Contents

1 Chemical Synthesis of Proposed RM2 and Derivatives ................. 1
  1.1 Introduction ....................................................... 1
  1.1.1 Glycosphingolipids .............................................. 1
  1.1.2 Tumor-Associated Carbohydrate Antigens ................... 4
  1.1.3 RM2 Antigen ($\beta_1,4$GalNAc-Disialyl-Lc4) as a New Marker for Prostate Cancer .......... 4
  1.2 Chemical Synthesis of Hexasaccharide RM2 and Its Derivatives .......... 5
    1.2.1 Design of Sugar Building Blocks ...................... 5
    1.2.2 Syntheses of Sialyated Trisaccharide Building Block 1-D .......... 8
    1.2.3 Synthesis of Galactose Building Block 1-5. ............ 9
    1.2.4 Synthesis of Sialylated Trisaccharide Building Block 1-2 .......... 10
    1.2.5 Synthesis of GlcNAc Building Block 1-12 ............. 12
    1.2.6 Synthesis of Trisaccharide 1-2 ...................... 12
    1.2.7 Synthesis of Disaccharide 1-17 ...................... 15
    1.2.8 Examine Synthesis of Hexasaccharide .................. 16
    1.2.9 Hexasaccharide RM2 Antigen: Investigate Sial$\alpha_2 \rightarrow$3Gal Disaccharide with High $\alpha$-Stereoselectivity and Yield ............... 17
    1.2.10 Syntheses of Truncated RM2 Derivatives 1-44, 1-46, 1-48, and 1-50 ............ 23
  1.3 Summary ............................................................. 24
    1.3.1 Experimental Section ........................................ 24
References ........................................................... 53
# RM2 Antigen: Structural Characterization and Determination of $K_{D,\text{Surf}}$ for Multivalent Carbohydrate–Protein Interaction

## 2.1 Introduction

2.1.1 A Novel Ganglioside (RM2) Isolated from Renal Cell Carcinoma.

## 2.2 Characterize the Structure of RM2 Antigen by Monoclonal RM2 Antibody and Further Determine the $K_{D,\text{Surf}}$ by Carbohydrate–Protein Interaction

2.2.1 Structural Characterization of RM2 Antigen.

2.2.2 Determination of $K_{D,\text{Surf}}$ for Multivalent Carbohydrate–Protein Interaction on the Surface.

## 2.3 Summary

## 2.4 Experimental Section

## References

---

# RM2 Antigen: Synthesis of Glycoconjugates

## 3.1 Introduction

3.1.1 Carbohydrate-Based Vaccines.

3.1.2 Applications of Glycolipids as Immunological Adjuvants.

## 3.2 Generation and Characterization of RM2 Glycoconjugate

3.2.1 Synthesis of DT-RM 4.7 as a Vaccine Candidate with Glycolipid C34 as a Potent Adjuvant.

3.2.2 Search for the Best Epitope Ratio of DT-RM Vaccine Adjuvanted with C34.

3.2.3 Synthesis of RM2 Conjugated with Different Carrier Proteins.

## 3.3 Summary

## 3.4 Experimental Section

## References

---

# Synthesis of Heptasaccharide RM2 Prostate Tumor Antigen: Chemical Synthesis of Heptasaccharide and Tetrasaccharide (Inner Core of the RM2 Antigen)

## 4.1 Introduction

4.1.1 Heptasaccharide Form and Hexasaccharide Form of RM2 Antigen.

## 4.2 Chemical Synthesis of Proposed Heptasaccharide

4.2.1 Chemical Synthesis of Heptasaccharide 3-5 and Tetrasaccharide 3-6.

4.2.2 Synthesis of Galactose Building Block 4-4.

4.2.3 Synthesis of Disaccharide Building Block 4-9.
<table>
<thead>
<tr>
<th>Section</th>
<th>Page</th>
</tr>
</thead>
<tbody>
<tr>
<td>4.2.4 Synthesis of Sialylated Tetrasaccharide Building Block 4-2</td>
<td>99</td>
</tr>
<tr>
<td>4.2.5 Synthesis of Compound 4-2</td>
<td>101</td>
</tr>
<tr>
<td>4.3 Summary</td>
<td>102</td>
</tr>
<tr>
<td>4.4 Experimental Section</td>
<td>102</td>
</tr>
<tr>
<td>References</td>
<td>108</td>
</tr>
</tbody>
</table>
Synthesis and Vaccine Evaluation of the Tumor Associated Carbohydrate Antigen RM2 from Prostate Cancer
Chuang, H.-Y.
2015, XIII, 108 p. 67 illus., 26 illus. in color., Hardcover
ISBN: 978-3-662-46847-0