

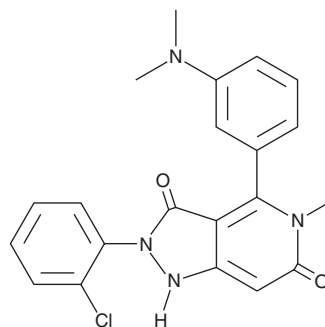
PRODUCT INFORMATION



GKT137831

Item No. 17764

CAS Registry No.: 1218942-37-0
Formal Name: 2-(2-chlorophenyl)-4-[3-(dimethylamino)phenyl]-5-methyl-1H-pyrazolo[4,3-c]pyridine-3,6(2H,5H)-dione
MF: C₂₁H₁₉ClN₄O₂
FW: 394.9
Purity: ≥95%
UV/Vis.: λ_{max}: 257 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GKT137831 is supplied as a crystalline solid. A stock solution may be made by dissolving the GKT137831 in the solvent of choice, which should be purged with an inert gas. GKT137831 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GKT137831 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

GKT137831 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GKT137831 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GKT137831 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NADPH oxidase 1 (NOX1) and NOX4 generate reactive oxygen species (ROS) that are critical in regulating a variety of cellular functions but also contribute to many diseases.^{1,2} GKT137831 is a dual inhibitor of both NOX1 and NOX4 with K_i values in the range of 100 to 150 nM in cell-free assays of ROS production.³ It shows only weak inhibitory activity against NOX2 or xanthine oxidase and does not block neutrophil oxidative burst, scavenge ROS, or have antioxidant activity.^{3,4} GKT137831 displays good oral bioavailability with high plasma concentrations *in vivo* and attenuates liver fibrosis in mice.³⁻⁵ It reduces hypoxia-induced pulmonary vascular cell proliferation, ameliorates dopaminergic neuronal death, and provides renoprotection in long-term diabetic nephropathy.⁶⁻⁸

References

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WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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