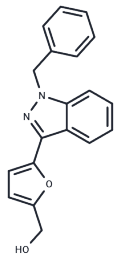
Data Sheet (Cat.No.T4381)

TargetMol

Lificiguat

Chemical Properties



CAS No. : 170632-47-0

Formula: C19H16N2O2

Molecular Weight: 304.34

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lificiguat (YC-1) is an nitric oxide (NO)-independent activator of soluble guanylyl cyclase (sGC) and an inhibitor of Hypoxia-inducible factor-1alpha (HIF-1alpha).
Targets(IC50)	HIF,Guanylate cyclase
In vitro	Lificiguat increases the catalytic rate of the soluble guanylyl cyclase (sGC) and sensitizes the enzyme toward its gaseous activators nitric oxide or carbon monoxide. Lificiguat alone activates the enzyme only 10-fold, but it potentiates the CO- and NO-dependent activation of sGC, resulting in stimulation of the highly purified enzyme that may be several hundred- to several thousand-fold. It inhibits platelet aggregation and vascular contraction and also inhibits HIF-1 activity in vitro. Lificiguat completely blocks HIF-1α expression at the post-transcriptional level and consequently inhibits the transcription factor activity of HIF-1 in hepatoma cells cultured under hypoxic conditions, suggesting that these effects of Lificiguat are likely to be linked with the oxygen-sensing pathway and not with the activation of soluble guanylyl cyclase.
In vivo	In experimental animals, Lificiguat causes the inhibition of the platelet-rich thrombosis and a decrease of the mean arterial pressure. Lificiguat effectively inhibits tumor growth in tumor-bearing mice. In mice, Lificiguat inhibition of HIF-1 activity in tumors, and this is associated with blocked angiogenesis and an inhibition of tumor growth, while the anti-platelet aggregation effect of Lificiguat does not appear to affect tumor growth.
Cell Research	Hep3B cells are plated in a six-well plate at a density of 1 × 105 cells/well in α-modified Eagle medium supplemented with 10% heat-inactivated FBS and incubated overnight. Cells are treated with YC-1 (0.01-10 μM) or vehicle (DMSO) for 5 minutes and are then subjected to normoxia or hypoxia for 24 hours. VEGF levels in the conditioned media are quantified by using the Quantikine human VEGF Immunoassay kit. The VEGF concentrations are quantified by comparison with a series of VEGF standard samples included in the assay kit.
Animal Research	Animal Models: Male nude (BALB/cAnNCrj-nu/nu) mice. Formulation: DMSO. Dosages: 30 μg/g. Administration: i.p.

Solubility Information

Solubility	DMSO: 104 mg/mL (341.72 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.57 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2858 mL	16.429 mL	32.858 mL
5 mM	0.6572 mL	3.2858 mL	6.5716 mL
10 mM	0.3286 mL	1.6429 mL	3.2858 mL
50 mM	0.0657 mL	0.3286 mL	0.6572 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Martin E,etal.YC-1 activation of human soluble guanylyl cyclase has both heme-dependent and heme-independent components.Proc Natl Acad Sci U S A. 2001 Nov 6;98(23):12938-42.

Liu R, Kang Y, Chen L. Activation mechanism of human soluble guanylate cyclase by stimulators and activators. Nature Communications. 2021, 12(1): 1-10.

Yeo EJ,etal.YC-1: a potential anticancer drug targeting hypoxia-inducible factor 1.J Natl Cancer Inst. 2003 Apr 2;95 (7):516-25.

Li Y, Yang W, Zheng Y, et al.Targeting fatty acid synthase modulates sensitivity of hepatocellular carcinoma to sorafenib via ferroptosis.Journal of Experimental & Clinical Cancer Research.2023, 42(1): 1-19.

Liu X, Geng X, Shi Y, et al.Biomimetic oxygen-boosted hybrid membrane nanovesicles as the treatment strategy for ischemic stroke with the concept of the neurovascular unit.Biomaterials Advances.2023: 213379.