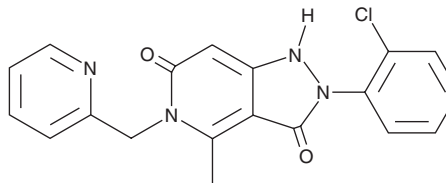


# PRODUCT INFORMATION



**GKT136901**  
Item No. 34205

**CAS Registry No.:** 955272-06-7  
**Formal Name:** 2-(2-chlorophenyl)-4-methyl-5-(2-pyridinylmethyl)-1H-pyrazolo[4,3-c]pyridine-3,6(2H,5H)-dione  
**Synonym:** NOX Inhibitor IV  
**MF:** C<sub>19</sub>H<sub>15</sub>ClN<sub>4</sub>O<sub>2</sub>  
**FW:** 366.8  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 214, 257 nm  
**Supplied as:** A 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

GKT136901 is supplied as a solid. A stock solution may be made by dissolving the GKT136901 in the solvent of choice, which should be purged with an inert gas. GKT136901 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GKT136901 in ethanol, DMSO, and DMF is approximately 1, 30, and 25 mg/ml, respectively.

GKT136901 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GKT136901 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GKT136901 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

GKT136901 is a dual inhibitor of NADPH oxidase 1 (NOX1) and NOX4 ( $K_i$ s = 160 and 165 nM, respectively).<sup>1</sup> It is selective for NOX1 and NOX4 over NOX2 and xanthine oxidase ( $K_i$ s = 1,530 and >30,000 nM, respectively), as well as over a panel of 18 enzymes and ion channels at 10 μM. GKT136901 (0.1, 1, and 10 μM) scavenges peroxynitrite radicals, as well as prevents the nitration and dimerization of α-synuclein, in cell-free assays.<sup>2</sup> It prevents peroxynitrite-induced cell death of differentiated LUHMES cells when used at a concentration of 10 μM.

## References

1. Laleu, B., Gaggini, F., Orchard, M., *et al.* First in class, potent, and orally bioavailable NADPH oxidase isoform 4 (Nox4) inhibitors for the treatment of idiopathic pulmonary fibrosis. *J. Med. Chem.* **53**(21), 7715-7730 (2010).
2. Schildknecht, S., Weber, A., Gerding, H.R., *et al.* The NOX1/4 inhibitor GKT136901 as selective and direct scavenger of peroxynitrite. *Curr. Med. Chem.* **21**(3), 365-376 (2014).

### 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.

### WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 11/07/2022

## CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM