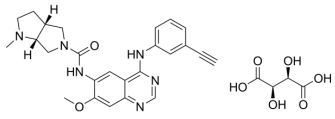
Theliatinib tartrate

Cat. No.:	HY-104066A
CAS No.:	2413487-72-4
Molecular Formula:	$C_{29}H_{32}N_6O_8$
Molecular Weight:	592.6
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Theliatinib (Xiliertinib) tartrate is a potent, ATP-competitive, orally active and highly selective EGFR inhibitor with a K_i of 0.05 nM and an IC_{50} of 3 nM. Theliatinib has an IC_{50} of 22 nM for EGFR T790M/L858R mutant. Theliatinib shows >50-fold selectivity for EGFR than other kinases[1]. Theliatinib (tartrate) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.
In Vitro	Theliatinib tartrate significantly inhibits EGFR phosphorylation in A431 cells with an IC_{50} of 7 nM. Theliatinib also inhibits A431, H292 and FaDu cells survival with IC_{50} values of 80 nM, 58 nM and 354 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Theliatinib tartrate (2-15 mg/kg; oral administration;daily; for 21 days; NOD-SCID mice; PDECX 1T0950 model) treatment demonstrates tumor regression of 75% at the end of study, and with a dose response[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ren Y, et al. Anti-tumor efficacy of theliatinib in esophageal cancer patient-derived xenografts models with epidermal growth factor receptor (EGFR) overexpression and gene amplification. Oncotarget. 2017 Apr 19;8(31):50832-50844.

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