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产品名称:

1-(2-(BENZHYDRYLOXY)ETHYL)-4-(3-PHENYLPROPYL)PIPERAZINE.2HCL

产品别名: **GBR 12935 dihydrochloride**

生物活性:

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Description		GBR 12935 dihydrochloride is a potent, and selective dopamine reuptake inhibitor. IC50 value: Target: dopamine reuptake inhibitor in vitro: The calculated Kd of [3H]GBR-12935 binding to CYP2D6 was 42.2 nM, indicating that GBR-12935 has a high affinity for CYP2D6. The binding of [3H]GBR-12935 to CYP2D6 was decreased partially by substrates or inhibitors of CYP2D isoforms (quinine, quinidine, propranolol, bufuralol, imipramine, and desipramine) [1]. Co-perfusion of 100 microM GBR 12909 or GBR 12935 with either 100 microM sulpiride or raclopride produced a significant reduction in the GBR 12909 or GBR 12935 induced increase in the extracellular levels of dopamine to basal levels. In vitro, GBR 12909 (1-9 nM) dose-dependently inhibited active uptake of [3H]dopamine in homogenates of the nucleus accumbens [2]. in vivo: GBR 12935 elevated locomotion to a greater extent in C57BL/6J mice at the maximally active dose of 10 mg/kg. Locomotor stimulation by GBR 12935 remained consistent in both strains with repeated injections. DBA/2J mice became sensitized to cocaine-induced stereotypy with repeated injections. Cocaine induced no stereotypy in C57BL/6J mice on any test day. No stereotypies were induced by GBR 12935 in either strain on any test day [3].				
Solvent&Solubility		In Vitro:				
		DMSO : 20 mg/mL (41.03 mM; Need ultrasonic and warming)				
		Solvent Mass Concentration		1 mg	5 mg	10 mg
		1 mM	2.0513 mL	10.2564 mL	20.5128 mL	
		5 mM	0.4103 mL	2.0513 mL	4.1026 mL	
		10 mM	0.2051 mL	1.0256 mL	2.0513 mL	
		*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
References		[1]. Hiroi T, et al. Specific binding of 1-[2-(diphenylmethoxy)ethyl]-4-(3-phenyl propyl) piperazine (GBR-12935), an inhibitor of the dopamine transporter, to human CYP2D6. Biochem Pharmacol. 1997 Jun 15;53(12):1937-9. [2]. Rahman S, et al. Negative interaction of dopamine D2 receptor antagonists and GBR 12909 and GBR 12935 dopamine uptake inhibitors in the nucleus accumbens. Eur J Pharmacol. 2001 Feb 23;414(1):37-44. [3]. Tolliver BK, et al. Comparison of cocaine and GBR 12935: effects on locomotor activity and stereotypy in two inbred mouse strains. Pharmacol Biochem Behav. 1994 Jul;48(3):733-9.				