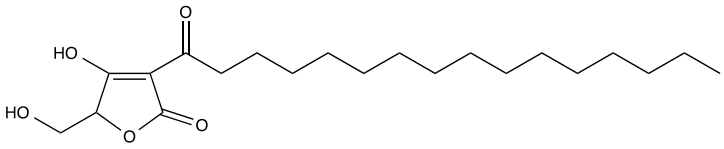
Catalog # 10-4300
(±)-RK-682

CAS# 154639-24-4

(±)-4-Hydroxy-5-(hydroxymethyl)-3-(1-oxohexadecyl)-2(5H)-furanone

Lot # FBA1132



RK-682 is a protein tyrosine phosphatase inhibitor (IC_{50}'s = 54 µM for CD45, 2.0 µM for VHR; did not inhibit cdc25B) originally isolated from the fermentation of *Streptomyces* sp. 88-682.[1] Inhibits cell cycle at G1/S. RK-682 has also been shown to inhibit PLA_2 (IC_{50} = 16 µM)[2], HIV-1 protease (IC_{50} = 84 µM)[3], and heparanase (IC_{50} = 17 µM)[4]. Natural RK-682 (R-isomer) and synthetic racemic material have identical phosphatase activity.[5] Care should be taken when using RK-682 in the presence of metal salts – RK-682 readily forms metal complexes that affects its phosphatase inhibitory activity.[6] RK-682 has been identified as a potential promiscuous inhibitor.[7]

1) Hamaguchi *et al*. (1995), *RK-682, a potent inhibitor of tyrosine phosphatase, arrested the mammalian cell cycle progression at G1 phase;* FEBS Lett, **372** 54
2) Shinagawa *et al*. (1993), Tetronic acid derivatives, its manufacturing methods and uses; Jpn.Kokai Tokkyo Koho JP 05-43568, **35** 1791
3) Roggo *et al*. (1994), *3-Alkanoyl-5-hydroxymethyl tetronic acid homologues and resistomycin; new inhibitors of HIV-1 protease*; J.Antibiot (Tokyo) **47** 136
4) Ishida *et al.* (2004), *Structure-based design of a selective heparanase inhibitor as an antimetastatic agent*; Mol.Cancer Ther. **3** 1069
5) Sodeoka *et al.* (1996), *Asymmetric synthesis of RK-682 and its analogs, and evaluation of their protein phosphatase inhibitory activities*; Tet.Lett. **37** 8775
6) Sodeoka *et al*. (2001), *Asymmetric Synthesis of a 3-Acyltetronic Acid Derivative, RK-682, and Formation of Its Calcium Salt during Silica Gel Chromatography*; Chem.Pharm.Bull. **49** 206.
7) Carneiro *et al*. (2015), *Is RK-682 a promiscuous enzyme inhibitor? Synthesis and in vitro evaluation of protein tyrosine phosphatase inhibition of racemic RK-682 and analogues*; Eur.J.Med.Chem. **97** 42

PHYSICAL DATA

Molecular Weight:	368.51
Molecular Formula:	$C_{21}H_{36}O_5$
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml) or ethanol (up to 10 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or water may be stored at -20°C for up to 3 months.

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