Brusatol

Cat. No.: HY-19543

CAS No.: 14907-98-3

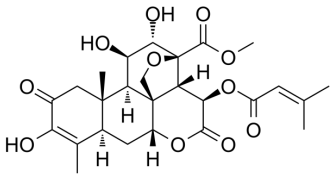
Molecular Formula: $C_{26}H_{32}O_{11}$

Molecular Weight: 520.53

Target: Keap1-Nrf2; Apoptosis

Pathway: NF-κB; Apoptosis

Storage: 4°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (48.03 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9211 mL	9.6056 mL	19.2112 mL
	5 mM	0.3842 mL	1.9211 mL	3.8422 mL
	10 mM	0.1921 mL	0.9606 mL	1.9211 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: ≥ 2.5 mg/mL (4.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Brusatol (NSC 172924) is a unique inhibitor of the Nrf2 pathway that sensitizes a broad spectrum of cancer cells to Cisplatin and other chemotherapeutic agents. Brusatol enhances the efficacy of chemotherapy by inhibiting the Nrf2-mediated defense mechanism. Brusatol can be developed into an adjuvant chemotherapeutic agent[1]. Brusatol increases cellular apoptosis[2].

IC_{50} & Target

Nrf2[1]

In Vitro

Brusatol (0.05, 0.15, 0.45, 1.35, 4.05 and 12.15 μg/mL) reduces the viability of CT-26 cells in a dose-dependent manner with IC

$_{50}$ value of 0.27±0.01μg/mL. When Brusatol is combined with Cisplatin (CDDP) at a constant concentration ratio of 1:1, cell growth inhibition is markedly enhanced compared with single-agent treatment; the IC_{50} value of Brusatol and CDDP cotreatment is 0.19±0.02μg/mL[2].

Brusatol provokes a rapid and transient depletion of Nrf2 protein, through a posttranscriptional mechanism, in mouse Hepa-1c1c7 hepatoma cells. Brusatol sensitizes mammalian cells to chemical toxicity-implications for therapeutic targeting of Nrf2[3].

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

Cell Viability Assay[2]

Cell Line:	The murine CT-26 CRC cell line
Concentration:	0.05, 0.15, 0.45, 1.35, 4.05 and 12.15 μg/mL
Incubation Time:	48 hours
Result:	The viability of CT-26 cells was reduced in a dose-dependent manner, with IC_{50} value of 0.27±0.01 μg/mL.

Western Blot Analysis[3]

Cell Line:	Mouse Hepa-1c1c7 hepatoma cells
Concentration:	1, 3, 10, 30, 100, 300, and 1000 nM
Incubation Time:	2 hours
Result:	Provoked the depletion of Nrf2, in a concentration-dependent manner within 2 h of exposure to cells.

In Vivo

"Brusatol is able to reach the tumor tissue and inhibit the Nrf2 pathway. Nude mice are injected with A549 cells to induce tumor growth, followed by a single i.p. injection of 2 mg/kg Brusatol. Nrf2 protein levels are significantly decreased at 24 h or 48 h postinjection[1].

Cisplatin (2 mg/kg) or Brusatol (2 mg/kg) alone does not inhibit tumor growth significantly, whereas in the combination group, tumor size is significantly reduced[1]. "

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

Animal Model:	Athymic nude mice 4-6 wk old bearing A549 xenografts[1]
Dosage:	2 mg/kg
Administration:	Treated i.p.; Cisplatin (2 mg/kg), Brusatol (2 mg/kg), or in combination every other day for a total of five times
Result:	Nrf2 protein levels were significantly decreased at 24 h or 48 h postinjection. Cisplatin or Brusatol alone did not inhibit tumor growth significantly, whereas in the combination group, tumor size was significantly reduced. "

CUSTOMER VALIDATION

- Free Radic Biol Med. 2020 Nov 20;160:820-836.
- Neoplasia. 2021 Nov 8;23(12):1227-1239.
- Oxid Med Cell Longev. 2021, Jun 16.

- J Inflamm Res. 2021 Dec 10;14:6765-6782.
- Cell Commun Signal. 2022 Oct 27;20(1):168.

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REFERENCES

[1]. Dongmei Ren, et al. Brusatol enhances the efficacy of chemotherapy by inhibiting the Nrf2-mediated defense mechanism. Proc Natl Acad Sci U S A. 2011 Jan 25;108(4):1433-8.

[2]. Hai-Ming Chen, et al. Synergistic antitumor effect of brusatol combined with cisplatin on colorectal cancer cells. Int J Mol Med. 2018 Mar;41(3):1447-1454.

[3]. Adedamola Olayanju, et al. Brusatol provokes a rapid and transient inhibition of Nrf2 signaling and sensitizes mammalian cells to chemical toxicity-implications for therapeutic targeting of Nrf2. Free Radic Biol Med. 2015 Jan;78:202-12.

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