Sepantronium bromide (YM-155) is a survivin inhibitor with an IC₅₀ of 0.54 nM[^1].

**Description**

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 potently

### SOLVENT & SOLUBILITY

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
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<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1 mg</td>
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<tr>
<td></td>
<td>5 mM</td>
<td>5 mg</td>
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<tr>
<td></td>
<td>10 mM</td>
<td>10 mg</td>
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</tbody>
</table>

#### In Vitro

- **DMSO**: 50 mg/mL (112.79 mM; Need ultrasonic)
- **H₂O**: 50 mg/mL (112.79 mM; Need ultrasonic)

#### 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

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

[^1]: For reference
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$_{50}$s ranging from 2.3 to 11 nM, respectively$^1$.

Sepantronium bromide (YM155) result 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 | 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$_{50}$ 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$_{50}$ 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. |

| Cell Assay$^1$ | Five-week-old male nude mice (BALB/c nu/nu) are used for the assay. PC-3 cells ($2\times10^6-3\times10^6$) are injected into the flanks of the mice and allowed to reach a tumor volume of > 100 mm$^3$ in tumor volume (length×width$^2$×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×\{\frac{\text{MTV of the treated group on day 14}}{\text{MTV of the control group on day 14}}\}−\{\frac{\text{MTV of the treated group on day 0}}{\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. |

| Animal Administration$^1$ | Five-week-old male nude mice (BALB/c nu/nu) are used for the assay. PC-3 cells ($2\times10^6-3\times10^6$) are injected into the flanks of the mice and allowed to reach a tumor volume of > 100 mm$^3$ in tumor volume (length×width$^2$×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×\{\frac{\text{MTV of the treated group on day 14}}{\text{MTV of the control group on day 14}}\}−\{\frac{\text{MTV of the treated group on day 0}}{\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.  
• Cancers. 2019 Jul 5;11(7):947.  

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| REFERENCES | • Cancer Lett. 2018 Jul 1;425:54-64.  
• Cancers. 2019 Jul 5;11(7):947.  


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

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