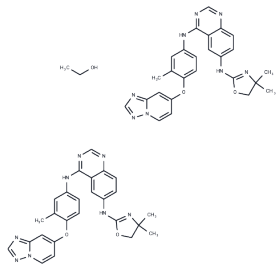
Data Sheet (Cat.No.T36648)

TargetMol

Tucatinib hemiethanolate

Chemical Properties



CAS No. : 1429755-56-5

Formula: C54H54N16O5

Molecular Weight: 1007.11

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tucatinib (Irbinitinib) hemiethanolate is a potent, orally active, and selective HER2 inhibitor with an IC50 of 8 nM.
Targets(IC50)	EGFR,HER
In vitro	Tucatinib hemiglycolate has nanomolar activity against purified HER2 enzyme and is approximately 500-fold selective for HER2 over EGFR in cell-based assays. Tucatinib selectively inhibits the receptor tyrosine kinase HER2 but not EGFR[1].
In vivo	Tucatinib hemiethanolate (ONT-380 hemiethanolate, 200 mg/kg/d) improves survival at maximum-tolerated dose[1] and reduces brain pErbB2 levels by 80%[2]. This compound (ARRY-380 hemiethanolate) shows significant tumor growth inhibition (TGI)−50% at 50 mg/kg/d and up to 96% at 100 mg/kg/d, with over 50% reduction in baseline tumor size in 9 out of 12 animals at the higher dosage. When combined with trastuzumab at 50 mg/kg/d, it achieves 98% TGI, with complete regressions in 9 out of 12 animals and partial regressions in two[3].

Solubility Information

Solubility	DMSO: 125 mg/mL (124.12 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (3.28 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9929 mL	4.9647 mL	9.9294 mL
5 mM	0.1986 mL	0.9929 mL	1.9859 mL
10 mM	0.0993 mL	0.4965 mL	0.9929 mL
50 mM	0.0199 mL	0.0993 mL	0.1986 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Moulder-Thompson S, et al. Phase 1 Study of ONT-380, a HER2 Inhibitor, in Patients with HER2+ Advanced Solid Tumors, with an Expansion Cohort in HER2+ Metastatic Breast Cancer (MBC). Clin Cancer Res. 2017 Jan 4. pii: clincanres.1496.2016.

P. Lee, et al. In Vivo Activity of ARRY-380, a Potent, Small Molecule Inhibitor of ErbB2 in Combination with RP-56976. Cancer Research.

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