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Data Sheet

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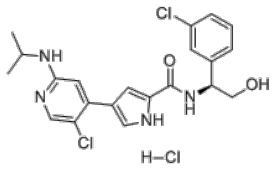
Product Name	:	Ulixertinib hydrochloride
Cat. No.	:	PC-20223
CAS No.	:	1956366-10-1
Molecular Formula	:	$C_{21}H_{23}Cl_3N_4O_2$
Molecular Weight	:	469.79
Target	:	ERK
Solubility	:	10 mM in DMSO



Biological Activity

Ulixertinib hydrochloride (BVD-523) is a potent, selective, reversible, ATP-competitive **ERK1/2** inhibitor with Ki 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 phorphorylation.
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.

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