Fosbretabulin disodium

Cat. No.: HY-17449 CAS No.: 168555-66-6

Molecular Formula: $C_{18}H_{19}Na_{2}O_{8}P$ Molecular Weight: 440.29

Target: Microtubule/Tubulin; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis

4°C, sealed storage, away from moisture Storage:

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro H₂O: 4.5 mg/mL (10.22 mM; Need ultrasonic and warming)

DMF: < 1 mg/mL (insoluble)

| Preparing Stock Solutions | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|------------|------------|
| | 1 mM | 2.2712 mL | 11.3562 mL | 22.7123 mL |
| | 5 mM | 0.4542 mL | 2.2712 mL | 4.5425 mL |
| | 10 mM | 0.2271 mL | 1.1356 mL | 2.2712 mL |

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

In Vivo 1. Add each solvent one by one: PBS

tubulin^[1]

Solubility: 14.29 mg/mL (32.46 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

IC₅₀ & Target

Description Fosbretabulin disodium (CA 4DP) is a tubulin destabilizing agent. Fosbretabulin disodium is the Combretastatin A4 proagent that selectively targets endothelial cells, induces regression of nascent tumour neovessels, reduces tumour blood flow and causes central tumour necrosis^{[1][3]}.

In Vitro Fosbretabulin disodium inhibits growth of leukemia P-388, pancreas BXPC-3,?neuroblast SK-N-SH, thyroid SW1736, lung- $NSC\ NCI-H460, prostate\ DU-145, an\ pharynx\ FADU, with\ EC_{50}s\ of\ 0.0029,\ 0.23,\ 0.00025,\ 0.00061,\ 0.00035,\ 0.00072,\ and\ 0.00085,\ 0$ $0.00045 \,\mu g/mL$, respectively^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Fosbretabulin disodium (100 mg/kg; i.p.) increases the mean arterial blood pressure (MABP) at 1 and 6 h after administration In Vivo and decreases the tumor blood flow in rats^[3].

| Animal Model: | Male BD9 rats (7-9 weeks) bearing the s.c. implanted P22 tumor ^[3] | | |
|-----------------|---|--|--|
| Dosage: | 100 mg/kg | | |
| Dosage. | 100 Hig/kg | | |
| Administration: | A single i.p. injections | | |
| Result: | Significantly raised the MABP by about 30%, and reduced the heart rate at 1 h after | | |
| | administration. | | |
| | Reduced the blood flow in the tumor. | | |

REFERENCES

- [1]. Shen CH, et, al. Combretastatin A-4 inhibits cell growth and metastasis in bladder cancer cells and retards tumour growth in a murine orthotopic bladder tumour model. Br J Pharmacol. 2010 Aug;160(8):2008-27.
- [2]. Pettit GR, et, al. Antineoplastic agents. 445. Synthesis and evaluation of structural modifications of (Z)- and (E)-combretastatin A-41. J Med Chem. 2005 Jun 16;48(12):4087-99.
- [3]. Tozer GM, et, al. Combretastatin A-4 phosphate as a tumor vascular-targeting agent: early effects in tumors and normal tissues. Cancer Res. 1999 Apr 1;59(7):1626-34.

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

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