

Product Data Sheet

Product Name: VU6005649

Cat. No.: GC19381

Chemical Properties

Cas. No. 2137047-43-7

SMILES CC1=NN2C(N=C(C)C=C2C(F)(F)F)=C1C3=CC=C(OC)C(F)=C3F

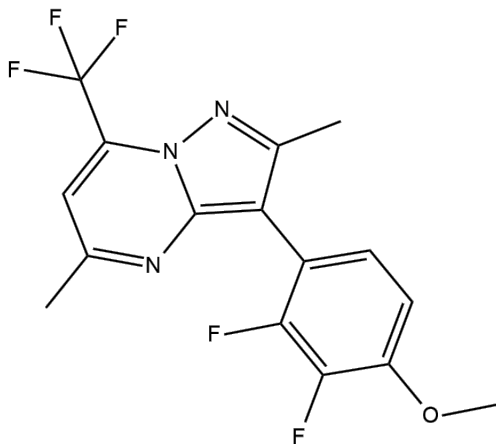
Formula $C_{16}H_{12}F_5N_3O$ M.Wt 357.28

Solubility DMSO : 50 mg/mL (139.95 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: Tissue distribution studies with VU6005649 (compound 9f) in mice are performed by formulating VU6005649 in 10% polysorbate 80 and dosing via intraperitoneal injection to 20 week old female C57/Bl6 mice (3 per time point). At 0.25, 0.5, 1, 3, and 6 hours post dose, animals are euthanized and decapitated, blood is collected via cardiac puncture and the brains are removed, thoroughly washed in cold phosphate-buffered saline, and immediately frozen on dry ice[1].

References:

[1]. Abe M, et al. Discovery of VU6005649, a CNS Penetrant mGlu7/8 Receptor PAM Derived from a Series of Pyrazolo[1,5-a]pyrimidines. ACS Med Chem Lett. 2017 Sep 1;8(10):1110-1115.

Background

VU6005649 is a CNS penetrant mGlu7/8 receptor agonist with EC₅₀s of 0.65 μ M and 2.6 μ M for mGlu7 receptor and mGlu8 receptor, respectively.

VU6005649 is a CNS penetrant mGlu7/8 receptor agonist with EC₅₀s of 0.65 μ M and 2.6 μ M for mGlu7 receptor and mGlu8 receptor, respectively. VU6005649 displays a terminal K_p of 2.43 with total brain levels ~9 $\times$ above the mGlu7 positive allosteric modulator (PAM) in vitro EC₅₀[1].

When VU6005649 (compound 9f) is dosed at 30 mg/kg IP in 10% Tween 80/H₂O (0.75 mg/kg. s.c. amphetamine), no efficacy is observed in this assay. VU6005649 shows modest but significant pro-cognitive effects on associative learning in wild-type mice and the first example of efficacy of an mGlu7/8 positive allosteric modulator (PAM) in this model[1].

References:

[1]. Abe M, et al. Discovery of VU6005649, a CNS Penetrant mGlu7/8 Receptor PAM Derived from a Series of Pyrazolo[1,5-a]pyrimidines. ACS Med Chem Lett. 2017 Sep 1;8(10):1110-1115.

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