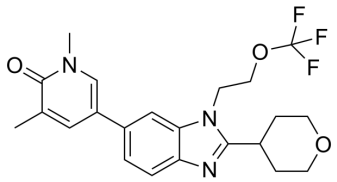
NEO2734

Cat. No.:	HY-136938
CAS No.:	2081072-29-7
Molecular Formula:	$C_{22}H_{24}F_3N_3O_3$
Molecular Weight:	435.44
Target:	Histone Acetyltransferase; 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 : 100 mg/mL (229.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2965 mL	11.4826 mL	22.9653 mL
	5 mM	0.4593 mL	2.2965 mL	4.5931 mL
	10 mM	0.2297 mL	1.1483 mL	2.2965 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 (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NEO2734 (EP31670) is an orally active dual p300/CBP and BET bromodomain selective inhibitor, with IC_{50} values of <30 nM for both p300/CBP and BET bromodomains[1]. NEO2734 is active in SPOP mutant and wild-type prostate cancer[2].

IC_{50} & Target

CBP/p300

In Vitro

NEO2734 (1 μM) induces differentiation and G1-phase cell cycle arrest[1].
NEO2734 (1 μM) rapidly induces squamous differentiation in NMC cell lines, and expression of the terminal squamous

differentiation marker, involucrin, or keratins[1].
NEO2734 is active in both hotspot mutant (F133V) and non-hotspot mutant (Q165P) PCa cells in vitro and in vivo[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NUT carcinoma patient cell lines (TC-797 and PER-403).
Concentration:	1 μM.
Incubation Time:	6 h.
Result:	Results in greater loss of MYC protein.

In Vivo

NEO2734 (5, 8, 10 mg/kg, orally) inhibits growth and prolongs survival in pre-clinical xenograft models[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice (PER-403 and 14169 models)[1].
Dosage:	5, 8, 10 mg/kg
Administration:	Orally, once daily for 28 days.
Result:	Two of the three mice treated with NEO2734 were alive by day 100. Provided markedly improved survival compared with EP, i-BET-762, and even iBET-762+EP by day 100 following initiation of treatment.

REFERENCES

[1]. Chevaun D Morrison-Smit, et al. Combined Targeting of the BRD4-NUT-p300 Axis in NUT Midline Carcinoma by Dual Selective Bromodomain Inhibitor, NEO2734. Mol Cancer Ther. 2020 Jul;19(7):1406-1414.

[2]. Yuqian Yan, et al. The novel BET-CBP/p300 dual inhibitor NEO2734 is active in SPOP mutant and wild-type prostate cancer. EMBO Mol Med. 2019 Nov 7;11(11):e10659.

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