Data Sheet (Cat.No.T12131)

TargetMol®
YOUR TARGET MOLECULES

Mutated EGFR-IN-3

Chemical Properties

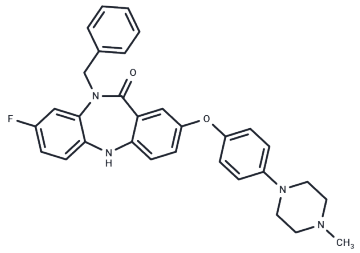
CAS No. : 2375107-27-8

Formula: C31H29FN4O2

Molecular Weight: 508.59

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Mutated EGFR-IN-3 is a ATP-competitive and highly selective allosteric dibenzodiazepinone EGFR(L858R/T790M) and EGFR(L858R/T790M/C797S) inhibitor(IC50 of 12 nM and 13 nM, respectively.)
Targets(IC50)	EGFR
In vitro	Mutated EGFR-IN-3 exhibits antiproliferative activities of a panel of EGFR allosteric inhibitors are 3.2 μM, 2.7 μM, 0.36 μM and 0.20 μM for WT,L858R, L858R/T790M and L858R/T790M/C797S, respectively in the presence of Cetuximab in Ba/F3 cells[1].Mutated EGFR-IN-3 exhibits antiproliferative activities of a panel of EGFR allosteric inhibitors are 7.0 μM, 3.3 μM, 3.8 μM, 4.0 μM and 4.5 μM for parental, WT, L858R, L858R/T790M and L858R/T790M/C797S, respectively in the absence of Cetuximab in Ba/F3 cells[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9662 mL	9.8311 mL	19.6622 mL
5 mM	0.3932 mL	1.9662 mL	3.9324 mL
10 mM	0.1966 mL	0.9831 mL	1.9662 mL
50 mM	0.0393 mL	0.1966 mL	0.3932 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

De Clercq DJH,et al. Discovery and Optimization of Dibenzodiazepinones as Allosteric Mutant-Selective EGFR Inhibitors.ACS Med Chem Lett. 2019 Oct 22;10(11):1549-1553.

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