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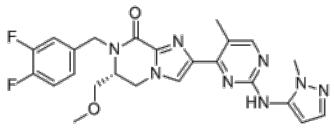
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AZD0364
Cat. No.	:	PC-63271
CAS No.	:	2097416-76-5
Molecular Formula	:	$C_{24}H_{24}F_2N_8O_2$
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 Ki 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