BMT-145027

Cat. No.: HY-100728

CAS No.: 2018282-44-3

Molecular Formula: $C_{23}H_{14}ClF_3N_4$

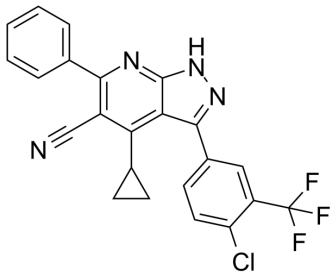
Molecular Weight: 438.83

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2.4 mg/mL (5.47 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2788 mL	11.3939 mL	22.7879 mL
	5 mM	0.4558 mL	2.2788 mL	4.5576 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BMT-145027 is an mGluR5 positive allosteric modulator without inherent agonist activity, exhibits an EC_{50} of 47 nM.

IC_{50} & Target

mGluR5
47 nM (EC50)

In Vitro

BMT-145027 is a compound with high MsLM stability (85% remaining), acceptable potency (EC_{50}=47 nM), and a modest decrease in planarity (Fsp3 = 0.17). Importantly, BMT-145027 lacks inherent mGluR5 agonist activity when tested at concentrations up to 16 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Drug-treated and control mice are shown two identical objects. After a 24-h natural forgetting period, the mice are reintroduced to a familiar object while simultaneously presented with a novel object. Since mice spend more time exploring unfamiliar objects, improved memory is measured as time spent exploring the novel object. BMT-145027 leads to a significant increase in time spent with the novel object when dosed at 30 mg/kg, with an apparent trend in novel object preference at 10 mg/kg. Satellite animals indicates a total plasma concentration of 2800 nM at 30 mg/kg[1].

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PROTOCOL

Kinase Assay [1]

Competition binding experiments are performed using a single concentration (5 nM) of [^{3}H]-MethoxyPyEP in the presence of increasing concentrations of BMT-145027. The reaction is terminated by the addition of 5 mL of ice-cold ish buffer and rapid filtration. The filter is punched into a 96 well flex-plate and scintillation cocktail is added to each well. The plate is allowed to soak for 8 h and then read on the micro-beta counter. IC_{50} values are determined using non-linear regression four-parameter logistic equation[1].

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Animal Administration [1]

Mice: Mice are dosed i.p. with either vehicle or BMT-145027 at 10 and 30 mg/kg 60 min prior to the training session and tested for recognition. Animal behavior is video recorded during both training and testing and the amount of time spent exploring the objects determined using Cleversys software[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hill MD, et al. Development of 1H-Pyrazolo[3,4-b]pyridines as Metabotropic Glutamate Receptor 5 Positive Allosteric Modulators. ACS Med Chem Lett. 2016 Oct 3;7(12):1082-1086.

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