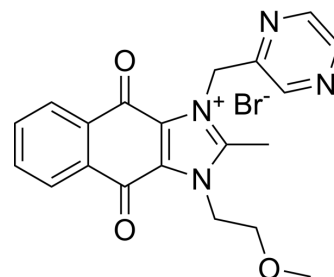


Sepantronium bromide

Cat. No.:	HY-10194
CAS No.:	781661-94-7
Molecular Formula:	C ₂₀ H ₁₉ BrN ₄ O ₃
Molecular Weight:	443.29
Target:	Survivin; Autophagy
Pathway:	Apoptosis; Autophagy
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	H ₂ O : 50 mg/mL (112.79 mM; Need ultrasonic)					
	DMSO : 11.67 mg/mL (26.33 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
		Concentration				
		1 mM		2.2559 mL	11.2793 mL	22.5586 mL
5 mM			0.4512 mL	2.2559 mL	4.5117 mL	
	10 mM		0.2256 mL	1.1279 mL	2.2559 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: PBS Solubility: 50 mg/mL (112.79 mM); Clear solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2 mg/mL (4.51 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2 mg/mL (4.51 mM); Clear solution; Need ultrasonic					

BIOLOGICAL ACTIVITY

Description	Sepantronium bromide (YM-155) is a survivin inhibitor with an IC ₅₀ of 0.54 nM ^[1] .
IC₅₀ & Target	IC ₅₀ : 0.54 nM (Survivin) ^[1]
In Vitro	Sepantronium bromide (YM155; 30 μM) is not sensitive to survivin gene promoter-driven luciferase reporter activity. Sepantronium bromide shows significant suppression on endogenous survivin expression in PC-3 and PPC-1 human HRPC cells with deficient p53 via transcriptional inhibition of the survivin gene promoter. Sepantronium bromide (100 nM) does not affect protein expression of c-IAP2, XIAP, Bcl-2, Bcl-xL, Bad, α-actin, and β-tubulin. Sepantronium bromide potentially

inhibits human cancer cell lines (mutated or truncated p53) such as PC-3, PPC-1, DU145, TSU-Pr1, 22Rv1, SK-MEL-5 and A375 with IC₅₀s ranging from 2.3 to 11 nM, respectively^[1].

Sepantronium bromide (YM155) results in an increase in sensitivity of NSCLC cells to γ -radiation. Sepantronium bromide combined with γ -radiation increases both the number of apoptotic cells and the activity of caspase-3. In addition, Sepantronium bromide delays the repair of radiation-induced double-strand breaks in nuclear DNA^[2].

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

In Vivo

Sepantronium bromide (YM155; 3 and 10 mg/kg) inhibits the tumor growth in PC-3 xenografts, without obvious body weight loss and blood cell count decrease. Sepantronium bromide is highly distributed to tumor tissue in vivo. Sepantronium bromide shows 80% TGI at a dose of 5 mg/kg in PC-3 orthotopic xenografts^[1].

Sepantronium bromide (YM155) in combination with γ -radiation shows potent antitumor activity against H460 or Calu6 xenografts in nude mice^[2].

In this orthotopic renal and metastatic lung tumors models, Sepantronium bromide (YM-155) and IL-2 additively decreases tumor weight, lung metastasis, and luciferin-stained tumor images^[3].

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

PROTOCOL

Cell Assay ^[1]

The antiproliferative activity of Sepantronium bromide is measured. After treatment with Sepantronium bromide for 48 h, the cell count is determined by sulforhodamine B assay. The GI₅₀ value is calculated by logistic analysis, which is the drug concentration resulting in a 50% reduction in the net protein increase (as measured by sulforhodamine B staining) in control cells during the drug incubation. The assay is done in triplicate, and the mean GI₅₀ value is obtained from the results of four independent assays.

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Animal Administration ^[1]

Five-week-old male nude mice (BALB/c nu/nu) are used for the assay. PC-3 cells (2×10^6 - 3×10^6) are injected into the flanks of the mice and allowed to reach a tumor volume of $> 100 \text{ mm}^3$ in tumor volume ($\text{length} \times \text{width}^2 \times 0.5$). Sepantronium bromide is s.c. administered as a 3-day continuous infusion per week for 2 weeks using an implanted micro-osmotic pump or i.v. administered five times a week for 2 weeks. The percentage of tumor growth inhibition 14 days after initial Sepantronium bromide administration is calculated for each group using the following formula: $\text{MTV} = 100 \times \{1 - [(\text{MTV of the treated group on day 14}) - (\text{MTV of the treated group on day 0})] / [(\text{MTV of the control group on day 14}) - (\text{MTV of the control group on day 0})]\}$, where MTV is mean tumor volume. For both the frozen tumors and plasma samples, survivin expression levels are analyzed by Western blotting and Sepantronium bromide concentration by high-performance liquid chromatography/triple quadrupole mass spectrometry (LC/MS/MS) using validated methods.

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

CUSTOMER VALIDATION

- Cancer Lett. 2018 Jul 1;425:54-64.
- Cell Death Dis. 2020 Nov 15;11(11):982.
- Stem Cell Res Ther. 2020 Jun 10;11(1):229.
- Nutrients. 2018 Mar 15;10(3). pii: E353.
- Biochem Pharmacol. 2024 Apr 26:116242.

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REFERENCES

[1]. Nakahara T, et al. YM155, a novel small-molecule survivin suppressant, induces regression of established human hormone-refractory prostate tumor xenografts. *Cancer Res.* 2007 Sep 1;67(17):8014-21.

[2]. Iisa T, et al. Radiosensitizing effect of YM155, a novel small-molecule survivin suppressant, in non-small cell lung cancer cell lines. *Clin Cancer Res.* 2008 Oct 15;14(20):6496-504.

[3]. Guo K, et al. A combination of YM-155, a small molecule survivin inhibitor, and IL-2 potently suppresses renal cell carcinoma in murine model. *Oncotarget.* 2015 Aug 28;6(25):21137-47.

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

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