

Data Sheet

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 Product Name
 : TAS-116

 Cat. No.
 : PC-61958

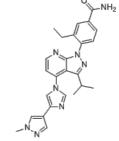
 CAS No.
 : 1260533-36-5

 Molecular Formula
 : C₂₅H₂₆N₈O

 Molecular Weight
 : 454.538

Target : Heat Shock Protein (HSP)

Solubility : 10 mM in DMSO



Biological Activity

TAS-116 (Pimitespib, TAS116) is a novel potent, selective, orally available $\text{HSP90}\alpha/\beta$ inhibitor with Ki of 34.7, 21.3, >50,000, and >50,000 nM for HSP90 α , HSP90 β , GRP94, and TRAP1, respectively.

TAS-116 induces cytotoxicity selectively and potently in MM cell lines and patient MM cells, without toxicity in normal PBMNCs.

TAS-116 potently targets HSP90 client proteins including C-Raf and MEK1/2.

TAS-116 also inhibits upregulation of HSP27 and overcomes 17-AAG resistance mechanisms in MM cells.

TAS-116 demonstrates potent antitumor activity and minimal ocular toxicity in preclinical models.

References

Suzuki R, et al. Leukemia. 2015 Feb;29(2):510-4.

Ohkubo S, et al. *Mol Cancer Ther.* 2015 Jan;14(1):14-22. Suzuki R, et al. *PLoS One.* 2015 Dec 2;10(12):e0143847.

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

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