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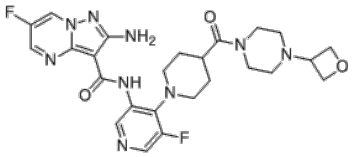
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	M4344
Cat. No.	:	PC-72163
CAS No.	:	1613191-99-3
Molecular Formula	:	$C_{25}H_{29}F_2N_9O_3$
Molecular Weight	:	541.564
Target	:	ATM/ATR
Solubility	:	10 mM in DMSO (5.4 mg/mL)



Biological Activity

M4344 (VX-803, Gartisertib) is a highly potent, selective **ATR** inhibitor with Ki<0.15 nM.
M4344 (VX-803, Gartisertib) showed minimal inhibitory activity against unrelated kinases, with >100-fold selectivity for ATR over 308/312 kinases tested.
M4344 suppressed cancer cell proliferation at lower concentrations, similarly to BAY1895344 and was more potent than berzosertib (VX-970, M6620), ceralasertib, and VE-821.
M4344 (25 nM) strongly suppressed cell viability of prostate DU145 cancer cells in combination of CPT at nanomolar concentrations, M4344 (10 nM) blocked CPT-induced activation of CHK1, a main downstream effector of ATR.
M4344 kills cancer cells under replication stress (RepStress) and with NE genomic signatures by replication-mediated DNA damage.
M4344 synergizes with clinical TOP1 inhibitor (Exatecan), as well as a broad range of widely used clinical agents including etoposide, gemcitabine, cisplatin, and talazoparib.
M4344 is active in patient-derived tumor organoids and xenograft models.

References

Ukhyun Jo, et al. ***Mol Cancer Ther.*** 2021 Aug;20(8):1431-1441.

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