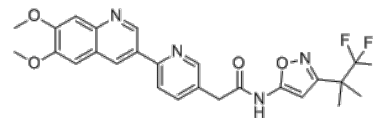


Product Name : BOS172738
Cat. No. : PC-72475
CAS No. : 2216753-97-6
Molecular Formula : C₂₅H₂₃F₃N₄O₄
Molecular Weight : 500.48
Target : RET Tyrosine Kinase (c-RET)
Solubility : 10 mM in DMSO



Biological Activity

BOS172738 (Zeteletinib, DS 5010) is a potent, selective oral **RET kinase** inhibitor with nanomolar potency against wtRET and RET mutations (K_i <1 nM), >300-fold selectivity against VEGFR2.

BOS172738 demonstrated exquisite potency for RET and RET mutations with K_d values <1 nM for RETwt, RET(M918T), RET(V804L) and RET(V804M), also demonstrated high selectivity over KDR.

BOS172738 demonstrated similar potency to ponatinib (IC₅₀=0.5 μM) in inhibiting the in vitro proliferation of a NCO4-RET human CRC cell line (CR1520).

BOS172738 significantly less anti-proliferative activity in HUVEC normal endothelial cells (IC₅₀=2.9 μM).

BOS172738 demonstrated potent and durable tumor regression at doses of 30 mg/kg in NSCLC PDX model (CTG-0838:KIF5B-RET), also demonstrated potent tumor regression on larger, established tumors.

References

Mitchell Keegan, et al. **Cancer Res** (2019) 79 (13_Supplement): 2199.

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

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