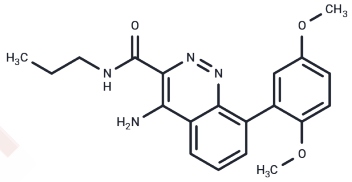
Data Sheet (Cat.No.T7136)

TargetMol

AZD-6280

Chemical Properties



CAS No. : 942436-93-3

Formula: C20H22N4O3

Molecular Weight: 366.41

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	AZD6280 is a novel, subtype-selective GABAAα2/3 receptor positive modulators, used for treatment of generalized anxiety disorder.
Targets(IC50)	GABA Receptor
In vivo	The [11C]flumazenil binding was reduced in a dose-dependent, saturable manner by AZD6280. Maximum receptor occupancy could be reached for AZD6280 without causing sedation or cognitive impairment. The K i,plasma estimates for AZD6280 was 440 nmol/l [1].
Animal Research	Two PET studies, using high-resolution research tomography (HRRT) and the radioligand [11C]flumazenil, were performed in 12 subjects at baseline and after administration of single oral doses of AZD7325 (0.2 to 30 mg) and AZD6280 (5 to 40 mg). PET images were analyzed using a simplified reference tissue model, and regional binding potentials (BPND) were obtained. The relationship between plasma concentration of AZD7325 or AZD6280 and GABAA receptor occupancy was described by hyperbolic function, and K i, plasma (plasma concentration required for 50% receptor occupancy) was estimated. Assessments of safety and tolerability included recording of adverse events, vital signs, electrocardiogram, and laboratory tests[1].

Solubility Information

Solubility	DMSO: 25 mg/mL (68.23 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.46 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7292 mL	13.6459 mL	27.2918 mL
5 mM	0.5458 mL	2.7292 mL	5.4584 mL
10 mM	0.2729 mL	1.3646 mL	2.7292 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

Jucaite A , Cselényi, Zsolt, Lappalainen J , et al. GABAAreceptor occupancy by subtype selective GABAAα2, 3modulators: PET studies in humans[J]. Psychopharmacology, 2017, 234(4):707-716.
Te Beek E T , Chen X , Jacobs G E , et al. The effects of the nonselective benzodiazepine lorazepam and the α2 /α3 subunit-selective GABAA receptor modulators AZD7325 and AZD6280 on plasma prolactin levels.[J]. Clin Pharmacol Drug Dev, 2015, 4(2):149-154.