CeMMEC13

Cat. No.: HY-101088

CAS No.: 1790895-25-8

Molecular Formula: $C_{19}H_{16}N_2O_4$

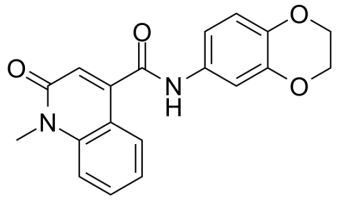
Molecular Weight: 336.34

Target: DNA/RNA Synthesis

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (49.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9732 mL	14.8659 mL	29.7318 mL
	5 mM	0.5946 mL	2.9732 mL	5.9464 mL
	10 mM	0.2973 mL	1.4866 mL	2.9732 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 (7.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CeMMEC13 is a potent inhibitor of TAF1 (2) bromodomain, with an IC_{50} of 2.1 μM.

IC_{50} & Target

IC50: 2.1 μM (TAF1 (2))[1]

In Vitro

CeMMEC13 is a potent inhibitor of TAF1 (2) bromodomain, with an IC_{50} of 2.1 μM. CeMMEC13 shows little or no effects on REDNESS, BRD4, CREBBP. CeMMEC13 (0-20 μM) in combination with (S)-JQ1 increases RFP expression in REDS3 cells and is effective reducing the viability of H23 and THP1 cells, better than that of single treatment[1].

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

PROTOCOL

Kinase Assay [1]

TAF1 binding assays are conducted using the EPIgeneous Binding Domain kit B. Binding is determined by the displacement of an acetylated biotin peptide from a GST-tagged TAF1 protein using HTRF with a Eu^{3+}-conjugated GST antibody donor and streptavidin-conjugated acceptor. Compounds (CeMMEC13) are dispensed into assay plates, ProxiPlate-384 Plus using an Echo 525 Liquid Handler. Binding assays are conducted in a final volume of 20 µL with 5 nM TAF1-GST, 50 nM peptide (SGRGK (ac)GGK (ac)GLGK (ac)GGAK (ac)RHRK (biotin)-acid), 6.25 nM Streptavidin-XL665, 1:200 Anti-GST-Eu^{3+} cryptate and 0.1% DMSO. Assay reagents are dispensed into plates using a Multidrop combi and incubated at room temperature for 3 h. Fluorescence is measured using a PHERAstar microplate reader using the HTRF module with dual emission protocol (A = excitation of 320 nm, emmission of 665 nm, and B = excitation of 320 nm, emission of 620 nm). Raw data are processed to give an HTRF ratio (channel A/B × 10,000), which is used to generate IC_{50} curves[1].

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Cell Assay [1]

Cells are seeded on clear flat-bottom 96-well or 384-well plates and treated with the indicated compounds (CeMMEC13) for the specified conditions. Live-cell imaging pictures are taken with the Operetta High Content Screening System, 20× objective and nonconfocal mode[1].

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

CUSTOMER VALIDATION

- Biochem Pharmacol. 2021 Feb 1;185:114435.

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REFERENCES

[1]. Sdelci S, et al. Mapping the chemical chromatin reactivation landscape identifies BRD4-TAF1 cross-talk. Nat Chem Biol. 2016 Jul;12(7):504-10.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA