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

RAF265

Catalog No: tcsc0232

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
927880-90-8

Formula:
$C_{24}H_{16}F_6N_6O$

Pathway:
Protein Tyrosine Kinase/RTK;MAPK/ERK Pathway;Autophagy

Target:
VEGFR;Raf;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 26 mg/mL (50.15 mM); Ethanol : 10 mg/mL (19.29 mM; Need ultrasonic)

Alternative Names:
CHIR-265

Observed Molecular Weight:
518.41

Product Description

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RAF265 is a potent **RAF/VEGFR2** inhibitor.

IC50 & Target: RAF[1]

VEGFR2[1]

In Vitro: The MTT assay reveals that in HT29 and MDAMB231 cells, RAF265 alone shows significant activity with IC_{20} values of 1 to 3 μM and IC_{50} values of 5 to 10 μM. In A549 and HCT116 cells, IC_{20} values are 1 μM for both, but RAF265 concentrations up to 10 μM do not reach IC_{50} values. However, in the presence of 1 nM RAD001, the IC_{50} for RAF265 is 5 μM in A549 cells and 10 μM in HCT116 cells[1].

In Vivo: In single-compound efficacy studies, optimal dosing of RAD001 and RAF265 is 5 to 12 mg/kg daily and 30 mg/kg every two days, respectively. However, combination tolerability studies in nontumor-bearing mice defin dose-limiting toxicity as a 10% weight loss with the combination of RAD001 at a dose of 12 mg/kg daily and RAF265 at a dose of 20 mg/kg every two days. Therefore, the combination of RAF265 at a dose of 12 mg/kg qd and RAD001 at a dose of 12 mg/kg qd seems to be the maximal tolerated dose. RAD001 and RAF265 are both given at a dose of 12 mg/kg qd, alone or concurrently, over 6 days. After a 2-day stop, the compounds are given for another 6 days, and the treatment is then stopped. To confirm the potential of the combination of RAF265 and RAD001, the antitumor effect of the combination is tested in HCT116 xenografts (*KRAS* mut, *PIK3CA* mut). In HCT116 xenografts, RAD001 or RAF265 given alone shows 60% to 65% and 71% to 72% TVI%, respectively[1].