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

Selexipag

Catalog No: tcsc3774

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
475086-01-2

Formula:
$C_{26}H_{32}N_4O_4S$

Pathway:
GPCR/G Protein

Target:
Prostaglandin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (100.68 mM); H2O :

Alternative Names:
NS-304;ACT-293987

Observed Molecular Weight:
496.62

Product Description

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Selexipag (NS-304) is an orally available and potent agonist for the Prostacyclin (**PGI_2**) receptor (IP receptor).

IC50 & Target: Prostacyclin receptor[1]

In Vitro: Selexipag (NS-304) is an orally available and long-acting IP receptor agonist prodrug, and its active form, MRE-269, is highly selective for the IP receptor. Selexipag (NS-304) inhibits the binding of [^{3}H]Iloprost to the human and rat IP receptors in a concentration-dependent manner. The K_i is 260 nM for the human IP receptor and 2100 nM for the rat IP receptor. The intracellular cAMP levels in hIP-CHO cells are increased in a concentration-dependent manner by treatment with Selexipag (NS-304) with EC_{50} of 177nM. Selexipag (NS-304) also inhibits platelet aggregation in humans and monkeys with IC_{50} values of 5.5 and 3.4 μM, respectively, but it shows no inhibition in dogs (IC_{50} of >100 μM)[1].

In Vivo: The C_{max} of MRE-269 after oral administration of NS-304 is 1.1 μg/mL in rats and 9.0 μg/mL in dogs. Selexipag (NS-304) at 1 or 3 mg/kg increases FSBF in anesthetized rats for more than 4 h after intraduodenal administration in a dose-dependent manner. In particular, Selexipag (NS-304) at 3 mg/kg causes a sustained increase in FSBF and exhibits a maximal increase of 93% in FSBF 1 h after administration[1].