

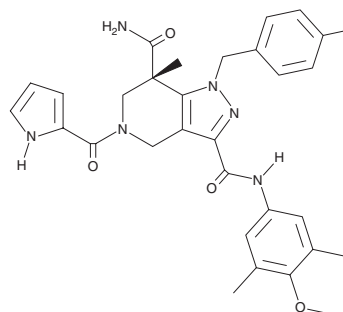
# PRODUCT INFORMATION



## GSK864

Item No. 18762

**CAS Registry No.:** 1816331-66-4  
**Formal Name:** (S)-1-(4-fluorobenzyl)-N<sup>3</sup>-(4-methoxy-3,5-dimethylphenyl)-7-methyl-5-(1H-pyrrole-2-carbonyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine-3,7-dicarboxamide  
**MF:** C<sub>30</sub>H<sub>31</sub>FN<sub>6</sub>O<sub>4</sub>  
**FW:** 558.6  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 270 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

GSK864 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK864 in the solvent of choice. GSK864 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of GSK864 in these solvents is approximately 20 mg/ml.

GSK864 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK864 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GSK864 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

GSK864 is an allosteric inhibitor of mutant isocitrate dehydrogenase 1 (IDH1; IC<sub>50</sub>s = 9, 15, and 17 nM for IDH1 mutants R132C, R132H, and R132G, respectively).<sup>1</sup> It is moderately selective for mutant IDH1 over wild-type IDH1 and IDH2 mutants/wild-type. GSK864 causes a dose-dependent reduction in 2-hydroxyglutarate and overcomes the block of differentiation in acute myeloid leukemia (AML) cells expressing mutant IDH1.<sup>1</sup> It reduces the number of leukemic blasts in mice with AML xenografts.<sup>1</sup> See the Structural Genomics Consortium (SGC) website for more information.

### Reference

1. Okoye-Okafor, U.C., Bartholdy, B., Cartier, J., *et al.* New IDH1 mutant inhibitors for treatment of acute myeloid leukemia. *Nat. Chem. Biol.* **11**, 878-892 (2015).

#### 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, 11/11/2022

#### 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