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VR23

产品编号: D50963

CAS: 1624602-30-7

分子式: C19H16ClN5O6S

纯度: ≥98%

InChi: InChI=1S/C19H16ClN5O6S/c20-13-1-3-15-16(11-13)21-6-5-17(15)22-7-9-23(10-8-22)32(30,31)19-4-2-14(24(26)27)12-18(19)25(28)29/h1-6,11-12H,7-10H2

InChi Key: PDQVZPPIHADUOO-UHFFFAOYSA-N

Smiles: [O-][N+](=O)C1C=C(C=CC=1S(=O)(=O)N1CCN(CC1)C1=CC=NC2=CC(Cl)=CC=C12)[N+]([O-])=O

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 50 mM

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

产品介绍: VR23 is a potent and selective proteasome inhibitor with IC50 of 1 nM, 50-100 nM, and 3 μM for trypsin-like proteasomes, chymotrypsin-like proteasomes, and caspase-like proteasomes, respectively. Data from molecular docking and substrate competition assays established that the primary molecular target of VR23 was β2 of the 20S proteasome catalytic subunit. VR23 was structurally distinct from other known proteasome inhibitors and selectively killed cancer cells by apoptosis, with little effect on noncancerous cells. Mechanistic investigations showed that cancer cells exposed to VR23 underwent an abnormal centrosome amplification cycle caused by the accumulation of ubiquitinated cyclin E. In combinations with the clinically approved chymotrypsin-like proteasome inhibitor bortezomib, VR23 produced a synergistic effect in killing multiple myeloma cells, including those that were resistant to bortezomib. VR23 was effective in vivo in controlling multiple myelomas and metastatic breast cancer cells, in the latter case also enhancing the antitumor activity of paclitaxel while reducing its side effects.