**Product overview**

**Name**
JHU37152 dihydrochloride (DREADD ligand) (water soluble)

**Cat No**
HB6253

**Short description**
Novel DREADD agonist with high affinity and potency for hM3Dq and hM4Di. Active in vivo. Water soluble.

**Biological description**

**Overview**

JHU37152 is reported to be a novel DREADD agonist with high in vivo DREADD potency for CNS applications.

It has high affinity in vitro for hM3Dq and hM4Di (Kᵢ values are 1.8 nM (hM3Dq) and 8.7 nM (hM4Di)).

It selectively displaces [³H]clozapine from DREADDs and not from other clozapine-binding sites at concentrations up to 10 nM when tested for in situ [³H]clozapine displacement in brain tissue from WT and D₁-DREADD mice.

JHU37152 activates hM3Dq and hM4Di with high potency and efficacy in fluorescent and BRET-based assays in HEK-293 cells (EC₅₀ values are 5 and 0.5 nM at hM3Dq and hM4Di respectively).

**Occupancy**

JHU37152 exhibits high in vivo DREADD occupancy and was not reported to be a P-gp substrate.

**In vivo application**

JHU37152 is reported to be a potent in vivo DREADD agonist, which selectively inhibits locomotor activity in D₁-hM3Dq and D₁-hM4Di mice without any significant locomotor effects observed in wild type (WT) mice (at doses ranging 0.01 - 1 mg/kg).

It also produces robust and selective increases in hM3Dq-stimulated locomotion in rats expressing hM3Dq in tyrosine hydroxylase expressing neurons (at doses ranging 0.01 – 0.3 mg/kg).

While its selectivity is not ideal (i.e. comparable to clozapine), its high in vivo potency allows for dose adjustments with minimal off-target effects. The compound exhibits promising characteristics for DREADD use in monkeys.

Freebase also available.

Sold under license from the NIH, US patent pending 62/627,527

**Alternative names**

J52 dihydrochloride

**Purity**

>98%
Properties

Chemical name: 8-chloro-11-(4-ethylpiperazin-1-yl)-1-fluoro-5H-dibenzo[b,e][1,4]diazepine dihydrochloride

Molecular Weight: 431.76

Chemical structure:

![Chemical structure diagram]

Molecular Formula: C_{19}H_{20}ClFN_{4} \cdot 2\text{HCl}

PubChem identifier: 0

SMILES: Cl.Cl.CCN1CCN(CC1)C2=NCc4cc(Cl)ccc4Nc3cccc(F)c23

Source: Synthetic

InChI: InChI=1S/C19H20ClFN4.2ClH/c1-2-24-8-10-25(11-9-24)19-18-14(21)4-3-5-16(18)22-15-7-6-13(20)12-17(15)23-19;;/h3-7,12,22H,2,8-11H2,1H3;2*1H

InChiKey: FCMLDQBEZPOLPW-UHFFFAOYSA-N

Appearance: Yellow solid

Licensing details: Sold under license from the NIH, US patent pending 62/627,527

Storing and Using Your Product

Storage instructions: -20°C

Solubility overview: Soluble in water (100mM). Always store solutions at -20°C.

Handling:

Storage of solid:
- Store at -20°C.
- Please note that the compound is a hygroscopic solid and contact with air may cause material to become sticky. Product performance should not be affected but we recommend storing the material in a sealed jar.

Storage of solutions:
- Make up solutions and use immediately.
- If storage of solutions is required, you should aliquot out the solution into tightly sealed vials and store at -20°C and store these for up to one month.
- Allow the product to equilibrate to RT for at least one hour before opening and using.

Handling continued...

Storage of solutions at room temperature:
- We recommend only keeping solutions at room temperature (25°C) for a few days as our studies have shown that after 96 hours the purity of the compound in solution drops to ~95% and will continue to drop over time.

Important:
This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

References for JHU37152 dihydrochloride (DREADD ligand) (water soluble)

Chemogenetic ligands for translational neurotheranostics
High-potency ligands for DREADD imaging and activation in rodents and monkeys.
PubMedID: 31604917

0067 Humanized Chemogenetic Approach to Treat Sleep Apnea

OP-01-02 Graft-host synaptic connectivity can be chemogenetically inhibited with clinically relevant activators to eliminate graft-induced dyskinesias (GID) without loosing anti-parkinsonian benefits of dopaminergic grafts

DREADDs: The Power of the Lock, the Weakness of the Key. Favoring the Pursuit of Specific Conditions Rather than Specific Ligands.
Goutaudier et al (2019) eNeuro 6 : (5)
PubMedID: 31562177