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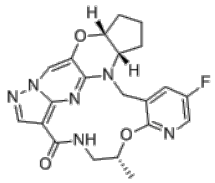
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TPX-0046 enantiomer
Cat. No.	:	PC-49375
CAS No.	:	2359650-19-2
Molecular Formula	:	$C_{21}H_{21}FN_6O_3$
Molecular Weight	:	424.436
Target	:	RET Tyrosine Kinase (c-RET)
Solubility	:	10 mM in DMSO



Biological Activity

TPX-0046 (Enbezotinib) enantiomer 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

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

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