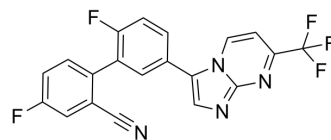


MRK-898

Cat. No.:	HY-153584		
CAS No.:	461450-30-6		
Molecular Formula:	C ₂₀ H ₉ F ₅ N ₄		
Molecular Weight:	400.3		
Target:	GABA Receptor		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
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 : 100 mg/mL (249.81 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.4981 mL	12.4906 mL	24.9813 mL
		5 mM	0.4996 mL	2.4981 mL	4.9963 mL
10 mM		0.2498 mL	1.2491 mL	2.4981 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.5 mg/mL (6.25 mM); Clear solution; Need ultrasonic				

BIOLOGICAL ACTIVITY

Description	MRK-898 is an orally active GABA(A) receptor modulator. MRK-898 binds to $\alpha 1$, $\alpha 2$, $\alpha 3$ or $\alpha 5$ subunit of GABA(A) receptor with K _i values of 1.2 nM, 1.0 nM, 0.73 nM, and 0.50 nM, respectively. However, $\alpha 1$ -containing GABA(A) receptors are identified as the "sedative" and $\alpha 2$ - and/or $\alpha 3$ -containing receptors as the "anxiolytic" subtype(s) ^[1] .			
IC₅₀ & Target	$\alpha 1$ GABA(A) receptor 1.2 nM (Ki)	$\alpha 2$ GABA(A) receptor 1.0 nM (Ki)	$\alpha 3$ GABA(A) receptor 0.73 nM (Ki)	$\alpha 5$ GABA(A) receptor 0.50 nM (Ki)

REFERENCES

[1]. Atack JR. GABAA receptor subtype-selective modulators. I. $\alpha 2/\alpha 3$ -selective agonists as non-sedating anxiolytics. *Curr Top Med Chem.* 2011;11(9):1176-202.

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

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