

Product Datasheet

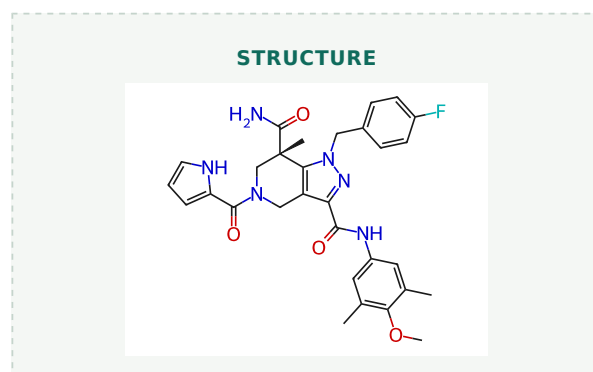
GSK-864

Catalog No. **BP-02224** · CAS **1816331-66-4** · Form **free base** · Physical state **solid** · Color **Light brown to brown** · Version **1.0**
· Revision **2026-09-02**

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Target Isocitrate Dehydrogenase (IDH)	Research area Cancer
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Molecular formula	C₃₀H₃₁N₆O₄
Molecular weight	558.6033



DESCRIPTION

GSK864 is an isocitrate dehydrogenase 1 (IDH1) mutant inhibitor; inhibits IDH1 mutants R132C, R132H, and R132G with IC50 values of 8.8, 15.2 and 16.6 nM.

BIOLOGICAL ACTIVITY

In Vitro

GSK864 inhibits 2-HG production in R132C IDH1 mutant HT1080 fibrosarcoma cells with an EC50 of 320 nM by LCMS/MS analysis

In Vivo

Following intraperitoneal (IP) administration in CD-1 mice, significant concentrations of GSK864 are maintained in peripheral blood samples of mice for up to 24 hours. Analysis of BM cells for expression of markers of early differentiation reveals slightly increased numbers of huCD45+ CD38+ cells in R132C or R132H IDH1 mutant engrafted mice treated with GSK864

SOLUBILITY

Soluble in DMSO

In vitro DMSO : 100 mg/mL

REFERENCES

[1]. Okoye-Okafor UC, et al. New IDH1 mutant inhibitors for treatment of acute myeloid leukemia. Nat Chem Biol. 2015 Nov;11(11):878-86.