Dubermatinib

Cat. No.: HY-12963

CAS No.: 1341200-45-0

Molecular Formula: $C_{24}H_{30}ClN_7O_2S$

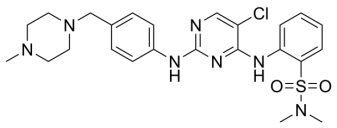
Molecular Weight: 516.06

Target: TAM Receptor; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2 mg/mL (3.88 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9378 mL	9.6888 mL	19.3776 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 10 mg/mL (19.38 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Dubermatinib (TP-0903) is a potent and selective Axl receptor tyrosine kinase inhibitor with an IC_{50} value of 27 nM.

IC_{50} & Target

IC50: 27nM (Axl)[1]

In Vitro

Dubermatinib (TP-0903) displays a potent activity against AXL with an IC_{50} of 0.027 μM. Dubermatinib (TP-0903) shows extremely potent activity in cell viability assays with an IC_{50} of 6 nM against the pancreatic cancer cell line PSN-1. Dubermatinib (TP-0903) is evaluated for its ability to block GAS6-mediated activation of AXL in pancreatic cancer cells. PSN-1 cells are serum-starved and then stimulated with GAS6 in the presence of various concentrations of TP-0903[1].

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

PROTOCOL

Cell Assay [1]

For cell proliferation assays, 45 μL containing 1000 cells per well are seeded into solid white 384-well plates in appropriate media. The following day, Dubermatinib (TP-0903) is diluted in serum free growth media to 10x desired concentrations and 5 μL is added to each well. Combined compound and cells are incubated for 96 hours. Following incubation, 40 μL of ATP-Lite solution is added to each well, incubated for an additional 10 minutes at room temperature and luminescence is measured on an microplate reader[1].

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

CUSTOMER VALIDATION

- J Transl Med. 2023 Dec 8;21(1):890.
- Neurochem Res. 2021 Jan 2.
- Mol Pain. Jan-Dec 2020;16:1744806919900814.
- bioRxiv. 2023 May 31.
- Preprints. 2023 May 15.

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REFERENCES

[1]. Mollard A, et al. Design, Synthesis and Biological Evaluation of a Series of Novel Axl Kinase Inhibitors. ACS Med Chem Lett. 2011 Dec 8;2(12):907-912.

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