

Catalog # 10-5044

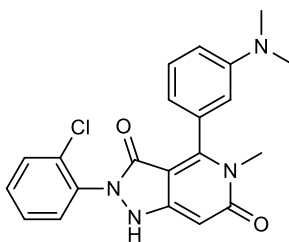
GKT-137831

CAS# 1218942-37-0

2-(2-Chlorophenyl)-4-[3-(dimethylamino)phenyl]-5-methyl-1H-pyrazolo[4,3-c]pyridine-3,6(2H,5H)-dione

Setanaxib

Lot # X109736



NADPH oxidase, NOX1/4 inhibitor ($K_i = 100\text{-}150\text{ nM}$).¹ Inhibits erastin-stimulated ROS production.² Potentiates immunotherapy by overcoming cancer-associated fibroblast-mediated CD8⁺ T-cell exclusion.³ Reduces ROS production in LPS-stimulated platelets in a mouse model.⁴ Reduces subarachnoid hemorrhage-induced neuronal death.⁵ Potent inhibitor of experimental liver fibrosis in mouse models.⁶

- 1) Jiang *et al.* (2012), *Liver fibrosis and hepatocyte apoptosis are attenuated by GKT137831, a novel NOX4/NOX1 inhibitor in vivo*; *Free Radic. Biol. Med.*, **53** 289
- 2) Dachert *et al.* (2020), *Targeting ferroptosis in rhabdomyosarcoma cells*; *Int. J. Cancer*, **146(2)** 510
- 3) Ford *et al.* (2020), *NOX4 Inhibition Potentiates Immunotherapy by Overcoming Cancer-Associated Fibroblast-Mediated CD8 T-cell Exclusion from Tumors*; *Cancer Res.*, **80** 1846
- 4) Naime *et al.* (2019), *Tumor necrosis factor alpha has a crucial role in increased reactive oxygen species production in platelets of mice injected with lipopolysaccharide*; *Platelets*, **30** 1047
- 5) Zhang *et al.* (2017), *Involvement of Nox2 and Nox4 NADPH oxidases in early brain injury after subarachnoid hemorrhage*; *Free Radic. Res.*, **51** 316
- 6) Aoyama *et al.* (2012), *Nicotinamide adenine dinucleotide phosphate oxidase in experimental liver fibrosis: GKT137831 as a novel potential therapeutic agent*; *Hepatology*, **56** 2316

PHYSICAL DATA

Molecular Weight: 394.85
Molecular Formula: C₂₁H₁₉ClN₄O₂
Purity: 98% by TLC
NMR: (Conforms)
Solubility: DMSO (up to 65 mg/ml)
Physical Description: Pale-yellow solid
Storage and Stability: Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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