

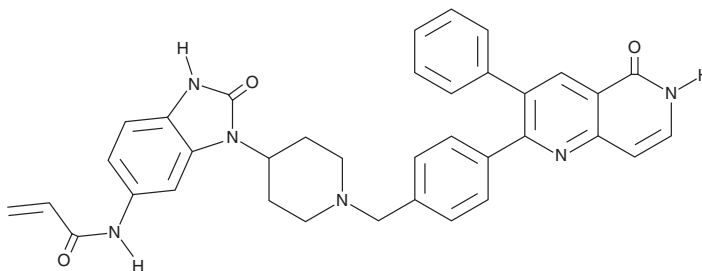
PRODUCT INFORMATION



Borussertib

Item No. 33387

CAS Registry No.: 1800070-77-2
Formal Name: N-[3-[1-[[4-(5,6-dihydro-5-oxo-3-phenyl-1,6-naphthyridin-2-yl)phenyl]methyl]-4-piperidinyl]-2,3-dihydro-2-oxo-1H-benzimidazol-5-yl]-2-propenamide
MF: C₃₆H₃₂N₆O₃
FW: 596.7
Purity: ≥98%
Supplied as: A 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

Borussertib is supplied as a solid. A stock solution may be made by dissolving the borussertib in the solvent of choice, which should be purged with an inert gas. Borussertib is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

Borussertib is a covalent and allosteric Akt1 and Akt2 inhibitor (K_i s = 2 and 6 nM, respectively).¹ It is selective for Akt1 and Akt2 over Akt3 (K_i = 91 nM). Borussertib (5 μ M) reduces viability in Ba/F3 cells expressing human Akt1 or Akt2 but not Ba/F3 cells expressing human Akt3. It decreases the levels of phosphorylated Akt1, Akt2, proline-rich Akt substrate 40 kDa (PRAS40), and ribosomal protein S6 in PANC-1 pancreatic cancer cells when used at concentrations ranging from 0.3 to 5 μ M. *In vivo*, borussertib (20 mg/kg per day), in combination with the MEK1 and MEK2 inhibitor trametinib (Item No. 16292), prevents tumor growth in three patient-derived xenografts (PDX) mouse models of K-Ras-mutant colorectal cancer.²

References

1. Quambusch, L., Depta, L., Landel, I., *et al.* Cellular model system to dissect the isoform-selectivity of Akt inhibitors. *Nat. Commun.* **12**(1), 5297 (2021).
2. Weisner, J., Landel, I., Reintjes, C., *et al.* Preclinical efficacy of covalent-allosteric AKT inhibitor borussertib in combination with trametinib in *KRAS*-mutant pancreatic and colorectal cancer. *Cancer Res.* **79**(9), 2367-2378 (2019).

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.

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