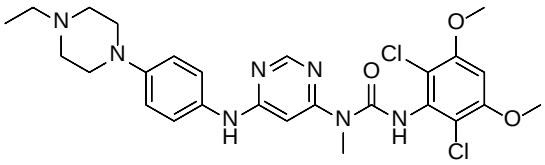
Product data sheet

MedKoo Cat#: 204640 Name: Infigratinib free base CAS#: 872511-34-7 (free base) Chemical Formula: $C_{26}H_{31}Cl_2N_7O_3$ Exact Mass: 559.1865 Molecular Weight: 560.47	
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:
Infigratinib, also known as, BGJ398 or NVP-BGJ398, is a pan FGFR kinase inhibitor, and is an orally bioavailable pan inhibitor of human fibroblast growth factor receptors (FGFRs) with potential antiangiogenic and antineoplastic activities. pan FGFR kinase inhibitor BGJ398 selectively binds to and inhibits the activities of FGFRs, which may result in the inhibition of tumor angiogenesis and tumor cell proliferation, and the induction of tumor cell death. Infigratinib was approved in 2021 to treat adults with cholangiocarcinoma whose disease meets certain criteria.

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
DMSO	6.67	11.90
Water	0.05	0.09
DMF	5.0	8.92
DMF:PBS (pH 7.2) (1:30)	0.03	0.05

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.78 mL	8.92 mL	17.84 mL
5 mM	0.36 mL	1.78 mL	3.57 mL
10 mM	0.18 mL	0.89 mL	1.78 mL
50 mM	0.04 mL	0.18 mL	0.36 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. Huynh H, Lee LY, Goh KY, Ong R, Hao HX, Huang A, Wang Y, Graus Porta D, Chow P, Chung A. Infigratinib Mediates Vascular Normalization, Impairs Metastasis, and Improves Chemotherapy in Hepatocellular Carcinoma. Hepatology. 2019 Mar;69(3):943-958. doi: 10.1002/hep.30481. PMID: 30575985; PMCID: PMC6635738.

In vivo study

1. Huynh H, Lee LY, Goh KY, Ong R, Hao HX, Huang A, Wang Y, Graus Porta D, Chow P, Chung A. Infigratinib Mediates Vascular Normalization, Impairs Metastasis, and Improves Chemotherapy in Hepatocellular Carcinoma. Hepatology. 2019 Mar;69(3):943-958. doi: 10.1002/hep.30481. PMID: 30575985; PMCID: PMC6635738.

7. Bioactivity

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Biological target: Infigratinib (BGJ-398; NVP-BGJ398) is an inhibitor of the FGFR family with IC50s of 0.9 nM, 1.4 nM, 1 nM, and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.

In vitro activity

Infigratinib inhibits FGF-induced activation of the FGFR signaling pathway and cell cycle progression. Pretreatment of HCC01-0909 cells with 1.0 μM Infigratinib for 18 hours abolished FGF-2-, FGF-1-, and FGF-19- stimulated phosphorylation of Fibroblast Growth Factor Receptor Substrate 2 alpha (FRS2-α) and extracellular signal-regulated kinase (ERK)1/2 (Fig. 1C). Infigratinib had no effect on HGF-induced phosphorylation of ERK1/2, suggesting that the inhibitory effect of infigratinib was specific to the FGF/FGFR signaling pathway. Infigratinib caused a significant increase in the percentage of cells in the G1 and sub-G1 phases with a concomitant reduction in the percentage of cells in the G2/M and S phases (Fig. 1D), suggesting that infigratinib causes G1 cell cycle arrest.

Reference: Hepatology. 2019 Mar;69(3):943-958. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6635738/

In vivo activity

Daily treatment of HCC06-0606 tumor-bearing mice with 10, 20, and 30 mg/kg infigratinib for 14 days led to approximately 65%, 96%, and 98% reductions in tumor burden, respectively (Fig. 1E). Daily treatment of mice with infigratinib resulted in significant elevation in alanine aminotransferase (ALT), alkaline phosphatase (ALP), and aspartate aminotransferase (AST) and a significant decrease in serum creatinine (Supporting Fig. S2). Infigratinib potently inhibited p-FRS2-α and p-ERK1/2 (Figure 1F), and the inhibition of these biomarkers occurred within 2 hours after a single oral dose of 20 mg/kg infigratinib, was maintained for approximately 10 hours, and returned to baseline by 12 hours after treatment (Fig. 2A).

Reference: Hepatology. 2019 Mar;69(3):943-958. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6635738/