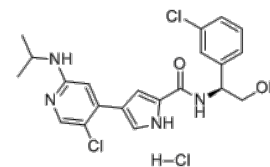


Product Name : Ulixertinib hydrochloride
Cat. No. : PC-20223
CAS No. : 1956366-10-1
Molecular Formula : C₂₁H₂₃Cl₃N₄O₂
Molecular Weight : 469.79
Target : ERK
Solubility : 10 mM in DMSO



Biological Activity

Ulixertinib hydrochloride (BVD-523) is a potent, selective, reversible, ATP-competitive ERK1/2 inhibitor with K_i of 0.3/0.04 nM, respectively.

BVD-523 demonstrated excellent ERK1/2 kinase selectivity based on biochemical counter-screens against 75 kinases.

BVD-523 inhibits cellular proliferation and enhances caspase-3/7 activity in vitro while demonstrating substrate inhibition despite increased ERK1/2 phosphorylation.

BVD-523 (25-100 mg/kg, PO, BID) demonstrates in vivo antitumor activity in BRAFV600E-mutant cancer cell line (A375 cell line) xenograft models, and dose-dependent antitumor activity in KRASG12C-mutant pancreatic cell line xenograft model, MIAPaCa2.

BVD-523 yielded synergistic antiproliferative effects in a BRAFV600E-mutant melanoma cell line xenograft model when used in combination with BRAF inhibition.

References

Germann UA, et al. Mol Cancer Ther. 2017 Nov;16(11):2351-2363.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com