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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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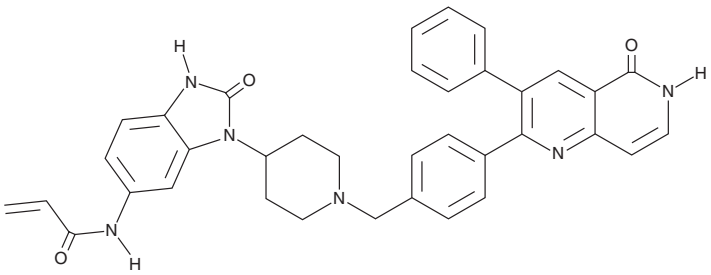
PRODUCT INFORMATION

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:	$C_{36}H_{32}N_6O_3$
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_is = 2 and 6 nM, respectively).[1] It is selective for Akt1 and Akt2 over Akt3 (K_i = 91 nM). Borussertib (5 µM) 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 µM. *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).

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