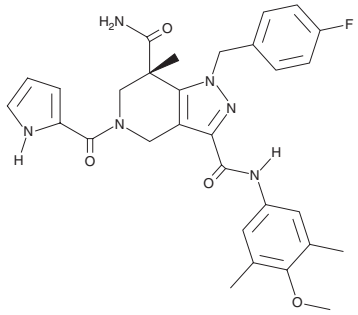
PRODUCT INFORMATION

GSK864

Item No. 18762

CAS Registry No.:	1816331-66-4
Formal Name:	(S)-1-(4-fluorobenzyl)-N^3-(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:	$C_{30}H_{31}FN_6O_4$
FW:	558.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 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_{50}s = 9, 15, and 17 nM for IDH1 mutants R132C, R132H, and R132G, respectively).[1] 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.[1] It reduces the number of leukemic blasts in mice with AML xenografts.[1] 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).

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