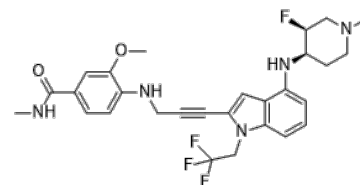


Product Name : PC14586
Cat. No. : PC-23083
CAS No. : 2636846-41-6
Molecular Formula : C₂₈H₃₁F₄N₅O₂
Molecular Weight : 545.58
Target : MDM2-p53
Solubility : 10 mM in DMSO



CAS: 2636846-41-6

Biological Activity

Rezatapopt (PC14586) is a first-in-class, orally bioavailable **Y220C mutant p53** reactivator, binds to the pocket created by the TP53 Y220C mutation (KD=2.5 nM), restoring p53 function.

PC14586 stabilizes the Y220C mutant in the wild type conformation, resulting in reactivation of p53 transcriptional activity and subsequent expression of its target proteins (e.g. p21, MDM2, Bax, PUMA) in Y220C mutant human cell lines.

PC14586 is highly selective to Y220C mutant cells and results in arrest of the cell cycle in vitro (IC₅₀ ~0.230-1.8 μM).

Oral administration of PC14586 results in a dose responsive anti-tumor effect, with a target efficacious dose of 100 mg/kg daily resulting in approximately 80% tumor regression after 3 weeks in nude mice bearing Y220C mutant NUGC3 gastric cancer xenograft tumors.

PC14586 was shown to convert Y220C mutant to the wildtype conformation, resulting in activation of p53 transcription.

References

Melissa Dumble, et al. *Cancer Res* (2021) 81 (13_Supplement): LB006.

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

E-mail: tech@probechem.com