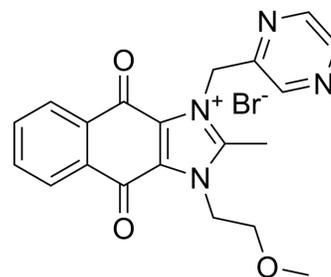


## Sepantronium bromide

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



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 50 mg/mL (112.79 mM; Need ultrasonic)					
	H <sub>2</sub> O : 50 mg/mL (112.79 mM; Need ultrasonic)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		2.2559 mL	11.2793 mL	22.5586 mL
<b>5 mM</b>			0.4512 mL	2.2559 mL	4.5117 mL	
<b>10 mM</b>		0.2256 mL	1.1279 mL	2.2559 mL		
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	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

<b>Description</b>	Sepantronium bromide (YM-155) is a survivin inhibitor with an IC <sub>50</sub> of 0.54 nM <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.54 nM (Survivin) <sup>[1]</sup>
<b>In Vitro</b>	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 IC<sub>50</sub>s ranging from 2.3 to 11 nM, respectively<sup>[1]</sup>.

?Sepantronium bromide (YM155) result in an increase in sensitivity of NSCLC cells to  $\gamma$ -radiation. Sepantronium bromide combined with  $\gamma$ -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<sup>[2]</sup>.

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<sup>[1]</sup>.

?Sepantronium bromide (YM155) in combination with  $\gamma$ -radiation shows potent antitumor activity against H460 or Calu6 xenografts in nude mice<sup>[2]</sup>.

?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<sup>[3]</sup>.

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

## PROTOCOL

#### Cell Assay <sup>[1]</sup>

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 GI<sub>50</sub> 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 GI<sub>50</sub> value is obtained from the results of four independent assays.

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

#### Animal Administration <sup>[1]</sup>

Five-week-old male nude mice (BALB/c nu/nu) are used for the assay. PC-3 cells ( $2 \times 10^6$ - $3 \times 10^6$ ) are injected into the flanks of the mice and allowed to reach a tumor volume of > 100 mm<sup>3</sup> in tumor volume (length $\times$ width<sup>2</sup> $\times$ 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:  $MTV = 100 \times \{1 - [(MTV \text{ of the treated group on day 14}) - (MTV \text{ of the treated group on day 0})] / [(MTV \text{ of the control group on day 14}) - (MTV \text{ of the control group on day 0})]\}$ , 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.
- Cancers. 2019 Oct 14;11(10):1550.

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## REFERENCES

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[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.

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

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