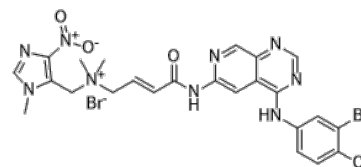


Product Name : Tarloxotinib
Cat. No. : PC-25509
CAS No. : 1636180-98-7
Molecular Formula : C₂₄H₂₄Br₂ClN₉O₃
Molecular Weight : 681.77
Target : EGFR
Solubility : 10 mM in DMSO



CAS: 1636180-98-7

Biological Activity

Tarloxotinib (TH-4000) is a hypoxia-activated pan-HER inhibitor, generates the active form TH-4000Effector (TH-4000E) under hypoxic condition, TH-4000E selectively inhibits EGFR, HER2 and HER4 with IC₅₀ of <1 nM. TH-4000E has a highly selective inhibitory effect on HER2+ breast cancer cells, shows IC₅₀ of 8.84 nM, 13.14 nM, 108.92 nM and 126.20 nM for HER2+ breast cancer cells BT-474, SK-BR-3, HCC-1954 and JIMT-1 respectively. TH-4000E showed highest selectivity to EGFR, HER2 and HER4 among 217 kinases, with IC₅₀ of <1 nM. TH-4000E inhibits phosphorylation of HER family proteins in HER2+ breast cancer cells. TH-4000E induces cellular apoptosis in HER2+ breast cancer cells, through a ROS-dependent manner. Tarloxotinib (TH-4000) (50 mg/kg per week by intraperitoneal injection) inhibited tumor growth in a xenograft model.

References

Xinyi Shao, et al. Arch Toxicol. 2024 Mar;98(3):865-881.

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

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