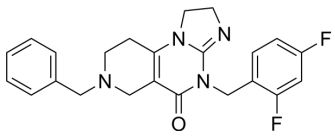
ONC206

Cat. No.:	HY-135147
CAS No.:	1638178-87-6
Molecular Formula:	$C_{23}H_{22}F_2N_4O$
Molecular Weight:	408.44
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling

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 : 50 mg/mL (122.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4483 mL	12.2417 mL	24.4834 mL
	5 mM	0.4897 mL	2.4483 mL	4.8967 mL
	10 mM	0.2448 mL	1.2242 mL	2.4483 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% methylcellulose >> 0.2% Tween 80
   Solubility: 24.95 mg/mL (61.09 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (24.48 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3.25 mg/mL (7.96 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.25 mg/mL (7.96 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (7.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ONC206 is an analogue of TRAIL inducer ONC201[1]. ONC206 is a selective antagonist of the D2-like dopamine receptors (DRD2/3/4) at nanomolar concentrations. ONC206 has broad-spectrum anti-tumor activity[2].

IC_{50} & Target	DRD2/3/4[2]
In Vitro	ONC206 selectively antagonizes the D2-like (DRD2/3/4), but not the D1-like (DRD 1/5), subfamily of dopamine receptors[2]. ?ONC206 significantly inhibits tumor cell migration and invasion in vitro[1]. ?ONC206 (0.05 μM; Over 48 hours) inhibits migration of ONC201- and TRAIL-resistant HCT116 $Bax^{?/?}$ cells without inducing cell death or inhibiting cell proliferation[1]. ?ONC206 engages the ISR and TRAIL pathway leading to tumor growth arrest and cell death[1]. ?ONC206 does not induce cell cycle arrest in a colorectal cell line with acquired ONC201-resistance[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay[1] Cell Line: HCT116 cells Concentration: 0.05 μM Incubation Time: Over 48 hours Result: Inhibited migration of ONC201- and TRAIL-resistant HCT116 $Bax^{-/-}$ cells.
In Vivo	ONC206 (100 mg/kg;p.o.; every 10 days) causes significant tumor growth inhibition[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wagner J, et al. Preclinical evaluation of the imipridone family, analogs of clinical stage anti-cancer small molecule ONC201, reveals potent anti-cancer effects of ONC212. Cell Cycle. 2017 Oct 2;16(19):1790-1799.

[2]. Varun Vijay Prabhu, et al. Potent anti-cancer activity of the imipridone ONC206: A selective dopamine D2-like receptor antagonist. AACR Annual Meeting 2017, April 1-5, 2017; Washington, DC.

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