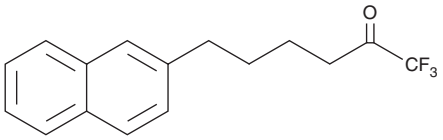
PRODUCT INFORMATION

FKGK 18

Item No. 13943

CAS Registry No.:	1071001-09-6
Formal Name:	1,1,1-trifluoro-6-(2-naphthalenyl)-2-hexanone
MF:	$C_{16}H_{15}F_3O$
FW:	280.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 225, 271 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

FKGK 18 is supplied as a crystalline solid. A stock solution may be made by dissolving the FKGK 18 in the solvent of choice. FKGK 18 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of FKGK 18 in these solvents is approximately 20 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of FKGK 18 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of FKGK 18 in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

FKGK 18 is an inhibitor of group VIA (GVIA) calcium-independent phospholipase A_2 (iPLA$_2$).[1] It inhibits GVIA iPLA$_2$ by 99.9% at 0.091 mole fraction in a mixed micelle activity assay and is selective for GVIA iPLA$_2$ over GIVA cPLA$_2$ and GV sPLA$_2$ where it shows 80.8 and 36.8% inhibition, respectively. FKGK 18 inhibits iPLA$_2$β activity in cytosolic extracts from INS-1 cells overexpressing iPLA$_2$β (IC_{50} = ~50 nM) as well as iPLA$_2$γ activity in mouse heart membrane fractions (IC_{50}s = ~1-3 µM).[2] It inhibits glucose-induced increases in prostaglandin E_2 (PGE_2; Item No. 14010) production and insulin secretion in human pancreatic islets when used at a concentration of 10 µM and inhibits thapsigargin-induced apoptosis in INS-1 cells overexpressing iPLA$_2$β in a concentration-dependent manner. FKGK 18 (20 mg/kg, 3 times per week) reduces blood glucose levels in an intraperitoneal glucose tolerance test, decreases the incidence of diabetes, and increases serum insulin levels in non-obese diabetic (NOD) mice.[3]

References

1. Kokotos, G., Hsu, Y.H., Burke, J.E., *et al.* Potent and selective fluoroketone inhibitors of group VIA calcium-independent phospholipase A_2. *J. Med. Chem.* **53(9)**, 3602-3610 (2010).
2. Ali, T., Kokotos, G., Magrioti, V., *et al.* Characterization of FKGK18 as inhibitor of group VIA Ca^{2+}-independent phospholipase A_2 (iPLA$_2$β): Candidate drug for preventing β-cell apoptosis and diabetes. *PLoS One* **8(8):e71748**, (2013).
3. Bone, R.N., Gai, Y., Magrioti, V., *et al.* Inhibition of Ca^{2+}-independent phospholipase A_2β (iPLA$_2$β) ameliorates islet infiltration and incidence of diabetes in NOD mice. *Diabetes* **64(2)**, 541-554 (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM