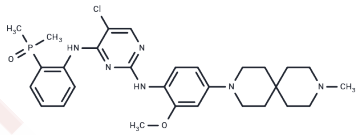
Data Sheet (Cat.No.T64028)

TargetMol

Iruplinalkib

Chemical Properties



CAS No. : 1854943-32-0

Formula: C29H38ClN6O2P

Molecular Weight: 569.08

Storage: Store at low temperature,Keep away from moisture
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	Iruplinalkib (WX-0593) is an orally active, selective and potent ALK and ROS1 tyrosine kinase inhibitor with anticancer activity for use in the study of non-small cell lung cancer.
Targets(IC50)	ERK,BCRP,Akt,STAT,ALK,ROS Kinase
In vivo	**METHODS**: In this open-label, randomized, multicenter, phase 3 study, patients with ALK-positive NSCLC were randomized to receive iruplinalkib 180 mg once daily (7 days, 60 mg once daily) or crizotinib 250 mg twice daily. The primary endpoint was progression-free survival (PFS) assessed by an independent review committee (IRC) according to Response Evaluation Criteria in Solid Tumors version 1.1. Secondary endpoints included PFS by investigator, objective response rate (ORR), duration of response, duration of response, intracranial ORR and time to CNS progression by IRC and investigator, overall survival, and safety. An interim analysis was planned after approximately 70% of all 192 expected PFS events assessed by IRC were observed (134 events). **RESULTS**: Between September 4, 2019, and December 2, 2020, a total of 292 patients were randomized; 143 to iruplinalkib and 149 to crizotinib. At this interim analysis (145 events), the median follow-up was 26.7 months (range: 3.7-37.7) in the iruplinalkib group and 25.9 months (range: 0.5-35.9) in the crizotinib group. IRC-assessed PFS was significantly prolonged in patients in the iruplinalkib group (median PFS, 27.7 months [95% confidence interval (CI): 26.3-not estimable], vs. 14.6 months [95% CI: 11.1-16.5] in the crizotinib group; hazard ratio, 0.34 [98.02% CI: 0.23-0.52], $p < 0.0001$). IRC-assessed ORR was 93.0% (95% CI: 87.5-96.6) in the iruplinalkib group and 89.3% (95% CI: 83.1-93.7) in the crizotinib group. For patients with measurable CNS metastases at baseline, the intracranial ORR was 90.9% with iruplinalkib (10 of 11 patients, 95% CI: 58.7-99.8) and 60.0% with crizotinib (9 of 15 patients, 95% CI: 32.3-83.7). The incidence of grade 3 or 4 treatment-related adverse events was 51.7% with iruplinalkib and 49.7% with crizotinib.[1]

Solubility Information

Solubility	DMSO: 5 mg/mL (8.79 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7572 mL	8.7861 mL	17.5722 mL
5 mM	0.3514 mL	1.7572 mL	3.5144 mL
10 mM	0.1757 mL	0.8786 mL	1.7572 mL
50 mM	0.0351 mL	0.1757 mL	0.3514 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

Shi Y,et al. Safety and activity of WX-0593 (Iruplinalkib) in patients with ALK- or ROS1-rearranged advanced non-small cell lung cancer: a phase 1 dose-escalation and dose-expansion trial. Signal Transduct Target Ther. 2022 Jan 28;7(1):25.

Shi Y, et al. Iruplinalkib (WX-0593) Versus Crizotinib in ALK TKI-Naive Locally Advanced or Metastatic ALK-Positive NSCLC: Interim Analysis of a Randomized, Open-Label, Phase 3 Study (INSPIRE). J Thorac Oncol. 2024 Jun;19(6):912-927.

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