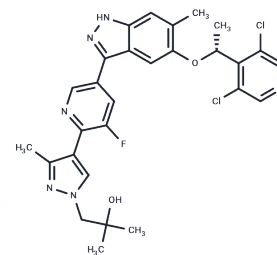


FGFR2/3-IN-3

Chemical Properties

CAS No. :	3069945-78-1
Formula:	C ₂₈ H ₂₇ Cl ₂ FN ₆ O ₂
Molecular Weight:	569.46
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	FGFR2/3-IN-3 is a dual-target FGFR2/3 inhibitor with IC ₅₀ values of 2.7 nM (TEL-FGFR2) and 3.9 nM (TEL-FGFR3), displaying efficacy against both wild-type and mutant FGFR3. It exhibits low CYP3A4 inhibition and minimal hERG toxicity. By inhibiting mutant FGFR3-mediated pathways, FGFR2/3-IN-3 improves chondrocyte proliferation and differentiation imbalances, promoting bone growth. In a dwarfism mouse model, it demonstrates growth-promoting effects, indicating potential for treating bone development disorders such as achondroplasia (ACH).
Targets(IC ₅₀)	FGFR, Cytochromes P450
In vitro	FGFR2/3-IN-3 (compound 23) exhibits potent inhibitory activity against FGFR3 wild-type (IC ₅₀ = 3.2 nM) and V555M mutant (IC ₅₀ = 0.5 nM), as well as TEL-FGFR1 (IC ₅₀ = 29.4 nM) and TEL-FGFR4 (IC ₅₀ = 29 nM). It also demonstrates inhibition in the TEL-FGFR3 mutant Ba/F3 cell line with common mutations such as FGFR3G380R (IC ₅₀ = 7.0 nM), FGFR3WT (IC ₅₀ = 3.9 nM), FGFR3V555L (IC ₅₀ = 1.7 nM), and FGFR3L608V (IC ₅₀ = 10.0 nM) ACH. The compound inhibits the growth of RT-112/84 cells in FGFR3-driven tumor cells (IC ₅₀ = 1.3 nM). FGFR2/3-IN-3 shows slightly stronger inhibition on CYP subtypes 2C9 (IC ₅₀ = 3.9 μM) and 2D6 (IC ₅₀ = 5.0 μM) compared to subtype 3A4. Additionally, FGFR2/3-IN-3 (at concentrations of 0.2 μM and 1 μM) can rescue growth retardation in an FGF-induced mouse ex vivo femur culture model.
In vivo	FGFR2/3-IN-3, administered subcutaneously at doses of 0.5 mg/kg and 2 mg/kg for 14 days, enhances overall growth in Fgfr3 Y367C/+ mouse models of ACH and stimulates the growth of the tail, tibia, and femur.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.756 mL	8.7802 mL	17.5605 mL
5 mM	0.3512 mL	1.756 mL	3.5121 mL
10 mM	0.1756 mL	0.878 mL	1.756 mL
50 mM	0.0351 mL	0.1756 mL	0.3512 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481