SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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BGB-102

Cat. No.: HY-15732

CAS No.: 807640-87-5

Molecular Formula: $C_{22}H_{25}BrN_4O_2$

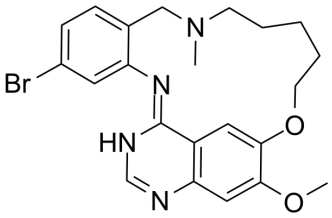
Molecular Weight: 457.36

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

THF : ≥ 7.27 mg/mL (15.90 mM)

DMSO : 4.4 mg/mL (9.62 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1865 mL	10.9323 mL	21.8646 mL
5 mM	0.4373 mL	2.1865 mL	4.3729 mL
10 mM	0.2186 mL	1.0932 mL	2.1865 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BGB-102 is a potent multi-kinase inhibitor against EGFR, HER2, and HER4 with IC_{50}s of 9.6 nM, 18 nM and 40.3 nM, respectively.

IC_{50} & Target

EGFR	HER2	HER4
9.6 nM (IC_{50})	18 nM (IC_{50})	40.3 nM (IC_{50})

In Vitro

JNJ-26483327 (5 μM or 10 μM) 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

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.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

Human BT474 breast tumour cells are injected directly into the inguinal region of the male NMRI Nude mice (1×10^7 cells/200 mL/animal) on day 0. On day 25, when the tumour volume has reached an approximate average of 200 mm^3, 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.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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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