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- Diagnostik & molekulare Diagnostik
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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

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

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

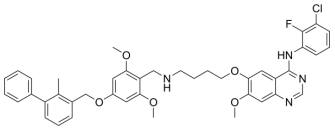
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

EP26

Cat. No.:	HY-159101
Molecular Formula:	$C_{42}H_{42}ClFN_4O_5$
Molecular Weight:	737.26
Target:	EGFR; PD-1/PD-L1
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

EP26 is a potent and orally active EGFR and PD-L1 inhibitor with IC_{50} values of 48.6 nM, 1.77 μM, respectively. EP26 decreased the protein expression of p-EGFR. EP26 induces cell cycle arrest at G0/G1 phase. EP26 has the potential for the research of glioblastoma[1].

IC_{50} & Target

EGFR	PD-L1
48.6 nM (IC_{50})	1.77 μM (IC_{50})

In Vitro

EP26 (0-4 μM; 48 h) decreases the protein expression of p-EGFR in a dose-dependent manner[1].
EP26 (0.5, 1, 2μM; 48 h) induces cell cycle arrest at G0/G1 phase[1].
EP26 binds binds to human PD-L1 and murine PD-L1 in a dose-dependent manner with K_Ds of 0.58, 0.52 μM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	U87MG, U251, U87MG-vIII, GL261 cells
Concentration:	0-20 μM
Incubation Time:	72 h
Result:	Showed antiproliferative activity with IC_{50}s of 0.77, 1.02, 1.19, 0.28 μM for U87MG, U251, U87MG-vIII, GL261 cells, respectively.

Western Blot Analysis[1]

Cell Line:	U87MG, U87vIII cells
Concentration:	0, 0.25, 1, 2 μM for U87MG cells, 0, 0.5, 1, 2, 4 μM
Incubation Time:	48 h
Result:	Decreased the protein expression of p-EGFR in a dose-dependent manner.

Cell Cytotoxicity Assay[1]

Cell Line:	U87MG cells

Concentration:	0.5, 1, 2 μM
Incubation Time:	48 h
Result:	Induced cell cycle arrest at G0/G1 phase with the percentage of U87MG cells in G0/G1 phase increased from 60.51 to 63.57, 69.48, and 73.98%, respectively.

In Vivo

EP26 (50, 100 mg/kg; p.o.; once a day for 21 days) shows antitumor activity in mice[1].Pharmacokinetic Parameters in Male SD rats[1].

PK parameters	i.v. administration (4 mg/kg, n = 5)	p.o. administration (20 mg/kg, n = 5)
$AUC_{(0-t)}$ (μg/L*h)	2832.5 ± 954.8	3145.7 ± 778.7
$AUC_{(0-\infty)}$ (μg/L*h)	2906.6 ± 1061.8	3238.3 ± 752.2
$MRT_{(0-t)}$ (h)	12.7 ± 0.8	17.5 ± 1.6
$MRT_{(0-\infty)}$ (h)	2906.6 ± 1061.8	3238.3 ± 752.2
$t_{1/2}$ (h)	6.8 ± 3.7	13.0 ± 5.3
T_{max} (h)	0.04 ± 0.02	3.6 ± 0.9
V_z (L/kg)	13.7 ± 4.4	123.5 ± 64.6
CL (L/h/kg)	1.5 ± 0.6	6.4 ± 1.3
C_{max} (μg/L)	325.6 ± 166.8	255.3 ± 123.0
F(%)	-	22.2

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

Animal Model:	C57BL/6 mice (GL261 cells)[1]
Dosage:	50, 100 mg/kg
Administration:	P.o.; once a day for 21 days
Result:	Decreased the tumor weight and tumor volume by 92.0 and 89.7% at 100 mg/kg, significantly inhibited glioblastoma tumor growth with tumor growth inhibitions (TGIs) of 61.4%, 89.4% at 50, 100 mg/kg, respectively.

REFERENCES

[1]. Yang Z, et al. Discovery of Novel Small-Molecule-Based Potential PD-L1/EGFR Dual Inhibitors with High Druggability for Glioblastoma Immunotherapy. J Med Chem. 2024 May 23;67(10):7995-8019.

Caution: Product has not been fully validated for medical applications. For research use only.

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