**Peptide Salt Selection: Rational and Case Study**

- Peptide salts were selected to alter the dissolution profile of the target molecule.
- Salts improve bioavailability, stability, manufacturability, and patient compliance.
- Peptide counter ions significantly affect the pharmaceutical properties of a drug for small molecules, peptides, and proteins.

**Partial list of acceptable counter ions used in salt selection**

<table>
<thead>
<tr>
<th>CHARGED AND HYDROPHILIC COUNTERIONS</th>
<th>HYDROPHOBIC COUNTERIONS</th>
<th>SALTS OF ACIDIC DRUGS</th>
<th>OTHERS</th>
</tr>
</thead>
<tbody>
<tr>
<td>Glutamic acid</td>
<td>Deoxycholic acid</td>
<td>Mono and di-valent metal ions</td>
<td>Chloride</td>
</tr>
<tr>
<td>Glycine</td>
<td>Oleic acid</td>
<td></td>
<td>Bromide</td>
</tr>
<tr>
<td>Lysine</td>
<td>Stearic acid</td>
<td>Tromethamine</td>
<td>Sulphate</td>
</tr>
<tr>
<td>Citric acid</td>
<td>Nicotinic acid</td>
<td></td>
<td>Carbonate</td>
</tr>
<tr>
<td>Succinic acid</td>
<td>Palmitic acid</td>
<td></td>
<td>Mesylate</td>
</tr>
<tr>
<td>Tartaric acid</td>
<td>Octanoic acid</td>
<td></td>
<td>Phosphate</td>
</tr>
<tr>
<td>Maleic acid</td>
<td>Caproic acid</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**Salt Selection Flow Chart: Salt Suspension Formulation Development**

- **Salt Synthesis**
  - Feasibility Synthesis
  - Analytical
  - RP-HPLC, SEC, DLS, Particle Size, In-Vitro Dissolution

- **Salt Process**
  - Optimize Process
  - Control Particle Size
  - Solubility Screening

- **Suspension Formulation Vehicle Selection**
  - Buffer, pH Selection
  - Excipients: Tonecity, Suspending Agents, Aggregation Suppressors, Salt Solubility Screening
  - Salt Suspension Stability Screening
  - Device, Needle Size Control

- **Animal Study**
  - Injection Site Reaction Study
  - PK
  - PD (efficacy)

**For More Information, Please Visit www.drugdeliveryexperts.com**
In-Vitro Assessment: Dissolution Testing

Peptide salt is released into the dissolution media over time under sink conditions.

In-Vivo PK Study:
Peptide 3 Salt Showing Sustained Release at Day 60 (tested)

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