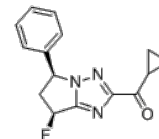


Product Name : Flizasertib
Cat. No. : PC-20036
CAS No. : 2268739-68-8
Molecular Formula : C₁₅H₁₄FN₃O
Molecular Weight : 271.30
Target : Receptor Interacting Protein Kinase (RIPK)
Solubility : 10 mM in DMSO



Biological Activity

Flizasertib (GDC-8264) is a potent, selective receptor-interacting protein 1 (RIP1, **RIPK1**) inhibitor with Kiapp of 0.71 nM. GDC-8264 more potently inhibits the kinase activity of primate RIP1 (human Kiapp = 0.00071 μM and cyno Kiapp = 0.0013 μM) than rodent orthologs (mouse Kiapp = 4.5 μM and rat Kiapp > 10 μM).

GDC-8264 blocks RIP1 autophosphorylation but did not affect RIP1 protein stability or TNF-stimulated NF-κB and MAPK activation and the induction of gene expression.

GDC-8264 potently inhibits cell death in immortalized human HT29 cells with IC50 of 7.8 nM.

GDC-8264 inhibits TBZ-stimulated CCL3, CCL4, and IL-1β production in human whole blood with IC50 of < 2 nM.

GDC-8264 inhibits colon and ileum crypt damage and inflammation in NEMO IEC cKO mice, inhibits TNF plus zVAD (TZ)-driven SIRS (systemic inflammatory response syndrome) mouse model.

References

Patent WO2022212809 A1

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

E-mail: tech@probechem.com