BTK-IN-17

Cat. No.: HY-151920

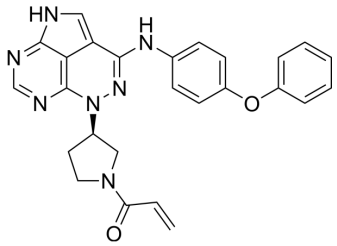
Molecular Formula: $C_{26}H_{23}N_7O_2$

Molecular Weight: 465.51

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BTK-IN-17 (compound 36R) is a selective and orally activeBTK inhibitor with an IC_{50} value of 13.7 nM. BTK-IN-17 decreases the expression of p-BTK^{Y223} and p-PLCγ2^{Y1217}. BTK-IN-17 shows anti-inflammatory effects[1].

IC_{50} & Target

IC_{50}: 13.7 nM (BTK)[1]

In Vitro

BTK-IN-17 (compound 36R) shows hERG channel inhibition with an IC_{50} value of 8.6 μM[1].
BTK-IN-17 (0-10000 nM) decreases the expression of p-BTK^{Y223} and p-PLCγ2^{Y1217} in Ramos cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Ramos cells
Concentration:	0-10000 nM
Incubation Time:	
Result:	Inhibited phosphorylation of downstream BTK (p-BTKY223) and phosphorylation of PLCγ2 (p-PLCγ2Y1217).

In Vivo

BTK-IN-17 (1 mg/kg for i.v.; 10 mg/kg for p.o.) shows the plasma concentrations rapidly reached 125 nM and BTK occupancy reached 79%one hour after oral administration, target occupancy of roughly 62% was maintained after 24 h in rats[1].
BTK-IN-17 (10, 30, 50 mg/kg; p.o.; once daily for 10 days) shows good anti-inflammatory effects in rats[1].
Pharmacokinetic Parameters of BTK-IN-17 in Male Sprague-Dawley rats[1].

	iv (1 mg/kg)	po (10 mg/kg)
C_0 (ng·mL^{-1})	786±121	-
C_{max} (ng·mL^{-1})	-	52.5±11.3
$T_{1/2}$ (h)	0.73±0.05	1.67±0.27

T_{max} (h)	-	1.00±0.5
AUC(ng·h·mL^{-1})	454±25	164±18
CL (mL·kg^{-1}·min^{-1})	36.8±2.0	-
Vd_{ss} (L·kg^{-1})	1.67±0.04	-
F (%)	-	3.6±0.4

Sprague-Dawley rats, 1 mg/kg for i.v.; 10 mg/kg p.o.[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fang X, et al. Discovery of orally active 1,4,5,6,8-pentaazaacenaphthylens as novel, selective, and potent covalent BTK inhibitors for the treatment of rheumatoid arthritis. Eur J Med Chem. 2022 Nov 25;246:114940.

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