

# 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 :  $\geq 26$  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

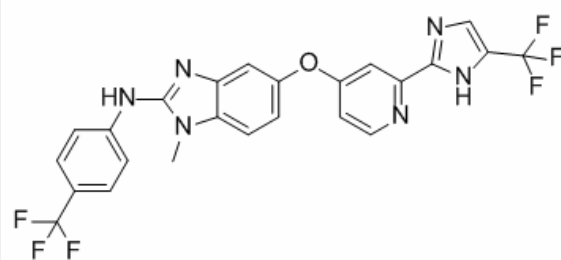
RAF265 is a potent **RAF/VEGFR2** inhibitor.

IC<sub>50</sub> & Target: RAF<sup>[1]</sup>

VEGFR2<sup>[1]</sup>

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

**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 define 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<sup>[1]</sup>.



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