Product Specification Sheet

Product Name: BGJ398 (NVP-BGJ398)

Catalog Number: C6245

Technical information:

Chemical Formula: $C_{26}H_{31}Cl_2N_7O_3$

CAS #: 872511-34-7

Molecular Weight: 560.48

Purity: > 98%

Appearance: white solid

Solubility: Soluble in DMSO up to 1 mM

Chemical Name: 3-(2,6-dichloro-3,5-dimethoxy-phenyl)-1-[6-[4-(4-ethyl-piperazin-1-yl)-phenylamino]-pyrimidin-4-

yl}-1-methyl-urea

Storage: For longer shelf life, store solid powder or DMSO solution at -20°C desiccated.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.178mL of DMSO for each mg of BGJ398 (NVP-BGJ398).

 $\bullet\,$ For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

BGJ398

sample recovery.

Biological Activity: NVP-BGJ398 (BGJ398), discovered in 2011, is a potent pan inhibitor of the fibroblast growth factor

receptor (FGF) tyrosine kinases. BGJ398 inhibits FGFR1, FGFR2, and FGFR3 with IC50 values of 0.9 nM, 1.4 nM, and 1 nM respectively in in vitro evaluation. [1] BGJ398 also inhibits FGFR4, VEGFR2, Abl, Fyn, Kit, Lck, Lyn and Yes, with significantly lower potencies. In an in vivo test, BGJ398 showed significant antitumor activity in RT112 bladder cancer xenografts models overexpressing wild-type

FGFR3. [1]

BGJ398 is currently being tested in a Phase II clinical trial in advanced cholangiocarcinoma (CCA)

patients with FGFR genetic alterations for anti-tumor activity and safety profile. [2] [3]

Reference: 1. Guagnano V, 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. 2011; 54(20):7066-83 Pubmed ID: 21936542

2. https://clinicaltrials.gov/show/NCT02150967 Pubmed ID: NCT02150967

3. Milind M. Javle, et al. A phase 2 study of BGJ398 in patients (pts) with advanced or metastatic FGFR-altered cholangiocarcinoma (CCA) who failed or are intolerant to platinum-based chemotherapy. J Clin Oncol. 2016;

34(4) suppl:335

To reorder: http://www.cellagentech.com/BGJ398-NVP-BGJ398/

For Technical Support: <u>technical@cellagentech.com</u>

Chemicals are sold for research use only, not for clinical or diagnostic use.