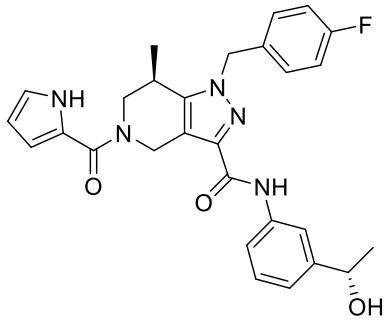
Product data sheet

MedKoo Cat#: 407271 Name: GSK321 CAS#: 1816331-63-1 Chemical Formula: $C_{28}H_{28}FN_5O_3$ Exact Mass: 501.2176 Molecular Weight: 501.5624	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

GSK321 is a potent and selective IDH1 mutant inhibitor. GSK321 potently inhibited intracellular 2-HG production in HT-1080 cells, with a half-maximal effective concentration (EC50) of 85 nM by LC/MS/MS analysis. The inhibition of mutant IDH1 by GSK321 overcomes the pathognomonic differentiation block of AML cells and induces myeloid differentiation of IDH1 mutant cells at the level of leukemic blasts and more stem-like cells.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
N/A	N/A	N/A

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.99 mL	9.97 mL	19.94 mL
5 mM	0.40 mL	1.99 mL	3.99 mL
10 mM	0.20 mL	1.00 mL	1.99 mL
50 mM	0.04 mL	0.20 mL	0.40 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Okoye-Okafor UC, Bartholdy B, Cartier J, Gao EN, Pietrak B, Rendina AR, Rominger C, Quinn C, Smallwood A, Wiggall KJ, Reif AJ, Schmidt SJ, Qi H, Zhao H, Joberty G, Faelth-Savitski M, Bantscheff M, Drewes G, Duraiswami C, Brady P, Groy A, Narayanagari SR, Antony-Debre I, Mitchell K, Wang HR, Kao YR, Christopeit M, Carvajal L, Barreyro L, Paietta E, Makishima H, Will B, Concha N, Adams ND, Schwartz B, McCabe MT, Maciejewski J, Verma A, Steidl U. New IDH1 mutant inhibitors for treatment of acute myeloid leukemia. Nat Chem Biol. 2015 Nov;11(11):878-86. doi: 10.1038/nchembio.1930. Epub 2015 Oct 5. PMID: 26436839; PMCID: PMC5155016.

In vivo study

N/A

7. Bioactivity

Biological target:

GSK321 is a potent and selective IDH1 mutant inhibitor.

In vitro activity

Product data sheet

Mechanistic cellular activity of GSK321 and GSK990 was evaluated in HT-1080 fibrosarcoma cells, which harbor an R132C IDH1 mutation and have markedly elevated levels of 2-hydroxyglutarate (2-HG). After 24 h of treatment with the compounds, GSK321 potently inhibited intracellular 2-HG production in HT-1080 cells, with a half-maximal effective concentration (EC50) of 85 nM by LC/MS/MS analysis (Fig. 1b).

Reference: Nat Chem Biol. 2015 Nov;11(11):878-86. https://pubmed.ncbi.nlm.nih.gov/26436839/

In vivo activity

N/A

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.