
TH-302

产品编号: D50764

CAS: 918633-87-1

分子式: C₉H₁₆Br₂N₅O₄P

纯度: ≥98%

InChi: InChI=1S/C₉H₁₆Br₂N₅O₄P/c1-15-8(6-12-9(15)16(17)18)7-20-21(19,13-4-2-10)14-5-3-11/h6H,2-5,7H₂,1H₃, (H₂,13,14,19)

InChi Key: UGJWRPJDTDGERK-UHFFFAOYSA-N

Smiles: CN1C(=NC=C1COP(=O)(NCCBr)NCCBr)[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: TH-302 is a highly potent and selective hypoxia-activated pro-drug targeting hypoxic regions of solid tumors with an IC₅₀ of 19 nM. It is stable to liver microsomes. However, under hypoxic conditions, it is selectively and irreversibly converted to its active phosphoramidate-based, DNA-crosslinking, bis-alkylator. TH-302 inhibits H460 cells and HT29 cells with IC₉₀ of 0.1 μM and 0.2 μM, respectively. It shows much enhanced potency in H460 spheroids compared to H460 monolayer cells under normoxia. TH-302 exhibits potent cytotoxicity to both human and murine MM cells with hypoxic selectivity and dose dependency, and induces G₀/G₁ cell-cycle arrest under hypoxic conditions. It inhibits primary tumor growth in multiple xenograft models. TH-302 is currently in a phase II clinical trial for the treatment of soft tissue sarcoma.