

Product Data Sheet

Product Name: Borussertib

Cat. No.: GC65367

Chemical Properties

Cas. No. 1800070-77-2

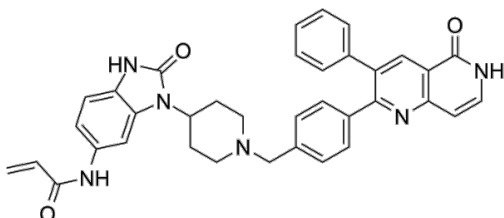
Formula C₃₆H₃₂N₆O₃ M.Wt 596.68

Solubility DMSO : 25 mg/mL (41.90 mM; Need ultrasonic) 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



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

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Protocol

Akt^{wt} Akt^{wt}

0.8nM(IC₅₀) 2.2nM(Ki)

Background

Borussertib is a covalent-allosteric and first-in-class inhibitor of protein kinase Akt, with an IC₅₀ of 0.8 nM and a Ki of 2.2 nM for Aktwt[1].

Borussertib exhibits excellent cellular activity in the nanomolar range with superior profile against clinical candidate Akt inhibitors as well as the cytostatic drug doxorubicin. The EC₅₀ values are 191±90 nM, 48±15 nM, 5±1 nM, 277±90 nM, 373±54 nM, 7770±641 nM in AN3CA (endometrium), T47D (breast), ZR-75-1 (breast), MCF-7 (breast), BT-474 (breast) and KU-19-19 (bladder) cell lines, respectively[1].

[1]. Niklas Uhlenbrock, et al. Structural and chemical insights into the covalentallosteric inhibition of the protein kinase Akt. Chem Sci., 2019, 10, 3573.

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