Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 30 mg/mL (59.94 mM)

Alternative Names:
SCH619734

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Observed Molecular Weight:
500.48

Product Description

Rolapitant (SCH619734) is a potent, selective and orally active **neurokinin** NK1 receptor antagonist with a **K_i** of 0.66 nM.

IC50 & Target: Ki: 0.66 nM (neurokinin)[1]

In Vitro: Rolapitant has a high affinity for the human NK1 receptor with a K_i 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[1].

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[1].