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Zuschläge

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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

FGFR2/3-IN-1

Cat. No.: HY-151903S

CAS No.: 2640352-86-7

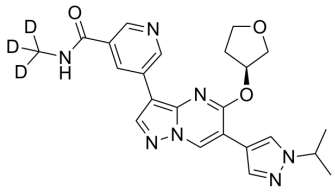
Molecular Formula: $C_{23}H_{22}D_3N_7O_3$

Molecular Weight: 450.51

Target: FGFR

Pathway: Protein Tyrosine Kinase/RTK

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



BIOLOGICAL ACTIVITY

Description

FGFR2/3-IN-1 is a potent and selective FGFR2 and FGFR3 (FGFR) inhibitor with IC50s of 1 nM and 0.5 nM, respectively. FGFR2/3-IN-1 displays >40-fold selectivity over FGFR1/FGFR4 and other kinome. FGFR2/3-IN-1 also inhibits FGFR3 V555L and V555M mutants with IC50s of 2.7 nM and 6.1 nM, respectively[1].

IC_{50} & Target

FGFR2 1 nM (IC_{50})	FGFR3 0.5 nM (IC_{50})	FGFR3 V555L 2.7 nM (IC_{50})	FGFR3 V555M 6.1 nM (IC_{50})
FGFR1 21 nM (IC_{50})	FGFR4 145 nM (IC_{50})		

In Vitro

FGFR2/3-IN-1 (compound 29) has a clean CYP profile (CYP3A4 IC50 >25 μM). FGFR2/3-IN-1 displays excellent potency (whole blood, IC50 = 177 nM) in a whole blood (WB) assay[1].

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

In Vivo

FGFR2/3-IN-1 (compound 29) is advanced into rat pharmacokinetics studies. Clearance in the i.v. arm is low (hepatic blood flow (HBF) = 35%), with a moderate half-life ($t_{1/2}$ = 1.7 h). In the p.o. dose, FGFR2/3-IN-1 demonstrates good exposure (AUC = 5108 nM·h) and high oral bioavailability (F% = 82)[2].

rat i.v.		rat p.o.	
dose (mg/kg)	1.0	dose (mg/kg)	3.0
HBF%	35	C_{max} (nM)	2303
V_{dss} (L/kg)	1.6	AUC (nM·h)	5108
$T_{1/2}$ (h)	1.7	F%	82

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

REFERENCES

[1]. Artem Shvartsbart, et al. Discovery of Potent and Selective Inhibitors of Wild-Type and Gatekeeper Mutant Fibroblast Growth Factor Receptor (FGFR) 2/3. J Med Chem. 2022 Nov 24;65(22):15433-15442.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA