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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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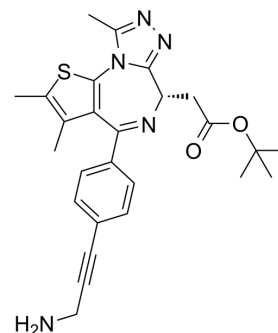
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BRD4 degrader-3

Cat. No.:	HY-136857		
CAS No.:	2413382-30-4		
Molecular Formula:	C ₂₆ H ₂₉ N ₅ O ₂ S		
Molecular Weight:	475.61		
Target:	Epigenetic Reader Domain		
Pathway:	Epigenetics		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (52.56 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.1026 mL	10.5128 mL	21.0256 mL
		5 mM		0.4205 mL	2.1026 mL	4.2051 mL
		10 mM		0.2103 mL	1.0513 mL	2.1026 mL
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 (5.26 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	BRD4 degrader-3 is a potent bromodomain BRD4 degrader extracted from patent WO2020055976A1, example 1a, has IC ₅₀ s of 15.5 and 12.3 nM for BRD4-BD1 and BRD4-BD2, respectively ^[1] . PROTAC BRD4 Degrader-7 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Azide groups.	
IC ₅₀ & Target	BRD4 BD1 15.5 nM (IC ₅₀)	BRD4 BD2 12.3 nM (IC ₅₀)

In Vitro

BRD4 degrader-3 shows an IC₅₀ of 27.1 nM in BRD4 Full Length Binding assay. BRD4 degrader-3 degrades BRD4 with an EC₅₀ of 1.4 nM for PC3-Steapl cells and an IC₅₀ of 1.3 nM for EoL-1 Cells. BRD4 degrader-3 inhibits PC-3-STEAP-1 and EoL-1 proliferation with IC₅₀s of 6.6 and 2.2 nM, respectively. BRD4 degrader-3 inhibits MYC expression in MV-4-11 cells with an IC₅₀ of 2.9 nM^[1].

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

REFERENCES

[1]. Robert Anthony BLAKE, et al. Tert-butyl (s)-2-(4-(phenyl)-6h-thieno[3,2-f][1,2,4]triazolo[4,3-a] [1,4]diazepin-6-yl) acetate derivatives and related compounds as bromodomain brd4 inhibitors for treating cancer. WO2020055976A1.

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

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