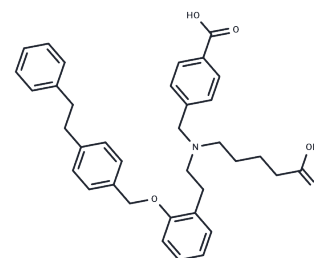


Cinaciguat

Chemical Properties

CAS No. :	329773-35-5
Formula:	C36H39NO5
Molecular Weight:	565.7
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Cinaciguat (BAY 58-2667) (BAY 58-2667) is the first of a new class of soluble guanylate cyclase (sGC) activators in Clinical development for acute decompensated heart failure.
Targets(IC50)	Guanylate cyclase
In vitro	Cinaciguat (10 μ M) significantly enhances intracellular cGMP generation while not having 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 influence blood glucose levels while leading to attenuated water intake. Cinaciguat treatment alleviates diabetes mellitus related oxidative stress, protects against DM related alteration of the NO-sGC-cGMP-PKG signalling, and alleviates DM related 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 have any effect on phasic activity induced by PGF2 α 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: 45 mg/mL (79.55 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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