TD-0212 TFA

Cat. No.:	HY-114412A	
CAS No.:	1073549-11-7	N- H
Molecular Formula:	$C_{30}H_{35}F_4N_3O_6S$	
Molecular Weight:	641.67	
Target:	Angiotensin Receptor; Neprilysin	F
Pathway:	GPCR/G Protein; Metabolic Enzyme/Protease	C O
Storage:	-20°C, sealed storage, away from moisture	OH F OH
	* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)	F F

SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (194.80 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
		1 mM	1.5584 mL	7.7922 mL	15.5843 mL	
		5 mM	0.3117 mL	1.5584 mL	3.1169 mL	
		10 mM	0.1558 mL	0.7792 mL	1.5584 mL	
	Please refer to the so	lubility information to select the app	propriate solvent.			
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (3.24 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.24 mM); Clear solution					
	3. Add each solvent o Solubility: ≥ 2.08 n	one by one: 10% DMSO >> 90% cor ng/mL (3.24 mM); Clear solution	n oil			

DIOLOGICAL ACTIV				
Description	TD-0212 TFA is an orally active dual pharmacology angiotensin II type 1 receptor (AT ₁) antagonist and neprilysin (NEP) inhibitor, with a pK _i of 8.9 for AT ₁ and a pIC ₅₀ of 9.2 for NEP ^[1] .			
IC ₅₀ & Target	pKi: 8.9 (AT ₁) pIC50: 9.2 (NEP) ^[1] .			
In Vitro	TD-0212 provides the enhanced activity of dual AT1/NEP inhibition with a potentially lower risk of angioedema relative to dual ACE/NEP inhibition ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			



In Vivo	
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TD-0212 produces blood pressure reductions similar to omapatrilat and combinations of AT1 receptor antagonists and NEP inhibitors in models of renin-dependent and -independent hypertension^[1].

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REFERENCES

[1]. McKinnell RM, et al. Discovery of TD-0212, an Orally Active Dual Pharmacology AT1 Antagonist and Neprilysin Inhibitor (ARNI). ACS Med Chem Lett. 2018 Dec 3;10(1):86-91.

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

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