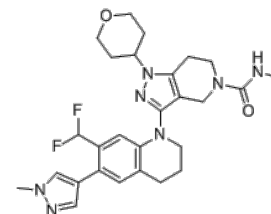


Product Name : GNE-781
Cat. No. : PC-60306
CAS No. : 1936422-33-1
Molecular Formula : C₂₇H₃₃F₂N₇O₂
Molecular Weight : 525.605
Target : Bromodomain
Solubility : 10 mM in DMSO



Biological Activity

GNE-781 (GNE781) is a potent, selective, non-CNS penetrant, orally active **CBP/p300 bromodomain** inhibitor with IC₅₀ of 0.94/1.2 nM in TR-FRET assays.

GNE-781 exhibits high selectivity over BRD4 (1) (>5 μM) and other BRDs.

GNE-781 suppresses Myc transcript levels in cellular assays with EC₅₀ of 6.6 nM.

GNE-781 displays antitumor activity in an AML tumor model and decreases Foxp3 transcript levels in a dose dependent manner.

References

Romero FA, et al. *J Med Chem.* 2017 Nov 22;60(22):9162-9183.

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

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