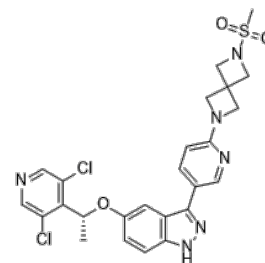


Product Name : TYRA-300
Cat. No. : PC-23839
CAS No. : 2800223-30-5
Molecular Formula : C₂₅H₂₄Cl₂N₆O₃S
Molecular Weight : 559.47
Target : FGFR
Solubility : 10 mM in DMSO



CAS: 2800223-30-5

Biological Activity

Dabogratinib (TYRA-300) is a potent, selective and oral **FGFR3** inhibitor with IC₅₀ of 11 nM in Ba/F3 cells, 14-fold selective over FGFR2 and >20-fold over FGFR1, FGFR4.

TYRA-300 has 3-fold weaker against FGFR3 N540 K (IC₅₀ = 73 nM).

TYRA-300 binds in the ATP pocket of FGFR3 through an extensive number of interactions.

TYRA-300 shows comparable potency in human bladder cancer cell lines harboring FGFR3 activating fusions or mutations.

TYRA-300 shows excellent kinome selectivity against a panel of 468 WT human kinases and mutant kinases.

TYRA-300 demonstrates equivalent potency for FGFR3 WT and V555M/L gatekeeper mutations in enzymatic assays and in engineered RT112/84 and UM-UC-14 bladder cancer cell lines containing the V555M mutation.

TYRA-300 at a dose of 12.5 mg/kg twice daily (BID) for 21 days produced significant inhibition of tumor growth (TGI) in T112/84 xenograft model (95% TGI).

References

Hudkins RL, et al. *J Med Chem.* 2024 Sep 26;67(18):16737-16756.

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

E-mail: tech@probechem.com