Proteins

Screening Libraries

Dubermatinib

Cat. No.: HY-12963 CAS No.: 1341200-45-0 Molecular Formula: $C_{24}H_{30}CIN_{7}O_{2}S$

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

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro	
)

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

Preparing Stock Solutions	Solvent Mass 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 μ M. 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, $45~\mu L$ 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, $40~\mu L$ 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].

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CUSTOMER VALIDATION

- J Transl Med. 2023 Dec 8;21(1):890.
- Sci Rep. 2024 Jan 3;14(1):425.
- Neurochem Res. 2021 Jan 2.
- Mol Pain. Jan-Dec 2020;16:1744806919900814.
- bioRxiv. 2023 May 31.

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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.

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

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