PF-06372865

Cat. No.: HY-120874
CAS No.: 1614245-70-3
Molecular Formula: $C_{22}H_{21}FN_4O_3S$
Molecular Weight: 440.49
Target: GABA Receptor
Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

Description
PF-06372865 is an orally active, α2/α3/α5 subtype-selective GABA_A positive allosteric modulator (PAM). PF-06372865 is a high affinity ligand at GABA_A receptors containing α1/α2/α3/α5 subunits ($K_i$ of 2.9 nM, 21 nM, 134 nM for α2, α1 PAM, α2 PAM, respectively), with low affinity for α4/α6 subunits. PF-06372865 has the potential for epilepsy[^1].

IC_{50} & Target
<table>
<thead>
<tr>
<th>$K_i$ (nM)</th>
<th>Target</th>
</tr>
</thead>
<tbody>
<tr>
<td>2.9</td>
<td>α2</td>
</tr>
<tr>
<td>21</td>
<td>α1 PAM</td>
</tr>
<tr>
<td>134</td>
<td>α2 PAM</td>
</tr>
</tbody>
</table>

In Vitro
PF-06372865 has $K_i$ values of 0.18 nM, 2.9 nM, 1.1 nM, 18 nM for human GABA_A α1β3γ2, α2β2γ2, α3β3γ2, α5β2γ2 and 0.34 nM, 4.58 nM for rat GABA_A α1β3γ2, α2β2γ2[^1].

In Vivo
PF-06372865 (3, 10 mg/kg; orally) 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 Clp 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 Clp of 29 mL/min/kg, and a $V_{ss}$ of 3.4 L/kg for dogs[^1].

Animal Model: Chronic constriction injury (CCI) model (male Wistar rats)[^1]
Dosage: 3, 10 mg/kg
Administration: Orally
Result: Significantly increased paw withdrawal latency.

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

Tel: 609-228-6898                   Fax: 609-228-5909                   E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA