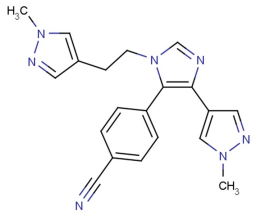
Data Sheet (Cat.No.T14512)

TargetMol
A DRUG SCREENING EXPERT

BAZ2-ICR

Chemical Properties



CAS No.:	1665195-94-7
Formula:	C20H19N7
Molecular Weight:	357.41
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	BAZ2-ICR is an epigenetic chemical probe and it also is a potent, selective, cell active and orally active BAZ2A/B bromodomains inhibitor with IC50s of 130 nM and 180 nM, and Kds 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.
Targets(IC_{50})	BAZ2B: 180 nM BAZ2A: 170 nM (kd)
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].
In vivo	BAZ2-ICR (5 mg/kg) shows 70% bioavailability and moderate clearance (~50% of mouse liver blood flow) and volume of distribution[1]. 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.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.798 mL	13.99 mL	27.979 mL
5 mM	0.56 mL	2.798 mL	5.596 mL
10 mM	0.28 mL	1.399 mL	2.798 mL
50 mM	0.056 mL	0.28 mL	0.56 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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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