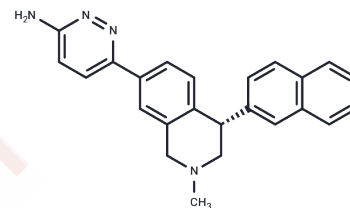


## Liafensine

## Chemical Properties

CAS No. :	1198790-53-2
Formula:	C <sub>24</sub> H <sub>22</sub> N <sub>4</sub>
Molecular Weight:	366.46
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Liafensine(BMS-820836) is a novel and selective triple monoamine reuptake inhibitor with inhibitory effects on the reuptake of serotonin, norepinephrine, and dopamine for the study of major depressive disorder and central nervous system disorders.
Targets(IC50)	5-HT Receptor, Norepinephrine, Dopamine Receptor, Monoamine Transporter, Serotonin Transporter
In vivo	Healthy subjects were assigned to seven BMS-820836 dose panels (0.025, 0.1, 0.5, 1, 2, 3, and 5 mg; n = 8 each), in which subjects were randomly allocated 3:1 to a single BMS-820836 dose or matched placebo. Serial blood samples were collected on Days 1, 2, 3, 4, 7, and 14 to characterize the PK of BMS-820836. Following evaluation of the maximum tolerated dose, SERT occupancy was determined by applying [(11)C]DASB positron emission tomography (PET) after single-dose BMS-820836 (0.5 or 3 mg; n = 3 each) and DAT occupancy by applying [(11)C]PE2I PET after single-dose BMS-820836 (3 mg; n = 6). RESULTS: Single oral doses of BMS-820836 (0.025-3 mg) were generally safe and well tolerated. BMS-820836 had a median T max of 5.0-7.2 h and a mean apparent terminal T 1/2 of 34-57 h. Mean striatal SERT occupancies were 19 ± 9 % and 82 ± 8 % after single doses of 0.5 and 3 mg BMS-820836, respectively. The mean striatal DAT occupancy was 19 ± 9 % after a single 3 mg BMS-820836 dose. CONCLUSIONS: Single doses of BMS-820836 have meaningful SERT and DAT occupancy and demonstrate an acceptable safety and tolerability profile in healthy control subjects.[1]

## Solubility Information

Solubility	DMSO: 33.33 mg/mL (90.95 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7288 mL	13.6441 mL	27.2881 mL
5 mM	0.5458 mL	2.7288 mL	5.4576 mL
10 mM	0.2729 mL	1.3644 mL	2.7288 mL
50 mM	0.0546 mL	0.2729 mL	0.5458 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Risinger R, et al. Evaluation of safety and tolerability, pharmacokinetics, and pharmacodynamics of BMS-820836 in healthy subjects: a placebo-controlled, ascending single-dose study. *Psychopharmacology (Berl)*. 2014 Jun;231(11):2299-310.

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Bhagwagar Z, et al. Assessment of the Efficacy and Safety of BMS-820836 in Patients With Treatment-Resistant Major Depression: Results From 2 Randomized, Double-Blind Studies. *J Clin Psychopharmacol*. 2015 Aug;35(4):454-9.

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