

Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 Alobresib

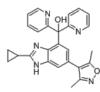
 Cat. No.
 :
 PC-38148

 CAS No.
 :
 1637771-14-2

 Molecular Formula
 :
 C₂₆H₂₃N₅O₂

 Molecular Weight
 :
 437.5

Target : Bromodomain
Solubility : 10 mM in DMSO



Biological Activity

GS-5829 (GS5829, Alobresib) is a novel potent, selective **BET** inhibitor.

GS-5829 dose-dependently induced apoptosis of CLL cells, 400 nM GS-5829 reduced the percentage of viable cells from 94.8% to 64.4%.

In XTT viability/proliferation assay, GS-5829 demonstrated more potency against MEC-1 CLL cells compared to JQ1 with IC50 of 46.4 nM.

GS-5829 inhibited CLL cell proliferation and induced leukemia cell apoptosis through deregulation of key signaling pathways, such as BLK, AKT, ERK1/2, and MYC.

GS-5829 also inhibited NF-kB signaling. GS-5829 significantly reduced c-Myc protein expression in ARK2 xenograft tumor samples, impaired USC-ARK2 xenograft tumor growth in vivo.

References

Bonazzoli E, et al. *Clin Cancer Res.* 2018 Oct 1;24(19):4845-4853.

Kim E, et al. Leukemia. 2020 Jun;34(6):1588-1598.

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

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