

Pz-1

Catalog No: tcsc0035381



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg



Specifications

CAS No:

1800505-64-9

Formula:

$C_{26}H_{26}N_6O_2$

Pathway:

Protein Tyrosine Kinase/RTK

Target:

VEGFR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

454.52

Product Description

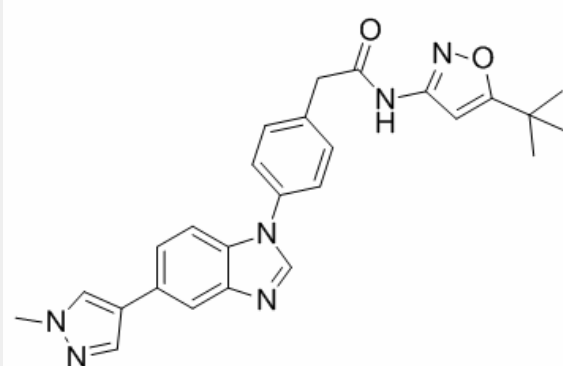
Pz-1 is a potent **RET** and **VEGFR2** inhibitor with **IC₅₀**s of less than 1 nM for both wild type kinases.

IC50 & Target: IC50: [1]

In Vitro:

Pz-1 is a Type-II tyrosine kinase inhibitor, able to bind the DFG-out conformation of the kinase. In cell-based assays, 1.0 nM of Pz-1 strongly inhibits tyrosine phosphorylation of VEGFR2 and clinically relevant RET mutants, including those refractory to vandetanib and cabozantinib (RET^{V804M} and RET^{V804L})^[1].

In Vivo: Pz-1 is shown active on VEGFR2, which can block blood supply required for RET-stimulated growth. At 1.0 mg/kg/day per os, Pz-1 abrogates formation of tumors induced by RET-mutant fibroblasts and blocks phosphorylation of both RET and VEGFR2 in tumor tissue. Pz-1 features no detectable toxicity up to 100.0 mg/kg, which indicates a large therapeutic window^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!