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## Product Data Sheet

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Product Name: BIBU 1361 dihydrochloride

Cat. No.: GC10815

### Chemical Properties

Cas. No. 793726-84-8

Chemical Name N-(3-chloro-4-fluorophenyl)-6-(4-((diethylamino)methyl)piperidin-1-yl)pyrimido[5,4-d]pyrimidin-4-amine dihydrochloride

SMILES C1C=CC(NC2=NC=NC3=CN=C(N=C32)N4CCC(CN(CC)CC)CC4)=CC=C1F.Cl.Cl

Formula  $C_{22}H_{27}ClFN_7 \cdot 2HCl$  M.Wt 516.87

Solubility <51.69mg/ml in Water; <12.92mg/ml in DMSO 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

### Background

BIBU 1361 dihydrochloride is a selective inhibitor of epidermal growth factor receptor (EGFR) kinase with an IC<sub>50</sub> value of  $0.038 \pm 0.007 \mu M$  [1, 2].

The EGFR signaling pathway is associated with multiple intracellular signaling events that promote proliferation and survival. It plays a key role in the progression of glioblastoma multiforme (GBM) [2].

In glioma cells, EGFR signaling can induce the phosphorylation of Akt, Erk1/2 and STAT3. BIBU 1361 decreased levels of EGFR and subsequently decreased levels of p-EGFR. This effect was accompanied by the decrease in pAkt and the downstream target p-mTOR. P-S6 kinase and p-P70S6 kinase are two key targets of p-mTOR. Both of them are associated with cell proliferation. In glioma cells treated with BIBU 1361, P-S6 kinase and p-P70S6 kinase were also downregulated. E2F1 is a G1/S regulator. E2F1 is known to be interacted with by EGFR. The inhibition of Akt/mTOR signaling can affect cell cycle progression. In glioma cells, BIBU 1361 inhibited the expression of Akt/mTOR and

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decreased EGFR. In glioma cells, BIBU 1361 decreased the expression of cyclin E and E2F1, increased the level of p21, and increased cell number at the S and G2/M phase of the cell cycle [2].

The effect of BIBU 1361 in the animal body has not been found.

### References:

- [1]. Antczak C, Mahida JP, Bhinder B, et al. A High-Content Biosensor-Based Screen Identifies Cell-Permeable Activators and Inhibitors of EGFR Function Implications in Drug Discovery. *Journal of biomolecular screening*, 2012, 17(7): 885-899.
- [2]. Ghildiyal R, Dixit D, Sen E. EGFR inhibitor BIBU induces apoptosis and defective autophagy in glioma cells. *Molecular carcinogenesis*, 2013, 52(12): 970-982.

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