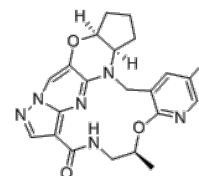


Product Name : TPX-0046
Cat. No. : PC-73416
CAS No. : 2359649-81-1
Molecular Formula : C₂₁H₂₁FN₆O₃
Molecular Weight : 424.43
Target : RET Tyrosine Kinase (c-RET)
Solubility : 10 mM in DMSO



1. A.Drilon, et al. Annals of Oncology Volume 30, Supplement 5, October 2019, Pages v190-v191

Biological Activity

TPX-0046 (Enbezotinib) is a potent, selective next-generation **RET/SRC** inhibitor, demonstrates low nanomolar potency against WT and 18 RET mutations/fusions, as well as SRC, and is VEGFR2/KDR-sparing.

TPX-0046 inhibited RET phosphorylation (IC₅₀ < 10 nM) in tumor cell lines (LC2/ad, CCDC6-RET; TT, RET C634W) and Ba/F3 engineered RET models (WT, G810R).

TPX-0046 inhibited KIF5B-RET Ba/F3, LC2/ad, and TT cells with IC₅₀ values of 1 nM in cell proliferation assays.

TPX-0046 potently inhibited Ba/F3 RET engineered cells with SFMs (e.g. G810C/R/S) with mean proliferation IC₅₀ of 1-17 nM.

TPX-0046 demonstrated marked in vivo anti-tumor efficacy in RET-driven cell-derived and patient-derived xenograft tumor models, a single dose of 5 mg/kg TPX-0046 inhibited >80% of RET phosphorylation.

TPX-0046 is a unique next-generation RET inhibitor that possesses potent in vitro and in vivo activity against a diverse range of RET alterations, including SFM-mediated resistance.

References

