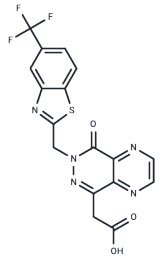
Data Sheet (Cat.No.T14175)

TargetMol

Aldose reductase-IN-1

Chemical Properties



CAS No. : 1355612-71-3

Formula: C17H10F3N5O3S

Molecular Weight: 421.35

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	Aldose reductase-IN-1 (AT-001, Caficrestat) is a highly potent inhibitor of aldose reductase with an IC50 value of 28.9 pM, which can target the relaxin hormone signaling pathway in prostate cancer models.
Targets(IC50)	Reductase
In vitro	**METHODS**: To confirm that Aldose reductase-IN-1 （AT-001，Caficrestat） retains its ability to bind to the major relaxin receptor RXFP1, H2 relaxin was labeled with 125I using Pierce iodination reagent, which radioiodinates the phenol aromatic ring of the Tyr residue (Tyr3 on the A chain). **RESULTS** In an in vitro cell assay using the 293T.RXFP1 cell line, we showed that H2 relaxin binds to RXFP1 in the presence of a cognate competitive RBA with a Kd of 17.0 nM; similarly, Aldose reductase-IN-1 （AT-001，Caficrestat） was shown to retain its binding ability to RXFP1 in the presence of a competitive RBA, inhibiting the binding of 125I-labeled H2 relaxin with a Ki of 9.2 μM[3].
In vivo	**METHODS**: Male C57BL/6J mice (8 weeks old) were subjected to experimental type 2 diabetes/diabetic cardiomyopathy for 10 weeks. At 4 weeks, they were given a single intraperitoneal injection of streptozotocin (75 mg/kg). Animals were then randomly assigned to Aldose reductase-IN-1 (AT-001, Caficrestat) (40 mg/kg/day, intraperitoneal injection, for 3 weeks). At the completion of the study, hearts were perfused in an isolated working mode to assess energy metabolism. **RESULTS** Aldose reductase-IN-1 (AT-001, Caficrestat) improved diastolic function and cardiac efficiency in mice with experimental type 2 diabetes; in mice with diabetic cardiomyopathy, Aldose reductase-IN-1 (AT-001, Caficrestat) also reduced cardiac fibrosis and hypertrophy. [1]

Solubility Information

Solubility	DMSO: 45 mg/mL (106.8 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 (4.75 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*

In vivo Formulation	*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	2.3733 mL	11.8666 mL	23.7332 mL
5 mM	0.4747 mL	2.3733 mL	4.7466 mL
10 mM	0.2373 mL	1.1867 mL	2.3733 mL
50 mM	0.0475 mL	0.2373 mL	0.4747 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

Gopal K, et al. Aldose reductase inhibition alleviates diabetic cardiomyopathy and is associated with a decrease in myocardial fatty acid oxidation. Cardiovasc Diabetol. 2023 Mar 28;22(1):73.

ALDOSE REDUCTASE INHIBITORS AND USES THEREOF

Neschadim A, et al. Relaxin receptor antagonist AT-001 synergizes with docetaxel in androgen-independent prostate xenografts. Endocr Relat Cancer. 2014 May 8;21(3):459-71.