

Product Data Sheet

Product Name: PF-06372865

Cat. No.: GC63145

Chemical Properties

Cas. No. 1614245-70-3

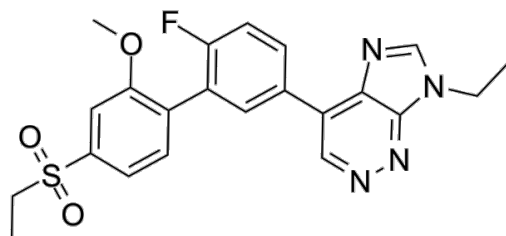
Formula $C_{22}H_{21}FN_4O_3S$ M.Wt 440.49

Solubility DMSO : 50 mg/mL (113.51 mM; Need ultrasonic) Storage 4°C, protect from light

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



Background

PF-06372865 is an orally active, $\alpha 2/\alpha 3/\alpha 5$ subtype-selective GABAA positive allosteric modulator (PAM). PF-06372865 is a high affinity ligand at GABAA receptors containing $\alpha 1/\alpha 2/\alpha 3/\alpha 5$ subunits

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

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(K_is of 2.9 nM, 21 nM, 134 nM for α 2, α 1 PAM, α 2 PAM, respectively), with low affinity for α 4/ α 6 subunits. PF-06372865 can cross the blood-brain barrier (BBB). PF-06372865 has anxiolytic activity and has the potential for epilepsy[1].

PF-06372865 (compound 34) has K_i values of 0.18 nM, 2.9 nM, 1.1 nM, 18 nM for human GABAA α 1 β 3 γ 2, α 2 β 2 γ 2, α 3 β 3 γ 2, α 5 β 2 γ 2 and 0.34 nM, 4.58 nM for rat GABAA α 1 β 3 γ 2, α 2 β 2 γ 2[1].

PF-06372865 (compound 34; 3, 10 mg/kg; orally; single dose) significantly increases the paw withdrawal threshold (PWT) in chronic constriction injury (CCI) animals[1]. PF-06372865 (0.3, 3, 10 mg/kg for mouse and 1, 3, 10 mg/kg for rat; orally) exhibits efficacy in two models of epilepsy, PTZ induced seizures (mouse), and amygdala kindling (rat)[1]. PF-06372865 (0.1, 0.32, 1, 3.2 and 10 mg/kg; orally) has anxiolytic activity at 3.2 and 10 mg/kg in elevated plus maze (male C57Bl/6 mice) [1]. PF-06372865 has a T_{1/2} of 1.1 hours, a Cl_p of 22 mL/min/kg, and a V_{ss} of 2.1 L/kg for rats[1]. PF-06372865 has a T_{1/2} of 0.9 hours, a Cl_p of 29 mL/min/kg, and a V_{ss} of 3.4 L/kg for dogs[1].

[1]. Owen RM, et al. Design and Identification of a Novel, Functionally Subtype Selective GABAA Positive Allosteric Modulator (PF-06372865). J Med Chem. 2019 Jun 27;62(12):5773-5796.

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