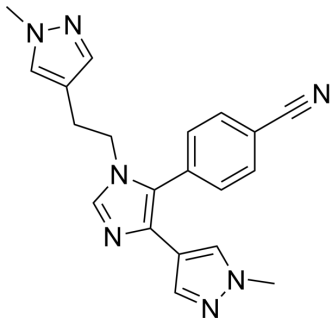
BAZ2-ICR

Cat. No.:	HY-19336
CAS No.:	1665195-94-7
Molecular Formula:	$C_{20}H_{19}N_7$
Molecular Weight:	357.41
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

DMF : 20 mg/mL (55.96 mM; Need ultrasonic and warming)
DMSO : 10 mg/mL (27.98 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7979 mL	13.9895 mL	27.9791 mL
5 mM	0.5596 mL	2.7979 mL	5.5958 mL
10 mM	0.2798 mL	1.3990 mL	2.7979 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 (6.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAZ2-ICR is a potent, selective, cell active and orally active BAZ2A/B bromodomains inhibitor with IC_{50}s of 130 nM and 180 nM, and K_ds of 109 nM and 170 nM, respectively. BAZ2-ICR shows 10-15-fold selectivity for binding BAZ2A/B over CECR2 and >100-fold selectivity over all other bromodomains. BAZ2-ICR is an epigenetic chemical probe[1].

IC_{50} & Target

IC50: 130 nM (BAZ2A) and 180 nM (BAZ2B); Kd: 109 nM (BAZ2A) and 170 nM (BAZ2A)[1]

In Vitro	To investigate whether BAZ2-ICR (Compound 13) can displace BAZ2 bromodomains from chromatin in living cells, a fluorescence recovery after photobleaching (FRAP) assay utilizing GFP-tagged BAZ2A full length protein transfected into human osteosarcoma cells (U2OS) are tested. 1 μM BAZ2-ICR reduces the recovery time of the wild-type (wt) construct to a level similar to the dominant negative mutant, confirming that BAZ2-ICR inhibits BAZ2A in cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BAZ2-ICR (Compound 13) shows very high solubility (25 mM in D2O), a measured log D of 1.05, high stability in mouse microsomes, and permeation in the CaCo-2 model and thus a suitable profile for oral and intravenous gavage. BAZ2-ICR (5 mg/kg) shows 70% bioavailability and moderate clearance (-50% of mouse liver blood flow) and volume of distribution[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- bioRxiv. 2023 Apr 3.

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REFERENCES

[1]. Drouin L, et al. Structure enabled design of BAZ2-ICR, a chemical probe targeting the bromodomains of BAZ2A and BAZ2B. J Med Chem. 2015 Mar 12;58(5):2553-9.

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