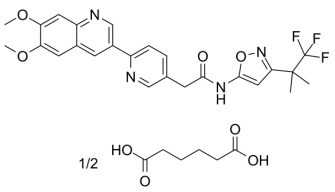
Zeteletinib hemiadipate

Cat. No.:	HY-139590A
CAS No.:	2375837-06-0
Molecular Formula:	$C_{25}H_{23}F_3N_4O_4.1/2C_6H_{10}O_4$
Molecular Weight:	573.55
Target:	RET; PDGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Zeteletinib (BOS-172738; DS-5010) hemiadipate is an orally active, selective RET kinase inhibitor with nanomolar potency against RET and >300-fold selectivity against VEGFR2. Zeteletinib hemiadipate shows exquisite potency for the wild type RET , $RET^{V804M/L}$ gatekeeper mutants, and the most common oncogenic RET mutation M918T. Zeteletinib hemiadipate has potent antitumor activity[1][2][3].
IC_{50} & Target	PDGFR2
In Vitro	In biochemical assays of 106 kinases, RET and platelet-derived growth factor receptor (PDGFR) alpha/beta were inhibited more than 80% by 193 nM Zeteletinib (BOS-172738; DS-5010) hemiadipate. The IC_{50} values of Zeteletinib hemiadipate against RET, RET-GKm (V804L) were single digit nano-molar even under a condition of high concentration of ATP; besides it against KDR was more than 1000 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In biochemical assays of 106 kinases, RET and platelet-derived growth factor receptor (PDGFR) alpha/beta were inhibited more than 80% by 193 nM Zeteletinib (BOS-172738; DS-5010) hemiadipate. The IC_{50} values of Zeteletinib hemiadipate against RET, RET-GKm (V804L) were single digit nano-molar even under a condition of high concentration of ATP; besides it against KDR was more than 1000 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Patrick Schoffski, et al. BOS172738, a highly potent and selective RET inhibitor, for the treatment of RET-altered tumors including RET-fusion+ NSCLC and RET-mutant MTC: Phase 1 study results. Journal of Clinical Oncology 39, no. 15_suppl (May 20, 2021) 3008-3008.

[2]. Yasuyuki Kaneta, et al.Abstract B173: Preclinical characterization and antitumor efficacy of DS-5010, a highly potent and selective RET inhibitor. MOLECULAR CANCERTHERAPEUTICS. January 2018, Volume 17, Issue 1.

[3]. Kyaw Z Thein, et al. Precision therapy for RET-altered cancers with RET inhibitors. Trends Cancer. 2021 Dec;7(12):1074-1088.

Caution: Product has not been fully validated for medical applications. For research use only.

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