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**Product Data Sheet**

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Product Name: BGB-102 (JNJ-26483327)

Cat. No.: GC33172

**Chemical Properties**

Cas. No. 807640-87-5

SMILES CN1CCCCCOC(C(OC)=C2)=CC3=C2N=CN/C3=N\C4=CC(Br)=CC=C4C1Formula  $C_{22}H_{25}BrN_4O_2$  M.Wt 457.36

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure **Protocol****Cell experiment:**

SKBR3 and BT474 cells are grown in 24-well plates after seeding approximately 20,000 cells per well. The cells are grown for at least 24 h before treatment with 40 µg/mL Herceptin, 5 µM JNJ-26483327, 10 µM TAPI-1, 10 µM ADAM17 inhibitor, or a combination of these drugs for different durations. For the exogenous ligand experiments, 100 ng/mL EGF, heregulin, or betacellulin is added to the cells in addition to Herceptin (40 µg/mL) for a total of 5 d in BT474 cells. On the day of the experiment, the cells are trypsinized and diluted with PBS. The viable cells are counted using a cell counter.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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### Animal experiment:

Human BT474 breast tumour cells are injected directly into the inguinal region of the male NMRI Nude mice ( $1 \times 10^7$  cells/200 mL/animal) on day 0. On day 25, when the tumour volume has reached an approximate average of 200 mm<sup>3</sup>, mice are randomized according to tumour volume, with ten mice per treatment group. Mice are then treated twice daily with either vehicle (30% Captisol) or vehicle containing JNJ-26483327 (75 mg/kg twice daily) by gavage (p.o.) for 21 d. Alternatively, mice are treated twice weekly with either vehicle (0.9% [w/v] NaCl) or a vehicle containing Herceptin (10 mg/kg) by intraperitoneal injection administered in a volume of 10 mL/kg body weight, for three cycles (i.e., 21 d). Another group receives combined doses of both agents. Tumour size and body weights are measured twice weekly, with mice monitored daily for clinical signs of toxicity for the duration of the treatment. Clinical signs of toxicity included (but are not limited to) persistent anorexia or dehydration, morbidity, lethargy, hypothermia, and/or laboured respiration.

### References:

[1]. Gijzen M, et al.  
HER2  
phosphorylation is  
maintained by a  
PKB negative  
feedback loop in  
response to anti-  
HER2 herceptin in  
breast cancer. PLoS  
Biol. 2010 Dec  
21;8(12):e1000563.

### Background

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BGB-102 is a potent multi-kinase inhibitor against EGFR, HER2, and HER4 with IC50s of 9.6 nM, 18 nM and 40.3 nM, respectively.

JNJ-26483327 (5  $\mu$ M or 10  $\mu$ M) with Herceptin treatment decreases HER2 phosphorylation in SKBR3 cells. JNJ-26483327 exerts greater inhibition of cell viability after 3, 6, or 8 d of treatment compared to Herceptin or JNJ-26483327 alone. JNJ-26483327 with TAPI-1 exerts less cell viability inhibition than Herceptin alone in both SKBR3 and BT474 cells[1].

JNJ-26483327 (75 mg/kg, p.o.) delays xenograft tumour growth compared to vehicle treatment, but when combines with Herceptin, they can abrogate the PKB feedback loop and is synergistic in inhibition of xenograft tumour growth[1].

[1]. Gijsen M, et al. HER2 phosphorylation is maintained by a PKB negative feedback loop in response to anti-HER2 herceptin in breast cancer. PLoS Biol. 2010 Dec 21;8(12):e1000563.

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