LTURM34

Cat. No.: HY-101667

CAS No.: 1879887-96-3

Molecular Formula: $C_{24}H_{18}N_2O_3S$

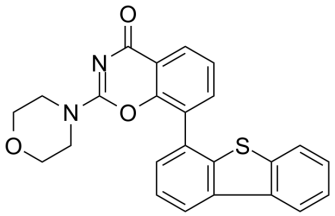
Molecular Weight: 414.48

Target: DNA-PK

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 65 mg/mL (156.82 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4127 mL	12.0633 mL	24.1266 mL
	5 mM	0.4825 mL	2.4127 mL	4.8253 mL
	10 mM	0.2413 mL	1.2063 mL	2.4127 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (7.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LTURM34 is a specific DNA-PK inhibitor (IC_{50}=34 nM). LTURM34 exhibits 170-fold selectivity for DNA-PK over PI3K. LTURM34 shows potent antiproliferative activity in a wide range of tumor cell lines[1].

IC_{50} & Target

DNA-PK	PI3Kβ	PI4Kδ
34 nM (IC_{50})	5.8 μM (IC_{50})	8.5 μM (IC_{50})

In Vitro

LTURM34 shows potent inhibition of DNA-PK with excellent selectivity over the Class I PI3K isoforms. The IC_{50}s are 5.8 and 8.5 μM for PI3K β and δ, respectively. LTURM34 is more consistently active against the selected cell lines (11 of 16), but at best shows 54% inhibition against the HOP-92 non-small cell lung cancer line[1].

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

PROTOCOL

Kinase Assay [1]

LTURM34 is dissolved at 10 mM in DMSO and stored at -20°C until use. PI3K enzyme activity is determined in 50 µL of 20 mM HEPES pH 7.5, 5 mM $MgCl_2$ with 180 µM PI and 10 µM ATP. After a 60 min incubation at room temperature the reaction is stopped by the addition of 50 µl of Kinase-Glo followed by a further 15 min incubation. Luminescence is then read using a luostar plate reader. LTURM34 is diluted in 20% (v/v) DMSO at the ndicated concentrations in order to generate a concentration versus inhibition of enzyme activity curve which is then analysed using GraphPad Prism version 5.00 for Windows, in order to calculate the IC50[1].

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

CUSTOMER VALIDATION

- Nat Biotechnol. 2023 Aug 3.
- Cell Rep. 2020 Jan 14;30(2):497-509.e4.
- Genome Res. 2021 Mar;31(3):461-471.
- Oncogenesis. 2020 Feb 3;9(2):8.

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REFERENCES

[1]. Morrison R, et al. Synthesis, structure elucidation, DNA-PK and PI3K and anti-cancer activity of 8- and 6-aryl-substituted-1-3-benzoxazines. Eur J Med Chem. 2016 Mar 3;110:326-39.

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