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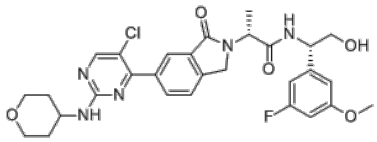
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ASTX029
Cat. No.	:	PC-72401
CAS No.	:	2095719-92-7
Molecular Formula	:	$C_{29}H_{31}ClFN_5O_5$
Molecular Weight	:	584.045
Target	:	ERK
Solubility	:	100 mM in DMSO



Biological Activity

ASTX029 (ASTX-029) is a highly potent, selective dual-mechanism **ERK** inhibitor with IC50 of <3 nM for **ERK1/2**, inhibits both ERK catalytic activity and the phosphorylation of ERK by MEK.
ASTX029 displayed high selectivity against a broad panel of kinases, and only 5 of a further 460 kinases (MAP2K6, MAP2K6S207E/T211E, PRKCN, PRKD1 and MAPK15) with IC50 of <100 nM.
ASTX029 inhibited the phosphorylation of ERK substrate RSK in a dose-dependent manner in both A375 (BRAF V600E-mutant melanoma) and HCT116 (KRAS G13D-mutant colorectal) cells with IC50 of 3.3 and 4 nM, respectively.
ASTX029 decreased pERK levels with a maximum inhibition of 93% and 94% in A375 and HCT116 cells, ASTX029 treatment also inhibited phosphorylation of the ERK substrate, CRAF.
ASTX02 caused a dose-dependent cell-cycle arrest in the G1-phase with an increase in apoptotic markers such as cleaved PARP and Bim.
ASTX029 (75 mg/kg, oral) modulated pharmacodynamic markers of MAPK signaling in a Colo205 subcutaneous xenograft model.
ASTX029 inhibited the proliferation of human cancer cell lines harboring MAPK-activating mutations (BRAF, KRAS, or NRAS.) with IC50 of 1.8-380 nM (A375: 3.4 nM, HCT116: 28 nM).
ASTX029 demonstrated in vivo antitumor activity in a range of MAPK-activated xenograft models.

References

Munck JM, et al. ***Mol Cancer Ther.*** 2021 Oct;20(10):1757-1768.

Heightman TD, et al. ***J Med Chem.*** 2021 Aug 26;64(16):12286-12303.

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

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