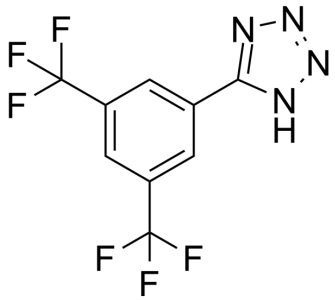
KG-548

Cat. No.:	HY-120087
CAS No.:	175205-09-1
Molecular Formula:	$C_9H_4F_6N_4$
Molecular Weight:	282.15
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
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 : 100 mg/mL (354.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5442 mL	17.7211 mL	35.4421 mL
	5 mM	0.7088 mL	3.5442 mL	7.0884 mL
	10 mM	0.3544 mL	1.7721 mL	3.5442 mL

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

BIOLOGICAL ACTIVITY

Description

KG-548 is an ARNT/TACC3 disruptor and a HIF-1α inhibitor. KG-548 directly interferes with ARNT/TACC3 complex formation by competing with TACC3 for binding to the ARNT PAS-B domain. ARNT is the aryl hydrocarbon receptor nuclear translocator, also known as HIF-β[1][2].

IC_{50} & Target

HIF-β[1]; HIF-1α[2]

In Vitro

HIF is a heterodimer of two bHLH-PAS (basic Helix Loop Helix-Per-ARNT-Sim) subunits, including a HIF-α paralog (HIF-1α, -2α, -3α) and aryl hydrocarbon receptor nuclear translocator (ARNT, also known as HIF-β)[1].

KG-548 (0-250 μM; 16 h for over night) exhibits the great reduction of ARNT/CCC complex formation for both coactivators, and also disrupts ARNT2 PAS-B/TACC3 interactions[1].

KG-548 (0-2 mM; 16 h for over night) dose-dependently breaks up the (320 μM) ARNT PAS-B/TACC3 complex in vitro and in cell lysate with an IC_{50} value of 25 μM[1].

KG-548 significantly inhibits lactate production of glycolysis in FaDu hypopharyngeal carcinoma cells[2].

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

Western Blot Analysis[1]

Cell Line:	HEK293T cell lysates
Concentration:	0, 5, 50, 100, 250, 500 μM and 1 mM, 2 mM
Incubation Time:	16 hours
Result:	Weakened the ARNT/TACC3 interaction from 5 μM to 500 μM dose-dependently, and decreased the protein intense of ARNT associated with immunoprecipitated TACC3 protein by 82% (500 μM), 59% (1 mM), 43% (2 mM), respectively.

REFERENCES

[1]. Guo Y, et al. Regulating the ARNT/TACC3 axis: multiple approaches to manipulating protein/protein interactions with small molecules. ACS Chem Biol. 2013 Mar 15;8(3):626-35.

[2]. Kleszcz R, et al. The inhibition of c-MYC transcription factor modulates the expression of glycolytic and glutaminolytic enzymes in FaDu hypopharyngeal carcinoma cells. Adv Clin Exp Med. 2018 Jun;27(6):735-742.

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