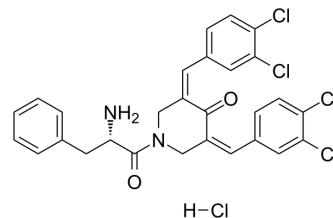


RA190

Cat. No.:	HY-100739
CAS No.:	1617495-03-0
Molecular Formula:	C ₂₈ H ₂₃ Cl ₅ N ₂ O ₂
Molecular Weight:	596.76
Target:	Proteasome
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : ≥ 100 mg/mL (167.57 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent \ Mass \ Concentration	1 mg	5 mg	10 mg
		1 mM	1.6757 mL	8.3786 mL	16.7572 mL
		5 mM	0.3351 mL	1.6757 mL	3.3514 mL
		10 mM	0.1676 mL	0.8379 mL	1.6757 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: ≥ 2.38 mg/mL (3.99 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	RA190, a bis-benzylidene piperidon, inhibits proteasome function by covalently binding to cysteine 88 of ubiquitin receptor RPN13.
IC₅₀ & Target	IC ₅₀ : 0.15 μM (HeLa cell)
In Vitro	RA190 covalently binds to cysteine 88 of ubiquitin receptor RPN13 in the 19S regulatory particle and inhibits proteasome function, triggering rapid accumulation of polyubiquitinated proteins. Multiple myeloma (MM) lines, even those resistant to bortezomib, are sensitive to RA190 via endoplasmic reticulum stress-related apoptosis. RA190 stabilizes targets of human papillomavirus (HPV) E6 oncoprotein, and preferentially kills HPV-transformed cells ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RA190 distributes to plasma and major organs excepting brain, and inhibits proteasome function in skin and muscle. RA190 administration profoundly reduces growth of multiple myeloma and ovarian cancer xenografts, and oral RA190 treatment

retards HPV16⁺ syngeneic mouse tumor growth, without impacting spontaneous HPV-specific CD8⁺ T cell responses^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

RA190 is dissolved in DMSO and diluted with cell culture medium. HeLa cells are treated with RA190 (0.2, 0.4, 0.6, 0.8, 1, 2, 3, 4, 5 μ M) for 48 hr. Cell viability is assayed using commercial kit^[1].

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

Animal Administration ^[1]

Mice: Mice are divided into two groups and treated daily i.p. with RA190 (10 mg/kg) or vehicle, and imaged again on day 7 and day 14. NOG mice (5 per group) are inoculated with 1X10⁶ NCI-H929-GFP-Luc cells i.v., and after 4 weeks, mice are imaged for their luciferase activity and divided into two groups. Mice are treated i.p. with RA190 (20 mg/kg) or vehicle, and imaged again at the end of the treatment for their luciferase activity^[1].

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

CUSTOMER VALIDATION

- J Virol. 2021 Feb 3;JVI.02399-20.
- Kaohsiung J Med Sci. 2021 Jan;37(1):47-54.

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REFERENCES

[1]. Anchoori RK, et al. A bis-benzylidene piperidone targeting proteasome ubiquitin receptor RPN13/ADRM1 as a therapy for cancer. Cancer Cell. 2013 Dec 9;24(6):791-805.

Caution: Product has not been fully validated for medical applications. For research use only.

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