Product Data Sheet

Chemical Properties

**Product Name:** Ulixertinib (hydrochloride)

**Cas No.:**

**M.Wt:** 469.8

**Formula:** C21H22Cl2N4O2 • HCl

**Synonyms:** BVD-523, VRT-752271

**Chemical Name:** 4-[5-chloro-2-[(1-methylethyl)amino]-4-pyridinyl]-N-[(1S)-1-(3-chlorophenyl)-2-hydroxyethyl]-1H-pyrrole-2-carboxamide, monohydrochloride

**Canonical SMILES:** CC(C)NC1=CC(C2=CNC(N[C@H](CO)C3=CC(Cl)=CC=C3)=O)=C2)=C(Cl)C=N1.Cl

**Solubility:** ≤1mg/ml in ethanol; 30mg/ml in DMSO; 30mg/ml in dimethyl formamide

**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.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** MAPK Signaling

**Pathways:** ERK

**Description:**

Ulixertinib, also named as BVD-523, is a novel and reversible inhibitor of ERK1/2. Ulixertinib potently and selectively inhibits the activity of ERK1 and ERK2 kinases in a reversible, ATP-competitive fashion [1].
The Ras-dependent extracellular signal-regulated kinase (ERK)1/2 mitogen-activated protein (MAP) kinase pathway plays a central role in cell proliferation control. In normal cells, sustained activation of ERK1/ERK2 is necessary for G1- to S-phase progression and is associated with induction of positive regulators of the cell cycle and inactivation of antiproliferative genes. The RAF-MEK-ERK1/2 signal pathway plays a dominant role in promoting cell survival [2].

In vitro: In two lymphoma cell lines (SUDHL-10 and Raji), treatment with ulixertinib significantly reduced the expression of ERK1/2 phosphorylation in a dose-dependent manner. Treatment with 0.4 nM ulixertinib decreased the percentage of G2-M phase cells in the SUDHL-10 cells. In the Raji cells, treated with ulixertinib at 0.4 and 1.0 nM increased the percentage of G0-G1 phase cells and decreased S phase cells [1]. Treatment of ulixertinib at the dose of 0.1, 0.4 and 1.0 nM for 48 h dose-dependently increased the number of early apoptotic SUDHL-10 and Raji cells [1]. In SUDHL-10 and Raji cells, ulixertinib reduced mRNA and protein expression of VEGFR2 and Bcl-2 genes and increased the expression of Bax and caspase-3 genes [1].

In vivo: BVD-523 inhibited tumor growth in BRAF-mutant melanoma and colorectal xenografts as well as in KRAS-mutant colorectal and pancreatic models. BVD-523 treatment in combination with dabrafenib inhibited tumor growth in a BRAF-mutant melanoma model [3]. Single-agent BVD-523 inhibited the growth of a patient-derived tumor xenograft harboring cross-resistance to dabrafenib, trametinib, and the combination treatment following clinical progression on a MEK inhibitor [3].

Clinical trials: In patients (pts) with advanced solid tumors, BVD-523 (ulixertinib) achieved pharmacologically relevant exposure and manageable tolerability at its MTD of 600 mg twice a day [4].

Reference:


Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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