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

RO4987655

Catalog No: tcsc2715

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
874101-00-5

Formula:
$C_{20}H_{19}F_3IN_3O_5$

Pathway:
MAPK/ERK Pathway

Target:
MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 40 mg/mL (70.76 mM)

Alternative Names:
CH4987655

Observed Molecular Weight:
565.28

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Product Description

RO4987655 is an orally active and highly selective **MEK** inhibitor with an **IC_{50}** of 5.2 nM for inhibition of **MEK1/MEK2**.

IC50 & Target: IC50: 5.2 nM (MEK1/MEK2)[1]

In Vitro: RO4987655 potently inhibits mitogen-activated protein kinase signaling pathway activation and tumor cell growth, with an in vitro IC_{50} of 5.2 nM for inhibition of MEK1/2[1]. RO4987655 inhibits proliferation of NCI-H2122 cells in a dose-dependent manner with an IC_{50} value of 0.0065 μM. RO4987655 at doses ranging from 0.1 to 1.0 μM suppresses pERK1/2 already at 2 h after the start of treatment[2].

In Vivo: Single-agent oral administration of RO4987655 (CH4987655) results in complete tumor regressions in xenograft models. RO4987655 is rapidly absorbed with a t_{max} of ~1 h. Exposures are dose proportional from 0.5 to 4 mg. The disposition is biphasic with a terminal $t_{1/2}$ of ~25 hr. Intersubject variability is low, 9% to 23% for C_{max} and 14% to 25% for area-under-the-curve (AUC). pERK inhibition is exposure dependent and is greater than 80% inhibition at higher doses. The pharmacokinetic-pharmacodynamic relationship is characterized by an inhibitory E_{max} model (E_{max} ~100%; IC_{50} 40.6 ng/mL) using nonlinear mixed-effect modeling[1]. Female athymic nude mice are randomized into study groups. The tumors size is estimated with digital caliper and PET scans performed on days 0, 1, and 3 with 1.0, 2.5, and 5.0 mg/kg RO4987655. The vehicle treatment does not inhibit the NCI-H2122 tumor xenograft growth over this time frame. In contrast, RO4987655 treatment results in 119% tumor growth inhibition (TGI) at 1.0 mg/kg, 145% TGI at 2.5 mg/kg and 150% TGI at 5.0 mg/kg on day 3. PET imaging shows that [^{18}F] FDG uptake in the xenografts decreases within 24 h (day 1) from the administration of RO4987655[2].