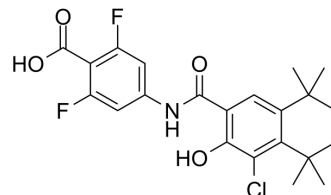


AGN-195183

Cat. No.:	HY-16684		
CAS No.:	367273-07-2		
Molecular Formula:	C ₂₂ H ₂₂ ClF ₂ NO ₄		
Molecular Weight:	437.86		
Target:	RAR/RXR; Autophagy		
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Autophagy		
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 : 11 mg/mL (25.12 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.2838 mL	11.4192 mL	22.8384 mL
		5 mM	0.4568 mL	2.2838 mL	4.5677 mL
10 mM		0.2284 mL	1.1419 mL	2.2838 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1.1 mg/mL (2.51 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.1 mg/mL (2.51 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.1 mg/mL (2.51 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	AGN-195183 (IRX-5183) is a potent and selective agonist of RARα (K _d =3 nM) with improved binding selectivity relative to AGN 193836. AGN-195183 has no activity on RARβ/γ.
IC₅₀ & Target	IC50 value: 3 nM (K _d); 200 nM (EC80, RAR Trans)
In Vitro	AGN-195183 (IRX-5183; Compound 4) inhibits the growth of breast cancer cell lines, and is inactive in an in vivo model of topical irritation. AGN-195183 and ATRA inhibit growth of the human breast cancer cell lines, T-47D and SK-BR-3. AGN-

195183 does not cause the topical irritation induced by the RAR α -selective retinoid, Am-580. AGN-195183 is currently in Phase I/IIA clinical trials in cancer patients.

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

REFERENCES

[1]. Beard RL, et al. Synthesis and biological activity of retinoic acid receptor-alpha specific amides. Bioorg Med Chem Lett. 2002 Nov 4;12(21):3145-8.

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

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