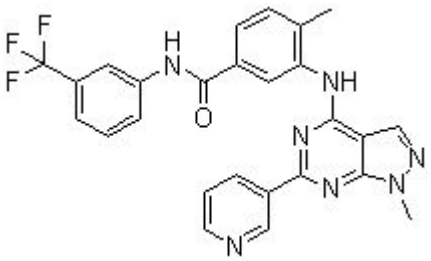
Product Introduction

NVP-BHG712

NVP-BHG712 is a specific **EphB4** inhibitor with **ED50** of 25 nM that discriminates between VEGFR and EphB4 inhibition; also shows activity against c-Raf, c-Src and c-Abl with **IC50** of 0.395 μM, 1.266 μM and 1.667 μM, respectively.

Technical Data:

Molecular Weight (MW):	503.48	
Formula:	$C_{26}H_{20}F_3N_7O$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 101 mg/mL	
	Water <1 mg/mL	
	Ethanol 3 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	940310-85-0	

Biological Activity

NVP-BHG712 treatment also dose dependently leads to the inhibition of RTK autophosphorylation in stable transfected A375 melanoma cells with EC50 of 25 nM and 4.2 μM for EphB4 and VEGFR2, respectively. [1]

In a growth factor-induced angiogenesis model, NVP-BHG712 (3 mg/kg, p.o) significantly suppresses VEGF stimulated tissue formation and vascularization by inhibiting EphB4 forward signaling. Furthermore,

NVP-BHG712 (10 mg/kg/kg, p.o.) potently reverses VEGF enhanced tissue formation and vessel growth. NVP-BHG712 (3 mg/kg, p.o.) shows a long lasting exposure with concentrations around 10 μM in plasma as well as in lung and liver tissue for up to 8 hours, and thus results in a long lasting inhibition of EphB4 kinase activity in mice. [1]

Discriminates between VEGFR and EphB4.

References

[1] Martiny-Baron G, et al. Angiogenesis. 2010, 13(3), 259-267.

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