Tipelukast (KCA 757) is a sulfidopeptide leukotriene receptor antagonist, an orally bioavailable anti-inflammatory agent and used for the treatment of asthma.

**IC₅₀ & Target**

<table>
<thead>
<tr>
<th></th>
<th>LTD₄</th>
<th>LTE₄</th>
</tr>
</thead>
<tbody>
<tr>
<td>IC₅₀</td>
<td>6.41 (pA₂, In guinea-pigs)</td>
<td>6.45 (pA₂, In guinea-pigs)</td>
</tr>
</tbody>
</table>

**In Vitro**

Tipelukast inhibits the binding of [³H] LTD₄ to the LTD₄ receptors on pulmonary cell membrane of guinea-pigs (IC₅₀ = 2.3 μmol)².

**In Vivo**

Fifteen min after an aerosolized antigen challenge, and UNDW inhaled 5 min later into the guinea pigs, Tipelukast significantly alters the UNDW-induced bronchoconstriction¹. Tipelukast (1 and 5 mg/kg) administered intravenously 15 min after antigen challenge reduces the propranolol-induced bronchoconstriction (PIB) in a dose-dependent manner in guinea-pigs².

**REFERENCES**
