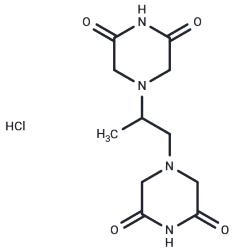
Data Sheet (Cat.No.T6467)

TargetMol

Cardioxane

Chemical Properties



CAS No. : 149003-01-0

Formula: C11H16N4O4·HCl

Molecular Weight: 304.73

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	Cardioxane (ADR-529) is a cardio-protective drug.
Targets(IC50)	Others,Topoisomerase
In vitro	Dexrazoxane (10 mM), known clinically to limit anthracycline cardiac toxicity, prevents daunorubicin-induced myocyte apoptosis, but not necrosis induced by higher anthracycline concentrations in rat cardiac myocytes. [1] Dexrazoxane presumably exerts its cardioprotective effects by either binding free or loosely bound iron, or iron complexed to doxorubicin, thus preventing or reducing site-specific oxygen radical production that damages cellular components. [2] Dexrazoxane specifically abolishes the DNA damage signal gamma-H2AX induced by doxorubicin, but not camptothecin or hydrogen peroxide, in H9C2 cardiomyocytes. Dexrazoxane also induces rapid degradation of Top2beta, which paralleles the reduction of doxorubicin-induced DNA damage. Dexrazoxane antagonizes doxorubicin-induced DNA damage through its interference with Top2beta, which could implicate Top2beta in doxorubicin cardiotoxicity. [3] Dexrazoxane is hydrolyzed to its active form intracellularly and binds iron to prevent the formation of superhydroxide radicals, thus preventing mitochondrial destruction. [4]
In vivo	Dexrazoxane combined with doxorubicin, daunorubicin, or idarubicin reduces the tissue lesions in B6D2F1 mice (expressed as area under the curve of wound size times duration) by 96%, 70%, and 87%, respectively. Dexrazoxane combined with doxorubicin, daunorubicin, or idarubicin results in a statistically significant reduction in the fraction of mice with wounds as well as the duration of wounds. [5]
Kinase Assay	HTRF assay: Homogeneous time-resolved fluorescence (HTRF) assay measures the signal generated by 2 components when they are in close proximity. The p53-MDM2 binding assay uses a biotinylated peptide derived from the MDM2-binding domain of p53 and a truncated N-terminal portion of recombinant human GST-tagged MDM2 protein containing the p53-binding domain. Proteins for crystal structure studies are expressed in E. coli strain BL21 using the helper plasmid pUBS 520 coding for the lacIq repressor and the rare tRNAArg [AGA/AGG]. For crystallization, the frozen protein is thawed and concentrated to 9.8 mg/mL using a Centricon concentrator (3,000 MW cutoff). The complex is then formed by combining the protein with a slight molar excess of the inhibitor (stock solution is 100 mM in DMSO) and this solution is allowed to sit for 4

Kinase Assay	hours at 4°C. Cryopreserved crystals are used to collect diffraction data on beamline X8C at the National Synchrotron Light Source at Brookhaven National Laboratory.

Solubility Information

Solubility	H2O: 55 mg/mL (180.49 mM),Sonication is recommended. DMSO: 50 mg/mL (164.08 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (8.2 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	3.2816 mL	16.408 mL	32.8159 mL
5 mM	0.6563 mL	3.2816 mL	6.5632 mL
10 mM	0.3282 mL	1.6408 mL	3.2816 mL
50 mM	0.0656 mL	0.3282 mL	0.6563 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

Sawyer DB, et al. Circ Res, 1999, 84(3), 257-265.
Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.
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Lyu YL, et al. Cancer Res, 2007, 67(18), 8839-8846.
Seifert CF, et al. Ann Pharmacother, 1994, 28(9), 1063-1072.
Langer SW, et al. Clin Cancer Res, 2000, 6(9), 3680-3686.
Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation[J]. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.

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