
ABT-199

产品编号: D50750

CAS: 1257044-40-8

分子式: C₄₅H₅₀ClN₇O₇S

纯度: ≥98%

InChi: InChi=1S/C₄₅H₅₀ClN₇O₇S/c1-45(2)15-11-33(39(26-45)31-3-5-34(46)6-4-31)29-51-17-19-52(20-18-51)35-7-9-38(42(24-35)60-36-23-32-12-16-47-43(32)49-28-36)44(54)50-61(57,58)37-8-10-40(41(25-37)53(55)56)48-27-30-13-21-59-22-14-30/h3-10,12,16,23-25,28,30,48H,11,13-15,17-22,26-27,29H2,1-2H3,(H,47,49)(H,50,54)

InChi Key: LQBVNQSMGBZMKD-UHFFFAOYSA-N

Smiles: CC1(C)CC(C2C=CC(Cl)=CC=2)=C(CN2CCN(CC2)C2=CC(OC3C=C4C=CNC4=NC=3)=C(C=C2)C(=O)NS(=O)(=O)C2=CC(=C(C=C2)NCC2CCOCC2)[N+][O-])=O)CC1

外观: 固体粉末

作用通路: Autophagy

溶解性: DMSO up to 50 mM

保存条件: Store in dry, dark place for one year.

产品介绍: ABT-199 is a highly potent, selective, and orally bioavailable BCL-2 inhibitor. ABT-199 has picomolar affinity for BCL-2 ($K_i < 0.010$ nM) and > 1000 folds selectivity over BCL-XL ($K_i = 48$ nM) and BCL-W ($K_i = 245$ nM). Therefore, ABT-199 is a much improved lead compound over the original ABT-263 (navitoclax) to avoid thrombocytopenia caused by BCL-XL inhibition. ABT-199's cell-killing effect is selective and mechanism dependent. It can potently kill BCL-2-overexpressing FL5.12 cells ($EC_{50} \sim 4$ nM) and RS4;11 BCL-2-dependent ALL cells ($EC_{50} \sim 8$ nM), but showed much weaker activity against BCL-XL-overexpressing FL5.12 cells ($EC_{50} \sim 261$ nM) and H146 ALL cells ($EC_{50} \sim 4,260$ nM). ABT-199 inhibits the growth of BCL-2-dependent human hematological tumors in vivo and spares human platelets as a single agent or in combination with rituximab and bendamustine. A single dose of ABT-199 in three patients with refractory chronic lymphocytic leukemia resulted in tumor lysis within 24 h. These data indicates that selective pharmacological inhibition of BCL-2 shows promise for the treatment of BCL-2-dependent hematological cancers.