GNE-371

®

MedChemExpress

Cat. No.:	HY-112803	0
CAS No.:	1926986-36-8	$\land \downarrow \land \checkmark$
Molecular Formula:	C ₂₄ H ₂₅ N ₅ O ₃	$\left(\begin{array}{c} N \\ N \end{array} \right)$
Molecular Weight:	431.49	Ů N N
Target:	DNA/RNA Synthesis	
Pathway:	Cell Cycle/DNA Damage	[⟨] N− [⊥] N− [⊥]
Storage:	4°C, protect from light, stored under argon * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under argon)	H II O

SOLVENT & SOLUBILITY

		Solvent Concentration	1 mg	5 mg	10 mg		
	Preparing Stock Solutions	1 mM	2.3176 mL	11.5878 mL	23.1755 mL		
		5 mM	0.4635 mL	2.3176 mL	4.6351 mL		
		10 mM	0.2318 mL	1.1588 mL	2.3176 mL		
	Please refer to the so	Please refer to the solubility information to select the appropriate solvent.					
In Vivo		1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (5.79 mM); Suspended solution; Need ultrasonic					
		2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution					
		3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution					

BIOLOGICAL ACTIVITY				
Description	GNE-371 is a potent and selective chemical probe for the second bromodomains of human transcription-initiation-factor TFIID subunit 1 and transcription-initiation-factor TFIID subunit 1-like, with an IC ₅₀ of 10 nM for TAF1(2).			
IC ₅₀ & Target	IC50: 10 nM (TAF1(2)) ^[1] .			
In Vitro	GNE-371 (compound 27) binds TAF1(2) with an IC ₅₀ of 10 nM while maintaining excellent selectivity over other bromodomain-family members. GNE-371 is also active in a cellular-TAF1(2) target-engagement assay (IC ₅₀ =38 nM) and exhibits anti-proliferative synergy with the BET inhibitor JQ1, suggesting engagement of endogenous TAF1 by GNE-371 and			

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further supporting the use of GNE-371 in mechanistic and target-validation studies $\ensuremath{^{[1]}}$.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wang S, et al. GNE-371, a Potent and Selective Chemical Probe for the Second Bromodomains of Human Transcription-Initiation-Factor TFIID Subunit 1 and Transcription-Initiation-Factor TFIID Subunit 1-like. J Med Chem. 2018 Oct 25;61(20):9301-9315.

Caution: Product has not been fully validated for medical applications. For research use only.

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