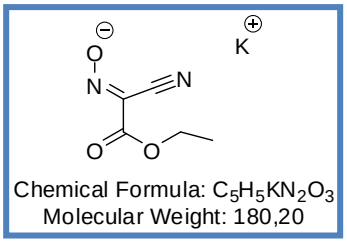
OxymaPure™ Potassium Salt (K-Oxyma) as non-explosive replacement for HOBt



Chemical Formula: $C_5H_5KN_2O_3$
Molecular Weight: 180,20

OxymaPure™ Potassium Salt (K-**Oxyma**)
Potassium Ethyl cyanoglyoxalate-2-oximate
[158014-03-0]

OxymaPure™ is a registered trademark of **Luxembourg Bio Technologies Ltd.** for Ethyl cyanoglyoxalate-2-oxime. The product is produced by using a unique technology which has been developed by **Luxembourg Bio Technologies Ltd.** This technology achieves a "free of salts" product with a purity of >99.5% and also controls the ratio between the active "Oxime" to the non-active "Nitroso". **OxymaPure** is exclusively manufactured by **Luxembourg Biotechnologies Ltd.**

Safety investigations clearly demonstrate that **OxymaPure** has a lower risk of explosion and flammability than commonly used products for the same purposes. In addition, **OxymaPure** has been tested for oral toxicity and dermal irritation and was found to be non-toxic. **OxymaPure** has no transportation restrictions.

Although **OxymaPure** is established as an excellent replacement for HOBt, HOAt, HOOBt, and other analogues, the respective **OxymaPure Potassium Salt** (**K-Oxyma**) shows further advantages:

- higher solubility in solvents than **OxymaPure**
- higher yields compared to **OxymaPure**
- gives results comparable to HOAt in step-wise solid phase synthesis without change of protocols
- demonstrates less epimerization than HOBt in fragment condensation reactions in carbodiimide mediated peptide coupling
- shows promising results as a suppressing agent of base-mediated side reactions in peptide synthesis in strictly demanding peptide bond formation
- is non-explosive and non-allergenic in comparison to HOAt, HOBt and related derivatives

Luxembourg Bio Technologies Ltd. is the World's largest producer of coupling reagents and additives for peptides and amide bonds' synthesis.

Physical and Chemical properties of K-Oxyma

Melting Point:

Sample	Melting Point [ºC]	Observations
Oxyma Pure	127-130	-
Oxyma Potassium salt (previously dried)	148	The yellow solid becomes wet
	149-154	The solid change its color from light brown to dark brown
	155-156	The sample melts

Solubility in different solvents:

Solvent	Compound	Temp. [ºC]	Solubility [mg/mL]	Observations
NMP	K Oxyma	r.t	322.6	Orange solution. After a few hours a very little amount of a dark precipitate appears but it is resolved by stirring.
	Oxyma Pure	r.t	249	Pale yellow solution. After a few hours a very little amount of a dark precipitate appears but it is resolved by stirring.
DMA	K Oxyma	r.t	311.5	Orange solution. After a few hours a very little amount of a dark precipitate appears but it is resolved by stirring
	Oxyma Pure	r.t	169.5	Pale yellow solution. After a few hours a very little amount of a dark precipitate appears but it is resolved by stirring.
DMF	K Oxyma	r.t	448.7	Orange solution. After a few hours a very little amount of a dark precipitate appears but it is resolved by stirring
	Oxyma Pure	r.t	196.6	Pale yellow solution. After a few hours a very little amount of a dark precipitate appears but it is resolved by stirring.
H_2O	K Oxyma	35	139.7	Not soluble at r.t. Intense yellow solution at 35 ºC. When the temperature of the solution returns to r.t., it becomes solid.
	Oxyma Pure	r.t	46.2	Yellow solution. When the temperature of the solution returns to r.t., the major part of the solid precipitates and the color solution becomes pale yellow.
		35	148.9	
EtOH	K Oxyma	50	21.8	Not soluble at r.t. Intense yellow solution at 35 ºC. When the temperature of the solution returns to r.t., it becomes solid but after a few hours partial solubility is observed.
	Oxyma Pure	r.t	<10.3	Very pale solution. When the temperature of the solution returns to r.t., some solid precipitates and the color solution becomes more intense yellow but stills pale.
		35	31.5	

Standard protocol [for Myelin Basic Protein (MBP) (104-118)]:

Resin: 2.00 g of 2-Chlorotrityl Resin (0.5 X 10^{-3})
1st Coupling: Fmoc-Ala-OH
N,N-Diisopropylethylamine (DIEA)

Divided: 1.76 g:

Additive:	OxymaPure Potassium Salt
Coupling reagent:	DIPCDI
Solvent:	*N,N*-Dimethylformamide (DMF)
Coupling Time:	1:30 min
Final Resin weight:	3.04 g
Theoretical Yield:	947 mg
Practical Yield:	851 mg
Yield:	90%

Divided: 1.80 g:

Additive:	OxymaPure
Coupling reagent:	DIPCDI
Solvent:	*N,N*-Dimethylformamide (DMF)
Coupling Time:	1:30 min
Final Resin weight:	2.32 g
Theoretical Yield:	947 mg
Practical Yield:	593 mg
Yield:	63%