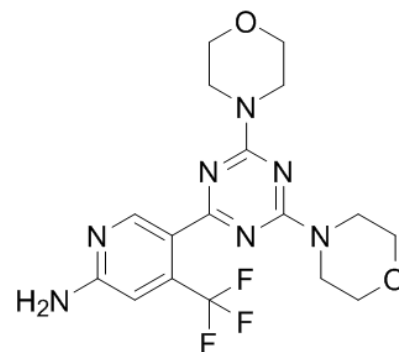


Product Name : PQR309
Cat. No. : PC-43268
CAS No. : 1225037-39-7
Molecular Formula : C₁₇H₂₀F₃N₇O₂
Molecular Weight : 411.3816
Target : PI3K
Solubility : 10 mM in DMSO



Biological Activity

PQR309 (Bimiralisib) is a potent, brain-penetrant, orally bioavailable, pan-class I **PI3K/mTOR** inhibitor with IC₅₀ of 33, 451, 661, 708 and 89 nM for PI3K α , PI3K δ , PI3K β , PI3K γ and mTOR, respectively.

PQR309 (Bimiralisib) also shows potent activity against PI3K α E542K/E545K/H2047R mutants with IC₅₀ of 63/136/36 nM, shows no significant activity for VPS34 and DNA-PK (IC₅₀>8,000 nM).

PQR309 (Bimiralisib) inhibits cellular phosphorylation of PKB/Akt on Ser473 and ribosomal S6 on Ser235/236 in A2058 melanoma cells with IC₅₀ of 139 and 205 nM, SKOV3 cell growth IC₅₀ is 237 nM.

PQR309 (Bimiralisib) demonstrates efficiency in inhibiting proliferation in tumor cell lines and rat xenograft models.

References

Beaufils F, et al. *J Med Chem.* 2017 Sep 14;60(17):7524-7538.

Tarantelli C, et al. *Clin Cancer Res.* 2018 Jan 1;24(1):120-129.

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

E-mail: tech@probechem.com