Administration	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.
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]. 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. [3]. 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.