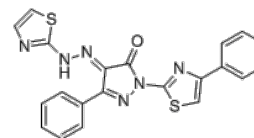


Product Name : BTSA1
Cat. No. : PC-72944
CAS No. : 314761-14-3
Molecular Formula : C₂₁H₁₄N₆OS₂
Molecular Weight : 430.504
Target : Bcl-2
Solubility : 10 mM in DMSO



Biological Activity

BTSA1 (BAX Trigger Site Activator 1) is a potent, selective, orally bioavailable **BAX** trigger site activator, binds with high affinity (EC₅₀=144 nM) and specificity to the N-terminal activation site.

BTSA1 competed FITC-BIM SAHBA2 with IC₅₀ of 250 nM in competitive fluorescence polarization assays.

BTSA1 displays no affinity on anti-apoptotic BCL-2 proteins, BCL-XL, MCL-1 and BFL-1/A1.

BTSA1 induces conformational changes to BAX leading to BAX-mediated apoptosis, induces all steps of the BAX activation pathway.

BTSA1 induced BAX activation effectively and selectively promotes apoptosis of acute myeloid leukemia cells.

BTSA1 is effective against patient AML blasts and pre-leukemic stem cells without affecting normal hematopoietic progenitor cells and demonstrates significant synergy with Venetoclax.

References

Denis E Reyna, et al. *Cancer Cell*. 2017 Oct 9;32(4):490-505.e10.

Liang T, et al. *J Med Chem*. 2020 Oct 22;63(20):12083-12099.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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