



Olverembatinib dimesylate (CAS: 1421783-64-3)

Catalog #: EBC51164

Biological Activity

Synonyms GZD824 dimesylate; HQP1351 dimesylate

Chemical Name 3-((1H-pyrazolo[3,4-b]pyridin-5-yl)ethynyl)-4-methyl-N-(4-((4-methylpiperazin-1-yl)methyl)-3-

(trifluoromethyl)phenyl)benzamide dimethanesulfonate

Application Olverembatinib (GZD824) dimesylate is a potent and orally active pan-Bcr-Abl inhibitor.

CAS No. 1421783-64-3
Purity ≥99.0%
Molecular Weight 724.77

Molecular Formula C31H35F3N6O7S2

SMILES CC1=CC=C(C(NC2=CC=C(CN3CCN(C)CC3)C(C(F)(F)F)=C2)=O)C=C1C#CC4=CN=C5C(C=NN5)=C4.CS(=O

)(O)=O.CS(=O)(O)=O

Target & IC50 Bcr-AblT315I : IC50=0.68 nM

Shipping Gel Pack Storage Store at -20° C

Molecular Structure

Solubility

DMSO: 125 mg/mL (172.47 mM) Water: 50 mg/mL (68.99 mM)

PS: < 1 mg/ml refers to the product insoluble

Product Description

Olverembatinib dimesylate also known as GZD824 is an orally bioavailable Bcr-Abl inhibitor. GZD824 exhibited high affinity with Kd values of 0.32 and 0.71 nM for Bcr-AblWT and Bcr-AblT315I, respectively. GZD824 inhibited Bcr-Abl with IC50 values of 0.34, 0.68, 0.27, 0.71, 0.15, 0.35, 0.29 and 0.35 nM for Bcr-AblWT, Bcr-AblT315I, Bcr-AblE255K, Bcr-AblG250E, Bcr-AblQ252H, Bcr-AblH396P, Bcr-AblM351T and Bcr-AblY253F, respectively. In a competitive binding assay, GZD824 bound to the ATP-binding sites of native Abl with Kd values of 0.32 and 0.34 nM for non-phosphorylated and phosphorylated Abl. In stably transformed Ba/F3 cells, GZD824 potently inhibited cells growth with IC50 values of 1.0 and 7.1 nM for Bcr-AblWT and Bcr-AblT315I expressed cells, respectively.