

# Rolapitant

## Catalog No: tcsc6387



### Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 25mg

**Size:** 50mg

**Size:** 100mg



### Specifications

**CAS No:**

552292-08-7

**Formula:**

$C_{25}H_{26}F_6N_2O_2$

**Pathway:**

Neuronal Signaling;GPCR/G Protein

**Target:**

Neurokinin Receptor;Neurokinin Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 30$  mg/mL (59.94 mM)

**Alternative Names:**

SCH619734

**Observed Molecular Weight:**

500.48

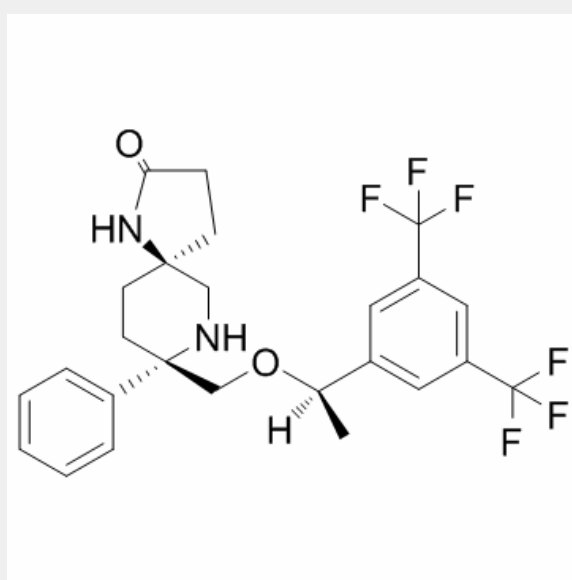
**Product Description**

Rolapitant (SCH619734) is a potent, selective and orally active **neurokinin** NK1 receptor antagonist with a **K<sub>i</sub>** of 0.66 nM.

IC50 & Target: K<sub>i</sub>: 0.66 nM (neurokinin)<sup>[1]</sup>

**In Vitro:** Rolapitant has a high affinity for the human NK1 receptor with a K<sub>i</sub> of 0.66 nM and high selectivity over the human NK2 and NK3 subtypes of more than 1000-fold, as well as preferential affinity for human, guinea pig, gerbil and monkey NK1 receptors over rat, mouse and rabbit<sup>[1]</sup>.

**In Vivo:** Rolapitant reverses NK1 agonist-induced foot tapping in gerbils following both intravenous and oral administration up to 24 hours at a minimal effective dose (MED) of 0.1 mg/kg. Rolapitant is active at 0.1 and 1 mg/kg in both acute and delayed emesis models in ferrets, respectively, consistent with clinical data for other NK1 antagonists. Clinical efficacy of anti-emetics is highly correlated with efficacy in the ferret emesis model, suggesting rolapitant is a viable clinical candidate for this indication<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!