TP-021

Cat. No.: HY-119402

CAS No.: 2130878-25-8

Molecular Formula: $C_{20}H_{20}ClN_3O_5$

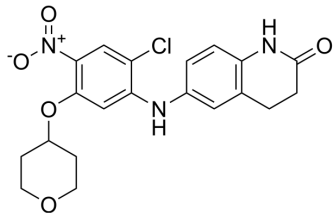
Molecular Weight: 417.84

Target: Bcl-2 Family

Pathway: Apoptosis

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 : ≥ 50 mg/mL (119.66 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3933 mL	11.9663 mL	23.9326 mL
5 mM	0.4787 mL	2.3933 mL	4.7865 mL
10 mM	0.2393 mL	1.1966 mL	2.3933 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: ≥ 0.71 mg/mL (1.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TP-021 (BCL6-IN-8c) is a potent and orally active B-cell lymphoma 6 (BCL6)-corepressor interaction inhibitor with an IC_{50} of 0.10 μM in cell-free enzyme-linked immunosorbent assay[1].

IC_{50} & Target

IC50: 0.10 μM (BCL6-corepressor interaction)[1]

In Vitro

TP-021 (BCL6-IN-8c, Compound 8c) also exhibits good cellular PPI inhibitory activity in the submicromolar range (M2H IC_{50} = 0.72 μM). TP-021 (BCL6-IN-8c) does not exhibit significant cytotoxicity even at 30 μM[1].

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

In Vivo

The pharmacokinetic profile of TP-021 (BCL6-IN-8c, Compound 8c) is evaluated by a mouse cassette-dosing study (0.1 mg/kg iv; 1 mg/kg po). TP-021 (BCL6-IN-8c) exhibits a good pharmacokinetic profile (C_{max} = 233 ng/mL, T_{max} = 2 hours, MRT

	= 3.3 h, AUC = 1.27 mg•h/mL, F (oral bioavailability) = 79.9%)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Yasui T, et al. Discovery of a novel B-cell lymphoma 6 (BCL6)-corepressor interaction inhibitor by utilizing structure-based drug design. Bioorg Med Chem. 2017 Sep 1;25(17):4876-4886.

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