

# HPGDS inhibitor 1

Catalog No: tcsc1801



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1033836-12-2

**Formula:**

$C_{19}H_{19}F_4N_3O$

**Pathway:**

Immunology/Inflammation

**Target:**

PGE synthase

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

381.37

## Product Description

HPGDS inhibitor 1 is a novel and selective Hematopoietic Prostaglandin D Synthase (HPGDS) inhibitor with an IC50 Value of 0.7 nM.

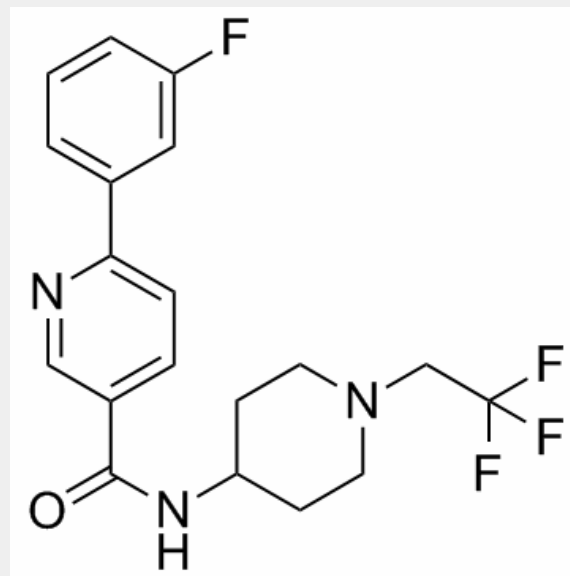
IC50 Value: 0.7 nM [1]

Target: HPGDS

HPGDS inhibitor 1 was elected for further profiling based on its enzyme and cell potency. The compound illustrated equal potency against purified HPGDS from human, rat, dog, and sheep (IC<sub>50</sub>, 0.5-2.3 nM). HPGDS inhibitor 1 was profiled in a panel of cellular assays to screen for activity against several relevant human enzyme targets. Those assays indicated that HPGDS inhibitor 1 does not inhibit human L-PGDS, m-PGDS, COX-1, COX-2 or 5 LOX (IC<sub>50</sub> values > 10000 nM).

HPGDS inhibitor 1 had a solubility of 1.5 µg/ml (3.9 µM) at pH 6.5. The compound had excellent PK characteristics when dosed in rats at 1 mpk with 76% bioavailability.

Rats dosed orally with 1 and 10 mpk HPGDS inhibitor 1 were sacrificed at various times, and plasma concentrations of HPGDS inhibitor 1 and spleen PGD2 concentrations were measured. Oral administration of HPGDS inhibitor 1 blocked PGD2 production in the rat spleen; inhibition of PGD2 was inversely correlated with the plasma concentration of HPGDS inhibitor 1 in a time and dose-dependent manner. Spleen PGD2 levels fall as HPGDS inhibitor 1 plasma levels increase over time; PGD2 levels return to baseline levels as HPGDS inhibitor 1 plasma levels decline.



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