

Product Data Sheet

Product Name: TAK-041

Cat. No.: GC19811

Chemical Properties

Cas. No. 1929519-13-0

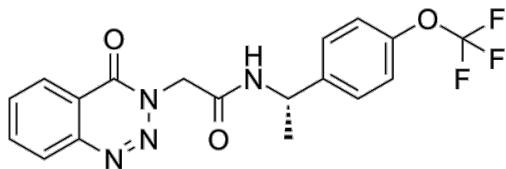
Formula $C_{18}H_{15}F_3N_4O_3$ M.Wt 392.33

Solubility DMSO : 100 mg/mL (254.89 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

Animal experiment [1]:

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

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Animal models Male BALB/c mice

Male BALB/c mice (5 weeks old) were maintained in a controlled environment at $22\pm 3^{\circ}\text{C}$ and 60% relative humidity under a 12h light/dark cycle, and were given free access to standard rodent nutrition and water. The social interaction arena used in this study was a square open field arena (40×40×40cm). Prior to the experiment, the mice were observed for 1 week to acclimate to the housing environment. The experiment commenced when the mice reached 6 weeks of age. The mice were food-restricted for 18h before the test but had ad libitum access to water. The mice were acclimated to the test room before the start of each test session(1h). The mice received single (i.p.) injections of the TAK-041

Preparation Method (3mg/kg) or vehicle 30min prior to the social interaction tests. The test mouse was initially habituated to the open field arena for a duration of 10 min. Following the habituation period, an intruder mouse from the same batch of BALB/c mice was introduced into the arena and placed at a corner away from the test mouse. The interactions between the two mice were recorded using a top-mounted camcorder for a duration of 10min. At the end of each trial, the open field arena was cleaned with 75% ethanol. The duration of social interactions, including behaviors such as approaching, sniffing, grooming, chasing, and attacking, was calculated for each test mouse within the 10min time frame.

Dosage form 3mg/kg; once; i.p.

Applications TAK-041 treatment significantly improved the social behaviors of BALB/c mice.

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References:

[1] Mao J, Cui Y, Wang H, et al. Design and synthesis of novel GPR139 agonists with therapeutic effects in mouse models of social interaction and cognitive impairment[J]. Journal of Medicinal Chemistry, 2023, 66(20): 14011-14028.

Background

TAK-041 is a potent and selective small-molecule G protein-coupled receptor 139 (GPR139) agonist with an EC₅₀ value of 22nM^[1]. TAK-041 enters the brain and interacts with GPR139 to affect endogenous dopamine release^[2]. TAK-041 has been used to improve cognitive function and social interaction in animal models^[3].

In vivo, TAK-041 treatment via a single intraperitoneal injection of 3mg/kg for 30 minutes significantly alleviated the social interaction deficits in juvenile BALB/c mice^[4]. Oral administration of TAK-041 at a dose of 3mg/kg daily for 6 days increased the response of food-deprived mice to sweet stimuli^[5]. 2.2μM TAK-041 treatment for 30 minutes significantly reversed the fear habituation disorder in zebrafish larvae induced by MK-801^[6].

References:

[1] Reichard H A, Schiffer H H, Monenschein H, et al. Discovery of TAK-041: a potent and selective GPR139 agonist explored for the treatment of negative symptoms associated with schizophrenia[J]. Journal of medicinal chemistry, 2021, 64(15): 11527-11542.

[2] Rabiner E A, Uz T, Mansur A, et al. Endogenous dopamine release in the human brain as a pharmacodynamic biomarker: evaluation of the new GPR139 agonist TAK-041 with [11C] PHNO PET[J]. Neuropsychopharmacology, 2022, 47(7): 1405-1412.

[3] Yin W, Han D, Khudyakov P, et al. A phase 1 study to evaluate the safety, tolerability and pharmacokinetics of TAK-041 in healthy participants and patients with stable schizophrenia[J]. British Journal of Clinical Pharmacology, 2022, 88(8): 3872-3882.

[4] Mao J, Cui Y, Wang H, et al. Design and synthesis of novel GPR139 agonists with therapeutic

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effects in mouse models of social interaction and cognitive impairment[J]. Journal of Medicinal Chemistry, 2023, 66(20): 14011-14028.

[5] Münster A, Sommer S, Kúkel'ová D, et al. Effects of GPR139 agonism on effort expenditure for food reward in rodent models: Evidence for pro-motivational actions[J]. Neuropharmacology, 2022, 213: 109078.

[6] Kow T F, Mok S Y, Tang P Y, et al. Surrogate GPR139 Agonists Reverse Short-Term Startle Habituation Impairment in Larval Zebrafish[J]. The FASEB Journal, 2025, 39(10): e70656.

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