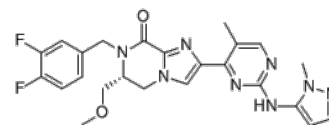


Product Name : AZD0364
Cat. No. : PC-63271
CAS No. : 2097416-76-5
Molecular Formula : C₂₄H₂₄F₂N₈O₂
Molecular Weight : 494.507
Target : ERK
Solubility : 10 mM in DMSO



1. Flemington V, et al. *Mol Cancer Ther.* 2021 Feb;20(2):238-249.
2. Ward RA, et al. *J Med Chem.* 2019 Dec 26;62(24):11004-11018.

Biological Activity

Tizaterkib (AZD0364) is a potent, selective, ATP competitive, orally active **ERK1/2** inhibitor with IC₅₀ of 0.66 nM in ERK2 biochemical assay, binds similarly to ERK1 and ERK2 with K_i of 3.9 and 3.8 nM.

AZD0364 inhibits p90RSK phosphorylation with an IC₅₀ of 5.74 nM in an A375 melanoma cell line containing a BRAFV600E mutation.

AZD0364 potently inhibits ERK1/2 phosphorylation with IC₅₀ of 1.73 nM, which is more potent than the reported ERK1/2 competitors, SCH772984, GDC-0994, and BVD-523 (ulixertinib).

AZD0364 is highly selective for ERK1/2 in a broader panel of 353 human kinases, with activity against only nine other kinases in this panel: MEK1, COT, BRAF, MEK2, c-RAF, ERK7, CDK2, CDK5, and ARK5.

AZD0364 directly modulates RAS/MAPK pathway signaling, demonstrates in vivo antitumor activity in KRAS- and BRAF-mutant cancer cell line xenograft models.

References

