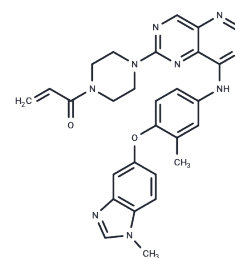


BI-4142

Chemical Properties

CAS No. : 2682003-36-5
Formula: C₂₈H₂₇N₉O₂
Molecular Weight: 521.57
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	BI-4142 is an orally active, potent and selective HER2 inhibitor that inhibits cancer cell proliferation, suppresses her2-dependent cell lines and inhibits downstream signalling.
Targets(IC50)	HER
In vitro	BI-4142 inhibits HER2-dependent cell lines and downstream signaling, with IC ₅₀ values of 10 nM, 18 nM, 270 nM, and 2400 nM against HEK HER2YVMA, Ba/F3 HER2YVMA, HEK EGFRWT, and Ba/F3 EGFRWT, respectively[1]. BI-4142 (1 nM-5 µM, 72h or 96h) exhibits antiproliferative activity against tumor cells[1]. In the CaCo-2 assay, BI-4142 displays good permeability and no Pgp-mediated efflux liability[1].
In vivo	BI-4142 administered at doses ranging from 0 to 100 mg/kg, orally twice daily for 40 days, significantly inhibits tumor growth and suppresses oncogenic signaling[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (153.38 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9173 mL	9.5864 mL	19.1729 mL
5 mM	0.3835 mL	1.9173 mL	3.8346 mL
10 mM	0.1917 mL	0.9586 mL	1.9173 mL
50 mM	0.0383 mL	0.1917 mL	0.3835 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Wilding B, et al. Discovery of potent and selective HER2 inhibitors with efficacy against HER2 exon 20 insertion-driven tumors, which preserve wild-type EGFR signaling. Nat Cancer. 2022 Jul;3(7):821-836.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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