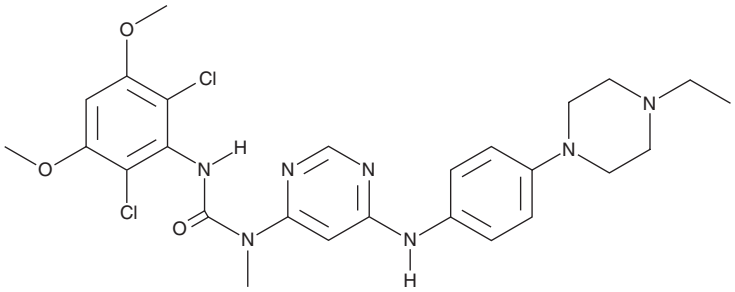
PRODUCT INFORMATION

BGJ398

Item No. 19157

CAS Registry No.:	872511-34-7
Formal Name:	N'-(2,6-dichloro-3,5-dimethoxyphenyl)-N-[6-[[4-(4-ethyl-1-piperazinyl)phenyl]amino]-4-pyrimidinyl]-N-methyl-urea
Synonyms:	Infigratinib, NVP-BGJ398
MF:	$C_{26}H_{31}Cl_2N_7O_3$
FW:	560.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 231 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

BGJ398 is supplied as a crystalline solid. A stock solution may be made by dissolving the BGJ398 in the solvent of choice, which should be purged with an inert gas. BGJ398 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of BGJ398 in these solvents is approximately 5 mg/ml.

BGJ398 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BGJ398 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BGJ398 has a solubility of approximately 0.03 mg/ml in a 1:30 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BGJ398 is an orally available inhibitor of human FGFRs (IC_{50}s = 0.9, 1.4, and 1 nM for FGFR1, FGFR2, and FGFR3, respectively).[1,2] It inhibits FGFR4 and VEGFR2 with IC_{50} values of 60 and 180 nM, respectively, and displays comparatively little activity towards Abl, Fyn, Kit, Lck, Lyn, and Yes (IC_{50}s = 0.3-2.5 µM).[1] BGJ398 has been shown to suppress proliferation of cancer cells with wild-type FGFR3 overexpression (IC_{50}s = 5, 30, 32, and 15 nM, for RT112, RT4, SW780, and JMSU1 cells, respectively).[1] In an RT112 bladder cancer xenograft mouse model overexpressing wild-type FGFR3, BGJ398 inhibited tumor growth after oral administration of 10-30 mg/kg.[1]

References

1. Guagnano, V., Furet, P., Spanka, C., *et al.* Discovery of 3-(2,6-dichloro-3,5-dimethoxy-phenyl)-1-{6-[4-(4-ethyl-piperazin-1-yl)-phenylamino]-pyrimidin-4-yl}-1-methyl-urea (NVP-BGJ398), a potent and selective inhibitor of the fibroblast growth factor receptor family of receptor tyrosine kinase. *J. Med. Chem.* **54(20)**, 7066-7083 (2011).
2. Hagel, M., Miduturu, C., Sheets, M., *et al.* First selective small molecule inhibitor of FGFR4 for the treatment of hepatocellular carcinomas with an activated FGFR4 signaling pathway. *Cancer Discov.* **5(4)**, 424-437 (2015).

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