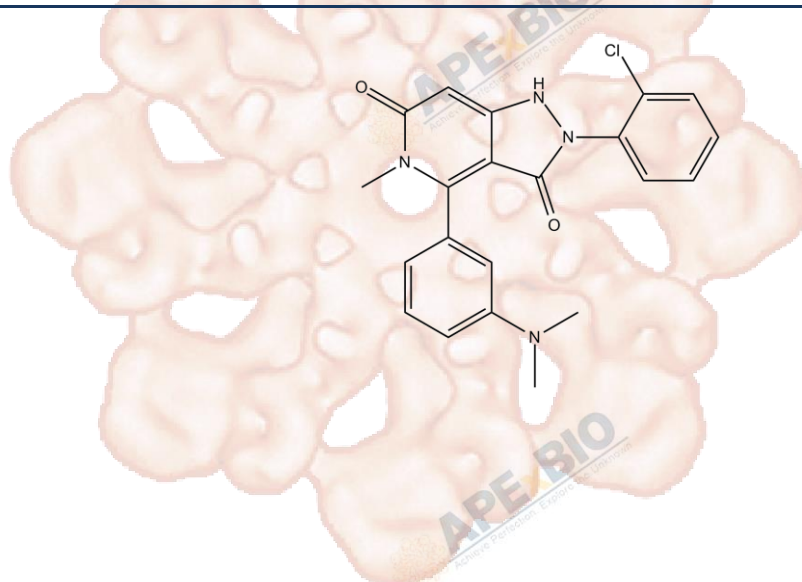


Product Data Sheet

GKT137831

Cat. No.:	B4763
CAS No.:	1218942-37-0
Formula:	C ₂₁ H ₁₉ CIN ₄ O ₂
M.Wt:	394.85
Synonyms:	
Target:	Others
Pathway:	Others
Storage:	Store at -20°C



Solvent & Solubility

≥39.5 mg/mL in DMSO; insoluble in H₂O; ≥2.96 mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.5326 mL	12.6630 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

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

dual NADPH oxidase Nox1/Nox4 inhibitor

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line:	Monolayers of HPAECs and HPASMCs, Pulmonary artery endothelial cells
Preparation method:	Soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.1–20 μM, 24 hours

	Applications:	GKT137831 (5 μ M, 20 μ M) attenuated hypoxia-induced HPAECs and HPASMCs proliferation. GKT137831 (20 μ M) attenuated hypoxia-induced H ₂ O ₂ generation in HPAECs and HPASMCs. During the entire 72-hour hypoxia exposure, GKT137831 administration during the last 24 hours attenuated hypoxia-induced reductions in HPAEC and HPASMC PPAR γ expression.
In Vivo	Animal experiment	
	Animal models:	C57Bl/6 mice exposed to normoxic or hypoxic conditions for 3 weeks, wild-type (WT) and SOD1G37R mutant C57BL/6J mice, Diabetic apolipoprotein E-deficient mice
	Dosage form:	Oral gavage, 30 or 60 mg/kg/d, daily for 10 days
	Applications:	GKT137831 (30 or 60 mg/kg/d) attenuated chronic hypoxia-induced right ventricular hypertrophy, pulmonary vascular remodeling, increases in vessel wall thickness, and proliferation. GKT137831 attenuated hypoxia-induced reductions in PPAR γ and increased in TGF- β 1 expression. In WT and SOD1mut mice, GKT137831 (60 mg/kg, intragastric (IG) injection) blocked liver fibrosis and downregulated markers of oxidative stress, inflammation, and fibrosis. In diabetic apolipoprotein E-deficient mice, GKT137831 (60 mg/kg/d, p.o.) attenuated diabetes mellitus-accelerated atherosclerosis.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Han Z, Kang D, et al. "TGF- β downregulation-induced cancer cell death is finely regulated by the SAPK signaling cascade." *Exp Mol Med.* 2018 Dec 6;50(12):162.PMID:30523245

See more customer validations on www.apexbt.com.

References

- [1]. Green D E, Murphy T C, Kang B Y, et al. The Nox4 inhibitor GKT137831 attenuates hypoxia-induced pulmonary vascular cell proliferation[J]. *American journal of respiratory cell and molecular biology*, 2012, 47(5): 718-726.
- [2]. Aoyama T, Paik Y H, Watanabe S, et al. Nicotinamide adenine dinucleotide phosphate oxidase in experimental liver fibrosis: GKT137831 as a novel potential therapeutic agent[J]. *Hepatology*, 2012, 56(6): 2316-2327.
- [3]. Gray SP1, Di Marco E, Okabe J, Szyndralewicz C, et al. NADPH oxidase 1 plays a key role in diabetes mellitus-accelerated atherosclerosis. *Circulation*. 2013 May 7;127(18):1888-902.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com

