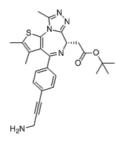


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Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GNE-0011
Cat. No.	:	PC-21848
CAS No.	:	2413382-30-4
Molecular Formula	:	C ₂₆ H ₂₉ N ₅ O ₂ S
Molecular Weight	:	475.61
Target	:	Bromodomain
Solubility	:	10 mM in DMSO



CAS: 2413382-30-4

Biological Activity

GNE-0011 is a monovalent, JQ1-based **BRD4 degrader** that is not linked to an E3 ligase binder, triggers proteasomal and ubiquitin-dependent selective degradation of BRD4 over BRD2 and BRD3.

GNE-0011 shows low nanomolar inhibition of c-Myc in cells (IC50 = 2 nM).

GNE-0011 shows anticance efficacy in a mouse xenograft model (EOL-1) at low doses (3 mg/kg QD IP).

The mechanism by which this monovalent pan-BET binder leads to selective BRD4 degradation is not fully understood.

References

Blake, R. A. Abstract 4452: GNE-0011, a novel monovalent BRD4 degrader. Cancer Res. 79, 4452 (2019).

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com