Product Datasheet

TYRA-300

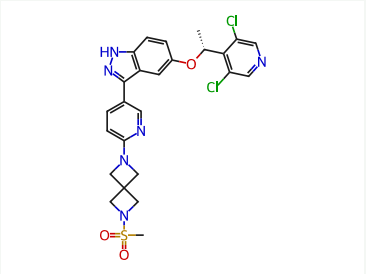
Catalog No. **BP-00906** · CAS **2800223-30-5** · Form **free base** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-14**

FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target	Research area
FGFR; ERK	**Metabolic Disease; Cancer**

Molecular formula	$C_{25}H_{24}Cl_2N_6O_3S$
Molecular weight	**559.4670**

STRUCTURE



DESCRIPTION

TYRA-300 is an FGFR3-selective inhibitor agnostic to the gatekeeper mutation, with less hyperphosphatemia mediated by FGFR1 inhibition than pan-FGFR inhibitors in preclinical models.

BIOLOGICAL ACTIVITY

In Vitro

Dabogratinib (0.046 nM-3 μM; 2 h) induces dose-dependent downregulation of the FGFR3 downstream signaling pathway (assessed by pERK1/2 levels) in RT112/84, RT112/84-V555M and UM-UC-14 bladder cancer cell lines.

Dabogratinib inhibits the viability of FGFR3-driven bladder cancer cell lines, with IC50 values of 9 nM for the RT112/84 cell line, 17 nM for the RT112/84-V555M cell line, and 16 nM for the UM-UC-14 cell line.

In Vivo

Dabogratinib (3-18 mg/kg; p.o.; twice/once daily; 21 days) exhibits dose-dependent in vivo efficacy in urothelial carcinoma xenograft models harboring FGFR3S249C.

Dabogratinib (12.5 mg/kg; p.o.; twice daily) induces tumor regression in FGFR3::TACC3 fusion urothelial carcinoma xenograft models and FGFR3V555M urothelial carcinoma xenograft models.

Dabogratinib (8-14 mg/kg; p.o.; once daily; 4 weeks) dose-dependently increases the growth rate of wild-type mice and promotes their long bone growth in vivo.

Dabogratinib (1.2 mg/kg; s.c.; once daily; 15 days) promotes bone growth, improves skeletal proportions, optimizes growth plate structure, and increases the foramen magnum size in the Fgfr3Y367C/+ mouse model of achondroplasia (ACH).

Dabogratinib (1.8 mg/kg; s.c.; once daily; 21 days) increases bone length, enlarges foramen magnum size and improves intervertebral disc morphology in the Fgfr3N534K/+ mouse model of HCH.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Hudkins RL, et al., Discovery of TYRA-300: First Oral Selective FGFR3 Inhibitor for the Treatment of Urothelial Cancers and Achondroplasia. J Med Chem. 2024 Sep 26;67(18):16737-16756.

[2]. Starrett, J. et al., 462P TYRA-300: FGFR3 selective and gatekeeper agnostic. Annals of Oncology, Volume 33, S751