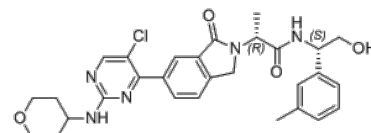


Product Name : Astex ERK inhibitor X
Cat. No. : PC-63537
CAS No. : 2095719-90-5
Molecular Formula : C₂₉H₃₂ClN₅O₄
Molecular Weight : 550.056
Target : ERK
Solubility : 10 mM in DMSO



1. Heightman TD, et al. *J Med Chem.* 2018 Jun 14;61(11):4978-4992. (Compound 27).

Biological Activity

Astex ERK inhibitor X (ERK inhibitor 29) is a potent, selective **ERK1/2** inhibitor that inhibits ERK catalytic activity with IC₅₀ of 3 nM.

Astex ERK inhibitor X displays excellent selectivity toward ERK1/2 against a panel of 429 kinases, only inhibits three kinases inhibited at >50% at 100 nM and 16 kinases at 1 μM.

Astex ERK inhibitor X potently inhibits ERK catalytic activity in NCI-H358 and Calu-6 cells (K-Ras mutant NSCLC) with IC₅₀ of 11 and 15 nM, respectively.

Astex ERK inhibitor X inhibits the proliferation of a panel of KRAS-mutant NSCLC cell lines, 55% of the KRAS-mutant NSCLC cell lines with IC₅₀ of 1-500 nM.

Astex ERK inhibitor X demonstrates in vivo anti-tumor activity in a range of KRAS-mutant NSCLC cancer models.

References

