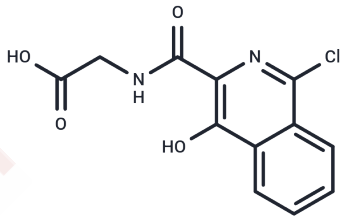
Data Sheet (Cat.No.T2445)

TargetMol

FG-2216

Chemical Properties



CAS No. : 223387-75-5

Formula: C12H9ClN2O4

Molecular Weight: 280.66

Storage: 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	FG-2216 (YM-311) is a potent HIF-prolyl hydroxylase inhibitor for the PDH2 enzyme (IC50: 3.9 uM); orally bioavailable and induced reversible and significant Epo induction in vivo.
Targets(IC50)	HIF/HIF Prolyl-Hydroxylase
In vitro	FG-2216 shows the ability to stabilize HIF-α to stimulate EPO secretion. [1]
In vivo	In male rhesus macaques, FG-2216 (60 mg/kg, p.o.), induces significant and reversible Epo induction, and induces a small elevation of HbF expression. [2]
Kinase Assay	Metabolic Labeling and Gel Electrophoresis: COLO 320DM cells (200,000) are injected into each well of 12-well plastic plates 2 days before incubation in the presence of KNK437 for 1 h before heat shock. The cells are then heat-shocked at 42°C for 90 min or kept at 37°C for the same length of time and incubated at 37°C for 2 h. For metabolic labeling, cells are washed with PBS without Ca2+ or Mg2+ and incubated for 1 h with 1.22 MBq of [35S]methionine in 250 μL of methionine-free DMEM supplemented with 10% dialyzed fetal bovine serum. After metabolic labeling, cells are washed twice with PBS and lysed in a buffer containing 1% NP40, 0.15 M NaCl, 50 mM Tris-HCl (pH 8.0), 5 mM EDTA, and protease inhibitors [0.2 mM 4-(2-aminoethyl)benzenesulfonyl fluoride hydrochloride, 2 mM N-ethylmaleimide, 1 μg/mL pepstatin, and 1 μg/mL leupeptin]. After centrifugation at 12,000×g for 20 min, cell extracts containing equal amounts of trichloroacetic acid-insoluble radioactivity are analyzed by two-dimensional gel electrophoresis (the one-dimensional gel electrophoresis is a nonequilibrium pH gradient gel electrophoresis, and the two-dimensional gel electrophoresis is 10% SDS-PAGE).

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (178.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (8.91 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	3.563 mL	17.8152 mL	35.6303 mL
5 mM	0.7126 mL	3.563 mL	7.1261 mL
10 mM	0.3563 mL	1.7815 mL	3.563 mL
50 mM	0.0713 mL	0.3563 mL	0.7126 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

Hong YR, et al. Bioorg Med Chem Lett. 2013, 23(21), 5953-5957.
Hsieh MM, et al. Blood. 2007, 110(6), 2140-2147.