

PRODUCT INFORMATION

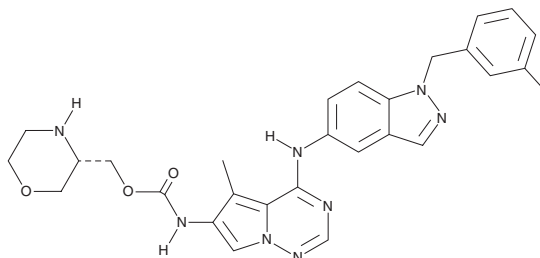


AC480

Item No. 29657

CAS Registry No.: 714971-09-2
Formal Name: N-[4-[[1-[(3-fluorophenyl)methyl]-1H-indazol-5-yl]amino]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]-carbamic acid, (3S)-3-morpholinylmethyl ester

Synonym: BMS-599626
MF: C₂₇H₂₇FN₈O₃
FW: 530.6
Purity: ≥98%
UV/Vis.: λ_{max}: 254, 312 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

AC480 is supplied as a crystalline solid. A stock solution may be made by dissolving the AC480 in the solvent of choice, which should be purged with an inert gas. AC480 is soluble in ethanol, DMSO, and dimethyl formamide at concentrations of 0.3, 16, and 20 mg/ml, respectively.

Description

AC480 is a dual inhibitor of EGFR and HER2 (IC₅₀s = 22 and 32 nM, respectively).¹ It is selective for EGFR and HER2 over HER4 (IC₅₀ = 190 nM) and a panel of 21 additional kinases (IC₅₀s = >2,500 nM for all). AC480 inhibits proliferation in a panel of EGFR and/or HER2 signaling-dependent cancer cells (IC₅₀s = 0.24-0.94 μM). It reduces tumor growth in a Sal2 murine salivary gland tumor model, as well as GEO colon and KPL4 breast cancer mouse xenograft models in a dose-dependent manner. AC480 (100 mg/kg) reduces tumor cell motility, intravasation, and EGF-induced invasion in an MTLn3E metastatic mammary adenocarcinoma mouse xenograft model.²

References

1. Wong, T.W., Lee, F.Y., Yu, C., *et al.* Preclinical antitumor activity of BMS-599626, a pan-HER kinase inhibitor that inhibits HER1/HER2 homodimer and heterodimer signaling. *Clin. Cancer Res.* **12(20 Pt 1)**, 6186-6193 (2006).
2. Kedrin, D., Wyckoff, J., Boimel, P., *et al.* ERBB1 and ERBB2 have distinct functions in tumor cell invasion and intravasation. *Clin. Cancer Res.* **15(11)**, 3733-3739 (2009).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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