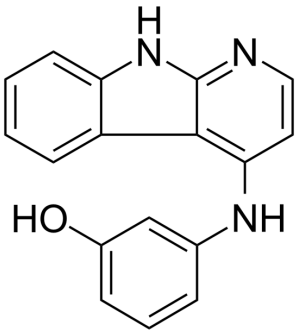
Tilfrinib

Cat. No.:	HY-110244
CAS No.:	1600515-49-8
Molecular Formula:	$C_{17}H_{13}N_3O$
Molecular Weight:	275.3
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (454.05 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6324 mL	18.1620 mL	36.3240 mL
	5 mM	0.7265 mL	3.6324 mL	7.2648 mL
	10 mM	0.3632 mL	1.8162 mL	3.6324 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (7.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tilfrinib (compound 4f) is a potent and selective Brk/PTK6 inhibitor with an IC_{50} value of 3.15 nM for Brk. Tilfrinib shows good anti-proliferative activity and has potential of anti-tumour[1][2].

IC_{50} & Target

IC50: 3.15 nM (Brk), PTK6[1][2].

In Vitro

Tilfrinib (20 μM; 36 h) shows inhibition of PTK6, and decreases the ETV4 WT-induced expression of CXCL1 or CXCL8 in UM-UC-3 cells and expression of VEGFA or MMP9 in TANs[1].
Tilfrinib (compound 4f) shows good anti-proliferative activity against MCF7, HS-578/T and BT-549 cells with GI_{50} values of

0.99, 1.02 and 1.58 μM[2].

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

Western Blot Analysis[1]

Cell Line:	UM-UC-3 cells (stably expressing FLAG-ETV4 WT or Y392F)
Concentration:	20 μM
Incubation Time:	36 h
Result:	Reduced ETV4 WT-induced expression of CXCL1 or CXCL8 in UM-UC-3 cells and expression of VEGFA or MMP9 in TANs by inhibiting PTK6.

REFERENCES

[1]. Zhang Q, Liu S, et al. ETV4 mediated tumor-associated neutrophil recruitment promotes lymphangiogenesis and lymphatic metastasis of bladder cancer[J]. 2022.

[2]. Mahmoud KA, et al. Discovery of 4-anilino α-carbolines as novel Brk inhibitors. Bioorg Med Chem Lett. 2014 Apr 15;24(8):1948-51.

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