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产品名称：1-[3-(4-溴-1-甲基-1H-吡唑-5-基)-4-甲氧基苯基]-3-(2,4-二氟苯基)脲
产品别名：Nelotanserin；APD125

生物活性：

Description	Nelotanserin is a potent 5-HT_{2A} inverse agonist, a moderately potent 5-HT_{2C} partial inverse agonist and a weak 5-HT_{2B} inverse agonist, with IC_{50}s of 1.7, 79, 791 nM in IP accumulation assays, respectively.		
IC_{50} & Target	5-HT_{2A} Receptor	5-HT_{2C} Receptor	5-HT_{2B} Receptor
	1.7 nM (IC_{50})	79 nM (IC_{50})	791 nM (IC_{50})
In Vitro	Results from IP accumulation assays suggest that Nelotanserin is a potent 5-HT_{2A} full inverse agonist (IC_{50}=1.7 nM), a moderately potent 5-HT_{2C} partial inverse agonist (IC_{50}=79 nM) (maximal response was 62% of the response obtained for the reference inverse agonist clozapine), and a weak 5-HT_{2B} inverse agonist (IC_{50}=791 nM). Nelotanserin displays high affinity for recombinant human 5-HT_{2A} receptors (K_i=0.35 nM), moderate affinity for human 5-HT_{2C} receptors (K_i=100 nM), and low affinity for human 5-HT_{2B} receptors (2000 nM) stably expressed in HEK293 cells. The results suggest that Nelotanserin has a 262-fold higher affinity for human 5-HT_{2A} than 5-HT_{2C}receptors and a 6610-fold higher affinity for human 5-HT_{2A} than 5-HT_{2B} receptors[1].		
In Vivo	Each compound is tested in a minimum of five rats by oral gavage with administration occurring in the middle of the inactive period, 6 h after light onset. The delta power during non-REM sleep (NREMS) is significantly different between all the analogues tested and the vehicle control. Nelotanserin (Compound 39) produces significant increases in delta power that persist for the first 4 h following dosing. Significant differences are found, however, in NREMS bout length. Nelotanserin significantly increases NREMS bout length during the first hour following dosing, and 3 does so during the second hour. In conjunction with this increased NREM bout duration, the number of NREM bouts decrease during the first hour for Nelotanserin ($p<0.01$) as well as for compound 15 ($p<0.05$)[2].		
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 32 mg/mL (73.19 mM)** * "≥" means soluble, but saturation unknown.		

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2871 mL	11.4354 mL	22.8707 mL
	5 mM	0.4574 mL	2.2871 mL	4.5741 mL
	10 mM	0.2287 mL	1.1435 mL	2.2871 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。

[1]. Al-Shamma HA et al. Nelotanserin, a novel selective human 5-hydroxytryptamine2A inverse agonist for the treatment of insomnia. J Pharmacol Exp Ther. 2010 Jan;332(1):281-90.

References	[2]. Teegarden BR et al. Discovery of 1-[3-(4-bromo-2-methyl-2h-pyrazol-3-yl)-4-methoxyphenyl]-3-(2,4-difluorophenyl)urea (nelotanserin) and related 5-hydroxytryptamine2A inverse agonists for the treatment of insomnia. J Med Chem. 2010 Mar 11;53(5):1923-36.