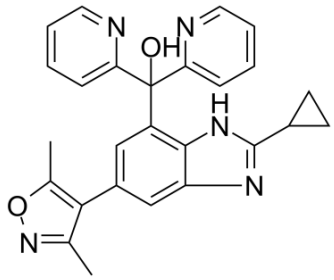
Alobresib

Cat. No.:	HY-109050
CAS No.:	1637771-14-2
Molecular Formula:	$C_{26}H_{23}N_5O_2$
Molecular Weight:	437.49
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 : 83.33 mg/mL (190.47 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.2858 mL	11.4288 mL	22.8577 mL
5 mM	0.4572 mL	2.2858 mL	4.5715 mL
10 mM	0.2286 mL	1.1429 mL	2.2858 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: ≥ 2.08 mg/mL (4.75 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.08 mg/mL (4.75 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (4.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Alobresib (GS-5829) is a **BET bromodomain** inhibitor, which represents a highly effective therapeutics agent against recurrent/chemotherapy resistant uterine serous carcinoma (USC) overexpressing c-Myc[1].

IC_{50} & Target

BET bromodomain[1]

In Vitro

Alobresib (0.1 nM-100 μM; 72 hours) inhibits cell proliferation in primary uterine serous carcinoma (USC) lines[1].

Cell Proliferation Assay[1]

Cell Line:	Primary uterine serous carcinoma (USC) lines ARK1 and ARK2 cell lines
Concentration:	0.1 nM, 10 nM, 1 μM, 100 μM
Incubation Time:	72 hours
Result:	A progressive, dose response decrease in cell proliferation. IC_{50}s of 27 nM and 31 nM for ARK2 and ARK1 cells, respectively.

In Vivo

Alobresib (10 and 20 mg/kg; oral; twice-daily; for 28 days) impaires USC-ARK2 xenograft tumor growth in female CB17/lcrHsd-Prkd/scid mice. Alobresib exhibits a significantly slower rate of tumor growth in mice, compared with vehicle control and to mice undergoing daily treatment with JQ1 (50 mg/kg/day i.p.)[1].
Alobresib (10 and 20 mg/kg; oral; twice-daily; for 28 days) is well tolerated with no clear impact on body weight compared with vehicle control[1].

Animal Model:	Female CB17/lcrHsd-Prkd/scid mice (15-19 g) bearing USC-ARK2 tumors[1]
Dosage:	10 and 20 mg/kg
Administration:	Oral; twice-daily; 28 days
Result:	Exhibited a significantly slower rate of tumor growth, compared with vehicle control and to mice undergoing daily treatment with JQ1 (50 mg/kg/day i.p.).

REFERENCES

[1]. Bonazzoli E, et al. Inhibition of BET Bromodomain Proteins with GS-5829 and GS-626510 in Uterine Serous Carcinoma, a Biologically Aggressive Variant of Endometrial Cancer. Clin Cancer Res. 2018 Oct 1;24(19):4845-4853.

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