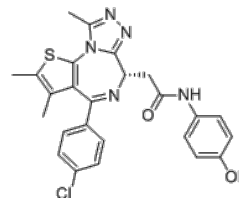


Product Name : Birabresib
Cat. No. : PC-20573
CAS No. : 202590-98-5
Molecular Formula : C₂₅H₂₂ClN₅O₂S
Molecular Weight : 491.99
Target : Bromodomain
Solubility : 10 mM in DMSO



CAS: 202590-98-5

Biological Activity

Birabresib (OTX-015) is a potent, competitive inhibitor of **BET bromodomain** BRD2, BRD3, and BRD4 with EC₅₀ of 10-19 nM, inhibits binding of BRD2, BRD3, and BRD4 to acetylated histone H4 (AcH4) with IC₅₀ of 92-112 nM.

OTX015 inhibits cell growth of a variety of human cancer cell lines with IC₅₀ of 60-200 nM for hematologic malignancies cells.

Oral administration of OTX015 significantly inhibited the growth of Ty82 BRD-NUT midline carcinoma tumors in nude mice, showing 79% TGI at 100 mg/kg qd and 61% TGI at 10 mg/kg bid.

References

J. Kay Noel, et al. *Mol Cancer Ther* (2013) 12 (11_Supplement): C244.

Klingbeil O, et al. *Cell Death Dis.* 2016 Sep 8;7(9):e2365.

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

E-mail: tech@probechem.com