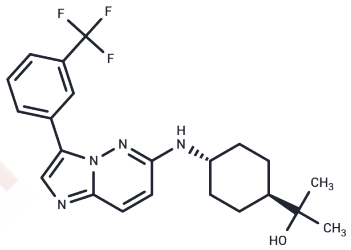
Data Sheet (Cat.No.T4523)

TargetMol

TP-3654

Chemical Properties



CAS No. : 1361951-15-6

Formula: C22H25F3N4O

Molecular Weight: 418.46

Storage: Keep away from moisture,Store at low temperature
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	TP-3654, a second-generation Pim kinase inhibitor (Ki values against Pim-1/3: 5/42 nM).
Targets(IC50)	Pim
In vitro	TP-3654 exhibits strong specificity and activity against PIM-1 in systems overexpressing PIM-1/BAD, achieving an average EC50 of 67 nM. It effectively diminishes phospho-BAD levels in vitro within the UM-UC-3 bladder cancer cell line. Moreover, TP-3654 significantly hampers colony growth in both T24 and UM-UC3 cells, underscoring the reliance of these cell lines on PIM-1 for their proliferation [1].
In vivo	TP-3654 (200 mg/kg, i.g.) significantly reduces both UM-UC-3 and PC-3 tumour growth measured by volume (calliper) and by final tumour weight, with no significant changes in body weight or gross adverse toxicity[1].
Cell Research	1 μM TP-3654 is tested against 336 kinases at a concentration of 10 μM ATP. IC50 determinations of phosphoinositide 3-kinase (PI3K) (α, β, δ, and γ) and all kinases inhibited by >50% from the initial screen are performed using 10-dose, three-fold serial dilutions of TP-3654 starting with 10 μM at Km ATP concentrations for each kinase[1].
Animal Research	When tumours of mice reach 100 to 200 mm3 by calliper measurement, mice are randomized and oral dosing of TP-3654 or vehicle control began and continued every day for 5 days with 2 days off for 18 to 21 days. Tumour volumes and body weights were determined twice a week[1].

Solubility Information

Solubility	DMSO: 127.5 mg/mL (304.69 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 2.03 mg/mL (4.85 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.03 mg/mL (4.85 mM),Solution. *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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3897 mL	11.9486 mL	23.8971 mL
5 mM	0.4779 mL	2.3897 mL	4.7794 mL
10 mM	0.239 mL	1.1949 mL	2.3897 mL
50 mM	0.0478 mL	0.239 mL	0.4779 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

Foulks JM, et al. A small-molecule inhibitor of PIM kinases as a potential treatment for urothelial carcinomas. Neoplasia. 2014 May;16(5):403-12.