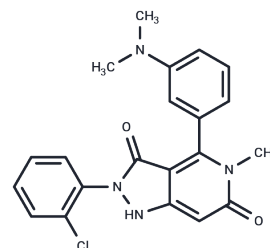


Setanaxib

Chemical Properties

CAS No. :	1218942-37-0
Formula:	C ₂₁ H ₁₉ ClN ₄ O ₂
Molecular Weight:	394.85
Appearance:	no data available
Storage:	store at low temperature, keep away from direct sunlight
	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Setanaxib (GKT137831) is a potent, specific dual NADPH oxidase (NOX1/4) inhibitor.
Targets(IC50)	NADPH-oxidase, Ferroptosis, NADPH
In vitro	Administering 60 mg/kg of GKT137831 orally every day to mice models living in a chronic hypoxic environment mitigates long-term hypoxia-induced right ventricular hypertrophy, vascular remodeling, pulmonary cell proliferation, and alters the expression of pulmonary PPAR γ and TGF- β . Similarly, daily oral administration of 60 mg/kg GKT137831 to atherosclerotic mice deficient in apolipoprotein E (ApoE) under diabetic conditions attenuates accelerated arteriosclerosis. Additionally, GKT137831 at a dosage of 60 mg/kg i.g. blocks the progression of liver fibrosis in both WT and SOD1mut mice, and reduces oxidative stress, inflammation, and fibrogenesis. Furthermore, in AngII-infused c-hNox4Tg mice, GKT137831 eradicates the increase in oxidative stress, inhibits the Akt-mTOR and NF- κ B signaling pathways, and diminishes cardiac remodeling.
In vivo	GKT137831 can prevent oxidative stress in human aortic endothelial cells in response to hyperglycemia. It attenuates the release of H ₂ O ₂ , cellular proliferation, and TGF- β 1 expression induced by hypoxia in HPAECs and HPASMCs, while also ameliorating the reduction in PPAR γ expression.
Kinase Assay	Kinase Assay: IC ₅₀ of tyrosine kinase activity is measured by an enzyme-linked immunosorbent assay (ELISA) with recombinant catalytic domains of a panel of receptor and non-receptor tyrosine kinases (in some cases only part of the catalytic domain is used). Saracatinib dose ranges from 0.001-10 mM. Specificity assays against a panel of serine/threonine kinases are performed using a γ -labeled capture assay with ³² P. Briefly, multidrop 384 plates containing 0.5 μ L Saracatinib or controls (DMSO) alone or pH 3.0 buffer controls) are incubated with 15 μ L of enzyme plus peptide/protein substrate for 5 min before the reaction is initiated by the addition of 10 μ L of 20 mM Mg-ATP. For all enzymes the final concentration is approximated to the Michaelis constant (K _m). Assays are carried out for 30min at room temperature before termination by the addition of 5 μ L orthophosphoric acid. After mixing, the well contents are harvested onto a P81 Uni-filter plate, using orthophosphoric acid as the wash buffer. Then IC ₅₀ is calculated.

A DRUG SCREENING EXPERT

Cell Research	Hypoxic HPASMC and HPAEC proliferation is determined using MTT assay, by Western blotting to detect proliferating cell nuclear antigen (PCNA) expression, or by manual cell counting after Trypan blue staining. Amplex Red Hydrogen Peroxide/Peroxidase Assay Kit is used to measure Water2 released into the culture media from HPAECs or HPASMCs. After exposure to control or hypoxic environments for 72 hours, Amplex Red reagent is added, and the cells are returned to control or hypoxic environments for an additional hour before fluorescence measurements. (Only for Reference)
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Solubility Information

Solubility	DMSO: 72 mg/mL (182.3 mM), Ethanol: < 1 mg/mL (insoluble or slightly soluble), < 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5326 mL	12.663 mL	25.3261 mL
5 mM	0.5065 mL	2.5326 mL	5.0652 mL
10 mM	0.2533 mL	1.2663 mL	2.5326 mL
50 mM	0.0507 mL	0.2533 mL	0.5065 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

Aoyama T, et al. Hepatology. 2012, 56(6), 2316-2327.

Green DE, et al. Am J Respir Cell Mol Biol. 2012, 47(5), 718-726.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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