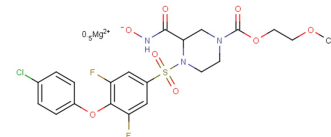


XL-784

**Chemical Properties**

CAS No.: 1224964-36-6  
Formula: C<sub>21</sub>H<sub>22</sub>ClF<sub>2</sub>N<sub>3</sub>O<sub>8</sub>S  
Molecular Weight: 549.93  
Appearance: N/A  
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).

**Biological Description**

Description	XL-784 is a selective inhibitor of matrix metalloproteinases (MMP)(IC <sub>50</sub> s of ~1900, 0.81, 120, 10.8, 18, 0.56 nM for MMP-1,MMP-2,MMP-3,MMP-8,MMP-9,MMP-13,respectively).
Targets(IC <sub>50</sub> )	MMP-2: 0.81 nM MMP13: 0.56 nM MMP-8: 10.8 nM MMP-9: 18 nM MMP-3: 120 nM MMP-1: 1900 nM
In vitro	XL-784 is a low-molecular-weight (1,122 g/mol) MMPs inhibitor. XL-784 potently inhibits MMP-2, MMP-13, and ADAM10 [TNF- $\alpha$ -converting enzyme (TACE)] activity in vitro(IC <sub>50</sub> values in the range of 1-2 nM). XL-784 also inhibits MMP-9 (IC <sub>50</sub> ~20 nM) activity and ADAM17 (IC <sub>50</sub> ~70 nM) also known as TACE. However, XL-784 exhibits low potency for inhibition of MMP-1 (IC <sub>50</sub> ~2,000 nM)[1].
In vivo	Treatment with all doses of XL-784 and doxycycline are effective in inhibiting aortic dilatation. There is a clear dose-response relationship between XL-784 and reductions in aortic dilatation at harvest (50 mg/kg 140.4% $\pm$ 3.2%; 125 mg/kg 129.3% $\pm$ 5.1%; 250 mg/kg 119.2% $\pm$ 3.5%; all Ps<0.01 compared to control). This continues with the higher doses (375 mg/kg 88.6% $\pm$ 4.4%; 500 mg/kg 76.0% $\pm$ 3.5%). he two doses of the highest dose of XL-784 tested were more effective than doxycycline in inhibiting the maximum expansion of the aorta after elastase infusion (112.2% $\pm$ 2.0%, P<0.05) [2].

**Solubility Information**

Solubility	DMSO: 110 mg/mL (200.03 mM) ( < 1 mg/ml refers to the product slightly soluble or insoluble)
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## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.818 mL	9.092 mL	18.184 mL
5 mM	0.364 mL	1.818 mL	3.637 mL
10 mM	0.182 mL	0.909 mL	1.818 mL
50 mM	0.036 mL	0.182 mL	0.364 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

## Reference

1. Williams JM, et al. Evaluation of metalloprotease inhibitors on hypertension and diabetic nephropathy. Am J Physiol Renal Physiol. 2011 Apr;300(4):F983-98.
2. Ennis T, et al. Effect of novel limited-spectrum MMP inhibitor XL784 in abdominal aortic aneurysms. J Cardiovasc Pharmacol Ther. 2012 Dec;17(4):417-26.

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