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TAK-243 (MLN7243)

产品编号: D50985

CAS: 1450833-55-2

分子式: C19H20F3N5O5S2

纯度: ≥98%

InChi: InChI=1S/C19H20F3N5O5S2/c20-19(21,22)33-12-3-1-2-10(6-12)13-8-16-24-5-4-15(27(16)26-13)25-14-7-11(17(28)18(14)29)9-32-34(23,30)31/h1-6,8,11,14,17-18,25,28-29H,7,9H2,(H2,23,30,31)/t11-,14-,17-,18+/m1/s1

InChi Key: KJDAGXLMHXUAGV-DGWLBADLSA-N

Smiles: NS(=O)(=O)OC[C@H]1C[C@@H](NC2=CC=NC3=CC(=NN32)C2=CC(=CC=C2)SC(F)(F)F)[C@H](O)[C@@H]1O

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 100 mM

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

产品介绍: TAK-243 (MLN7243) is a potent, selective and cell permeable small molecule inhibitor of the ubiquitin activating enzyme (UAE) at nM range. The ubiquitin-activating enzymes, found more active in cancer cells than in normal healthy cells, catalyze the first step in ubiquitination reaction, targeting a protein for degradation via proteasome. TAK-243 treatment caused depletion of cellular ubiquitin conjugates, resulting in disruption of signaling events, induction of proteotoxic stress, and impairment of cell cycle progression and DNA damage repair pathways. TAK-243 treatment caused death of cancer cells and, in primary human xenograft studies, demonstrated antitumor activity at tolerated doses. Due to its specificity and potency, TAK-243 allows for interrogation of ubiquitin biology and for assessment of UAE inhibition as a new approach for cancer treatment. TAK-243 is now in phase I clinical trials for adult patients with advanced solid tumors.