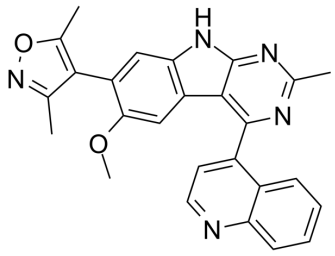
CD161

Cat. No.:	HY-124596
CAS No.:	1627716-22-6
Molecular Formula:	$C_{26}H_{21}N_5O_2$
Molecular Weight:	435.48
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CD161 (NKR-P1A) is a potent, selective and orally bioavailable bromodomain and extra-terminal (BET) bromodomain inhibitor with an IC_{50}s of 28.2 nM and 7.2 nM for BRD4 BD1 and BRD4 BD2, respectively. CD161 has good anticancer activity[1] .
IC_{50} & Target	IC50: 28.2 nM (BRD4 BD1) and 7.2 nM (BRD4 BD2)[1]

In Vitro

CD161 (NKR-P1A) has K_is of 8.2 nM and 1.4 nM for BRD4 BD1 and BRD4 BD2, respectively[1].
CD161 (30-3000 nM; 1 hours) is very effective in inducing rapid down-regulation of c-Myc at as early as the 1 h time point and in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MV4;11 leukemia cells.
Concentration:	30, 100, 300, 1000, 3000 nM
Incubation Time:	1 hours
Result:	Induced rapid down-regulation of c-Myc at as early as the 1 hours time point and in a dose-dependent manner.

In Vivo

CD161 (NKR-P1A) (po; 20, 40 mg/kg/day; 45 days) achieves essentially complete tumor growth inhibition[1].
CD161 (5 mg/kg (iv), 25 mg/kg (po); 0-24 hours) has the $t_{1/2}$ of 2.4 hours (iv) and 2.9 hours (po) for rat; the C_{max} of 7333 ng/mL (po) for rat. The $t_{1/2}$ of mice is 0.5 hours (iv) and 1.60 hours (po); the C_{max} of mice is 983.1 ng/mL (po) [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Dorsal side of severe combined immunodeficient (SCID) mice[1]
Dosage:	20, 40 mg/kg
Administration:	Po; daily; 45 days
Result:	Achieved essentially complete tumor growth inhibition.

Animal Model:	Rat or mice[1]
Dosage:	5 mg/kg (iv), 25 mg/kg (po) for rat and mice (Pharmacokinetic Study)
Administration:	Iv and po; 0, 5, 15, 30 mins, and 1, 2, 4, 6, 8, 24 hours
Result:	The $t_{1/2}$ of rat is 2.4 hours (iv) and 2.9 hours (po); the $C_{max}$of rat is 7333 ng/mL (po). The $t_{1/2}$ of mice is 0.5 hours (iv) and 1.60 hours (po); the C_{max} of mice is 983.1 ng/mL (po) [1].

REFERENCES

[1]. Zhao Y, et al. Structure-Based Discovery of 4-(6-Methoxy-2-methyl-4-(quinolin-4-yl)-9H-pyrimido[4,5-b]indol-7-yl)-3,5-dimethylisoxazole (CD161) as a Potent and Orally Bioavailable BET Bromodomain Inhibitor. J Med Chem. 2017 May 11;60(9):3887-3901.

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