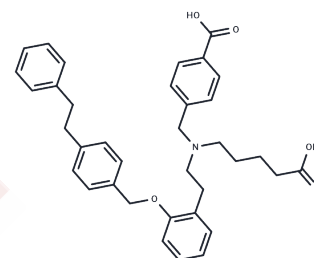


Cinaciguat

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 329773-35-5 |
| Formula: | C36H39NO5 |
| Molecular Weight: | 565.7 |
| 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 | Cinaciguat (BAY 58-2667) (BAY 58-2667) is the first of a new class of soluble guanylate cyclase (sGC) activators in Clinicalal development for acute decompensated heart failure. |
| Targets(IC50) | Guanylate cyclase |
| In vitro | Cinaciguat (10 μM) significantly enhances intracellular cGMP generation without exhibiting dose-dependent effects on cell contraction and calcium transients[2]. |
| In vivo | Cinaciguat (10 mg/kg/day, p.o.) treatment in diabetic rats does not affect blood glucose levels but reduces water intake, alleviates diabetes mellitus-related oxidative stress, protects against alterations in the NO-sGC-cGMP-PKG signaling pathway, and reduces myocardium hypertrophy and apoptosis[1]. Cinaciguat (1-10-100 nM) induces concentration-dependent relaxations in strips from both WT and apo-sGC mice, but does not influence phasic activity induced by PGF2α in WT or apo-sGC strips[3]. |
| Kinase Assay | HDAC Inhibition Assays: Purified HDACs are incubated with 1 mM carboxyfluorescein (FAM)-labeled acetylated peptide substrate and test compound for 17 h at 25 °C in HDAC assay buffer containing 100 mM HEPES (pH 7.5), 25 mM KCl, 0.1% BSA, and 0.01% Triton X-100. Reactions are terminated by the addition of buffer containing 0.078% SDS for a final SDS concentration of 0.05%. Substrate and product are separated electrophoretically using a Caliper LabChip 3000 system with blue laser excitation and green fluorescence detection (CCD2). The fluorescence intensity in the substrate and product peaks is determined using the Well Analyzer software on the Caliper system. The reactions are performed in duplicate for each sample. IC50 values are automatically calculated using the IDBS XLFit version 4.2.1 plug-in for Microsoft Excel and the XLFit 4-Parameter Logistic Model: $((A+((B-A)/(1+((C/x)^D)))))$, in which x is compound concentration, A and B are respectively the estimated minimum and maximum of percent inhibition, C is the inflection point, and D is the Hill slope of the sigmoidal curve. The standard errors of the IC50 values are automatically calculated using the IDBS XLFit version 4.2.1 plug-in for Microsoft Excel and the formula $xf4_FitResultStdError$. |

Solubility Information

A DRUG SCREENING EXPERT

| | |
|---------------------|---|
| Solubility | DMSO: 62.5 mg/mL (110.48 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Saline: 6.25 mg/mL (11.05 mM),Suspension. 10% DMSO+90% Corn Oil: 2 mg/mL (3.54 mM),Sonication is recommended. <i>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.</i> |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 1 mM | 1.7677 mL | 8.8386 mL | 17.6772 mL |
| 5 mM | 0.3535 mL | 1.7677 mL | 3.5354 mL |
| 10 mM | 0.1768 mL | 0.8839 mL | 1.7677 mL |
| 50 mM | 0.0354 mL | 0.1768 mL | 0.3535 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

The soluble guanylate cyclase activator cinaciguat prevents cardiac dysfunction in a rat model of type-1 diabetes mellitus[J]. Cardiovascular Diabetology, 2015, 14(1):145.

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

Reinke Y, et al. The soluble guanylate cyclase stimulator riociguat and the soluble guanylate cyclase activator cinaciguat exert no direct effects on contractility and relaxation of cardiac myocytes from normal rats. Format: AbstractSend to Eur J Pharmacol

Cosyns SM, et al. Influence of cinaciguat on gastrointestinal motility in apo-sGC mice. Neurogastroenterol Motil. 2014 Nov;26(11):1573-85.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481